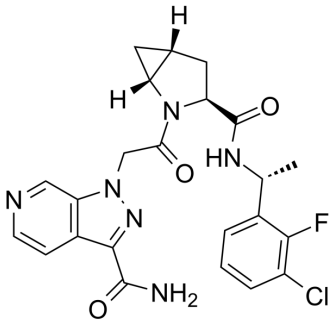
Factor D inhibitor 6

Cat. No.:	HY-122700
CAS No.:	1386455-51-1
Molecular Formula:	$C_{23}H_{22}ClFN_6O_3$
Molecular Weight:	484.91
Target:	Complement System
Pathway:	Immunology/Inflammation
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 : 250 mg/mL (515.56 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0622 mL	10.3112 mL	20.6224 mL
5 mM	0.4124 mL	2.0622 mL	4.1245 mL
10 mM	0.2062 mL	1.0311 mL	2.0622 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 (4.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Factor D inhibitor 6 is a potent, highly selective and orally active factor D (FD) inhibitor with an IC_{50} of 30 nM and a K_d of 6 nM. Factor D inhibitor 6 is inactive against factor B, lassical and lectin complement-pathway activation, and a broad assay panel of receptors, ion channels, kinases and proteases[1].

IC_{50} & Target

IC50: 30 nM (Factor D)[1]
Kd: 6 nM (Factor D)[1]

In Vitro	Factor D inhibitor 6 (compound 6) effectively blocks both alternative pathway (AP)-mediated hemolysis in 10% human serum (IC_{50} = 6 nM) and AP-induced membrane-attack complex (MAC) formation in lepirudinanticoagulated 50% human whole blood (IC_{50} = 0.14 μM)[1]. Factor D inhibitor 6 (compound 6) shows modest inhibition of murine FD (IC_{50} = 0.86 μM)[1]. Factor D inhibitor 6 (compound 6) inhibits both hemolysis and component 3 (C3) deposition on the surface of red blood cells (RBCs) with an IC_{50} value of 70 nM, consistent with inhibition of the AP amplification loop[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Factor D inhibitor 6 (Compound 6; 1-10 mg/kg; Oral gavage; once; C57Bl/6 mice) treatment dosed-ependently inhibits complement activation, with full inhibition at 10 mg/kg. Factor D inhibitor 6 shows sustained inhibition of LPS-induced AP activation for at least 8 h post-dose with an EC_{50} of 0.034 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57Bl/6 mice induced by lipopolysaccharide (LPS)[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg
Administration:	Oral gavage; once
Result:	Dosed-ependently inhibited complement activation, with full inhibition at 10 mg/kg.

REFERENCES

[1]. Jürgen Maibaum, et al. Small-molecule Factor D Inhibitors Targeting the Alternative Complement Pathway. Nat Chem Biol. 2016 Dec;12(12):1105-1110.

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