

# NAGASEの非天然アミノ酸ライブラリー

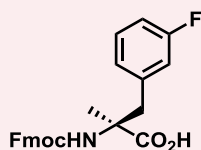
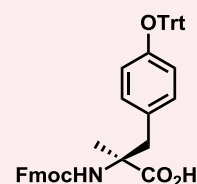
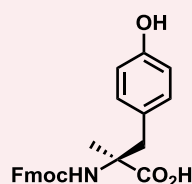
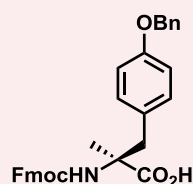
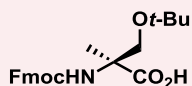
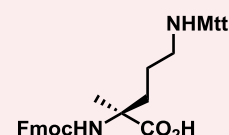
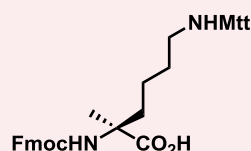
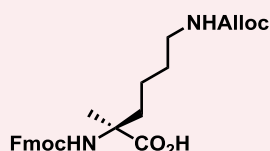
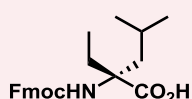
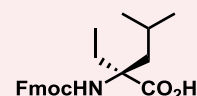
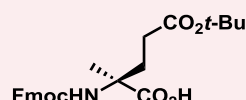
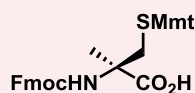
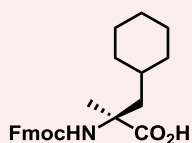
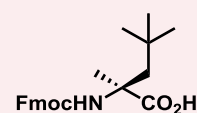
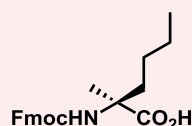
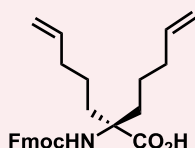
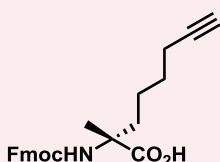
Jan. 2017 Ver.23

長瀬産業は、丸岡啓二教授（京都大学理学部）が開発した不斉相間移動触媒『丸岡触媒<sup>®</sup>』による不斉アルキル化反応を用いて、各種の  $\alpha$ -1 置換および、 $\alpha,\alpha$ -2 置換の非天然アミノ酸の効率的な製造を可能にしました。

『丸岡触媒<sup>®</sup>』は、金属フリーのキラル第 4 級アンモニウム塩として、保護された  $\alpha$ -アミノ酸の立体選択的アルキル化をきわめて微量で触媒します。『丸岡触媒<sup>®</sup>』を用いた反応は、極低温も高圧も必要とせず、温和で環境に優しいプロセスであり、スケールアップも容易です。そのため、試薬スケールだけでなく工業化も視野に入れ、各種サイズでのバルク供給に迅速に対応することが可能です。

長瀬産業は、この『丸岡触媒<sup>®</sup>』を用いた化学合成技術で 100 品目を超える光学活性な非天然アミノ酸を試薬としてご提供することにより、新薬開発企業の創薬研究をご支援致します。

## 【新商品のご紹介】



## アミノ酸少量セット販売

カタログ記載のアミノ酸ライブラリーにつきましては、100 mg ずつ 10 品目あるいは 20 品目まとめてセットで販売致します。

創薬のスクリーニングに使うので少量で多品目を試したいというご要望にお応え致しました。

ご希望の商品を 10 あるいは 20 品目選んでいただき、箱に入れて販売させていただきます。

是非お試しください。

アミノ酸フリーセット	各 100 mg × 10 本	100,000 円
	各 100 mg × 20 本	150,000 円

また、ご希望の『品目 × 数量』をご連絡いただければ別途お見積りさせていただきます。

必要なものを必要なだけ。貴方のご研究のサポートを致します。

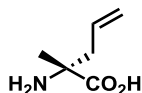
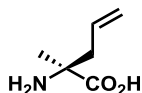


## Reactive Amino Acids ( $\alpha$ -Alkenyl or $\alpha$ -Alkynyl Glycines and Alanines )

### $\alpha$ -AlkenylAla

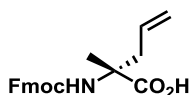
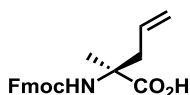
**335438 (S)- $\alpha$ -Allylalanine·H<sub>2</sub>O** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 30,000 円  
 [CAS No.96886-55-4] C<sub>6</sub>H<sub>11</sub>NO<sub>2</sub>·H<sub>2</sub>O = 147.17

**335437 (R)- $\alpha$ -Allylalanine·H<sub>2</sub>O** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 30,000 円  
 [CAS No.96886-56-5] C<sub>6</sub>H<sub>11</sub>NO<sub>2</sub>·H<sub>2</sub>O = 147.17

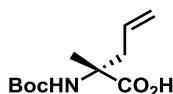


**358028 (S)-N-Fmoc- $\alpha$ -Allylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) (NET) 1 g 55,000 円  
 [CAS No.288617-71-0] C<sub>21</sub>H<sub>21</sub>NO<sub>4</sub> = 351.40  
 Containing 20-50% Methyl *tert*-butyl ether

**358027 (R)-N-Fmoc- $\alpha$ -Allylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) (NET) 1 g 55,000 円  
 [CAS No.288617-76-5] C<sub>21</sub>H<sub>21</sub>NO<sub>4</sub> = 351.40  
 Containing 20-50% Methyl *tert*-butyl ether

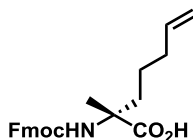
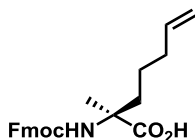


**354283 (R)-N-Boc- $\alpha$ -Allylalanine ethyl ester** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 50,000 円  
 [CAS No.1263046-12-3] C<sub>13</sub>H<sub>23</sub>NO<sub>4</sub> = 257.33



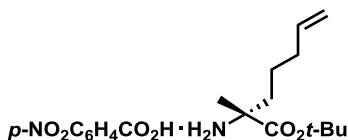
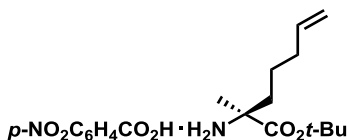
**365023 (S)-N-Fmoc- $\alpha$ -(4-Pentenyl)alanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) (NET) 1 g 45,000 円  
 [CAS No.288617-73-2] C<sub>23</sub>H<sub>25</sub>NO<sub>4</sub> = 379.46  
 (NET) 5 g 150,000 円  
 Containing 20-50% Methyl *tert*-butyl ether

**364440 (R)-N-Fmoc- $\alpha$ -(4-Pentenyl)alanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) (NET) 1 g 45,000 円  
 [CAS No.288617-77-6] C<sub>23</sub>H<sub>25</sub>NO<sub>4</sub> = 379.46  
 (NET) 5 g 150,000 円  
 Containing 20-50% Methyl *tert*-butyl ether

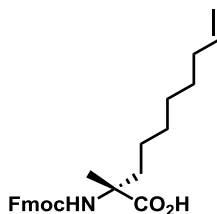
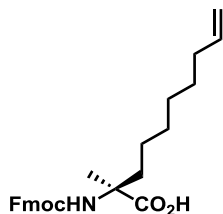


**411751 (S)- $\alpha$ -(4-Pentenyl)alanine *tert*-butyl ester *p*-Nitrobenzoate** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 28,000 円  
 [CAS No.1323987-70-7] C<sub>12</sub>H<sub>23</sub>NO<sub>2</sub>·C<sub>7</sub>H<sub>5</sub>NO<sub>4</sub>= 380.44 5 g 112,000 円

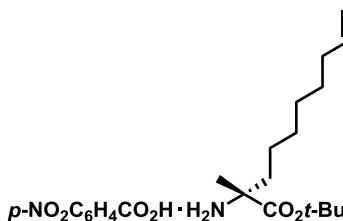
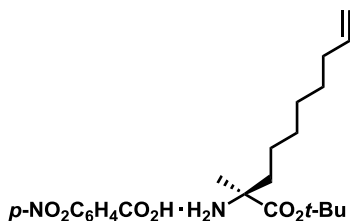
**411752 (R)- $\alpha$ -(4-Pentenyl)alanine *tert*-butyl ester *p*-Nitrobenzoate** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 28,000 円  
 [CAS No.1323987-68-3] C<sub>12</sub>H<sub>23</sub>NO<sub>2</sub>·C<sub>7</sub>H<sub>5</sub>NO<sub>4</sub>= 380.44 5 g 112,000 円



