

Indoles and Azaindoles

Indoles are synthetically relevant compounds, and many derivatives show important biological activities¹ or form compounds which are pharmaceutical precursors. Likewise, azaindoles are found to be an integral part of many bioactive molecules.² A number of new indole and azaindole derivatives are now available through Alfa Aesar. Many have already been extensively cited in the scientific literature; here are just a few examples of their use.

7-Benzyloxyindole-3-carboxaldehyde (H28777) has been utilised as the starting point for a series of novel 3-indolyalkylamines, used for in vitro studies to evaluate the ability to stimulate cAMP production.³ Several groups and patents have reported the use of 1-Boc-5-(tert-butyldimethylsiloxy)indole-2-boronic acid (H52511) in the synthesis of pharmaceutically active products, such as kinase inhibitors,⁴ or in medicinal products for treating cancers.⁵

The direct benzylation of several N-Boc indoles boronic acid derivatives, (H52520, H53063, H53229 or H52511) can be achieved by using a palladium catalysed reaction, as demonstrated by workers from Johnson and Johnson. Under these reaction conditions, substituted indole-2-boronic acids and substituted benzyl bromides are cross-coupled to afford aryl(indolo)methanes in good yield.⁶ Researchers from the Tokyo Institute of Technology have employed numerous N-Boc indole boronic acid derivatives (H52654, H53019 or H52913) to yield a series of corresponding indole 2-trifluoroborates as a starting point to form pyrimidopyrimidoindole nucleoside derivatives.⁷

Alfa Aesar extends its comprehensive range of heterocyclic compounds with the following indoles and azaindoles.

¹(a) R. J. Sundberg, *Indoles*, Academic Press: London, 1996; (b) V. Sharma, P. Kumar, and J. Pathak. *Heterocycl. Chem.* 2010, **47**, 491; (c) J. E. R. Sadig and M. C. Willis, *Synthesis*, 2011, 1

²For a review, see: F. Popowycz, S. Routier, B. Joseph and J.-Y. Meerour, *Tetrahedron*, 2007, **63**, 1031.

³H. Harada, et al., *Bioorg. & Med. Chem. Lett.*, 2003, **13**, 1301.

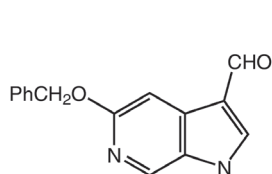
⁴INTELLIKINE, INC.; P. Ren, Y. Liu, L. Li, K. Chan, T. E. Wilson, M. Martin and C. Rommel, Patent: WO2010/6086 A2, 2010.

⁵Aventis Pharma S.A. Patent: US2005/137171 A1, 2005.

⁶A. M. Kearney, A. Landry-Bayle, and L. Gomez, *Tet. Lett.*, 2010, **51**, 2281.

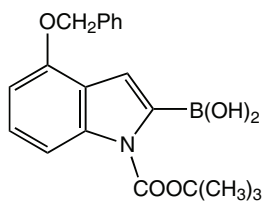
⁷M. Mizuta, K. Seio, K. Miyata, and M. Sekine, *J. Org. Chem.*, 2007, **72**, 5046.

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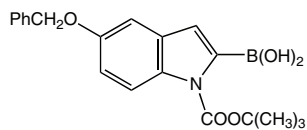
H50173

5-Benzyloxy-6-azaindole-3-carboxaldehyde
[56795-92-7]



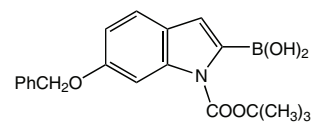
H52846

4-Benzyloxy-1-Boc-indole-2-boronic acid, 98%
[850568-52-4]



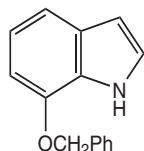
H52540

5-Benzyloxy-1-Boc-indole-2-boronic acid, 98%
[850568-62-6]



