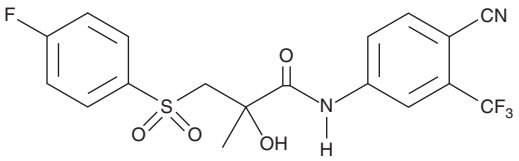
PRODUCT INFORMATION

Bicalutamide

Item No. 14250

CAS Registry No.: 90357-06-5
Formal Name: N-[4-cyano-3-(trifluoromethyl) phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl-propanamide
Synonyms: ICI 176334, ZD 176334
MF: $C_{18}H_{14}F_4N_2O_4S$
FW: 430.4
Purity: ≥98%
UV/Vis.: λ_{max}: 215, 271 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

Bicalutamide is supplied as a crystalline solid. A stock solution may be made by dissolving the bicalutamide in the solvent of choice, which should be purged with an inert gas. Bicalutamide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of bicalutamide in these solvents is approximately 1, 14, and 20 mg/ml, respectively.

Bicalutamide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bicalutamide should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Bicalutamide has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bicalutamide is a non-steroidal androgen receptor antagonist that binds the androgen receptor (K_i = 12.5 µM; IC_{50} = 1.2 µM), preventing its activation and subsequent upregulation of androgen responsive genes by androgenic hormones.[1,2] Bicalutamide is frequently used to examine the role of androgen receptor inactivation in the proliferation of prostate cancer cells and has served as a molecular template for the design and structural optimization of more selective androgen receptor modulators for androgen therapy.[3,4]

References

1. Freeman, S.N., Mainwaring, W.I.P., and Furr, B.J.A. A possible explanation for the peripheral selectivity of a novel non-steroidal pure antiandrogen, Casodex (ICI 176,334). *Br. J. Cancer* **60(5)**, 664-668 (1989).
2. Masiello, D., Cheng, S., Bubley, G.J., *et al.* Bicalutamide functions as an androgen receptor antagonist by assembly of a transcriptionally inactive receptor. *J. Biol. Chem.* **277(29)**, 26321-26326 (2002).
3. Gao, W., Kim, J., and Dalton, J.T. Pharmacokinetics and pharmacodynamics of nonsteroidal androgen receptor ligands. *Pharmacol. Res.* **23(8)**, 1641-1658 (2006).
4. Yin, D., Perera, M.A., Dalton, J.T., *et al.* Key structural features of nonsteroidal ligands for binding and activation of the androgen receptor. *Mol. Pharmacol.* **63(1)**, 211-223 (2003).

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