<b>364441</b>	<b>(S)-N-Fmoc-<math>\alpha</math>-(7-Octenyl)alanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	(NET) 1 g	55,000 円
	[CAS No.288617-75-4] $C_{26}H_{31}NO_4 = 421.54$	(NET) 5 g	160,000 円
	Containing 10-40% of Methyl <i>tert</i> -butyl ether		
<b>363955</b>	<b>(R)-N-Fmoc-<math>\alpha</math>-(7-Octenyl)alanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	(NET) 1 g	55,000 円
	[CAS No.945212-26-0] $C_{26}H_{31}NO_4 = 421.54$	(NET) 5 g	160,000 円
	Containing 10-40% of Methyl <i>tert</i> -butyl ether		



<b>411915</b>	<b>(S)-<math>\alpha</math>-(7-Octenyl)alanine <i>tert</i>-butyl ester <i>p</i>-Nitrobenzoate</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	50,000 円
	[CAS No.1375908-92-1] $C_{15}H_{29}NO_2 \cdot C_7H_5NO_4 = 422.52$	5 g	120,000 円
<b>388630</b>	<b>(R)-<math>\alpha</math>-(7-Octenyl)alanine <i>tert</i>-butyl ester <i>p</i>-Nitrobenzoate</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	50,000 円
	[CAS No.1375904-22-5] $C_{15}H_{29}NO_2 \cdot C_7H_5NO_4 = 422.52$	5 g	120,000 円



Hydrocarbon-stapling of natural peptides enhances helicity, protease resistance, and cell-permeability as well as improves pharmacologic properties.

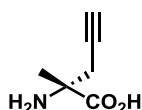
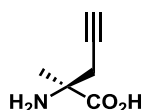
C. E. Schafmeister, *et. al. J. Am.Chem.Soc.* **2000**, *122*, 5891-5892.

L. D. Walensky, *et. al. Science* **2004**, *305*, 1466-1470.

Young-Woo Kim *et. al. Org. Lett.* **2010**, *12*, 3046-3049.

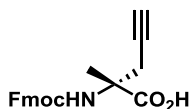
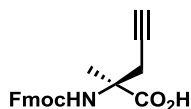
### $\alpha$ -AlkynylAla

<b>339271</b>	<b>(S)-<math>\alpha</math>-Propargylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	25,000 円
	[CAS No.1231709-27-5] $C_6H_9NO_2 = 127.14$		
<b>339270</b>	<b>(R)-<math>\alpha</math>-Propargylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	25,000 円
	[CAS No.403519-98-2] $C_6H_9NO_2 = 127.14$		



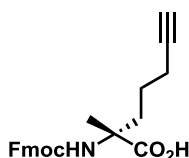
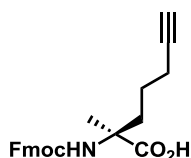
**358026 (S)-N-Fmoc- $\alpha$ -Propargylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 45,000 円  
 [CAS No.1198791-58-0]  $C_{21}H_{19}NO_4 = 349.39$   
 Containing 20-50% Methyl *tert*-butyl ether

**358029 (R)-N-Fmoc- $\alpha$ -Propargylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 45,000 円  
 [CAS No.1198791-65-9]  $C_{21}H_{19}NO_4 = 349.39$   
 Containing 20-50% Methyl *tert*-butyl ether



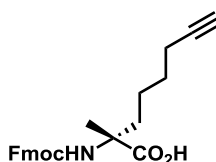
**385412 (S)-N-Fmoc- $\alpha$ -(4-Pentynyl)alanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 60,000 円  
 [CAS No.1050501-65-9]  $C_{23}H_{23}NO_4 = 377.44$  (NET) 5 g 180,000 円  
 Containing 20-50% Methyl *tert*-butyl ether

**385411 (R)-N-Fmoc- $\alpha$ -(4-Pentynyl)alanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 60,000 円  
 [CAS No.1198791-56-8]  $C_{23}H_{23}NO_4 = 377.44$  (NET) 5 g 180,000 円  
 Containing 20-50% Methyl *tert*-butyl ether



**468736 (R)-N-Fmoc- $\alpha$ -(5-Hexynyl)alanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 85,000 円  
 [CAS No.1198791-69-3]  $C_{24}H_{25}NO_4 = 391.47$  (NET) 5 g  
 Containing 5-40% of Methyl *tert*-butyl ether

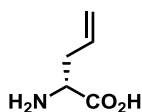
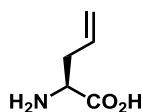
**New**



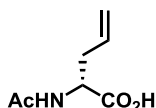
**$\alpha$ -AlkenylGly**

**345277 (S)- $\alpha$ -Allylglycine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 5 g 45,000 円  
 [CAS No.16338-48-0]  $C_5H_9NO_2 = 115.13$

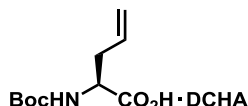
**345276 (R)- $\alpha$ -Allylglycine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 5 g 45,000 円  
 [CAS No.54594-06-8]  $C_5H_9NO_2 = 115.13$



**354273 (R)-N-Acetyl- $\alpha$ -Allylglycine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 45,000 円  
 [CAS No.121786-40-1]  $C_7H_{11}NO_3 = 157.17$



**358025 (S)-N-Boc- $\alpha$ -Allylglycine Dicyclohexylamine salt** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 25 g 70,000 円  
 [CAS No.143979-15-1]  $C_{10}H_{17}NO_4 \cdot C_{12}H_{23}N = 396.57$  100 g 225,000 円

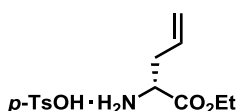
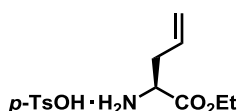


**363068 (S)- $\alpha$ -Allylglycine ethyl ester *p*-Toluenesulfonate** ( $\geq 97.0\%$ ,  $\geq 98.0\%$ ee) 5 g 18,000 円  
 [CAS No.1231709-21-9]  $C_7H_{13}NO_2 \cdot C_7H_8O_3S = 315.39$  25 g 70,000 円

100 g 225,000 円

**413726 (R)- $\alpha$ -Allylglycine ethyl ester *p*-Toluenesulfonate** ( $\geq 97.0\%$ ,  $\geq 98.0\%$ ee) 5 g 18,000 円  
 [CAS No.1432914-51-6]  $C_7H_{13}NO_2 \cdot C_7H_8O_3S = 315.39$  25 g 70,000 円

100 g 225,000 円

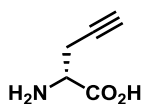
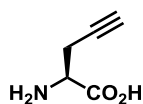


Application of Allylglycine as the building block for intermediate of pharmaceutical compounds.  
 Rutjes, F. P. J. T. *et al. Org. Biomol. Chem.* **2005**, 3, 3435.  
 Rutjes, F. P. J. T. *et al. J. Chem. Soc. Perkin Trans. 1*, **2000**, 4197.

### $\alpha$ -AlkynylGly

**345279 (S)- $\alpha$ -Propargylglycine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 22,500 円  
 [CAS No.23235-01-0]  $C_5H_7NO_2 = 113.12$

**345278 (R)- $\alpha$ -Propargylglycine** ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 22,500 円  
 [CAS No.23235-03-2]  $C_5H_7NO_2 = 113.12$

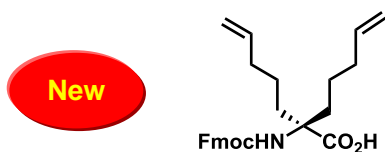


$\alpha$ -Propargyl Amino Acids – Derived Optically Active Novel Substituted Polyacetylenes: Synthesis, Second Structures, and Responsiveness to Ions.  
 Sogawa, H. *et al. J. Poly. Sci., Part A: Polym. Chem.* **2012**, 50, 2008.



