

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:

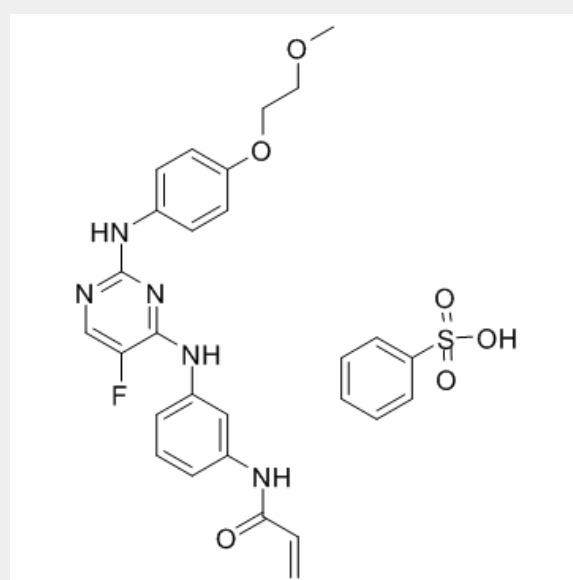
581.62

Product Description

Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a potent inhibitor of **Btk** kinase activity ($IC_{50} K_{inact}/K_i = 7.69 \times 10^4 \text{ M}^{-1} \text{ s}^{-1}$) 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 , respectively. Extensive analysis has revealed that the EC_{50} of Btk occupancy from a Spebrutinib dose-response in Ramos cells ($EC_{50} = 6 \text{ nM}$) correlated directly with the cellular EC_{50} of Btk kinase inhibition with Spebrutinib ($EC_{50} = 8 \text{ nM}$). 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].



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