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- Trockeneiszuschlag
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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: Hydroxyniphtolide, SCH 16423

MF: $C_{11}H_{11}F_3N_2O_4$

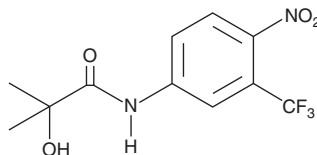
FW: 292.2

Purity: $\geq 98\%$

Stability: ≥ 2 years at -20°C

Supplied as: A crystalline solid

UV/Vis.: λ_{max} : 225, 291 nm



Laboratory Procedures

For long term storage, we suggest that 2-hydroxy flutamide be stored as supplied at -20°C . It should be stable for at least two years.

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. 2-hydroxy Flutamide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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; $IC_{50} = \sim 300\text{-}900$ 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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