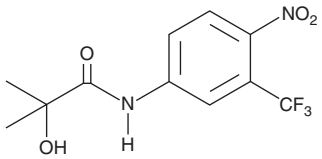
PRODUCT INFORMATION

2-hydroxy Flutamide

Item No. 15271

CAS Registry No.: 52806-53-8
Formal Name: 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-propanamide
Synonyms: Hydroxyniphtholide, SCH 16423
MF: $C_{11}H_{11}F_3N_2O_4$
FW: 292.2
Purity: ≥98%
UV/Vis.: λ_{max}: 225, 291 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

2-hydroxy Flutamide is supplied as a crystalline solid. A stock solution may be made by dissolving the 2-hydroxy flutamide in the solvent of choice, which should be purged with an inert gas. 2-hydroxy Flutamide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of 2-hydroxy flutamide in these solvents is approximately 25 mg/ml.

2-hydroxy Flutamide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 2-hydroxy flutamide should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. 2-hydroxy Flutamide has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

2-hydroxy Flutamide is the major metabolite formed during the metabolism of the non-steroidal antiandrogen flutamide by cytochrome P450 (CYP) isoforms CYP1A2 and CYP3A4.[1] Through competitive inhibition of the binding of testosterone to the nuclear androgen receptor (AR; IC_{50} = ~300-900 nM), 2-hydroxy flutamide blocks the expression of AR target genes and prevents androgen-dependent stabilization of the AR.[2] Compared to flutamide, 2-hydroxy flutamide is a more potent antiandrogen *in vivo*, demonstrating a higher binding affinity for the AR (0.1% binding affinity relative to dihydrotestosterone) and, thus, is the predominant contributor to the therapeutic effects of flutamide in the treatment of prostate cancer.[3]

References

1. Shet, M.S., McPhaul, M., Fisher, C.W., *et al.* Metabolism of the antiandrogenic drug (flutamide) by human CYP1A2. *Drug Metab. Dispos.* **25(11)**, 1298-1303 (1997).
2. Kolvenbag, G.J.C.M., Furr, B.J.A., and Blackledge, G.R.P. Receptor affinity and potency of non-steroidal antiandrogens: Translation of preclinical findings into clinical activity. *Prostate Cancer Prostatic Dis.* **1(6)**, 307-314 (1998).
3. Gao, W., Kim, J., and Dalton, J.T. Pharmacokinetics and pharmacodynamics of nonsteroidal androgen receptor ligands. *Pharm. Res.* **23(8)**, 1641-1658 (2006).

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