

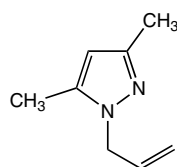
Pyrazoles

Pyrazoles have been the recent target of numerous methodologies, mostly due to their prevalence as scaffolds in drug discovery programs¹ and synthesis in particular of bioactive compounds and reactions in different media.² The pyrazole ring is present as the core in a variety of leading drugs such as Celebrex³, Viagra⁴ or Rimonabant. They have also found use as bifunctional ligands for metal catalysis,⁵ and in various building blocks for pharmaceutical and agricultural research. A number of new pyrazole 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.

Numerous patents describe the use of the 3-aminopyrazole analogue (H30935) as building block to more complex moieties, such as potential drug candidates.⁶ 5-Aminopyrazoles such as H32831 have been used in heterocyclizations involving N-arylmaleimides,⁷ or ethyl 2-thien-3'-yl-3-hydroxypropenoate.⁸ Studies involving H32918 as a building block showed that 3-aminopyrazole derivatives can be selective based MK2-inhibitors.⁹

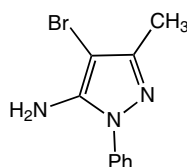
Suzuki coupling of a 7-bromo-1,4-benzoxazine derivative with pyrazole boronate esters (such as H32930, H53139 and L19654) lead to a series of pharmacological active molecules, as potential PI3 kinase inhibitors for the treatment of chronic inflammatory diseases including rheumatoid arthritis and multiple sclerosis.¹⁰

Alfa Aesar has extended its comprehensive range of heterocyclic compounds with the following pyrazoles.



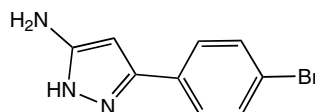
H53493

1-Allyl-3,5-dimethyl-1H-pyrazole, 97%
[13369-74-9]



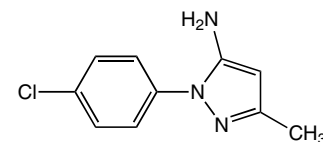
H32609

5-Amino-4-bromo-3-methyl-1-phenyl-1H-pyrazole, 97%
[69464-98-8]



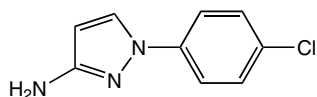
H32738

5-Amino-3-(4-bromophenyl)-1H-pyrazole, 97%
[78583-82-1]



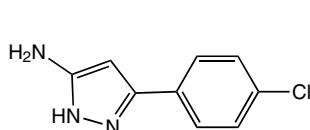
H51085

5-Amino-1-(4-chlorophenyl)-3-methyl-1H-pyrazole, 97%
[40401-39-6]



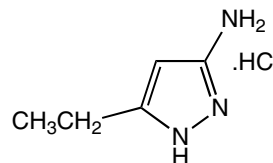
H32252

3-Amino-1-(4-chlorophenyl)-1H-pyrazole, 95%
[66000-39-3]



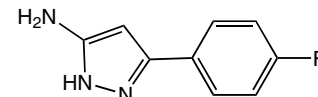
H32089

5-Amino-3-(4-chlorophenyl)-1H-pyrazole, 97%
[78583-81-0]



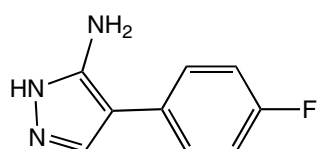
H51026

3-Amino-5-ethyl-1H-pyrazole hydrochloride



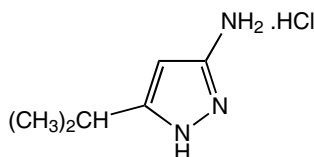
H32830

5-Amino-3-(4-fluorophenyl)-1H-pyrazole, 97%
[72411-52-0]



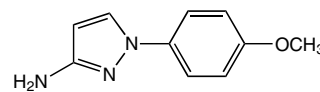
H32831

5-Amino-4-(4-fluorophenyl)-1H-pyrazole, 97%
[5848-05-5]



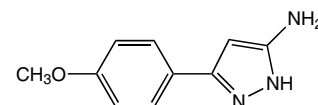
H51110

3-Amino-5-isopropyl-1H-pyrazole hydrochloride



H32918

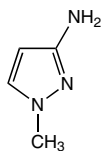
3-Amino-1-(4-methoxyphenyl)-1H-pyrazole, 95%
[76091-01-5]



H31580

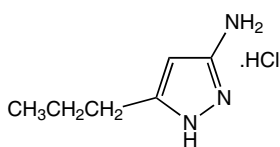
5-Amino-3-(4-methoxyphenyl)-1H-pyrazole, 97%
[19541-95-8]

Pyrazoles



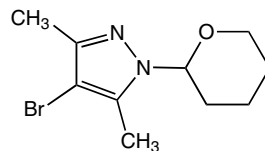
H30935

3-Amino-1-methyl-1H-pyrazole, 97%
[1904-31-0]



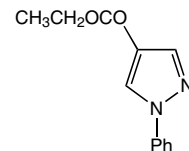
H51024

3-Amino-5-n-propyl-1H-pyrazole hydrochloride



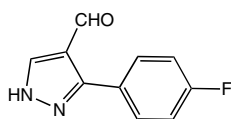
H32968

4-Bromo-3,5-dimethyl-1-(2-tetrahydropyranyl)-1H-pyrazole, 95%



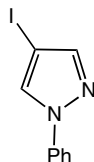
H32275

Ethyl 1-phenyl-1H-pyrazole-4-carboxylate, 97%
[885-94-9]



