

Product Data Sheet

Product Name: Inecalcitol

Cat. No.: GC61948

Chemical Properties

Cas. No. 163217-09-2

SMILES O[C@H]1C[C@H](O)C/C(C1)=C/C=C2[C@@]3([H])CC[C@H]([C@H](C)CC#CC(C)(O)C)[C@@]3(C)CCC/2

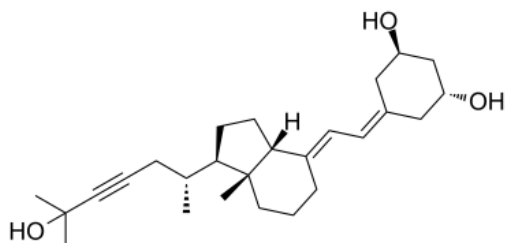
Formula $C_{26}H_{40}O_3$ M.Wt 400.59

Solubility Storage 4°C, protect from light, stored under nitrogen

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Inecalcitol (TX 522), a unique vitamin D3 analog, is an orally active vitamin D receptor (VDR) agonist. Inecalcitol can induce cell apoptosis and has potent anticancer activities[1][2][3].

Inecalcitol (0.1-10 nM; 48 hours) treatment of LNCaP cells resulted in decreased expression of both

Caution: Product has not been fully validated for medical applications. For research use only.

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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 ED50 values of 4.0 nM and 0.28 nM, respectively[1].

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].

References:

- [1]. Ryoko Okamoto, et al. Inecalcitol, an analog of $1\alpha,25(\text{OH})_2\text{D}_3$, 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 $1,25\text{D}_3$, 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.

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