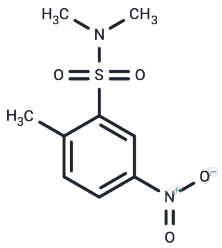
Data Sheet (Cat.No.T5106)

TargetMol

BRL-50481

Chemical Properties



CAS No. : 433695-36-4

Formula: C9H12N2O4S

Molecular Weight: 244.27

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	BRL-50481 is a novel and selective PDE7 inhibitor with IC50 values of 0.15 μM for PDE7A, 12.1 μM for PDE7B, 62 μM for PDE4, and 490 μM for PDE3.
Targets(IC50)	PDE
In vitro	BRL-50481 marginally increases cAMP levels (19.1±6.2% of the IBMX response at 300 μM) but demonstrates lower potency. At a concentration of 30 μM, BRL-50481 does not independently hinder proliferation but significantly enhances the efficacy of rolipram in this regard. Similarly, it does not affect IL-15-driven proliferation alone, yet amplifies rolipram's inhibitory properties. A 30-minute pretreatment of human monocytes with BRL-50481 exhibits a minor inhibitory impact (~2 to 10%) on TNFα production across all examined concentrations but boosts the suppressive action of PGE2 on LPS-induced TNFα release. Alone, BRL-50481 has a negligible influence on κB-dependent transcription (5.6±1.9% inhibition at 30 μM) and does not bolster rolipram's effectiveness (maximum inhibition, 52.9±2.7%; pIC30 value of 5.33±0.12). However, BRL-50481 dose-dependently curtails LPS-induced TNFα secretion in monocytes where PDE7A1 is elevated (21.7±1.6% inhibition at 30 μM after 12 hours) [2].
Cell Research	MOLT-4 cells in 96-well plates are treated for 30 min with BRL-50481. The cAMP content is then determined by an immuno-specific ELISA. Results are expressed as a percentage of the response affected by 100 μM IBMX[2].

Solubility Information

Solubility	Ethanol: 20 mg/mL (81.88 mM),Sonication is recommended. DMSO: 45 mg/mL (184.22 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0938 mL	20.4692 mL	40.9383 mL
5 mM	0.8188 mL	4.0938 mL	8.1877 mL
10 mM	0.4094 mL	2.0469 mL	4.0938 mL
50 mM	0.0819 mL	0.4094 mL	0.8188 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

Safavi M, et al. New methods for the discovery and synthesis of PDE7 inhibitors as new drugs for neurologicaland inflammatory disorders. Expert Opin Drug Discov. 2013 Jun;8(6):733-51.
Smith SJ, et al. Discovery of BRL 50481 [3-(N,N-dimethylsulfonamido)-4-methyl-nitrobenzene], a selective inhibitor of phosphodiesterase 7: in vitro studies in human monocytes, lung macrophages, and CD8+ T-lymphocytes. Mol Pharmacol. 2004 Dec;66(6):1679-89.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481