Proteins

# **Product** Data Sheet

## **Flutamide**

Cat. No.: HY-B0022 CAS No.: 13311-84-7 Molecular Formula:  $C_{11}H_{11}F_3N_2O_3$ Molecular Weight: 276.21

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage: Powder -20°C

3 years 4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (362.04 mM; Need ultrasonic)

H<sub>2</sub>O: < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.6204 mL	18.1022 mL	36.2043 mL
	5 mM	0.7241 mL	3.6204 mL	7.2409 mL
	10 mM	0.3620 mL	1.8102 mL	3.6204 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (9.05 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.05 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (9.05 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description	Flutamide is an Androgen Receptor antagonist with Ki=55 nM. Flutamide inhibits prostate cancer progression and has synergistic effects with Docetaxel (HY-B0011). Flutamide also has the potential to protect against hyperthermia-induced multiple organ dysfunction syndrome <sup>[1][2][3][4][5][6][7]</sup> .
In Vitro	The active metabolite of Flutamide, is Flutamide-OH. Both of them directly bind rat anterior pituitary androgen receptor (Ki=55 nM) <sup>[1]</sup> .

Flutamide does not affect the proliferation of an androgen-sensitive clone of the mouse mammary carcinoma Shionogi SC-l 15 cells in culture, shows only antiandrogenic effect, but not androgenic effect [ $^2$ ]. Flutamide provides treatment for prostate cancer when used along with Leuprolide [ $^3$ ]. Flutamide has cytotoxic activity against PC3 and LNCap (IC50s 20  $\mu$ M and 12  $\mu$ M, respectively). Flutamide (10  $\mu$ M, 5  $\mu$ M; 48 h) induces apoptosis and reduces cell migration and colonization in PC3 and LNCap cells [ $^4$ ]. Flutamide also downregulates the expression of KLK2 and EMT pathway genes in cells [ $^4$ ]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Flutamide causes a markedly reduction in rat ventral prostate weight from 319 mg to 245 mg. A combination of Flutamide and LHRH agonist, induces an additive effect with a decrease in prostate weight to 101 mg, and an marked drop in prostatic ODC activity<sup>[5]</sup>.

Flutamide (12.5-50 mg/kg; sc; once daily for 3 days) alleviates heat stroke in heat-stressed mice<sup>[6]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### **CUSTOMER VALIDATION**

- Acta Pharmacol Sin. 2021 Jan;42(1):108-114.
- Ecotoxicol Environ Saf. 2021 Apr 1;212:111991.
- J Steroid Biochem Mol Biol. 2021 Sep 20;214:106001.
- Biotechnol Bioeng. 2021 Sep 3.
- SSRN. 2023 Apr 17.

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#### REFERENCES

- [1]. Simard J, et al. Characteristics of interaction of the antiandrogen flutamide with the androgen receptor in various target tissues. Mol Cell Endocrinol. 1986 Mar;44(3):261-70.
- [2]. Luthy IA, et al. Androgenic activity of synthetic progestins and spironolactone in androgen-sensitive mouse mammary carcinoma (Shionogi) cells in culture. J Steroid Biochem. 1988 Nov;31(5):845-52.
- [3]. Crawford ED, et al. A controlled trial of leuprolide with and without flutamide in prostatic carcinoma. N Engl J Med. 1989 Aug 17;321(7):419-24.
- [4]. Rahimnia R, et al. The effect of Ganoderma lucidum polysaccharide extract on sensitizing prostate cancer cells to flutamide and docetaxel: an in vitro study. Sci Rep. 2023 Nov 2;13(1):18940.
- [5]. Lin CY, et al. Flutamide, an androgen receptor antagonist, improves heatstroke outcomes in mice. Eur J Pharmacol. 2012 Aug 5;688(1-3):62-7.
- [6]. Marchetti B, et al. Characteristics of flutamide action on prostatic and testicular functions in the rat. J Steroid Biochem. 1988 Jun;29(6):691-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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