## $\alpha,\alpha$ -DialkenylGly

462304 **N-Fmoc- $\alpha,\alpha$ -Bis(4-pentenyl)glycine** ( $\geq 98.0\%$ ) 1 g 60,000 円  
[CAS No.1068435-19-7]  $C_{27}H_{31}NO_4 = 433.55$

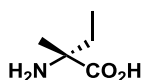
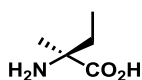


## $\alpha$ -Substituted Alanine derivatives

### Alanine (Ala)

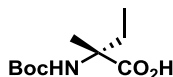
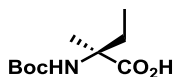
328959 **(S)- $\alpha$ -Ethylalanine- $H_2O$**  ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 1 g 20,000 円  
[CAS No.595-40-4]  $C_5H_{11}NO_2 \cdot H_2O = 135.16$  5 g 75,000 円

328962 **(R)- $\alpha$ -Ethylalanine- $H_2O$**  ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 1 g 20,000 円  
[CAS No.3059-97-0]  $C_5H_{11}NO_2 \cdot H_2O = 135.16$  5 g 75,000 円



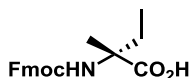
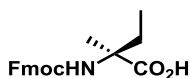
358835 **(S)-N-Boc- $\alpha$ -Ethylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 1 g 20,000 円  
[CAS No.151171-11-8]  $C_{10}H_{19}NO_4 = 217.27$  5 g 75,000 円

395454 **(R)-N-Boc- $\alpha$ -Ethylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 1 g 20,000 円  
[CAS No.123254-58-0]  $C_{10}H_{19}NO_4 = 217.27$  5 g 75,000 円

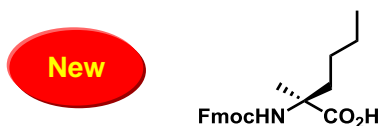


354274 **(S)-N-Fmoc- $\alpha$ -Ethylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) (冷蔵) 1 g 36,000 円  
[CAS No.857478-30-9]  $C_{20}H_{21}NO_4 = 339.39$  5 g 140,000 円

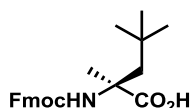
354275 **(R)-N-Fmoc- $\alpha$ -Ethylalanine** ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) (冷蔵) 1 g 36,000 円  
[CAS No.1231709-22-0]  $C_{20}H_{21}NO_4 = 339.39$  5 g 140,000 円



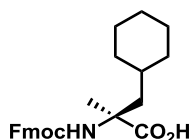
472923 **(S)-N-Fmoc- $\alpha$ -Methylnorleucine** ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) (冷蔵) 1 g 60,000 円  
 $C_{22}H_{25}NO_4 = 367.45$



467664 (S)-N-Fmoc- $\alpha$ -Methyl- $\beta$ -*tert*-butylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) 1 g 180,000 円  
 [CAS No.1934266-56-4]  $C_{23}H_{27}NO_4 = 381.47$



470629 (S)-N-Fmoc- $\alpha$ -Methylcyclohexylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 60,000 円  
 [CAS No.1934266-55-3]  $C_{25}H_{29}NO_4 = 407.51$

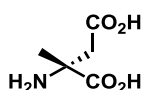
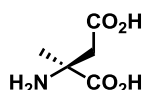


### $\alpha$ -Methyl or $\alpha$ -Ethyl derivatives of natural Amino Acids

#### Aspartic acid (Asp)

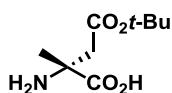
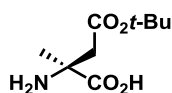
346838 (S)- $\alpha$ -Methylaspartic acid ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 36,000 円  
 [CAS No.3227-17-6]  $C_5H_9NO_4 = 147.13$

346839 (R)- $\alpha$ -Methylaspartic acid ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 36,000 円  
 [CAS No.14603-76-0]  $C_5H_9NO_4 = 147.13$



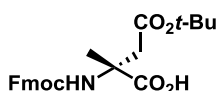
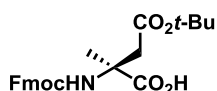
357392 (S)- $\alpha$ -Methylaspartic acid 4-*tert*-butyl ester ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 20,000 円  
 [CAS No.1217977-71-3]  $C_9H_{17}NO_4 = 203.24$  5 g 60,000 円

359455 (R)- $\alpha$ -Methylaspartic acid 4-*tert*-butyl ester ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 20,000 円  
 [CAS No.1231709-25-3]  $C_9H_{17}NO_4 = 203.24$  5 g 60,000 円



357393 (S)-N-Fmoc- $\alpha$ -Methylaspartic acid 4-*tert*-butyl ester ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 35,000 円  
 [CAS No.1072845-47-6]  $C_{24}H_{27}NO_6 = 425.48$  (NET) 5 g 120,000 円  
 Containing  $\leq 10\%$  Methyl *tert*-butyl ether

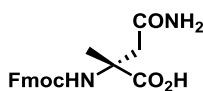
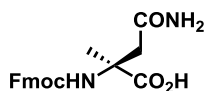
359457 (R)-N-Fmoc- $\alpha$ -Methylaspartic acid 4-*tert*-butyl ester ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍) (NET) 1 g 35,000 円  
 [CAS No.1231709-26-4]  $C_{24}H_{27}NO_6 = 425.48$  (NET) 5 g 120,000 円  
 Containing  $\leq 10\%$  Methyl *tert*-butyl ether





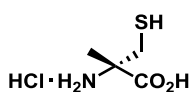
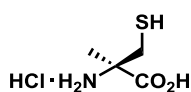
### Asparagine (Asn)

412813	<b>(S)-N-Fmoc-<math>\alpha</math>-Methylasparagine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	50,000 円
	[CAS No.1403590-49-7] $C_{20}H_{20}N_2O_5 = 368.39$	5 g	200,000 円
412814	<b>(R)-N-Fmoc-<math>\alpha</math>-Methylasparagine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	50,000 円
	[CAS No.1403590-50-0] $C_{20}H_{20}N_2O_5 = 368.39$	5 g	200,000 円

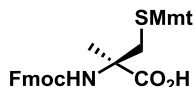


### Cysteine (Cys)

369043	<b>(R)-L-<math>\alpha</math>-Methylcysteine-HCl</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	35,000 円
	[CAS No.148766-37-4] $C_4H_9NO_2S \cdot HCl = 171.65$	5 g	140,000 円
388254	<b>(S)-D-<math>\alpha</math>-Methylcysteine-HCl</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	100 mg	30,000 円
	[CAS No.151062-55-4] $C_4H_9NO_2S \cdot HCl = 171.65$	1 g	120,000 円

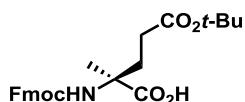


467668	<b>(R)-L-N-Fmoc-S-Mmt-<math>\alpha</math>-Methylcysteine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	1 g	60,000 円
	[CAS No.1198791-74-0] $C_{39}H_{35}NO_5S = 629.77$		



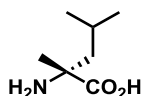
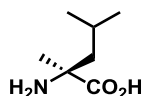
### Glutamine (Glu)

462535	<b>(S)-N-Fmoc-<math>\alpha</math>-Methylglutamic acid 5-tert-butyl ester</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	1 g	90,000 円
	[CAS No.1072845-48-7] $C_{25}H_{30}NO_6 = 440.51$		

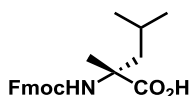
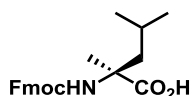


### Leucine (Leu)

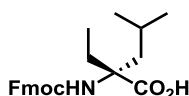
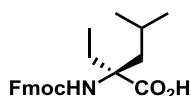
328961	<b>(S)-<math>\alpha</math>-Methylleucine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	20,000 円
	[CAS No.105743-53-1] $C_7H_{15}NO_2 = 145.20$		
328960	<b>(R)-<math>\alpha</math>-Methylleucine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	20,000 円
	[CAS No.29589-03-5] $C_7H_{15}NO_2 = 145.20$		



- 357394 (S)-N-Fmoc- $\alpha$ -Methylleucine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 50,000 円  
 [CAS No.312624-65-0]  $C_{22}H_{25}NO_4 = 367.45$
- 357395 (R)-N-Fmoc- $\alpha$ -Methylleucine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 50,000 円  
 [CAS No.1231709-23-1]  $C_{22}H_{25}NO_4 = 367.45$

