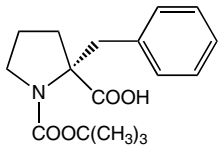
Proline & Pyrrolidine Free Bases

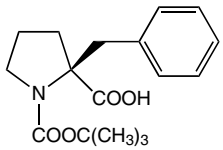
Saturated nitrogen-containing heterocycles such as prolines and pyrrolidines are often found as the core structural unit a large number of biologically active alkaloids[1], natural products and frequently show potent and diverse biological activities.[2] A number of new derivatives are now available through Alfa Aesar and already been extensively cited in the scientific literature as in the following examples.

Glaxo has reported use of 3-aminopyrrolidines derivatives (H51729) in the sythnesis of cathepsin C inhibitors having pharmacological activity.[3] Other recent patents have claimed the use of substituted pyrrolidines such as H52184 in the preparation of pharmaceutical compositions that modulate serotonin norepinephrine and/or dopamine neurotransmission[4] and H52012, H52113, H52137, and H52045 in the synthesis of potentially pharmaceutically active products as sphingosine-1-phosphate receptor antagonists.[5] Substituted saturated aza heterocycles of the type H52733 have been found to be useful in synthesis of molecules which inhibitor nitric oxide synthase mediated diseases and disorders.[6]

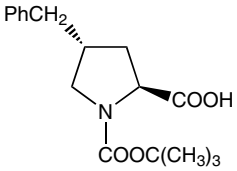
H52796 was used in the multi-step synthesis to yield a series of potent renin inhibitors with apparent in vitro metabolic stability.[7] Moreover, H52796 was used as the starting point in extremely efficient synthesis (71% over five steps), to yield a ketone analogue, before the 5-endo-dig N-cyclization to the nature product alkaloid NP25302.[8] Alfa Aesar has extended its comprehensive range of proline and pyrrolindines with the following compounds.



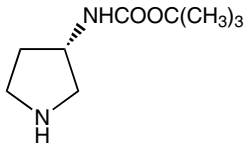
H52184
(R)-2-Benzyl-N-Boc-DL-proline, 95%
[706806-60-2]



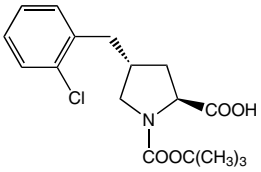
H52186
(S)-2-Benzyl-N-Boc-DL-proline, 95%
[706806-61-3]



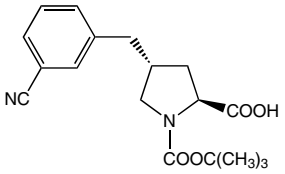
H52135
trans-4-Benzyl-N-Boc-L-proline, 95%



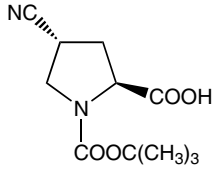
H51729
(S)-(-)-3-(Boc-amino)-pyrrolidine, 98%
[122536-76-9]



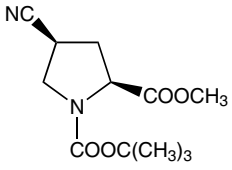
H52113
trans-N-Boc-4-(2-chlorobenzyl)-L-proline, 95%



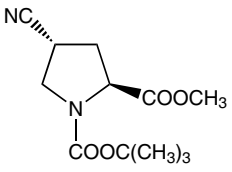
H52095
trans-N-Boc-4-(3-cyanobenzyl)-L-proline, 95%



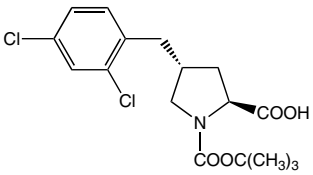
H52563
trans-N-Boc-4-cyano-L-proline, 97%
[273221-94-6]



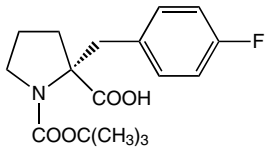
H52783
cis-N-Boc-4-cyano-L-proline methyl ester, 97%
[487048-28-2]



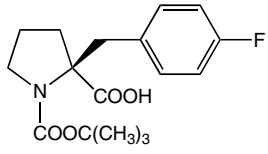
H52733
trans-N-Boc-4-cyano-L-proline methyl ester, 97%
[194163-91-2]



H52012
trans-N-Boc-4-(2,4-dichlorobenzyl)-L-proline, 95%

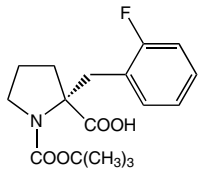


H52185
N-Boc-(R)-(+)-2-(4-fluorobenzyl)-DL-proline, 95%
[706806-64-6]

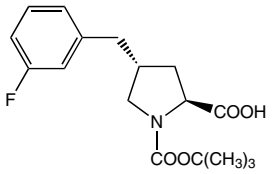


H52183
N-Boc-(S)-(-)-2-(4-fluorobenzyl)-DL-proline, 95%
[706806-65-7]