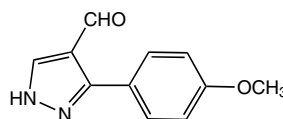
H32944

3-(4-Fluorophenyl)-1H-pyrazole-4-carboxaldehyde, 97%
[306936-57-2]



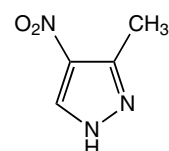
H32612

4-Iodo-1-phenyl-1H-pyrazole, 95%
[23889-85-2]



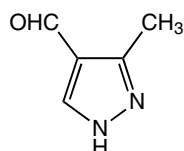
H31744

3-(4-Methoxyphenyl)-1H-pyrazole-4-carboxaldehyde, 97%
[199682-73-0]



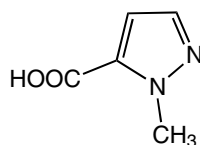
H30860

3-Methyl-4-nitro-1H-pyrazole, 97%
[5334-39-4]



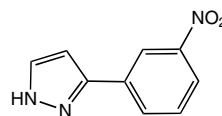
H32547

3-Methyl-1H-pyrazole-4-carboxaldehyde, 97%
[112758-40-4]



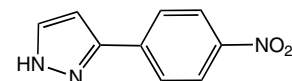
H32874

1-Methyl-1H-pyrazole-5-carboxylic acid, 97%
[16034-46-1]



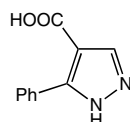
H32498

3-(3-Nitrophenyl)-1H-pyrazole, 97%
[59843-77-5]



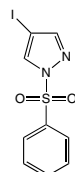
H32332

3-(4-Nitrophenyl)-1H-pyrazole, 97%
[20583-31-7]



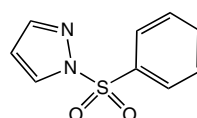
H32560

5-Phenyl-1H-pyrazole-4-carboxylic acid, 97%
[5504-65-4]



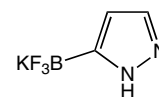
H31987

1-Phenylsulfonyl-4-iodo-1H-pyrazole, 95%



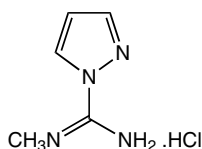
H32914

1-Phenylsulfonylpyrazole, 95%
[108128-27-4]



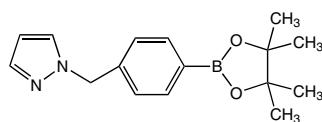
H32128

Potassium pyrazole-5-trifluoroborate, 95%



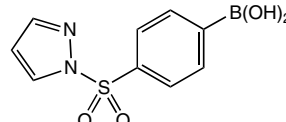
H30607

1H-Pyrazole-1-(N-methylcarboxamidine) hydrochloride, 96%
[194852-88-5]



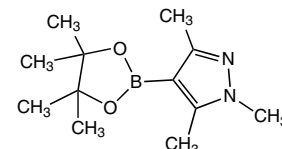
H52281

4-(1H-Pyrazol-1-ylmethyl) benzenboronic acid pinacol ester, 95%



H53082

4-(1H-Pyrazol-1-ylsulfonyl) benzenboronic acid, 98%
[957061-02-8]



H32930

1,3,5-Trimethyl-1H-pyrazole-4-boronic acid pinacol ester, 95%
[844891-04-9]

Pyrazoles

¹ (a) As antimicrobials: T. S. Haque, *et al.*, *J. Med. Chem.*, 2002, **45**, 4669; (b) As HMG-CoA reductase inhibitors: J. A. Pfifferkorn, *et al.*, *J. Med. Chem.*, 2008, **51**, 31; (c) As inhibitors of HIV-1 reverse transcriptase: Z. K. Sweeney, *et al.*, *J. Med. Chem.*, 2008, **51**, 7449.

² J. Elguero, "Pyrazoles and their Benzo Derivatives. In *Comprehensive Heterocyclic Chemistry*"; A. R. Katritzky, & C. W. Rees, Eds., Elsevier Science: UK, 1984 **5**, 167-303.

³ T. D. Penning, *et al.*, *J. Med. Chem.*, 1997, **40**, 1347.

⁴ N. K. Terrett, A. S. Bell, D. Brown, & P. Ellis, *Bioorg. Med. Chem. Lett.*, 1996, **6**, 1819.

⁵ (a) H. Kotsuki, M. Wakao, H. Hayakawa, T. Shimanouchi, & M. J. Shiro, *J. Org. Chem.*, 1996, **61**, 8915; (b) A. Togni, U. Burckhardt, V. Gramlich, P. S. Pregosin, & R. J. Salzmann, *J. Am. Chem. Soc.*, 1996, **118**, 1031; (c) H. Willms, W. Frank, & C. Ganter, *Organometallics*, 2009, **28**, 3049; (d) A. Ficks, C. Sibbald, M. John, S. Dechert, & F. Meyer, *Organometallics*, 2010, **29**, 1117.

⁶ Examples include (a) Pfizer Inc. Patent: US2008/280875 A1, 2008; (b) Merck GmbH Patent: WO2009/46784 A1, 2009; (c) Novartis AG Patent: WO2009/150230 A1, 2009; (d) AstraZeneca UK Ltd Patent: WO2006/40528 A1, 2006.

⁷ R. V. Rudenko, *et al.*, *Synthesis*, 2011, **5**, 783.

⁸ S. Selleri, *et al.*, *Bioorg. Med. Chem.*, 1999, **7**, 2705.

⁹ J. Velcicky, *et al.*, *Bioorg. Med. Chem Lett.*, 2010, **20**, 1293.

¹⁰ B. Perry, *et al.*, *Bioorg. Med. Chem Lett.*, 2008, **18**, 5299.