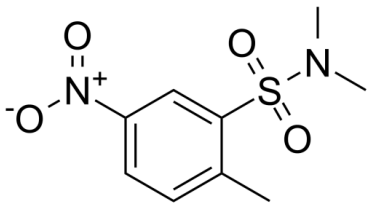
Data Sheet

Product Name:	BRL-50481
Cat. No.:	CS-0032567
CAS No.:	433695-36-4
Molecular Formula:	C9H12N2O4S
Molecular Weight:	244.27
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : 300 mg/mL (1228.15 mM; Need ultrasonic and warming); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

BRL-50481 is a novel and selective inhibitor of **PDE7** with **IC_{50}**s of 0.15, 12.1, 62 and 490 μM for **PDE7A**, **PDE7B**, **PDE4** and **PDE3**, respectively. IC50 & Target: IC50: 0.15 μM (PDE7A), 12 μM (PDE7B), 62 μM (PDE4), 490 μM (PDE3)[1] **In Vitro:** BRL-50481 increases the cAMP content (19.1±6.2% of IBMX response at 300 μM) but is considerably less potent. BRL-50481 (30 μM) fails to suppress proliferation by itself but significantly potentiates the effect of rolipram. BRL-50481 (30 μM) has no effect on IL-15-induced proliferation but augments the inhibitory effect of rolipram. Pretreatment (30 min) of human monocytes with BRL-50481 has, by itself, a negligible (~2 to 10%) inhibitory effect on TNFα output at all concentrations tested. BRL-50481 also potentiates the inhibitory effect of PGE_2 on LPS-induced TNFα release. BRL-50481 has no significant effect by itself on κB-dependent transcription (5.6±1.9% inhibition at 30 μM) and fails to enhance the effect of rolipram (maximum inhibition, 52.9±2.7%; pIC_{30} value of 5.33±0.12). BRL-50481 suppresses, in a concentration-dependent manner, LPS-induced TNFα release in monocytes in which PDE7A1 is induced (21.7±1.6% inhibition at 30 μM at the 12-h time point)[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]MOLT-4 cells in 96-well plates are treated for 30 min with BRL-50481 as indicated. The cAMP content is then determined by an immunospecific ELISA. Results are expressed as a percentage of the response affected by 100 μM IBMX[2].

References:

[1]. 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.

[2]. 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.

CAIndexNames:

Benzenesulfonamide, N,N,2-trimethyl-5-nitro-

SMILES:

O=S(C1=CC([N+]([O-])=O)=CC=C1C)(N(C)C)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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