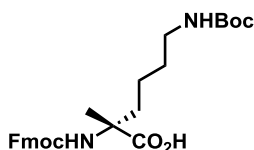
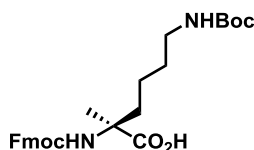


- 465357 (S)-N-Fmoc- $\alpha$ -Ethylleucine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 80,000 円  
 [CAS No.1934266-50-8]  $C_{23}H_{27}NO_4 = 381.47$
- 465358 (R)-N-Fmoc- $\alpha$ -Ethylleucine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 80,000 円  
 [CAS No.1934266-51-9]  $C_{23}H_{27}NO_4 = 381.47$

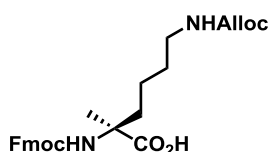


### Lysine (Lys)

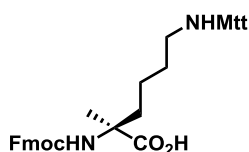
- 369412 (S)-N<sub>α</sub>-Fmoc-N<sub>ω</sub>-Boc- $\alpha$ -Methyllysine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 50,000 円  
 [CAS No.1202003-49-3]  $C_{27}H_{34}N_2O_6 = 482.58$  5 g 200,000 円
- 369414 (R)-N<sub>α</sub>-Fmoc-N<sub>ω</sub>-Boc- $\alpha$ -Methyllysine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 50,000 円  
 [CAS No.1315449-94-5]  $C_{27}H_{34}N_2O_6 = 482.58$  5 g 200,000 円



- 454268 (S)-N<sub>α</sub>-Fmoc-N<sub>ω</sub>-Alloc- $\alpha$ -Methyllysine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 120,000 円  
 [CAS No.1934266-47-3]  $C_{26}H_{30}N_2O_6 = 466.53$

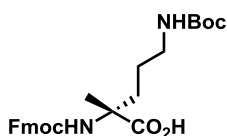
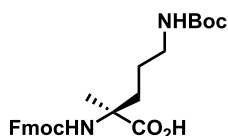


- 468644 (S)-N<sub>α</sub>-Fmoc-N<sub>ω</sub>-Mtt- $\alpha$ -Methyllysine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵) 1 g 80,000 円  
 [CAS No.1934266-54-2]  $C_{42}H_{42}N_2O_4 = 638.81$

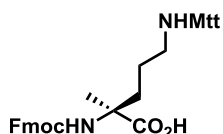


## Ornithine (Orn)

369026	(S)-N <sub>α</sub> -Fmoc-N <sub>ω</sub> -Boc-α-Methylornithine (≥ 98.0%, ≥ 98.0%ee) (冷蔵)	1 g	45,000 円
	[CAS No.1315449-95-6] C <sub>26</sub> H <sub>32</sub> N <sub>2</sub> O <sub>6</sub> = 468.55	5 g	180,000 円
369413	(R)-N <sub>α</sub> -Fmoc-N <sub>ω</sub> -Boc-α-Methylornithine (≥ 98.0%, ≥ 98.0%ee) (冷蔵)	1 g	45,000 円
	[CAS No.171860-40-5] C <sub>26</sub> H <sub>32</sub> N <sub>2</sub> O <sub>6</sub> = 468.55	5 g	180,000 円



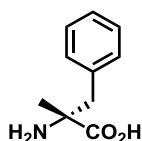
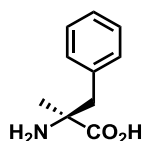
467089	(S)-N <sub>α</sub> -Fmoc-N <sub>ω</sub> -Mtt-α-Methylornithine (≥ 98.0%, ≥ 98.0%ee) (冷凍)	1 g	80,000 円
	[CAS No.1934266-52-0] C <sub>41</sub> H <sub>40</sub> N <sub>2</sub> O <sub>4</sub> = 624.78		



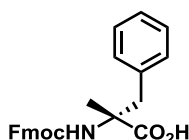
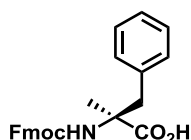
## Phenylalanine (Phe)

フェニルアラニン誘導体については pp14-16 もご参照ください。

322901	(S)-α-Methylphenylalanine·H <sub>2</sub> O (≥ 98.0%, ≥ 98.0%ee)	1 g	25,000 円
	[CAS No.23239-35-2] C <sub>10</sub> H <sub>13</sub> NO <sub>2</sub> ·H <sub>2</sub> O = 197.23	5 g	80,000 円
322898	(R)-α-Methylphenylalanine·H <sub>2</sub> O (≥ 98.0%, ≥ 98.0%ee)	1 g	25,000 円
	[CAS No.17350-84-4] C <sub>10</sub> H <sub>13</sub> NO <sub>2</sub> ·H <sub>2</sub> O = 197.23	5 g	80,000 円

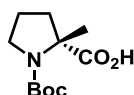
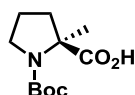


366011	(S)-N-Fmoc-α-Methylphenylalanine·3/2H <sub>2</sub> O (≥ 98.0%, ≥ 98.0%ee)	1 g	25,000 円
	[CAS No.135944-05-7] C <sub>25</sub> H <sub>23</sub> NO <sub>4</sub> ·3/2H <sub>2</sub> O = 428.48	5 g	80,000 円
366012	(R)-N-Fmoc-α-Methylphenylalanine·3/2H <sub>2</sub> O (≥ 98.0%, ≥ 98.0%ee)	1 g	25,000 円
	[CAS No.152436-04-9] C <sub>25</sub> H <sub>23</sub> NO <sub>4</sub> ·3/2H <sub>2</sub> O = 428.48	5 g	80,000 円

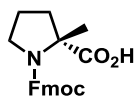
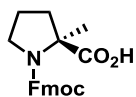


## Proline (Pro)

363402	(S)-N-Boc-α-Methylproline (≥ 98.0%, ≥ 98.0%ee)	1 g	30,000 円
	[CAS No. 103336-06-7] C <sub>11</sub> H <sub>19</sub> NO <sub>4</sub> = 229.28	5 g	95,000 円
363401	(R)-N-Boc-α-Methylproline (≥ 98.0%, ≥ 98.0%ee)	1 g	30,000 円
	[CAS No. 166170-15-6] C <sub>11</sub> H <sub>19</sub> NO <sub>4</sub> = 229.28	5 g	95,000 円

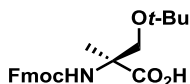


<b>386844</b>	<b>(S)-N-Fmoc-<math>\alpha</math>-Methylproline</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	40,000 円
	[CAS No.167275-47-0] $C_{21}H_{21}NO_4 = 351.40$	5 g	120,000 円
<b>386843</b>	<b>(R)-N-Fmoc-<math>\alpha</math>-Methylproline</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	40,000 円
	[CAS No.1286768-33-9] $C_{21}H_{21}NO_4 = 351.40$	5 g	120,000 円



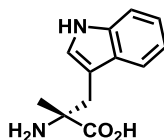
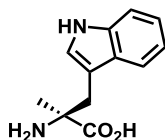
### Serine (Ser)

<b>471548</b>	<b>(S)-N-Fmoc-O-<i>tert</i>-Butyl-<math>\alpha</math>-Methylserine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	1 g	85,000 円
	[CAS No.914399-98-7] $C_{23}H_{27}NO_5 = 397.47$		

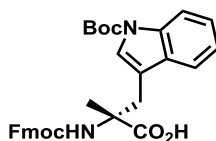
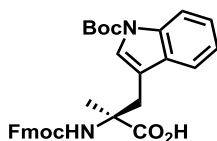


### Tryptophan (Trp)

<b>350920</b>	<b>(S)-<math>\alpha</math>-Methyltryptophan-1/2H<sub>2</sub>O</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	65,000 円
	[CAS No.16709-25-4] $C_{12}H_{14}N_2O_2 \cdot 1/2H_2O = 227.26$		
<b>350921</b>	<b>(R)-<math>\alpha</math>-Methyltryptophan-1/2H<sub>2</sub>O</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	65,000 円
	[CAS No.56452-52-9] $C_{12}H_{14}N_2O_2 \cdot 1/2H_2O = 227.26$		



