

## 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-ĸB

#### **Target:**

NF-ĸB

#### 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**<sub>50</sub> of 70 nM.

IC50 & Target: IC50 : 70 nM (NF-κB)<sup>[1]</sup>

In Vitro: CID-2858522 (Compound 1) inhibits antigen receptor-mediated NF- $\kappa$ B with an IC<sub>50</sub> 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<sub>50</sub> of 85  $\mu$ M [1]



. In the HEK293 cell line used for primary screening, CID-2858522 suppresses NF-κB reporter gene activity in a concentrationdependent manner, with IC<sub>50</sub> ~70 nM and with maximum inhibition achieved at 0.25-0.5  $\mu$ M. In contrast, CID-2858522 does not inhibit TNF-induced NF-κB-reporter gene activity at concentrations as high as 4  $\mu$ M, 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  $\leq 8 \mu$ M. CID-2858522 also potently inhibits PMA/Ionomycin-induced NF-κB reporter gene activity in transient transfection assays<sup>[2]</sup>.

*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<sup>[2]</sup>.



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