PRODUCT INFORMATION



Inecalcitol

Item No. 37288

CAS Registry No.: 163217-09-2

Formal Name: (1R,3R)-5-[(2E)-2-[(1R,3aR,7aR)-octahydro-

> 1-[(1R)-5-hydroxy-1,5-dimethyl-3-hexyn-1-yl]-7a-methyl-4H-inden-4-ylidene]

ethylidene]-1,3-cyclohexanediol

Synonyms: TX 522, 19-nor-14-epi-23-yne-1,25-

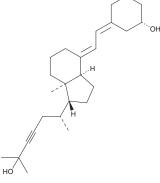
dihydroxy Vitamin D₃

MF: $C_{26}H_{40}O_3$ FW: 400.6 **Purity:** ≥98%

UV/Vis.: λ_{max} : 245, 252, 262 nm

A solid Supplied as: -20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Inecalcitol is supplied as a solid. A stock solution may be made by dissolving the inecalcitol in the solvent of choice, which should be purged with an inert gas. Inecalcitol is soluble in DMSO.

Description

Inecalcitol is a derivative of calcitriol (Item No. 71820) and an agonist of the vitamin D receptor (VDR).1 It induces reporter gene expression in VDR-expressing COS-1 cells when used at a concentration of 1 nM. Inecalcitol induces differentiation of HL-60 leukemia and MG-63 osteosarcoma cells $(EC_{50}s = 6.2 \text{ and } 0.18 \text{ nM}, \text{ respectively})$ and reduces the proliferation of MCF-7 breast cancer cells $(EC_{50}^{2} = 4.1 \text{ nM})$. It protects primary human keratinocytes against DNA damage induced by UVB irradiation in a concentration-dependent manner.3 Inecalcitol (100 nM) inhibits acetylcholine- or ATP-induced contractions of aortic rings isolated from spontaneously hypertensive rats.⁴ Unlike calcitriol, inecalcitol (80 µg/kg) does not increase serum calcium levels or decrease tibia calcium levels in mice.²

References

- 1. Eelen, G., Verlinden, L., Rochel, N., et al. Superagonistic action of 14-epi-analogs of 1,25-dihydroxyvitamin D explained by vitamin D receptor-coactivator interaction. Mol. Pharmacol. 67(5), 1566-1573 (2005).
- Verlinden, L., Verstuyf, A., Van Camp, M., et al. Two novel 14-epi-analogues of 1,25-dihydroxyvitamin D₃ inhibit the growth of human breast cancer cells in vitro and in vivo. Cancer Res. 60(10), 2673-2679 (2000).
- De Haes, P., Garmyn, M., Verstuyf, A., et al. 1,25-Dihydroxyvitamin D₃ and analogues protect primary human keratinocytes against UVB-induced DNA damage. J. Photochem. Photobiol. B 78(2), 141-148 (2005).
- 4. Wong, M.S.K., Delansorne, R., Man, R.Y.K., et al. Vitamin D derivatives acutely reduce endothelium-dependent contractions in the aorta of the spontaneously hypertensive rat. Am. J. Physiol. Heart Circ. Physiol. 295(1), H289-H296 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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