<b>359456</b>	<b>(S)-N-Fmoc-N'-Boc-<math>\alpha</math>-Methyltryptophan</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	(NET) 200 mg	48,000 円
	[CAS No.1315449-98-9] $C_{32}H_{32}N_2O_6 = 540.62$	(NET) 1 g	110,000 円
	Containing 5% <i>n</i> -Heptane		
<b>365299</b>	<b>(R)-N-Fmoc-N'-Boc-<math>\alpha</math>-Methyltryptophan</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	(NET) 200 mg	48,000 円
	[CAS No.220155-72-6] $C_{32}H_{32}N_2O_6 = 540.62$	(NET) 1 g	110,000 円
	Containing 5% <i>n</i> -Heptane		



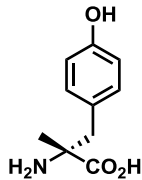
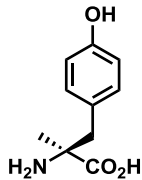
Boyle, S. *et al.* *Bioorganic & Medicinal Chemistry* **1994**, 2, 357.  
 van Megen, H. J. *et al.* *Psychopharmacology (Berlin)* **1997**, 129, 243.  
 Dethlof, L. A. *et al.* *Food Chem. Toxicol.* **1998**, 36, 61.  
 Valerie, A. *et al.* *J. Med. Chem.* **2001**, 44, 2276.



## Tyrosine (Tyr)

339269 (S)- $\alpha$ -Methyl-4-hydroxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 22,500 円  
(S)- $\alpha$ -Methyltyrosine  
[CAS No.672-87-7]  $C_{10}H_{13}NO_3 = 195.22$

339268 (R)- $\alpha$ -Methyl-4-hydroxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) 1 g 22,500 円  
(R)- $\alpha$ -Methyltyrosine  
[CAS No.672-86-6]  $C_{10}H_{13}NO_3 = 195.22$



468643 (S)-N-Fmoc- $\alpha$ -Methyl-4-benzyloxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵)

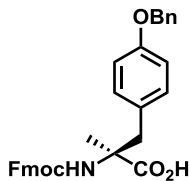
(S)-N-Fmoc-O-Benzyl- $\alpha$ -Methyltyrosine

1 g 50,000 円

[CAS No.1283766-46-0]

$C_{32}H_{29}NO_5 = 507.59$

New



468642 (S)-N-Fmoc- $\alpha$ -Methyl-4-hydroxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷蔵)

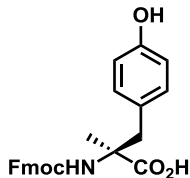
(S)-N-Fmoc- $\alpha$ -Methyltyrosine

1 g 50,000 円

[CAS No.246539-83-3]

$C_{25}H_{23}NO_5 = 417.46$

New



467091 (S)-N-Fmoc- $\alpha$ -Methyl-4-triphenylmethoxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee) (冷凍)

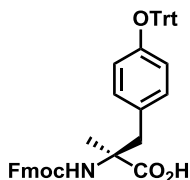
(S)-N-Fmoc-O-Trityl- $\alpha$ -Methyltyrosine

1 g 80,000 円

[CAS No.1934266-53-1]

$C_{44}H_{37}NO_5 = 659.78$

New

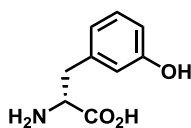


387902 (R)-3-Hydroxyphenylalanine (≥ 98.0%, ≥ 98.0%ee) 1 g 35,000 円

(R)-*m*-Tyrosine

[CAS No.32140-49-1]

C<sub>9</sub>H<sub>11</sub>NO<sub>3</sub> = 181.19

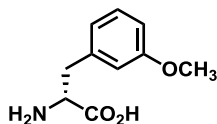


387901 (R)-3-Methoxyphenylalanine·H<sub>2</sub>O (≥ 98.0%, ≥ 98.0%ee) 1 g 50,000 円

(R)-*O*-Methyl-*m*-tyrosine

[CAS No.145306-65-6]

C<sub>10</sub>H<sub>13</sub>NO<sub>3</sub>·H<sub>2</sub>O = 213.23



### Valine (Val)

333444 (S)-α-Methylvaline (≥ 98.0%, ≥ 98.0%ee) 1 g 30,000 円

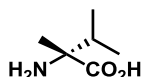
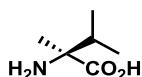
[CAS No.53940-83-3]

C<sub>6</sub>H<sub>13</sub>NO<sub>2</sub> = 131.18

333443 (R)-α-Methylvaline (≥ 98.0%, ≥ 98.0%ee) 1 g 30,000 円

[CAS No.53940-82-2]

C<sub>6</sub>H<sub>13</sub>NO<sub>2</sub> = 131.18



358030 (S)-N-Fmoc-α-Methylvaline (≥ 98.0%, ≥ 98.0%ee) (冷蔵) (NET) 1 g 35,000 円

[CAS No.169566-81-8]

C<sub>21</sub>H<sub>23</sub>NO<sub>4</sub> = 353.42

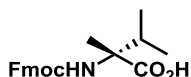
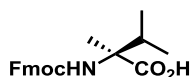
Containing ≤10% Methyl *tert*-butyl ether

358031 (R)-N-Fmoc-α-Methylvaline (≥ 98.0%, ≥ 98.0%ee) (冷蔵) (NET) 1 g 35,000 円

[CAS No.616867-28-8]

C<sub>21</sub>H<sub>23</sub>NO<sub>4</sub> = 353.42

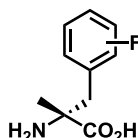
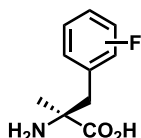
Containing ≤10% Methyl *tert*-butyl ether



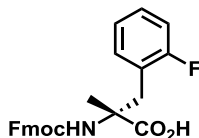
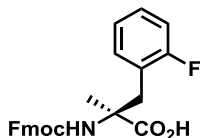
## ***$\alpha$ -Methyl substituted Phenylalanines***

### **F-Phe**

410325	<b>(S)-<math>\alpha</math>-Methyl-3-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	35,000 円
		[CAS No.130855-56-0]	$C_{10}H_{12}FNO_2 = 197.21$
411825	<b>(R)-<math>\alpha</math>-Methyl-3-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	35,000 円
		[CAS No.1270184-80-9]	$C_{10}H_{12}FNO_2 = 197.21$
410133	<b>(S)-<math>\alpha</math>-Methyl-4-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	25,000 円
		[CAS No.130855-57-1]	$C_{10}H_{12}FNO_2 = 197.21$
410132	<b>(R)-<math>\alpha</math>-Methyl-4-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	25,000 円
		[CAS No. 422568-68-1]	$C_{10}H_{12}FNO_2 = 197.21$

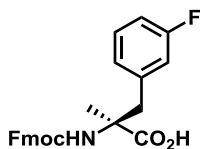


365442	<b>(S)-N-Fmoc-<math>\alpha</math>-Methyl-2-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	30,000 円
		[CAS No.1172127-44-4]	$C_{25}H_{22}FNO_4 = 419.45$
364680	<b>(R)-N-Fmoc-<math>\alpha</math>-Methyl-2-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	30,000 円
		[CAS No.1315449-93-4]	$C_{25}H_{22}FNO_4 = 419.45$

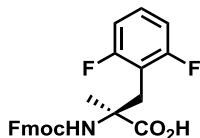


468735	<b>(S)-N-Fmoc-<math>\alpha</math>-Methyl-3-fluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee) (冷蔵)	1 g	50,000 円

New

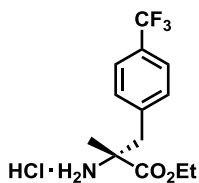


386761	<b>(S)-N-Fmoc-<math>\alpha</math>-Methyl-2,6-difluorophenylalanine</b> ( $\geq 98.0\%$ , $\geq 98.0\%$ ee)	1 g	30,000 円
		[CAS No.1223105-51-8]	$C_{25}H_{21}F_2NO_4 = 437.44$



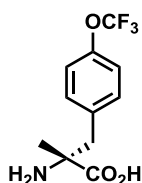
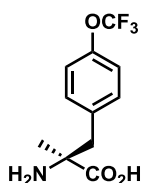
Mapelli C. *et. al. J. Med. Chem.* **2009**, *52*, 7788-7799.

