Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Spebrutinib (besylate)

Catalog No: tcsc1494

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1360053-81-1

Formula:
$C_{28}H_{28}FN_5O_6S$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Btk

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
AVL-292 (benzenesulfonate);CC-292 (besylate)

Observed Molecular Weight:
581.62

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Product Description

Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a potent inhibitor of **Btk** kinase activity (**IC_{50}**K_{inact}/K_i=7.69×10 ^{4} $M^{-1}s^{-1}s$) in biochemical assays.

IC50 & Target: IC50: [1]

In Vitro: Spebrutinib (CC-292) is a covalent, highly selective, orally active inhibitor of Btk with IC_{50} value of 0.5 nM. 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, rspectively. 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). Furthermore, 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].