Product Data Sheet

Syk Inhibitor II dihydrochloride dihydrate

 Cat. No.:
 HY-112390B

 CAS No.:
 1965323-05-0

 Molecular Formula:
 $C_{14}H_{21}Cl_2F_3N_6O_3$

Molecular Weight: 449.26

Target: Syk; 5-HT Receptor

Pathway: Protein Tyrosine Kinase/RTK; GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

H₂N NH₂ NH₂ H-Cl H₂O H-Cl H₂C

BIOLOGICAL ACTIVITY

Description	Syk Inhibitor II dihydrochloride dihydrate is a potent, high selective and ATP-competitive Syk inhibitor with an IC ₅₀ of 41 nM. Syk Inhibitor II dihydrochloride dihydrate inhibits 5-HT release from RBL-cells with an IC ₅₀ of 460 nM. Syk Inhibitor II dihydrochloride dihydrate shows less potent against other kinases and has anti-allergic effect ^[1] .			
IC ₅₀ & Target	Syk 41 nM (IC ₅₀)	serotonin 460 nM (IC ₅₀)	PKCε 5.1 μM (IC ₅₀)	PKCβ2 11 μM (IC ₅₀)
	ZAP-70 11.2 μM (IC ₅₀)	Btk 15.5 μM (IC ₅₀)	Itk 22.6 μM (IC ₅₀)	
In Vitro	Syk Inhibitor II (compound 9a) dihydrochloride dihydrate shows less potent against PKC ϵ , PKC β 2, ZAP-70, Btk, and Itk with IC $_{50}$ values of 5.1 μ M, 11.2 μ M, 15.5 μ M, and 22.6 μ M, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Syk Inhibitor II (Compound 9a; 10-100 mg/kg) dihydrochloride dihydrate is subcutaneously administered to mice 30 min before antigen challenge. Syk Inhibitor II inhibits the anaphylaxis reaction dose-dependently with an ID $_{50}$ value of 13.2 mg/kg $^{[1]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Hiroyuki Hisamichi, et al. Synthetic studies on novel Syk inhibitors. Part 1: Synthesis and structure-activity relationships of pyrimidine-5-carboxamide derivatives. Bioorg Med Chem. 2005 Aug 15;13(16):4936-51.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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