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

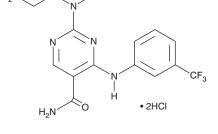
C₁₄H₁₅F₃N₆O • 2HCl MF:

413.2 FW: Purity:

UV/Vis.: λ_{max} : 255, 285 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Spleen tyrosine kinase (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, which should be purged with an inert gas. Syk inhibitor II (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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

Syk is a non-receptor tyrosine kinase that, upon phosphorylation, binds to immunoreceptor tyrosine-based activation motifs of FcRy 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. It is much less potent against PKC ϵ , PKC β II, ZAP-70, Btk, and Itk (IC₅₀s = 5.1, 11, 11.2, 15.5, and 22.6 μ M, respectively).¹ Syk inhibitor II has been shown to prevent FceRI-mediated 5-HT release in RBL-2H3 cells in vitro $(IC_{50} = 460 \text{ nM})$ and to inhibit passive cutaneous anaphylaxis reactions in mice $(ID_{50} = 13.2 \text{ mg/kg, s.c.})$.

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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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