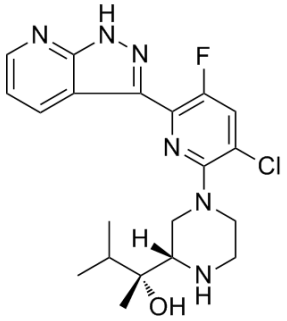
VTX-27

Cat. No.:	HY-112782
CAS No.:	1321924-70-2
Molecular Formula:	$C_{20}H_{24}ClFN_6O$
Molecular Weight:	418.9
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (**298.40 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3872 mL	11.9360 mL	23.8720 mL
5 mM	0.4774 mL	2.3872 mL	4.7744 mL
10 mM	0.2387 mL	1.1936 mL	2.3872 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VTX-27 is a selective protein kinase C θ **(PKC θ)** inhibitor, with **K_is** of 0.08 nM and 16 nM for PKC θ and PKC δ.

IC_{50} & Target

PKCθ	PKCδ	PKCα
0.08 nM (Ki)	16 nM (Ki)	356 nM (Ki)

In Vitro

VTX-27 (Compound 27) possesses excellent overall characteristics. Good selectivity of VTX-27 is also seen against

	other PKC family members, particularly classical isoforms (>1000-fold except PKCβ I, 200-fold) and atypical isoforms (>10000-fold). As anticipated, attaining selectivity over the more closely related novel PKC family members is more challenging, with a good 200-fold being achieved over PKC δ[1].
In Vivo	VTX-27 shows the best PK profile with a low clearance (7 mL min^{-1} kg^{-1}), long half-life (4.7 h), and good oral bioavailability (65%). A single dose of VTX-27 is administered orally at 6.25, 12.5, 25, and 50 mg/kg (e.g., at 25 mg/kg C_{max} concentration 700 ng/mL) and demonstrates potent dose dependent inhibition of IL-2 production[1].

REFERENCES

[1]. Jimenez JM, et al. Design and optimization of selective protein kinase C θ (PKCθ) inhibitors for the treatment of autoimmune diseases. J Med Chem. 2013 Mar 14;56(5):1799-810.

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