387097 (R)- $\alpha$ -Methyl-4-trifluoromethylphenylalanine ethyl ester-HCl·H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1315449-99-0] C<sub>13</sub>H<sub>16</sub>F<sub>3</sub>NO<sub>2</sub>·HCl·H<sub>2</sub>O = 329.75 1 g 45,000 円



411843 (S)- $\alpha$ -Methyl-4-trifluoromethoxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No. 1269926-90-0] C<sub>11</sub>H<sub>12</sub>F<sub>3</sub>NO<sub>3</sub> = 263.22 1 g 65,000 円  
 5 g 250,000 円

410538 (R)- $\alpha$ -Methyl-4-trifluoromethoxyphenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1269835-58-6] C<sub>11</sub>H<sub>12</sub>F<sub>3</sub>NO<sub>3</sub> = 263.22 1 g 65,000 円  
 5 g 250,000 円



### Br-Phe

322899 (S)- $\alpha$ -Methyl-2-bromophenylalanine-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1212180-27-2] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub>·H<sub>2</sub>O = 276.13 1 g 50,000 円

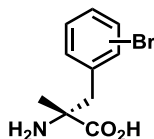
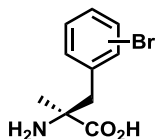
322894 (R)- $\alpha$ -Methyl-2-bromophenylalanine-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1212307-90-8] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub>·H<sub>2</sub>O = 276.13 1 g 50,000 円

328956 (S)- $\alpha$ -Methyl-3-bromophenylalanine-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1212117-73-1] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub>·H<sub>2</sub>O = 276.13 1 g 27,000 円

328957 (R)- $\alpha$ -Methyl-3-bromophenylalanine-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.1212321-90-8] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub>·H<sub>2</sub>O = 276.13 1 g 27,000 円

322900 (S)- $\alpha$ -Methyl-4-bromophenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.747397-27-9] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub> = 258.11 1 g 45,000 円

322897 (R)- $\alpha$ -Methyl-4-bromophenylalanine ( $\geq 98.0\%$ ,  $\geq 98.0\%$ ee)  
 [CAS No.752971-41-8] C<sub>10</sub>H<sub>12</sub>BrNO<sub>2</sub> = 258.11 1 g 45,000 円

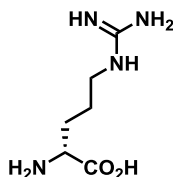




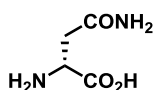


**D-Amino acids****New****Arg**

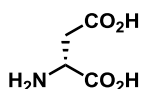
477449 (R)-Arginine ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 15,000 円  
 [CAS No.157-06-2]  $C_6H_{14}N_4O_2 = 174.20$

**Asn**

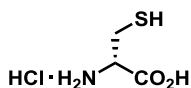
477452 (R)-Asparagine-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 13,000 円  
 [CAS No.5794-24-1]  $C_4H_8N_2O_3 \cdot H_2O = 150.13$

**Asp**

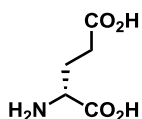
477473 (R)-Aspartic acid ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 12,000 円  
 [CAS No.1783-96-6]  $C_4H_7NO_4 = 133.10$

**Cys**

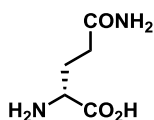
477470 (S)-D-Cysteine-HCl-H<sub>2</sub>O ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 17,000 円  
 [CAS No.32443-99-5]  $C_3H_7NO_2S \cdot HCl \cdot H_2O = 175.63$

**Glu**

477465 (R)-Glutamic acid ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 13,000 円  
 [CAS No.6893-26-1]  $C_5H_9NO_4 = 147.13$

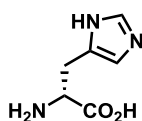
**Gln**

477466 (R)-Glutamine ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 15,000 円  
 [CAS No.5959-95-5]  $C_5H_{10}N_2O_3 = 146.15$

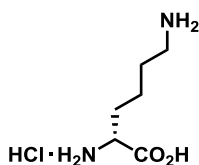


**His**

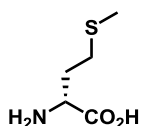
477467 (R)-Histidine (≥ 98.0%, ≥ 98.0%ee) 25 g 15,000 円  
[CAS No.351-50-8]  $C_6H_9N_3O_2 = 155.16$

**Lys**

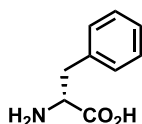
477469 (R)-Lysine·HCl (≥ 98.0%, ≥ 98.0%ee) 25 g 14,500 円  
[CAS No.7274-88-6]  $C_6H_{14}N_2O_2 \cdot HCl = 182.65$

**Met**

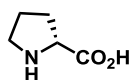
477464 (R)-Methionine (≥ 98.0%, ≥ 98.0%ee) 25 g 13,000 円  
[CAS No.348-67-4]  $C_5H_{11}NO_2S = 149.21$

**Phe**

477442 (R)-Phenylalanine (≥ 98.0%, ≥ 98.0%ee) 25 g 13,500 円  
[CAS No.673-06-3]  $C_9H_9NO_2 = 165.19$

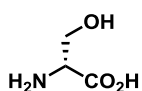
**Pro**

477476 (R)-Proline (≥ 98.0%, ≥ 98.0%ee) 25 g 12,500 円  
[CAS No.344-25-2]  $C_5H_9NO_2 = 115.13$

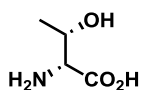


**Ser**

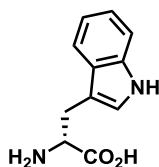
477443 (R)-Serine ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 13,500 円  
[CAS No.312-84-5]  $C_3H_7NO_3 = 105.09$

**Thr**

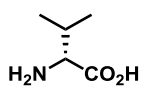
477468 (R)-Threonine ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 14,500 円  
[CAS No.632-20-2]  $C_4H_9NO_2 = 119.12$


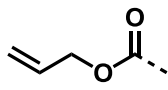
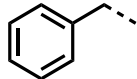
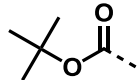
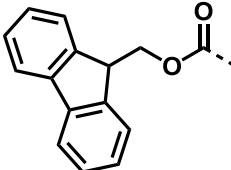
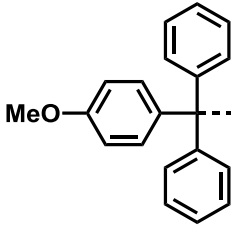
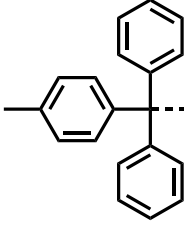
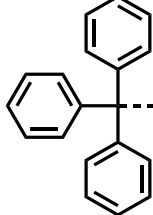
**Trp**

477446 (R)-Tryptophan ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 15,000 円  
[CAS No.153-94-6]  $C_{11}H_{12}N_2O_2 = 204.23$

**Val**

477479 (R)-Valine ( $\geq 98.0\%$ ,  $\geq 98.0\%ee$ ) 25 g 12,000 円  
[CAS No.640-68-6]  $C_5H_{11}NO_2 = 117.15$



略語	化合物名	化学構造
Ac	Acetyl	
Alloc	Allyloxycarbonyl	
Bn	Benzyl	
Boc	<i>tert</i> -Butoxycarbonyl	
Fmoc	9-Fluorenylmethoxycarbonyl	
Mmt	4-Methoxytrityl	
Mtt	4-Methyltrityl	
Trt	Triphenylmethyl Trityl	

