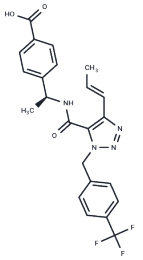
# Data Sheet (Cat.No.T11211)

TargetMol

## EP4 receptor antagonist 1

### Chemical Properties



CAS No. : 2287259-07-6

Formula: C23H21F3N4O3

Molecular Weight: 458.43

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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.