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产品名称：N,N,2-三甲基-5-硝基苯磺酰胺
产品别名：BRL-50481

生物活性：

Description	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.
IC_{50} & 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].
Solvent&Solubility	***In Vitro:*** **H_2O : < 0.1 mg/mL** (insoluble) **DMSO : 300 mg/mL** (**1228.15 mM;** Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	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].
	[1]. Safavi M, et al. New methods for the discovery and synthesis of PDE7 inhibitors as new drugs for

References	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.