ZK159222

Cat. No.: HY-12397

CAS No.: 156965-15-0

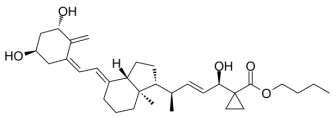
Molecular Formula: $C_{32}H_{48}O_5$

Molecular Weight: 512.72

Target: VD/VDR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (195.04 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9504 mL	9.7519 mL	19.5038 mL
	5 mM	0.3901 mL	1.9504 mL	3.9008 mL
	10 mM	0.1950 mL	0.9752 mL	1.9504 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZK159222, a 25-carboxylic ester analogue of 1α,25-(OH)2D3, is a potent 1α,25-(OH)2D3 receptor (VDR) antagonist. The mechanism of ZK159222 antagonistic action is mediated by a lack of ligand-induced vitamin D receptor interaction with coactivators. ZK159222 has a partial agonistic character[1].

In Vitro

ZK159222, displayed the profile of a weak VDR agonists that requires an approximate 7-fold higher concentration than of the natural hormone 1α,25-(OH)2D3 to stabilize VDR-RXR heterodimer complex formation on a DR3-type VDRE. ZK159222 was found to belong to the category of 1α,25-(OH)2D3 analogues that stabilize an additional third functional VDR conformation, which has also been described for some agonistic 20-epi analogues. The remaining reporter gene activity that was obtained by a combined treatment of 10 nM 1α,25-(OH)2D3 with 1 μM ZK159222 is close to the partial agonistic activity of 1 μM ZK159222[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Herdick M, et al. Antagonistic action of a 25-carboxylic ester analogue of 1alpha, 25-dihydroxyvitamin D3 is mediated by a lack of ligand-induced vitamin D receptor interaction with coactivators. J Biol Chem. 2000 Jun 2;275(22):16506-12.

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