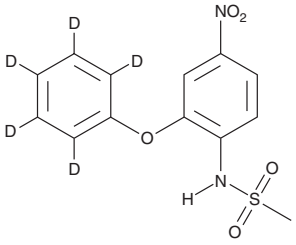
PRODUCT INFORMATION

Nimesulide-d_5

Item No. 33813

CAS Registry No.: 1330180-22-7
Formal Name: N-(4-nitro-2-(phenoxy-d_5)phenyl)methanesulfonamide
Synonym: R805-d_5
MF: $C_{13}H_7D_5N_2O_5S$
FW: 313.3
Chemical Purity: ≥98% (Nimesulide)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as: A 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

Nimesulide-d_5 is intended for use as an internal standard for the quantification of nimesulide (Item No. 70640) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Nimesulide-d_5 is supplied as a solid. A stock solution may be made by dissolving the nimesulide-d_5 in the solvent of choice, which should be purged with an inert gas. Nimesulide-d_5 is soluble in methanol.

Description

Nimesulide is a non-steroidal anti-inflammatory drug (NSAID) and COX-2 inhibitor (IC_{50}s = 1.27 and 0.03 µM for the human and ovine enzymes, respectively).[1,2] It is selective for COX-2 over COX-1 (IC_{50}s = 70 and 22 µM for the human and ovine enzymes, respectively). Nimesulide also inhibits sodium-dependent neutral amino acid transporter (B^0AT1) with an IC_{50} value of 23 µM for the rat kidney transporter.[3] It inhibits infection-induced increases in brain prostaglandin E_2 (PGE_2; Item No. 14010) levels, as well as reduces pyresis (ED_{50} = 0.3 mg/kg), in yeast-infected rats.[4] Nimesulide (2.9 mg/kg) inhibits formalin-induced hindpaw thermal hyperalgesia in rats.[5]

References

1. Johnson, J.L., Wimsatt, J., Buckel, S.D., *et al.* Purification and characterization of prostaglandin H synthase-2 from sheep placental cotyledons. *Arch. Biochem. Biophys.* **324(1)**, 26-34 (1995).
2. Barnett, J., Chow, J., Ives, D., *et al.* Purification, characterization and selective inhibition of human prostaglandin G/H synthase 1 and 2 expressed in the baculovirus system. *Biochim. Biophys. Acta* **1209(1)**, 130-139 (1994).
3. Pochini, L., Seidita, A., Sensi, C., *et al.* Nimesulide binding site in the B^0AT1 (SLC6A19) amino acid transporter. Mechanism of inhibition revealed by proteoliposome transport assay and molecular modelling. *Biochem. Pharmacol.* **89(3)**, 422-430 (2014).
4. Taniguchi, Y., Yokoyama, K., Inui, K., *et al.* Inhibition of brain cyclooxygenase-2 activity and the antipyretic action of nimesulide. *Eur. J. Pharmacol.* **330(2-3)**, 221-229 (1997).
5. Bianchi, M. and Broggini, M. Anti-hyperalgesic effects of nimesulide: Studies in rats and humans. *Int. J. Clin. Pract. Suppl.* **(128)**, 11-19 (2002).

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