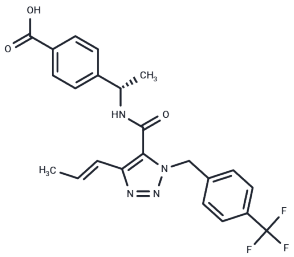
Data Sheet (Cat.No.T11211)

TargetMol
YOUR TARGET MOLECULES

EP4 receptor antagonist 1

Chemical Properties



CAS No. : 2287259-07-6

Formula: C23H21F3N4O3

Molecular Weight: 458.43

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	EP4 Receptor Antagonist 1 is a highly potent and selective competitive prostanoid EP4 receptor antagonist, effective for cancer immunotherapy. It inhibits both human and mouse EP4 receptors with IC50 values of 6.1 nM and 16.2 nM, respectively, while displaying minimal activity (IC50s >10 μM) against human EP1, EP2, and EP3 receptors.
Targets(IC50)	Prostaglandin Receptor
In vitro	EP4 receptor antagonist 1 inhibits the activity of the CRE reporter in HEK293 cells with an IC50 of 5.2±0.4 nM in a dose-dependent manner. EP4 receptor antagonist 1 dose-dependently inhibits PGE2-stimulated β-arrestin recruitment in HEK293-EP4 cells with an IC50 of 0.4±0.1 nM. EP4 receptor antagonist 1 inhibits PGE2-stimulated cAMP accumulation in HEK293-EP4 cells with an IC50 of 18.7±0.6 nM in a dose-dependent manner. EP4 receptor antagonist 1 (1 nM-10 μM) reverses PGE2-induced ERK phosphorylation in a concentration-dependent manner. The IC50s are >10 μM for human EP1, EP2, and EP3 receptors[1].
In vivo	EP4 receptor antagonist 1 (1 mg/kg; i.v.) demonstrates moderate clearance of 1.7 L/h/kg in mice with a corresponding favorable half-life of 4.1 h. EP4 receptor antagonist 1 (5 mg/kg; orally) exhibits good bioavailability of 48.0% in mice with a corresponding favorable half-life of 4.7 h. EP4 receptor antagonist 1 (16, 50, and 150 mg/kg; oral) causes significant inhibition of tumor growth in BALB/c female mice. No significant body weight loss is found in any mouse cohorts. EP4 receptor antagonist 1 is well tolerated in mice at the tested dosage[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1814 mL	10.9068 mL	21.8136 mL
5 mM	0.4363 mL	2.1814 mL	4.3627 mL
10 mM	0.2181 mL	1.0907 mL	2.1814 mL
50 mM	0.0436 mL	0.2181 mL	0.4363 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Yang JJ, et al. Discovery and Characterization of 1H-1,2,3-Triazole Derivatives as Novel Prostanoid EP4 Receptor Antagonists for Cancer Immunotherapy. J Med Chem. 2020 Jan 23;63(2):569-590.