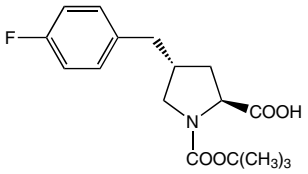
Proline & Pyrrolidine Free Bases



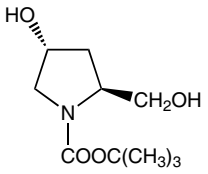
H52107
N-Boc-(R)-2-(2-fluorobenzyl)-DL-proline, 95%



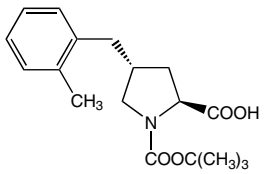
H52030
trans-N-Boc-4-(3-fluorobenzyl)-L-proline, 95%



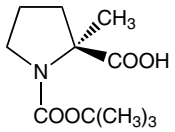
H52021
trans-N-Boc-4-(4-fluorobenzyl)-L-proline, 95%



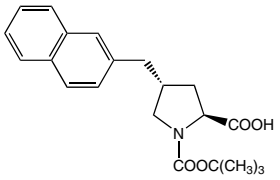
H51050
N-Boc-trans-4-hydroxy-L-prolinol, 96%
[61478-26-0]



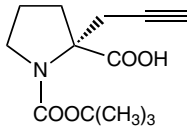
H52045
trans-N-Boc-4-(2-methylbenzyl)-L-proline, 95%



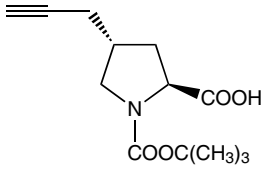
H52796
N-Boc-2-methyl-L-proline, 97%
[103336-06-07]



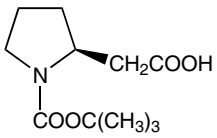
H52035
trans-N-Boc-4-(2-naphthylmethyl)-L-proline, 95%



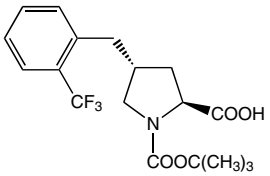
H52009
N-Boc-(R)-2-(1-propynyl)-DL-proline, 95%



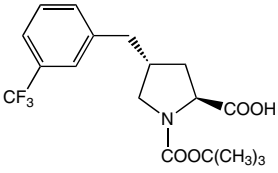
H52118
trans-N-Boc-4-(2-propynyl)-L-proline, 95%



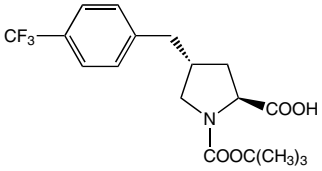
H52175
(S)-2-(1-Boc-2-pyrrolidinyl)acetic acid, 95%
[56502-01-3]



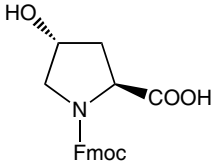
H52137
trans-N-Boc-4-[2-(trifluoromethyl)benzyl]-L-proline, 95%



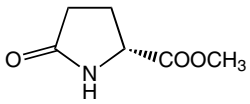
H52076
trans-N-Boc-4-[3-(trifluoromethyl)benzyl]-L-proline, 95%



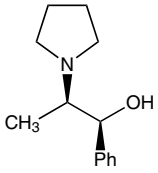
H52124
trans-N-Boc-4-[4-(trifluoromethyl)benzyl]-L-proline, 95%



H52740
trans-N-Fmoc-4-hydroxy-L-proline, 97%
[88050-17-3]



H31236
Methyl (R)-(-)-2-pyrrolidinone-5-carboxylate, 98%
[64700-65-8]



H31244
(1S,2R)-1-Phenyl-2-(1-pyrrolidinyl)-1-propanol
[123620-80-4]

[1]W. H. Pearson, *Studies in Natural Product Chemistry. Vol 1*; Atta-Ur-Rahman, Ed; Elsevier: Amsterdam, 1988; p 323-358.
[2]D. O'Hagen, *Nat. Prod. Rep.*, 2000, **17**, 435.
[3]Glaxo Group Limited; A. M. Bullion, J. Busch-Petersen, B. Evans, C. E. Neipp, B. W. McCleland, N. Nevins, & M. D. Wall, Patent: Wo2011/25799 A1, 2011.
[4](a) Roche Palo Alto LLC, Patent: US2008/146607 A1, 2008; (b) Roche Palo Alto LLC, Patent: US2009/318493 A1, 2009.
[5]Exelixis, Inc.; M. A. Ibrahim, J. W. Jeong, H. W. Johnson, P. Kearney, J. W. Leahy, G. L. Lewis,; R. T. Noguchi, J. M. Nuss, Patent: WO2010/45580 A1, 2010.
[6]Merck and Co., Inc., Patent: US5821261 A1, 1998.
[7]S. Thaisrivongs, D. T. Pals, J. A. Lawson, S. R. Turner, & D. W. Harris, *J. Med. Chem.*, 1987, **30**, 536.
[8]K. Stevens, A. J. Tyrrell, S. Skerratt, & J. Robertson, *Org. Lett.*, 2011, **13**, 5964 - 5967