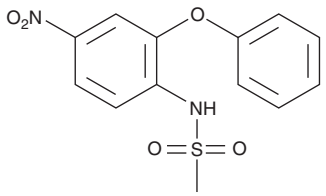
PRODUCT INFORMATION

Nimesulide

Item No. 70640

CAS Registry No.: 51803-78-2
Formal Name: N-(4-nitro-2-phenoxyphenyl)-methanesulfonamide
Synonym: R805
MF: $C_{13}H_{12}N_2O_5S$
FW: 308.3
Purity: ≥99%
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

Nimesulide is supplied as a crystalline solid. A stock solution may be made by dissolving the nimesulide in the solvent of choice, which should be purged with an inert gas. Nimesulide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of nimesulide in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Nimesulide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, nimesulide should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Nimesulide has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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 B0AT1 (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**, 221-229 (1997).
5. Bianchi, M. and Broggini, M. Anti-hyperalgesic effects of nimesulide: Studies in rats and humans. *Int. J. Clin. Pract. Suppl.* **57(128)**, 11-19 (2002).

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