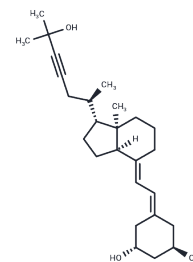


## Inecalcitol

## Chemical Properties

|                   |                                                                                                                     |
|-------------------|---------------------------------------------------------------------------------------------------------------------|
| CAS No. :         | 163217-09-2                                                                                                         |
| Formula:          | C <sub>26</sub> H <sub>40</sub> O <sub>3</sub>                                                                      |
| Molecular Weight: | 400.59                                                                                                              |
| Storage:          | Powder: -20°C for 3 years   In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |



## Biological Description

|               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |
|---------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description   | Inecalcitol is a unique vitamin D3 analog that induces apoptosis and has anticancer activity. It is an orally active vitamin D receptor agonist with a K <sub>d</sub> of 0.53 nM.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| Targets(IC50) | Apoptosis, Vitamin                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |
| In vitro      | <p><b>METHODS:</b> SCC cells were treated with Inecalcitol (TX 522) (0.0008, 0.003, 0.012, 0.05, 0.2, 0.8, 3.2, 12.5 nM, 48 hours) and cell viability was measured by MTT assay.</p> <p><b>RESULTS</b> Inecalcitol inhibited the growth of SCC cells in a dose-dependent manner with an IC<sub>50</sub> of 0.38 nM. [1]</p> <p><b>METHODS:</b> SCC cells were treated with Inecalcitol (TX 522) (1, 10 nM), and Western Blot analysis was used to investigate the mechanism of inecalcitol-induced apoptosis.</p> <p><b>RESULTS</b> Inecalcitol-treated SCC cells resulted in increased activity of caspase 8, 10, and 3, indicating that inecalcitol promotes apoptosis by activating the extrinsic pathway mediated by caspase 8/10 and caspase 3. [1]</p> |
| In vivo       | <p><b>METHODS:</b> Inecalcitol (TX 522) (1.3 mg/kg, intraperitoneal injection, 3 times a week) was used to treat mice bearing LNCaP cell tumor xenografts. Tumor size was measured and calculated, and blood was collected to measure serum calcium levels.</p> <p><b>RESULTS</b> Inecalcitol-treated mice bearing tumor xenografts had a significant reduction in tumor size. The half-life of plasma Inecalcitol was 18.3 minutes. [2]</p>                                                                                                                                                                                                                                                                                                                 |

## Solubility Information

|            |                                                                                                                          |
|------------|--------------------------------------------------------------------------------------------------------------------------|
| Solubility | DMSO: 55 mg/mL (137.3 mM), Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--------------------------------------------------------------------------------------------------------------------------|

### Preparing Stock Solutions

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|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 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  |
| 50 mM | 0.0499 mL | 0.2496 mL  | 0.4993 mL  |

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Ma Y, 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.
- Okamoto R, et al. Inecalcitol, an analog of 1 $\alpha$ ,25(OH)(2) D(3) , induces growth arrest of androgen-dependent prostate cancer cells. *Int J Cancer*. 2012 May 15;130(10):2464-73.
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- Verlinden L, 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.

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