Inecalcitol

Cat. No.: HY-32344

CAS No.: 163217-09-2

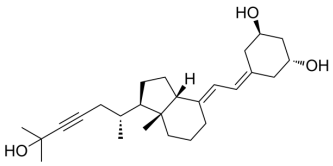
Molecular Formula: $C_{26}H_{40}O_3$

Molecular Weight: 400.59

Target: VD/VDR; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (249.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4963 mL	12.4816 mL	24.9632 mL
	5 mM	0.4993 mL	2.4963 mL	4.9926 mL
	10 mM	0.2496 mL	1.2482 mL	2.4963 mL

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

BIOLOGICAL ACTIVITY

Description

Inecalcitol (TX 522), a unique vitamin D3 analog, is an orally active vitamin D receptor (VDR) agonist with a K_d of 0.53 nM. Inecalcitol can induce cell apoptosis and has potent anticancer activities[1][2][3][4]. Inecalcitol is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

Kd: 0.53 nM (vitamin D receptor (VDR))[2]

In Vitro

Inecalcitol (0.1-10 nM; 48 hours) treatment of LNCaP cells results in decreased expression of both protein and mRNA of Pim-1 in a dose-dependent manner. Inecalcitol (0.1-10 nM; 48 hours) also decreases ETV1 expression levels in a dose-dependent manner[1].

Inecalcitol (10-14 days) inhibits the growth of LNCaP and HL-60 cells with ED_{50} values of 4.0 nM and 0.28 nM, respectively[1].

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

Western Blot Analysis[1]

Cell Line:	LNCaP cells

Concentration:	0.1 nM, 1 nM, 10 nM
Incubation Time:	48 hours
Result:	Resulted in decreased expression of both protein and mRNA of Pim-1 in a dose-dependent manner.

In Vivo

Inecalcitol (1.3 mg/kg; i.p.; 3 times per week; for 42 days) inhibits androgen-responsive prostate cancer growth in vivo[1].
Pharmacokinetic studies show that plasma half-life of Inecalcitol (C57Bl/6J mice; 1.3 mg/kg; i.p.) is 18.3 minutes in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BNX nu/nu mice (8 weeks of age) injected with LNCaP cells[1]
Dosage:	1.3 mg/kg
Administration:	i.p.; 3 times per week; for 42 days
Result:	Inhibited androgen-responsive prostate cancer growth in vivo.

REFERENCES

[1]. Ryoko Okamoto, et al. Inecalcitol, an analog of 1α,25(OH)(2) D(3) , induces growth arrest of androgen-dependent prostate cancer cells. Int J Cancer. 2012 May 15;130(10):2464-73.

[2]. Jacques Medioni, et al. Phase I safety and pharmacodynamic of inecalcitol, a novel VDR agonist with docetaxel in metastatic castration-resistant prostate cancer patients. Clin Cancer Res. 2014 Sep 1;20(17):4471-7.

[3]. Yingyu Ma, et al. Inecalcitol, an analog of 1,25D3, displays enhanced antitumor activity through the induction of apoptosis in a squamous cell carcinoma model system. Cell Cycle. 2013 Mar 1;12(5):743-52.

[4]. L Verlinden, et al. Interaction of two novel 14-epivitamin D3 analogs with vitamin D3 receptor-retinoid X receptor heterodimers on vitamin D3 responsive elements. J Bone Miner Res. 2001 Apr;16(4):625-38.

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