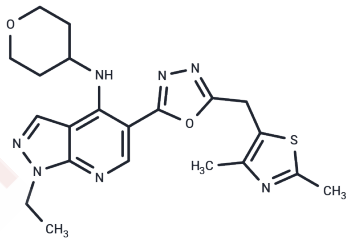
Data Sheet (Cat.No.T27475)

TargetMol

GSK356278

Chemical Properties



CAS No. : 720704-34-7

Formula: C21H25N7O2S

Molecular Weight: 439.53

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	GSK356278 is an effective and selective inhibitor of phosphodiesterase 4 (PDE4), with pIC50s of 8.6, 8.8, and 8.7 for human PDE4A, PDE4B, and PDE4D, respectively. GSK356278 has anti-inflammatory, anxiolytic, and cognition-enhancing effects.
Targets(IC50)	PDE
In vitro	GSK356278 bounds to the HARBS in rats, mice, marmosets, and ferrets with pKis of 7.9, 7.8, 8.4, and 8.5, respectively. GSK356278 inhibits LPS-induced release of TNF-α in human whole blood, with a pIC50 of 7.6. GSK356278 competes with [3H]rolipram for the high affinity rolipram binding site (HARBS) with a pKi of 8.6 in a competitive filtration-binding assay to the recombinant human PDE4B2B enzyme expressed in yeast membranes[1].
In vivo	GSK356278 (4 doses at 0.03, 0.1, 0.3, and 1.0 mg/kg for 6 weeks; p.o.) enhances performance in a nonhuman primate object retrieval test[1]. GSK356278 exhibits oral bioavailability (rat 91%, monkey 23%) and Cmax (rat 205, monkey 41 nM) following oral administration (rat 1, monkey 0.2 mg/kg). GSK356278 (0.1-0.1 mg/kg; p.o.) demonstrates efficacy in a nonhuman primate model of anxiety at exposures that do not induce emesis. GSK356278 (0.003-30 mg/kg; p.o.) shows anti-inflammatory activity in rodents at exposures that does not induce pica feeding[1].

Solubility Information

Solubility	DMSO: 2 mg/mL (4.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.28 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2752 mL	11.3758 mL	22.7516 mL
5 mM	0.455 mL	2.2752 mL	4.5503 mL
10 mM	0.2275 mL	1.1376 mL	2.2752 mL
50 mM	0.0455 mL	0.2275 mL	0.455 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

Rutter AR, et, al. GSK356278, a potent, selective, brain-penetrant phosphodiesterase 4 inhibitor that demonstrates anxiolytic and cognition-enhancing effects without inducing side effects in preclinical species. J Pharmacol Exp Ther. 2014 Jul;350(1):153-6