(R)-Bicalutamide

Cat. No.: HY-108250

CAS No.: 113299-40-4

Molecular Formula: $C_{18}H_{14}F_4N_2O_4S$

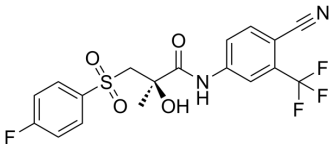
Molecular Weight: 430.37

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 (232.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3236 mL	11.6179 mL	23.2358 mL
	5 mM	0.4647 mL	2.3236 mL	4.6472 mL
	10 mM	0.2324 mL	1.1618 mL	2.3236 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 (5.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(R)-Bicalutamide is the (R)-enantiomer of Bicalutamide (HY-14249). (R)-Bicalutamide is an androgen receptor (AR) antagonist, with antineoplastic activity. (R)-Bicalutamide is widely used for the research of prostate cancer[1][2].

IC_{50} & Target

AR[1]

In Vitro

Bicalutamide (HY-14249) is available as a racemic mixture. The R isomer (R-bicalutamide) has an ≈30-fold higher binding affinity to the AR than the S isomer[1].

(R)-bicalutamide (0.02-20 μM) reduces na ve LNCaP cells survival in a dose-dependent manner[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	LNCaP cells, LNCaP-Rbic cells
Concentration:	0.02 μM, 0.2 μM, 2 μM, 20 μM
Incubation Time:	144 hours
Result:	Reduced naïve LNCaP cells survival in a dose-dependent, with an IC_{50} value of about 7 μM; exerted a poor antiproliferative effect on LNCaP-Rbic.

In Vivo

(R)-Bicalutamide (10 mg/kg; i.g.; daily; for 4 days) has antitumor efficacy in VCaP xenografts mice[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CD1 male nude (nu/nu) mice, with VCaP xenografts[3]
Dosage:	10 mg/kg
Administration:	Orally gavage, daily, for 4 consecutive weeks
Result:	Suppressed tumor growth.

REFERENCES

[1]. Hongli Liu, et al. Molecular mechanism of R-bicalutamide switching from androgen receptor antagonist to agonist induced by amino acid mutations using molecular dynamics simulations and free energy calculation. J Comput Aided Mol Des. 2016 Dec;30(12):1189-1200.

[2]. Sara Pignatta, et al. Prolonged exposure to (R)-bicalutamide generates a LNCaP subclone with alteration of mitochondrial genome. Mol Cell Endocrinol. 2014 Jan 25;382(1):314-324.

[3]. Anna Tesei, et al. Effect of Small Molecules Modulating Androgen Receptor (SARMs) in Human Prostate Cancer Models. PLoS One. 2013; 8(5): e62657.

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