

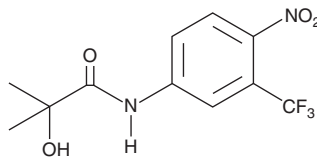
PRODUCT INFORMATION



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: Hydroxynipholide, SCH 16423
MF: C₁₁H₁₁F₃N₂O₄
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; IC₅₀ = ~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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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