

Product Data Sheet

Product Name: Lexacalcitol

Cat. No.: GC14928

Chemical Properties

Cas. No. 131875-08-6

Chemical Name (1R,3S,5Z)-5-[(2E)-2-[(1S,3aS,7aS)-1-[(1R)-1-(4-ethyl-4-hydroxyhexoxy)ethyl]-7a-methyl-2,3,3a,5,6,7-hexahydro-1H-inden-4-ylidene]ethylidene]-4-methylidenecyclohexane-1,3-diol

SMILES CCC(CC)(CCCOC(C)C1CCC2C1(CCCC2=CC=C3CC(CC(C3=C)O)O)C)O

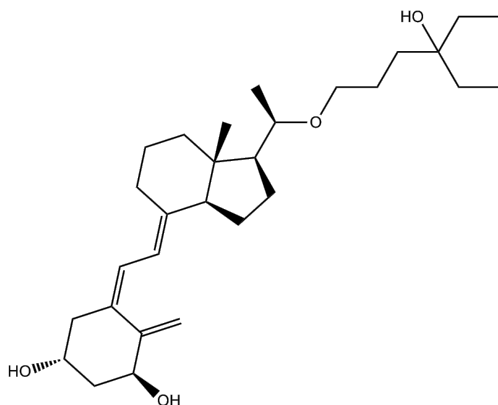
Formula $C_{29}H_{48}O_4$ M.Wt 460.69

Solubility DMSO : 100 mg/mL (217.07 mM; Need ultrasonic) Storage -20°C, protect from light, stored under nitrogen, unstable in solution, ready to use.

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

Lexacalcitol (KH1060) is over 100 times more active than 1alpha,25-dihydroxyvitamin D3 [1alpha,25-(OH)2D3], as judged by in vitro antiproliferative and cell differentiating assays. IC50 value: Target: KH1060 metabolism could be blocked by the cytochrome P450 inhibitor, ketoconazole.

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

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KH1060 was not an effective competitor of C24 oxidation of 1 α ,25-(OH) $_2$ D $_3$. Certain hydroxylated metabolites of KH1060 retained significant biological activity in vitamin D-dependent reporter gene systems (chloramphenicol acetyltransferase). KH 1060 inhibited PBMC proliferation and decreased TNF- α levels in IBD patients and this effect was synergistic with anti-TNF- α . VDR protein levels were significantly increased by PBMC treatment with KH 1060 or anti-TNF- α or their combination in ulcerative colitis (UC) patients, and decreased in Crohn's disease (CD) patients, treating the cells with KH 1060. A synergistic inhibition was registered combining KH 1060 and anti-TNF, at well-defined concentrations. 0.1 nM KH 1060 produced a significant decrease in TNF- α levels, determined by ELISA, although less remarkable than in the presence of anti-TNF.

References:

- [1]. Dilworth FJ, et al. The vitamin D analog, KH1060, is rapidly degraded both in vivo and in vitro via several pathways: principal metabolites generated retain significant biological activity. *Endocrinology*. 1997 Dec;138(12):5485-96.
- [2]. Fullerton A, et al. Characterization of irritant patch test reactions to topical D vitamins and all-trans retinoic acid in comparison with sodium lauryl sulphate. Evaluation by clinical scoring and multiparametric non-invasive measuring techniques. *Br J De*
- [3]. Stio M, et al. Interaction among vitamin D(3) analogue KH 1060, TNF- α , and vitamin D receptor protein in peripheral blood mononuclear cells of inflammatory bowel disease patients. *Int Immunopharmacol*. 2006 Jul;6(7):1083-92. Epub 2006 Feb 23.
- [4]. Shimizu T, et al. Synergistic induction of gene expression during the differentiation into mature macrophage in human myeloblastic leukemia cells treated with TPA and KH1060. *Leuk Res*. 2009 Jun;33(6):803-9. Epub 2009 Jan 13.

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