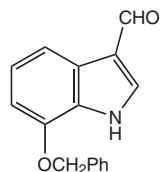
H52980

6-Benzyloxy-1-Boc-indole-2-boronic acid, 98%
[850568-66-0]



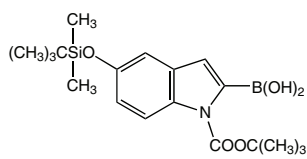
H25776

7-Benzyloxyindole, 98%
[20289-27-4]



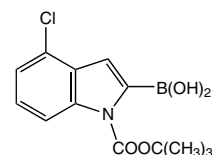
H28777

7-Benzyloxyindole-3-carboxaldehyde, 97%
[92855-65-7]



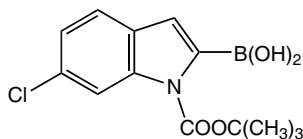
H52511

1-Boc-5-(tert-butyltrimethylsilyloxy)indole-2-boronic acid, 98%
[335649-61-1]



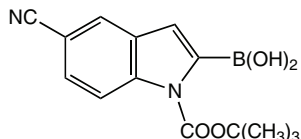
H52954

1-Boc-4-chloroindole-2-boronic acid, 98%
[475102-11-5]



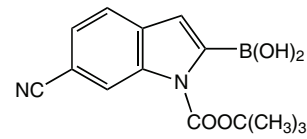
H53229

1-Boc-6-chloroindole-2-boronic acid, 98%
[352359-22-9]



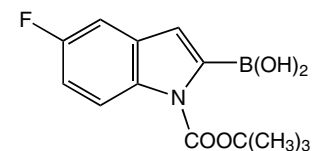
H52913

1-Boc-5-cyanoindole-2-boronic acid, 95%
[475102-15-9]



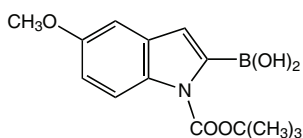
H52520

1-Boc-6-cyanoindole-2-boronic acid, 96%
[913835-67-3]



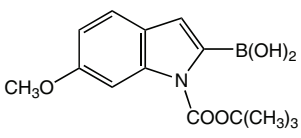
H53019

1-Boc-5-fluoroindole-2-boronic acid, 95%
[352359-23-0]



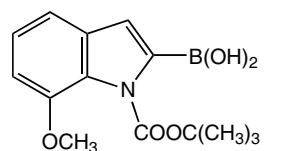
H52654

1-Boc-5-methoxyindole-2-boronic acid, 95%
[290331-71-4]



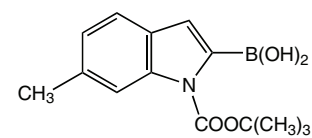
H52482

1-Boc-6-methoxyindole-2-boronic acid, 98%
[850568-65-9]



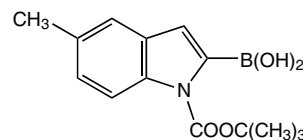
H53063

1-Boc-7-methoxyindole-2-boronic acid, 98%
[913835-81-1]



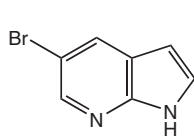
H52622

1-Boc-6-methylindole-2-boronic acid, 95%
[850568-51-3]



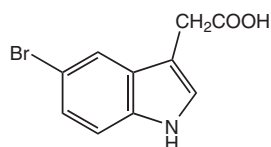
H52687

1-Boc-5-methylindole-2-boronic acid, 97%
[475102-14-8]



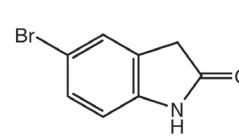
H50040

5-Bromo-7-azaindole, 97%
[183208-35-7]



H29217

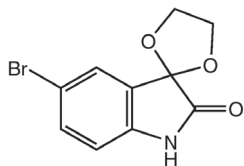
5-Bromoindole-3-acetic acid, 97%
[40432-84-6]



H50573

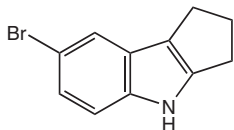
5-Bromooxindole
[20870-78-4]

