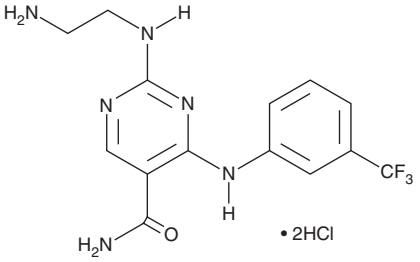
PRODUCT INFORMATION

Syk Inhibitor II (hydrochloride)

Item No. 18805

CAS Registry No.: 227449-73-2
Formal Name: 2-[(2-aminoethyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]-5-pyrimidinecarboxamide, dihydrochloride
Synonym: Spleen Tyrosine Kinase Inhibitor II
MF: $C_{14}H_{15}F_3N_6O \bullet 2HCl$
FW: 413.2
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 255, 285 nm



Laboratory Procedures

For long term storage, we suggest that Syk inhibitor II (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

Syk Inhibitor II (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the Syk inhibitor II (hydrochloride) in the solvent of choice. Syk Inhibitor II (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of Syk inhibitor II (hydrochloride) in these solvents is approximately 0.3, 30, and 2 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of Syk inhibitor II (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of Syk inhibitor II (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Spleen tyrosine kinase (Syk) is a non-receptor tyrosine kinase that, upon phosphorylation, binds to immunoreceptor tyrosine-based activation motifs of FcRγ chains and mediates downstream signaling related to platelet function and inflammation. Syk inhibitor II is a cell-permeable, pyrimidine-carboxamide compound that selectively and reversibly blocks Syk (IC_{50} = 41 nM) in an ATP-competitive manner.[1] It is much less potent against PKCε, PKCβII, ZAP-70, Btk, and Itk (IC_{50}s = 5.1, 11, 11.2, 15.5, and 22.6 µM, respectively).[1] Syk inhibitor II has been shown to prevent FcεRI-mediated 5-HT release in RBL-2H3 cells *in vitro* (IC_{50} = 460 nM) and to inhibit passive cutaneous anaphylaxis reactions in mice (ID_{50} = 13.2 mg/kg, s.c.).[1]

Reference

1. Hisamichi, J., Naito, R., Toyoshima, A., *et al*. Synthetic studies on novel Syk inhibitors. Part 1: Synthesis and structure-activity relationships of pyrimidine-5-carboxamide derivatives. *Bioorg. Med. Chem.* **13(16)**, 4936-4951 (2005).

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