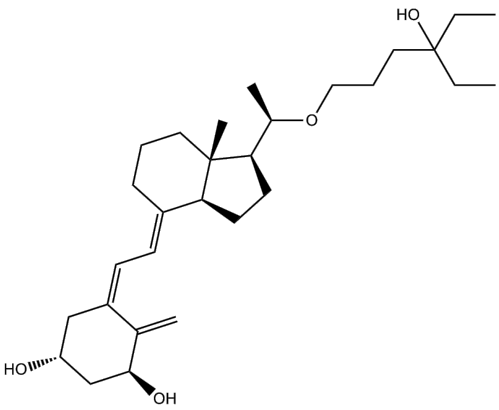
Product Data Sheet

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 1alpha,25-(OH)2D3. 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-alpha levels in IBD patients and this effect was synergistic with anti-TNF-alpha. VDR protein levels were significantly increased by PBMC treatment with KH 1060 or anti-TNF-alpha 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-alpha 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-alpha, 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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