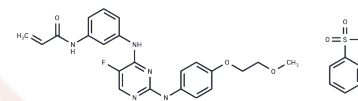


Spebrutinib besylate

Chemical Properties

CAS No. :	1360053-81-1
Formula:	C ₂₈ H ₂₈ FN ₅ O ₆ S
Molecular Weight:	581.62
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a Btk kinase activity inhibitor with an IC ₅₀ of less than 0.5 nM and a Kinact/K _i of 7.69×10 ⁴ M ⁻¹ s ⁻¹ .
Targets(IC ₅₀)	BTK
In vitro	Spebrutinib also less potently inhibits Yes, c-Src, Brk, Lyn, and Fyn with IC ₅₀ s of 723 nM, 1.729 μM, 2.43 μM, 4.4 μM, and 7.15 μM, respectively. Spebrutinib (CC-292) is a covalent, highly selective, orally active inhibitor of Btk with IC ₅₀ value of 0.5 nM. The concentration at which Spebrutinib inhibits 90% of Btk activity in Ramos cells is 35 nM while the concentration of Spebrutinib required for 90% occupancy of Btk is 39 nM[1]. Extensive analysis has revealed that the EC ₅₀ of Btk occupancy from a Spebrutinib dose-response in Ramos cells (EC ₅₀ =6 nM) correlated directly with the cellular EC ₅₀ of Btk kinase inhibition with Spebrutinib (EC ₅₀ =8 nM).

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7193 mL	8.5967 mL	17.1934 mL
5 mM	0.3439 mL	1.7193 mL	3.4387 mL
10 mM	0.1719 mL	0.8597 mL	1.7193 mL
50 mM	0.0344 mL	0.1719 mL	0.3439 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Evans EK, et al. Inhibition of Btk with CC-292 provides early pharmacodynamic assessment of activity in mice and humans. J Pharmacol Exp Ther. 2013 Aug;346(2):219-28.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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