Web: www.taiclone.com
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CID-2858522

Catalog No: tcsc1093

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
758679-97-9

Formula:
$C_{28}H_{39}N_3O_3$

Pathway:
NF-κB

Target:
NF-κB

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
465.63

Product Description

CID-2858522 is a highly potent and selective antigen receptor-mediated **NF-κB** activation inhibitor with an **IC_{50}** of 70 nM.

IC50 & Target: IC50 : 70 nM (NF-κB)[1]

In Vitro: CID-2858522 (Compound 1) inhibits antigen receptor-mediated NF-κB with an IC_{50} of 70 nM. CID-2858522 also inhibits testosterone hydroxylase in the presence of human liver microsomes (HLM) and an NADPH generating system with an IC_{50} of 85 μM [1]

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. In the HEK293 cell line used for primary screening, CID-2858522 suppresses NF-κB reporter gene activity in a concentration-dependent manner, with IC_{50} ~70 nM and with maximum inhibition achieved at 0.25-0.5 μM. In contrast, CID-2858522 does not inhibit TNF-induced NF-κB-reporter gene activity at concentrations as high as 4 μM, thus demonstrating selectivity for the NF-κB pathway activated by PMA/Ionomycin. Cell viability assays indicate that CID-2858522 is not toxic to HEK293 cells at concentrations ≤8 μM. CID-2858522 also potently inhibits PMA/Ionomycin-induced NF-κB reporter gene activity in transient transfection assays[2].

In Vivo: In vivo dose-exposure profiling of CID-2858522 (Compound 1a) is conducted using a small cohort of three male mice. CID-2858522 exhibits nonlinear pharmacokinetics, showing higher serum levels at the 0.5 h measurement time for the 30 mg/kg dose compared to 50 mg/kg but displaying typical dose-dependent behavior when measured at t=3 h. The increasing accumulation seen at a dose of 50 mg/kg may be due to a depot effect created by CYP3A4 inhibition. The cohort exhibits clear signs of morbidity at t=3 h at the 50 mg/kg dose[2].