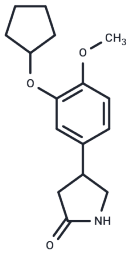
Data Sheet (Cat.No.T6644)

TargetMol

Rolipram

Chemical Properties



CAS No. : 61413-54-5

Formula: C16H21NO3

Molecular Weight: 275.34

Storage: Store at low temperature,Keep away from moisture
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	Rolipram (SB 95952) is a phosphodiesterase 4 inhibitor with antidepressant properties.
Targets(IC50)	HIV Protease,Antibacterial,PDE
In vitro	The PDE4 selective inhibitor, Rolipram, inhibits immunopurified PDE4B and PDE4D activities similarly, with IC50s of approx. 130 nM and 240 nM respectively. In contrast, Rolipram inhibits immunopurified PDE4A activity with a dramatically lower IC50 of around 3 nM. Rolipram increases phosphorylation of cAMP-response-element-binding protein (CREB) in U937 cells in a dose-dependent fashion, which means that both high affinity (IC50 approx. 1 nM) and low affinity (IC50 approx. 120 nM) components are presented. Rolipram dose-dependently inhibits the IFN-gamma-stimulated phosphorylation of p38 MAPK in a simple monotonic fashion with an IC50 of approx. 290 nM[1]. Rolipram is a selective PDE4 inhibitor that inhibits all PDE4 isoforms A, B, C and D. Rolipram inhibits LPS-induced TNF production in a dose-dependent manner (IC50 25.9 nM), and maximal/submaximal inhibition is observed with 2 μM drug concentration in J774 cells[2].
In vivo	In peritoneal macrophages (PM) from wild-type (WT) mice, lipopolysaccharide (LPS) induces TNF mRNA and protein expression, which is significantly reduced by Rolipram (by 74% and 63% for TNF mRNA and protein, respectively). However, LPS-induced TNF production is elevated in PM from MKP-1(-/-) mice compared to WT mice, aligning with existing research. Notably, Rolipram's ability to suppress TNF mRNA and protein levels in PM from MKP-1(-/-) mice is considerably weakened and lacks statistical significance. Furthermore, repeated Rolipram administration (1.25 mg/kg, i.p.) diminishes the incidence of escape failures in rats with learned helplessness, suggesting its potential therapeutic effect.
Cell Research	Rolipram is dissolved in DMSO and stored, and then diluted with appropriate medium before use[2]. J774 murine macrophages (ATCC) are cultured at 37°C in 5% CO2 atmosphere in DMEM supplemented with glutamax-1 containing 10% heat-inactivated FBS, 100 U/mL penicillin, 100 μg/mL streptomycin and 250 ng/mL amphotericin B. For experiments, cells are seeded on 24-well plates at a density of 2×105 cells per well. Cell monolayers are grown for 72 h before the experiments are started. Rolipram, IBMX and BIRB 796 are dissolved in DMSO, and 8-Br-cAMP in HBSS. LPS (10 ng/mL) or the

Cell Research	compounds of interest at concentrations indicated or the solvent (DMSO, 0.1% v/v) are added to the cells in fresh culture medium containing 10% FBS and the supplements. Cells are further incubated for the time indicated. The effect of LPS and the tested chemicals on cell viability is evaluated by Cell Proliferation Kit II (XTT)[2].

Solubility Information

Solubility	Ethanol: 20.7 mg/mL (75.18 mM),Sonication is recommended. DMSO: 41.67 mg/mL (151.34 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: 2 mg/mL (7.26 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	3.6319 mL	18.1594 mL	36.3187 mL
5 mM	0.7264 mL	3.6319 mL	7.2637 mL
10 mM	0.3632 mL	1.8159 mL	3.6319 mL
50 mM	0.0726 mL	0.3632 mL	0.7264 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

MacKenzie SJ, et al. Action of rolipram on specific PDE4 cAMP phosphodiesterase isoforms and on the phosphorylation of cAMP-response-element-binding protein (CREB) and p38 mitogen-activated protein (MAP) kinase in U937 monocyticcells. Biochem J. 2000 Apr

Sun R, Han M, Lin Y, et al.Inhibition of PDE4B ameliorates cognitive defects in the model of alcoholic dementia in 3× Tg-AD mice via PDE4B/cAMP/PKA signaling.International Journal of Neuropsychopharmacology.2025: pyaf009.

Korhonen R, et al. Attenuation of TNF production and experimentally induced inflammation by PDE4 inhibitor rolipram is mediated by MAPK phosphatase-1. Br J Pharmacol. 2013 Aug;169(7):1525-36.

Shalaby, A., & Kamal, S. (2012). Effect of rolipram, a phosphodiesterase enzyme type-4 inhibitor, on γ-amino butyric acid content of the frontal cortex in mice exposed to chronic mild stress. J Pharmacol Pharmacother, 3(2), 132-7. doi: 10.4103/0976-500X.95509