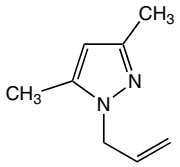
Pyrazoles

Pyrazoles have been the recent target of numerous methodologies, mostly due to their prevalence as scaffolds in drug discovery programs[1] 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 Celebrex[3], Viagra[4] 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.

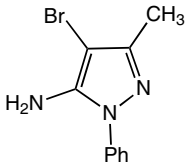
Numerous patents describe the use of the 3-aminopyrazole analogue (H30935) as building block to more complex moieties, such as potential drug candidates.[6] 5-Aminopyrazoles such as H32831 have been used in heterocyclizations involving N-arylmaleimides,[7] or ethyl 2-thien-3'-yl-3-hydroxypropenoate.[8] 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]

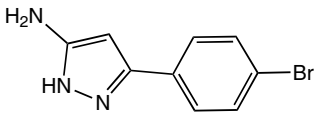
Alfa Aesar has extended its comprehensive range of heterocyclic compounds with the following pyrazoles.



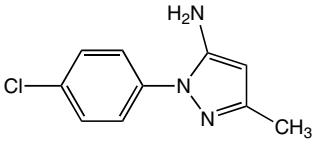
H53493
1-Allyl-3,5-dimethyl-1H-pyrazole, 97%
[13369-74-9]



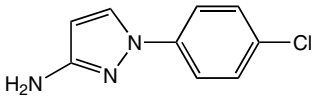
H32609
5-Amino-4-bromo-3-methyl-1-phenyl-1H-pyrazole, 97%
[69464-98-8]



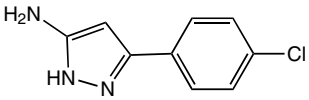
H32738
5-Amino-3-(4-bromophenyl)-1H-pyrazole, 97%
[78583-82-1]



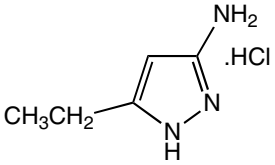
H51085
5-Amino-1-(4-chlorophenyl)-3-methyl-1H-pyrazole, 97%
[40401-39-6]



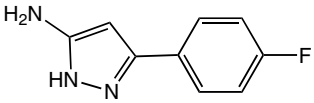
H32252
3-Amino-1-(4-chlorophenyl)-1H-pyrazole, 95%
[66000-39-3]



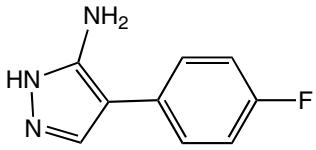
H32089
5-Amino-3-(4-chlorophenyl)-1H-pyrazole, 97%
[78583-81-0]



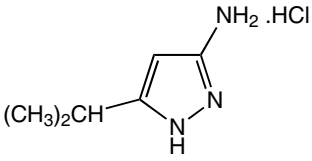
H51026
3-Amino-5-ethyl-1H-pyrazole hydrochloride



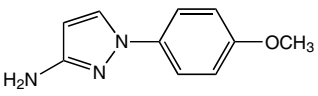
H32830
5-Amino-3-(4-fluorophenyl)-1H-pyrazole, 97%
[72411-52-0]



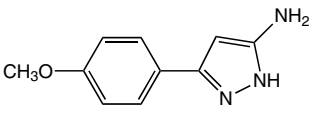
H32831
5-Amino-4-(4-fluorophenyl)-1H-pyrazole, 97%
[5848-05-5]



H51110
3-Amino-5-isopropyl-1H-pyrazole hydrochloride

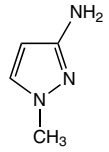


H32918
3-Amino-1-(4-methoxyphenyl)-1H-pyrazole, 95%
[76091-01-5]

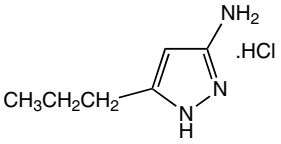


H31580
5-Amino-3-(4-methoxyphenyl)-1H-pyrazole, 97%
[19541-95-8]

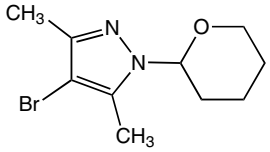
Pyrazoles



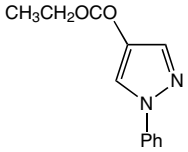
H30935
3-Amino-1-methyl-1H-pyrazole, 97%
[1904-31-0]



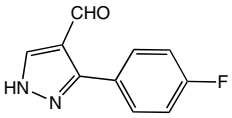
H51024
3-Amino-5-n-propyl-1H-pyrazole hydrochloride



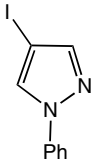
H32968
4-Bromo-3,5-dimethyl-1-(2-tetrahydropyranyl)-1H-pyrazole, 95%



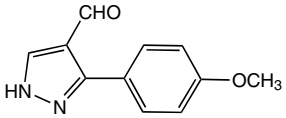
H32275
Ethyl 1-phenyl-1H-pyrazole-4-carboxylate, 97%
[885-94-9]



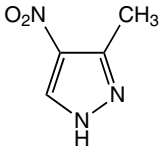
H32944
3-(4-Fluorophenyl)-1H-pyrazole-4-carboxaldehyde, 97%
[306936-57-2]



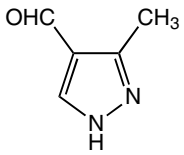
H32612
4-Iodo-1-phenyl-1H-pyrazole, 95%
[23889-85-2]



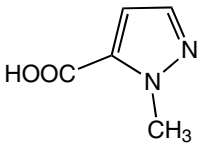
H31744
3-(4-Methoxyphenyl)-1H-pyrazole-4-carboxaldehyde, 97%
[199682-73-0]



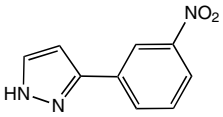
H30860
3-Methyl-4-nitro-1H-pyrazole, 97%
[5334-39-4]



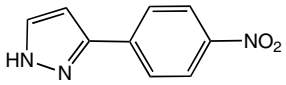
H32547
3-Methyl-1H-pyrazole-4-carboxaldehyde, 97%
[112758-40-4]



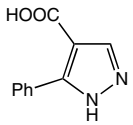
H32874
1-Methyl-1H-pyrazole-5-carboxylic acid, 97%
[16034-46-1]



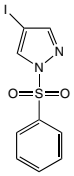
H32498
3-(3-Nitrophenyl)-1H-pyrazole, 97%
[59843-77-5]



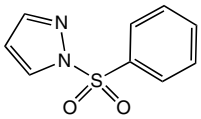
H32332
3-(4-Nitrophenyl)-1H-pyrazole, 97%
[20583-31-7]



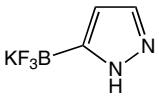
H32560
5-Phenyl-1H-pyrazole-4-carboxylic acid, 97%
[5504-65-4]



H31987
1-Phenylsulfonyl-4-iodo-1H-pyrazole, 95%



H32914
1-Phenylsulfonylpyrazole, 95%
[108128-27-4]



H32128
Potassium pyrazole-5-trifluoroborate, 95%

[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.