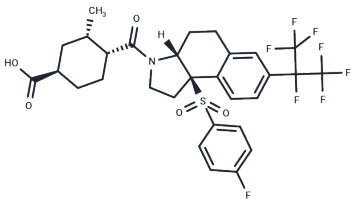
Data Sheet (Cat.No.T40123)

TargetMol
YOUR TARGET MOLECULES

BMS-986251

Chemical Properties



CAS No. : 2460133-35-9

Formula: C30H29F8NO5S

Molecular Weight: 667.61

Appearance: no data available

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

Biological Description

Description	BMS-986251 is a potent and specific RORγt inverse agonist that exerts its action via oral administration. It shows an EC 50 of 12 nM for RORγt GAL4. In human whole blood assay, BMS-986251 effectively inhibits IL-17 with an EC 50 of 24 nM. Furthermore, BMS-986251 exhibits strong therapeutic effects in mouse acanthosis and Imiquimod-induced models, which are commonly used preclinical models for psoriasis.
In vitro	BMS-986251 exhibits minimal activity towards ROR family members (RORα GAL4: EC 50 >10 μM; RORβ GAL4: EC 50 >10 μM) and selected nuclear receptors (PXR: EC 50 >5 μM; LXRα: EC 50 >7.5 μM; LXRβ: EC 50 >7.5 μM), showing no inhibition against any cytochrome P450 enzymes[1].
In vivo	BMS-986251, administered orally at dosages ranging from 5-45 mg/kg twice daily until day 9, significantly reduced ear thickness and skin thickening induced by imiquimod (IMQ) in acanthosis models using C57BL/6 female mice[1]. Furthermore, at dosages of 0.13, 0.79, and 4.76 mg/kg administered orally once a day, BMS-986251 demonstrated a dose-dependent decrease in IL-17F production in naive C57BL/6 female mice aged 7-9 weeks[1]. Pharmacokinetic analysis revealed that at 2 mg/kg intravenously (IV) and 4 mg/kg orally (PO), BMS-986251 showed a half-life (T 1/2) of 7.7 hours, a clearance (CL) of 2.7 mL/min?kg, and a steady-state volume of distribution (V ss) of 1.9 L/kg in mice. For IV administration, rats showed a T 1/2 of 11 hours, a CL of 1.3 mL/min?kg, and a V ss of 1.25 L/kg. Additionally, peak concentration (C max) and area under the curve (AUC) values for PO administration were 4.8 μM and 37 μM?h in mice, and 4.7 μM and 64 μM?h in rats, respectively[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4979 mL	7.4894 mL	14.9788 mL
5 mM	0.2996 mL	1.4979 mL	2.9958 mL
10 mM	0.1498 mL	0.7489 mL	1.4979 mL
50 mM	0.030 mL	0.1498 mL	0.2996 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

Robert J. Cherney, et al. Discovery of BMS-986251: A Clinically Viable, Potent, and Selective RORγt Inverse Agonist. ACS Med. Chem. Lett. 2020, 11, 6, 1221-1227

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