Nilutamide

Cat. No.: HY-13702

CAS No.: 63612-50-0

Molecular Formula: $C_{12}H_{10}F_3N_3O_4$

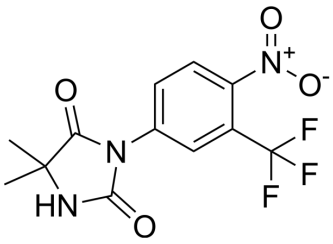
Molecular Weight: 317

Target: Androgen Receptor; Parasite

Pathway: Vitamin D Related/Nuclear Receptor; Anti-infection

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 : ≥ 250 mg/mL (788.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1546 mL	15.7729 mL	31.5457 mL
	5 mM	0.6309 mL	3.1546 mL	6.3091 mL
	10 mM	0.3155 mL	1.5773 mL	3.1546 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: ≥ 2.08 mg/mL (6.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nilutamide (Nilandron) is an orally active nonsteroidal androgen receptor antagonist with affinity for androgen receptors but not for progestogen, estrogen or glucocorticoid receptors. Nilutamide can be used to research prostate cancer. Nilutamide also has antischistosomal properties[1][4].

IC_{50} & Target

Schistosome

In Vitro

Nilutamide (110 μM) inhibits hexobarbital hydroxylase, benzphetamine N-demethylase, benzo(a)pyrene hydroxylase and 7-ethoxycoumarin O-deethylase activities by 85, 40, 35 and 25%, respectively, in human liver microsomes[2].
?Nilutamide (550 μM) does not significantly increase the consumption of NADPH by aerobic microsomes, and does not modify the kinetics for the reduction of cytochrome P-450 by NADPH-cytochrome P-450 reductase in an anaerobic system[2].
?Nilutamide blocks the marked increase in GCDFP-15 release induced by 1 nM testosterone in T-47D cells and ZR-75-1 cells with IC_{50}s of 87 nM and 75 nM, respectively[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nilutamide (50-400 mg/kg; p.o.; single dosage) reduces juvenile and adult Schistosoma mansoni cercariae worm burdens in infected mice[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NMRI mice (20-22 g; n=165; infected subcutaneously with ~80 Schistosoma mansoni cercariae)[4]
Dosage:	50, 100, 200 and 400 mg/kg
Administration:	p.o.; single dosage (21- or 49-day-old S. mansoni infection)
Result:	Reduced total juvenile worm burden with 11.0%, 5.1%, 21.9% and 35.6% at 50, 100, 200 and 400 mg/kg, respectively. Reduced female juvenile worm with 27.5%, 26.1%, 75.4% and 22.5% at 50, 100, 200 and 400 mg/kg, respectively. Observed moderate adult worm reduction with 30.7%-49.6% at 100 and 200 mg/kg. Reduced total and female adult worm burdens by 84.8% and 71.3%, respectively, at 400 mg/kg.

REFERENCES

[1]. Babany G, et al. Inhibitory effects of nilutamide, a new androgen receptor antagonist, on mouse and human liver cytochrome P-450. Biochem Pharmacol. 1989 Mar 15;38(6):941-7.

[2]. Simard J, et al. Comparison of in vitro effects of the pure antiandrogens OH-flutamide, Casodex, and nilutamide on androgen-sensitive parameters. Urology. 1997 Apr;49(4):580-6; discussion 586-9.

[3]. Keiser J, Vargas M, Vennerstrom JL. Activity of antiandrogens against juvenile and adult Schistosoma mansoni in mice. J Antimicrob Chemother. 2010 Sep;65(9):1991-5.

[4]. Harris MG, et al. Nilutamide. A review of its pharmacodynamic and pharmacokinetic properties, and therapeutic efficacy in prostate cancer. Drugs Aging. 1993 Jan-Feb;3(1):9-25.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA