Flutamide

Cat. No.: HY-B0022

CAS No.: 13311-84-7

Molecular Formula: $C_{11}H_{11}F_3N_2O_3$

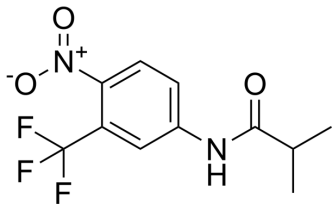
Molecular Weight: 276.21

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_2O : < 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[1][2][3][4][5][6][7].

In Vitro

The active metabolite of Flutamide, is Flutamide-OH. Both of them directly bind rat anterior pituitary androgen receptor (Ki=55 nM)[1].

	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 μM and 12 μM, respectively). Flutamide (10 μM, 5 μM; 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[5]. Flutamide (12.5-50 mg/kg; sc; once daily for 3 days) alleviates heat stroke in heat-stressed mice[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Environ Int. 2024 Jul 2:190:108868.
- 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.

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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.

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