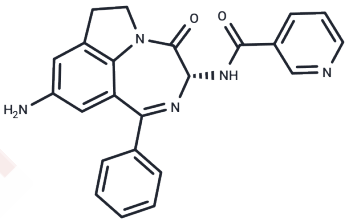
Data Sheet (Cat.No.T14962)

TargetMol

CI-1044

Chemical Properties



CAS No. : 197894-84-1

Formula: C23H19N5O2

Molecular Weight: 397.43

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	CI-1044 is an inhibitor of PDE4 (IC50s: 0.29, 0.08, 0.56, 0.09 μM for PDE4A5, PDE4B2, PDE4C2 and PDE4D3).
Targets(IC50)	PDE
In vitro	CI-1044 selectively inhibits PDE4 crude extract from U937 cells (IC50: 0.27 μM). It being threefold more potent than rolipram (IC50=0.91 μM) and tenfold less potent than cilomilast (IC50=0.026 μM) in the same assay. For CI-1044, cilomilast and rolipram, the production of TNF-α is dose-dependently decreased with mean IC50 values from three separate experiments of 0.31±0.05, 0.26±0.05 and 0.11±0.01 μM, respectively, in the presence of PDE4 inhibitors.
In vivo	CI-1044 dose-dependently inhibits the accumulation of eosinophils in Bronchoalveolar lavages (BAL) fluids (ID50: 3.25 mg/kg). CI-1044 (p.o.) plasma levels increase proportionally with doses ranging between 0.1 and 40 mg/kg. Following repeated administration with CI-1044(p.o.), the ID50 value represents 0.5 mg/kg. TNF-α production is dose-dependently inhibited by CI-1044, rolipram, and cilomilast (ID50s: 0.4, 1.4, and 1.6 mg/kg) following single oral administration. A single dose treatment with CI-1044 (10 mg/kg, p.o.) 24, 8, 3, or 1 h before the antigen challenge induces 6, 56, 48, and 79% inhibition in the number of eosinophils in BAL.

Solubility Information

Solubility	DMSO: 125 mg/mL (314.52 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: 3.3 mg/mL (8.3 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	2.5162 mL	12.5808 mL	25.1617 mL
5 mM	0.5032 mL	2.5162 mL	5.0323 mL
10 mM	0.2516 mL	1.2581 mL	2.5162 mL
50 mM	0.0503 mL	0.2516 mL	0.5032 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

Pruniaux MP, et al. Relationship between phosphodiesterase type 4 inhibition and anti-inflammatory activity of CI-1044 in rat airways. Fundam Clin Pharmacol. 2010 Feb;24(1):73-82.