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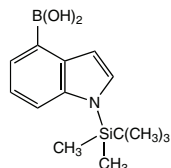
H50582

5'-Bromospiro[1,3-dioxolane-2,3'-indol]-2'(1'H)-one
[75822-54-7]



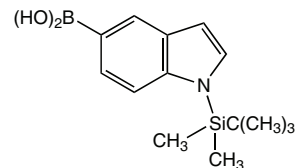
H50592

7-Bromo-1,2,3,4-tetrahydrocyclop[b]indole
[164736-47-4]



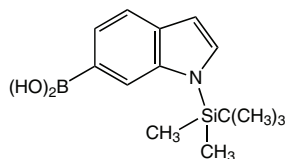
H53035

1-(tert-Butyldimethylsilyl)indole-4-boronic acid, 98%
[351457-64-2]



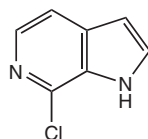
H52624

1-(tert-Butyldimethylsilyl)indole-5-boronic acid, 97%
[913835-68-4]



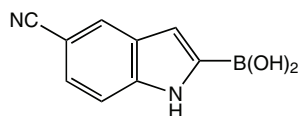
H52633

1-(tert-Butyldimethylsilyl)indole-6-boronic acid, 98%
[913835-60-6]



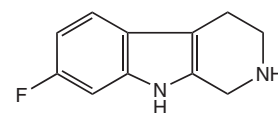
H28946

7-Chloro-6-azaindole, 97%
[357263-41-3]



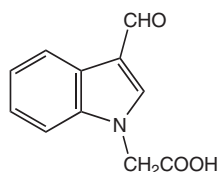
H53203

5-Cyanoindole-2-boronic acid
[871329-64-5]



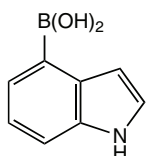
H27780

7-Fluoro-1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, 95%



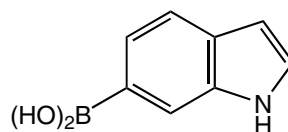
H26807

3-Formylindole-1-acetic acid, 97+%
[138423-98-0]



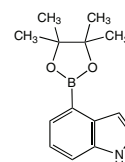
H53037

Indole-4-boronic acid, 95%
[220465-43-0]



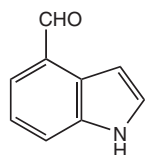
H53313

Indole-6-boronic acid, 98%
[147621-18-9]



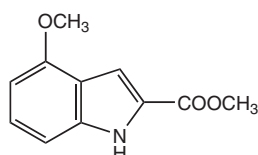
H52325

Indole-4-boronic acid pinacol ester, 97+%
[388116-27-6]



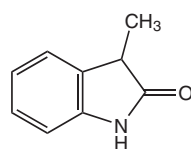
H28019

Indole-4-carboxaldehyde, 97%
[1074-86-8]



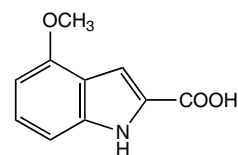
H27743

Methyl 4-methoxyindole-2-carboxylate, 99%
[111258-23-2]



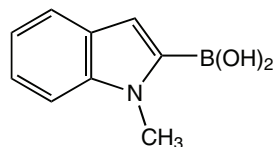
H27662

3-Methoxyindole, 97%
[1504-06-9]



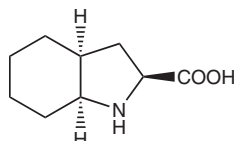
H52392

4-Methoxyindole-2-carboxylic acid, 97+%
[103260-65-7]



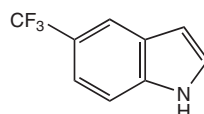
H53028

1-Methylindole-2-boronic acid, 95%
[191162-40-0]



H27894

(2S,3aS,7aS)-Octahydroindole-2-carboxylic acid, 98%
[80875-98-5]



H28782

5-(Trifluoromethyl)indole, 98%
[100846-24-0]