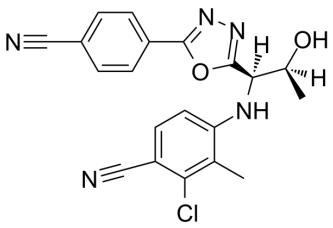
Vosilasarm

Cat. No.:	HY-14383
CAS No.:	1182367-47-0
Molecular Formula:	$C_{20}H_{16}ClN_5O_2$
Molecular Weight:	393.83
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 (253.92 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5392 mL	12.6958 mL	25.3917 mL
5 mM	0.5078 mL	2.5392 mL	5.0783 mL
10 mM	0.2539 mL	1.2696 mL	2.5392 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 (6.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.35 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vosilasarm (RAD140) is a potent, orally active, nonsteroidal selective androgen receptor modulator (SARM) with a K_i of 7 nM. Vosilasarm shows good selectivity over other steroid hormone nuclear receptors[1].

IC_{50} & Target

Ki: 7 nM (Androgen receptor)[1]

In Vitro

Vosilasarm (0-300 nM; pretreated for 1 hour) increases neuron viability against Aβ in a concentration-dependent manner[2].

	Vosilasarm (100 nM; 1 hour) protects cultured neurons against apoptotic insults. Vosilasarm shows protective profiles of significantly protecting against neuronal death induced by Aβ and AAII, but not H_2O_2[2]. Vosilasarm (100 nM; 15 minutes) induces a significant increase in levels of phosphorylated but not total ERK in neuronal cultures[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The stability of Vosilasarm is high ($t_{1/2}$ > 2 h) in incubations with rat, monkey, and human microsomes, and Vosilasarm also has good bioavailability in rats (F = 27-63%) and monkeys (65-75%)[1]. In castrated immature rats, Vosilasarm (0.03-0.3 mg/kg; for 11 days) stimulates the levator ani bulbocavernosus muscle weight and prostate weight[1]. A high dose of Vosilasarm (10 mg/kg, p.o.) actually antagonizes the effect of testosterone propionate (TP) at 1 mg/kg on the seminal vesicles but adds to the effect of TP on the levator ani muscle. The effective dose for achieving antagonism by Vosilasarm is 0.3-1 mg/kg (p.o.) for 1 mg/kg TP (s.c.). In the young castrate male rat model, Vosilasarm appears to be a potent and complete androgen agonist on the levator ani, but a weaker, partial antagonist on the seminal vesicle and possibly the prostate[1]. Vosilasarm is neuroprotective in vivo using the rat kainate lesion model. In experiments with gonadectomized, adult male rats, Vosilasarm is shown to exhibit peripheral tissue-specific androgen action that largely spared prostate, neural efficacy as demonstrated by activation of androgenic gene regulation effects, and neuroprotection of hippocampal neurons against cell death caused by systemic administration of the excitotoxin kainate[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Metabolites. 2021 Feb 1;11(2):85.
- J Steroid Biochem Mol Biol. 2019 Feb 27;189:81-86.
- Drug Test Anal. 2021 Oct 29.
- Drug Test Anal. 2020 Dec 7.
- Drug Test Anal. 2020 Aug 27.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Miller CP, et al. Design, Synthesis, and Preclinical Characterization of the Selective Androgen Receptor Modulator (SARM) RAD140. ACS Med Chem Lett. 2010 Dec 2;2(2):124-129.

[2]. Jayaraman A, et al. Selective androgen receptor modulator RAD140 is neuroprotective in cultured neurons and kainate-lesioned male rats. Endocrinology. 2014 Apr;155(4):1398-1406.

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