## ペプチド受託合成

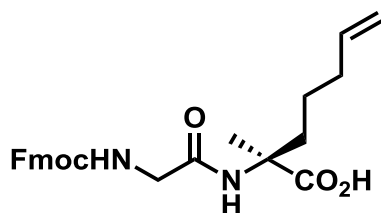
非天然アミノ酸含有ジペプチドのご提供

NAGASE は、本ライブラリーにある  $\alpha,\alpha$ -ジアルキルアミノ酸の N-末端に天然アミノ酸が結合したジペプチドを開発しております。

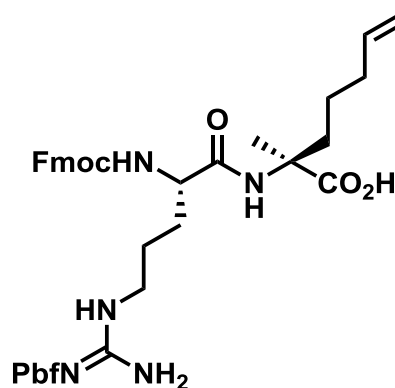


$\alpha,\alpha$ -ジアルキルアミノ酸は、不斉炭素周りの立体障害のために、その N-末端に天然アミノ酸を縮合する場合の反応性が低く、そのため、 $\alpha,\alpha$ -ジアルキルアミノ酸を含むペプチド合成の収率や純度が低くなるのが問題となっておりました。

これらの課題を解決するために、固相合成で使い勝手がよい、N-Fmoc で保護された天然アミノ酸と  $\alpha,\alpha$ -ジアルキルアミノ酸とを結合させたジペプチドを供給させていただきます。さらに進んだペプチド合成についても、国内外のペプチド受託会社と提携し、受託製造をお引き受けいたします。



Fmoc-Gly-(S)-Ala(4-Pte)-OH



Fmoc-Arg(Pbf)-(S)-Ala(4-Pte)-OH

## 非天然アミノ酸の医薬品への応用

医薬品の構造のなかの、L- $\alpha$ -アミノ酸の  $\alpha$ -水素原子をアルキル基に変換して、 $\alpha, \alpha$ -2 置換アミノ酸にする例が報告されています。

この変換のメリットとしては、

- 1) 化学的な安定化
- 2) 疎水性の増加
- 3) アミノ酸の側鎖のコンフォメーションの自由度の制限
- 4) アミノ酸が含まれるペプチドのコンフォメーションの自由度の制限
- 5) アミノ酸が含まれるペプチドの代謝安定性の増加

が期待できます。

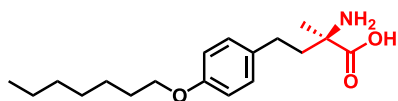
### Reference:

Tanaka, M. *Chem. Pharm. Bull.* **2007**, *55*, 349-358.

Walensky, L. D.; Kung, A. L.; Escher, I.; Malia, T. J.; Barbuto, S.; Wright, R. D.; Wagner, G.; Verdine, G. L. *Science*, **2004**, *305*, 1466.

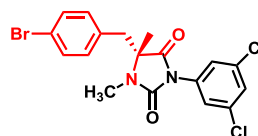
Walensky, L. D.; Bird, G. H. *J. Med. Chem.* **2014**, *57*, 6275.

### 【 $\alpha, \alpha$ -2 置換アミノ酸を構造に含む治験原薬の代表例】



Chiral Analogue of Single S1P Receptor

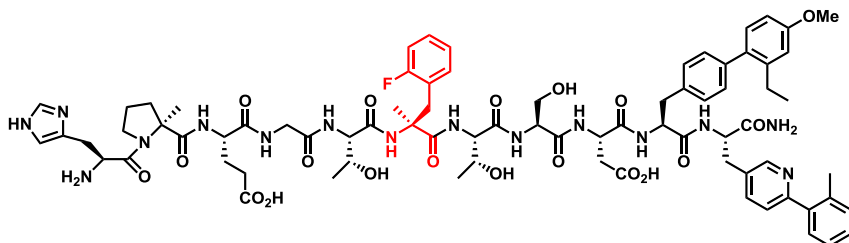
Högenauer, K. *et al. Bioorg. Med. Chem. Lett.* **2010**, *20*, 1485-1487.



Integrin  $\alpha$ -2 (LFA-1) Antagonist

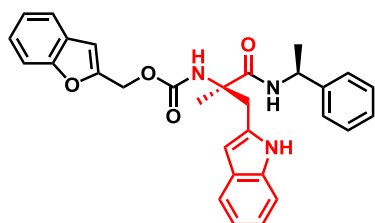
LFA-1/ICAM-1 Interaction Inhibitors

Kelly, T.A. *et al. J. Immunol.* **1999**, *163*, 5173-5177.



Glucagon-like Peptide-1 Receptor Agonist with Antidiabetic Activity

Mapelli, C. *et al. J. Med. Chem.* **2009**, *52*, 7788-7799.

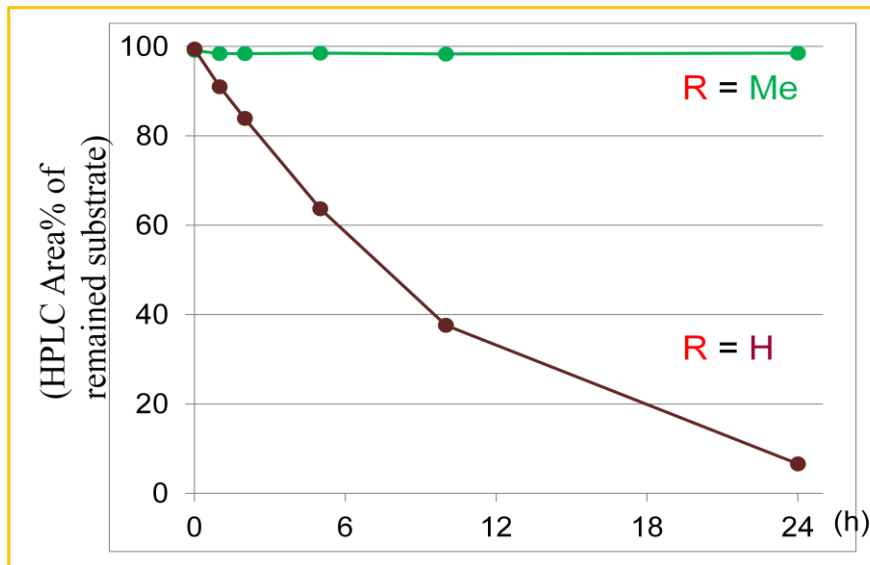
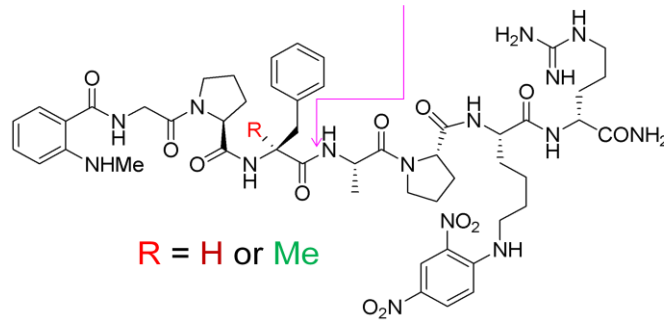


NK1 Receptor Antagonist

Boyle, S. *et al. Bioorganic & Medicinal Chemistry* **1994**, *2*, 357-370.

Tanaka, M. *Chem. Pharm. Bull.* **2007**, *55*, 349-358.

$\alpha$ -Chymotrypsin Type:VII From Bovine Pancreas



Incubated at 30 deg in 10% DMSO/0.1 M Pi buffer (pH=8.0) solution

$\alpha, \alpha$ -ジアルキルアミノ酸のプロテアーゼ耐性に対する影響を調べるために、フェニルアラニン、または  $\alpha$ -メチルフェニルアラニンを含む上記の構造の 2 種類のペプチドを設計・合成し、 $\alpha$ -キモトリプシンによる加水分解を追跡することで、その効果を確認いたしました。

天然のフェニルアラニン (R = H) を組み込んだペプチドでは、24 時間後にはほとんど分解してしまうのに対して、 $\alpha$ -メチルフェニルアラニン (R = Me) を組み込んだペプチドでは、殆ど分解は確認されず、24 時間後でも高いプロテアーゼ耐性を示しました。

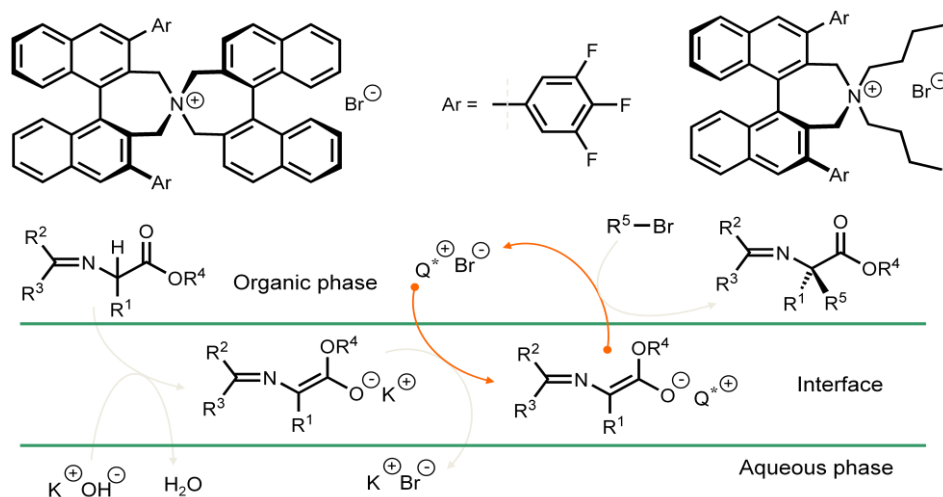
Reference:

Matsuyama, K.; Yamamoto, K.; Murakami, S.; Anzai, K. Construction of an unnatural amino acids library through asymmetric alkylation of glycine or alanine ester Schiff-base utilizing Maruoka Catalyst<sup>®</sup>.

Presented at the 5th International Peptide Symposium, Kyoto, Japan, December 4-9, 2010; P2-204.



## 丸岡触媒<sup>®</sup>を用いた不斉相間移動触媒反応による非天然アミノ酸合成



Ikunaka, M. and Maruoka, K. 'Asymmetric Phase-Transfer Catalysts for the Production of Non-Proteinogenic alpha-Amino Acids' in *Asymmetric Catalysis on Industrial Scale 2<sup>nd</sup> edition*, Blaser, H.-U. and Federsel, H.-J. eds. Wiley-VCH Verlag GmbH & Co. KGaA (2010)

丸岡触媒<sup>®</sup>による相間移動触媒反応の反応機構は、Makosza の提唱する界面での反応機構に従っていると考えられており、 $\alpha$ -アミノ酸の保護体が有機相と水相の界面で脱プロトン化され、カリウム *E*-エノラートが形成されます。4級アンモニウム塩の親水性により界面の中にアンモニウム塩が容易に入りこむことで、カリウム *E*-エノラートとのカチオン交換が起こります。さらに *E*-エノラートの *si* 面が嵩高い触媒分子により遮蔽されることで、エノラートの *re* 面からアルキルハライドが反応します<sup>1)</sup>。その結果、丸岡触媒<sup>®</sup>により高い反応性と光学選択性で非天然アミノ酸誘導体が得られます。

1) (S) または (S,S)-丸岡触媒<sup>®</sup>を使用した場合

### Reference:

Ooi, T., Kameda, M., and Maruoka, K. *J. Am. Chem. Soc.*, **2003**, 125, 5139-5151.

Ooi, T., Kameda, M., Tannai, H., and Maruoka, K. *Tetrahedron Lett.*, **2000**, 41, 8339-8342.

Ooi, T., Takeuchi, M., and Maruoka, K. *Synthesis* **2001**, 1716-1718.

Maruoka, K. *Org. Process Research & Development* **2008**, 12, 679-687.

### 特許 Patents:

USP 6,340,753; 6,441,231; 7,928,224; 8,110,680; 8,252,952; 8,263,798; 8,614,316; 8,697,910; 8,716,524; 8,722,919

JP 4,217,085; 4,502,293; 4,605,606; 4,802,191; 4,879,896; 5,008,553; 5,108,777; 5,244,149; 5,344,523

CA 2,549,431; 2,610,776

SG 139,249; 149,879

IN 252,017; 260,006

CN ZL200580003716.6; ZL200680027800.6

### 商標 Trade Mark:

『丸岡触媒』は長瀬産業㈱の国内登録商標です。

『Maruoka Catalyst』は長瀬産業㈱の国内および米国、英国、ドイツ、フランスでの登録商標です。

## 非天然型アミノ酸の販売に関する情報:

### 価格:

価格は輸送費用を含んでおります。なお、ご連絡なく価格を変更させていただくことがあります。

カタログ記載のない数量については別途お見積させていただきますので、ご照会ください。

また、100 mg – 500 mg でまとめて数品目ご購入を希望される場合もご照会ください。

### ご注文:

ご注文は直接、あるいはお取引いただいている試薬販売会社を通じてお願い申し上げます。

### 納期:

ほとんどの製品については在庫をご用意しており、国内であればご注文後 1 - 2 日で出荷させていただきます。なお在庫がない製品につきましては、2 週間以上お待ちいただく場合がございます。

### 品質:

品質規格は暫定的なものであり、将来の品質を保証するものではありません。

### 冷蔵 (冷凍) 輸送:

製品によっては、品質保持のため冷蔵 (冷凍) 輸送させていただく場合がございます。当該製品につきましては受領後速やかに冷蔵 (冷凍) 保存をお願いいたします。

### お問い合わせ:

**長瀬産業**ではこの製品カタログに掲載されていない化合物についても受託研究、受託合成をご検討させていただきます。

もしご希望の製品が製品カタログになければ、メール、お電話、FAX 等でご連絡ください。

ご検討の上お見積りさせていただきます。

### バルク量あるいは GMP 下での受託製造:

**ナガセグループ**では、お客様のご要望に応じたバルクあるいは工業スケールでの製造技術、ノウハウ、経験を有しております。製造は、**ナガセグループ**の製造関連会社あるいは協力会社での実施となります。メール、お電話、FAX 等でお気軽にお問い合わせいただきますようお願い申し上げます。

## 会社概要:

コーポレートプロフィール:

ミッション: 誠実に正道を歩む 'Maintain Good and Fair Business Practice'

**ナガセグループ**は、国内外に100超のグループ会社ならびに拠点をもち、化成品事業と合成樹脂事業を核として、エレクトロニクス、ライフサイエンス、自動車の3つの戦略分野でお客さまにトレーディング機能、マーケティング機能、研究開発機能、製造・加工機能を提供していく、「知恵をビジネスにする技術・情報企業」です。

1832(天保3)年**ナガセグループ**の中核である**長瀬産業**は、京都で染料卸売問屋として創業しました。1900(明治33)年、スイスパーゼル化学工業社の合成染料の輸入を開始して以来、お客さまと共に世界中で新しいマーケットを開拓し、技術・情報商社としてのノウハウを蓄積してきたことで、**ナガセグループ**は①6,000社に及ぶ優良な顧客基盤、②高度な技術情報を持つ優秀な社員、③製造機能、研究・開発機能の保有、④健全な財務体質を強みとして培ってきました。

## 研究開発および製造拠点:

(研究開発)

長瀬産業株式会社 ナガセ R&D センター  
〒651-2241 兵庫県神戸市西区室谷 2-2-3



(注射製剤受託会社)

ナガセ医薬品株式会社  
〒664-0898 兵庫県伊丹市千僧 4-323  
[www.nagase-medicals.co.jp](http://www.nagase-medicals.co.jp)

(トレハロース、プルランなど糖質化合物製造)

株式会社林原  
〒700-0907 岡山県岡山市北区下石井 1-1-3  
[www.hayashibara.co.jp](http://www.hayashibara.co.jp)

本製品は、各試薬販売会社でもお取り扱いしております。  
最寄りの試薬販売代理店にお問い合わせください。

長瀬産業株式会社

NAGASE & CO., LTD.

ライフ&ヘルスケア製品事業部 ファーマメディカル部 担当: 渡部 泰正

〒550-8668 大阪市西区新町一丁目 1-17

〒103-8355 東京都中央区日本橋小舟町 5-1

TEL:06-6535-2327 FAX:06-6535-2480

TEL:03-3665-3333 FAX:03-3665-3940

E-mail: [pharma@nagase.co.jp](mailto:pharma@nagase.co.jp) URL: [www.nagase.co.jp/pharma](http://www.nagase.co.jp/pharma)



**Bringing it all together**