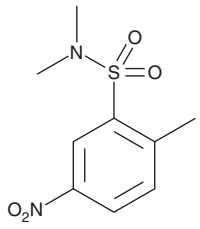
PRODUCT INFORMATION

BRL 50481

Item No. 16899

CAS Registry No.:	433695-36-4
Formal Name:	N,N,2-trimethyl-5-nitro-benzenesulfonamide
MF:	$C_9H_{12}N_2O_4S$
FW:	244.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 210, 265 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BRL 50481 is supplied as a crystalline solid. A stock solution may be made by dissolving the BRL 50481 in the solvent of choice. BRL 50481 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BRL 50481 in ethanol and DMSO is approximately 15 mg/ml and approximately 20 mg/ml in DMF.

BRL 50481 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BRL 50481 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BRL 50481 has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BRL 50481 is a potent, selective inhibitor of phosphodiesterase (PDE) 7, inhibiting human recombinant PDE7A1 with a K_i value of 180 nM.[1] It is much less effective against PDE3 and PDE4 and is without effect against PDE1B, PDE1C, PDE2, and PDE5.[1] Through its effects on PDE7A1, BRL 50481 enhances the inhibitory effects of the PDE4 blocker rolipram (Item No. 10011132) on monocytes, lung macrophages, and $CD8^+$ T-lymphocytes.[1] BRL 50481, at 30 µM, promotes apoptosis in chronic lymphocytic leukemia cells overexpressing PDE7B, suggesting that this compound also acts against PDE7B.[2] BRL 50481 can be used to evaluate the role of PDE7 isoforms in intracellular signaling.[3]

References

1. Smith, S.J., Cieslinski, L.B., Newton, R., *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.* **66(6)**, 1679-1689 (2004).
2. Zhang, L., Murray, F., Zahno, A., *et al.* Cyclic nucleotide phosphodiesterase profiling reveals increased expression of phosphodiesterase 7B in chronic lymphocytic leukemia. *Proc. Natl. Acad. Sci. USA* **105(49),** 19532-19537 (2008).
3. Zhai, K., Hubert, F., Nicolas, V., *et al.* β-Adrenergic cAMP signals are predominantly regulated by phosphodiesterase type 4 in cultured adult rat aortic smooth muscle cells. *PLoS One* **7(10)**, 1-13 (2012).

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