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## Product Data Sheet

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Product Name: ZK168281

Cat. No.: GC63266

### Chemical Properties

Cas. No. 186371-96-0

Formula  $C_{32}H_{46}O_5$

M.Wt 510.7

Solubility DMSO : 100 mg/mL (195.81 mM;  
Need ultrasonic)

Storage 4°C, protect from light, stored  
under nitrogen

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

ZK168281 is a 25-carboxylic ester  $1\alpha,25(OH)_2D_3$  analog and a pure VDR antagonist with a  $K_d$  value of 0.1 nM. ZK168281 is an effective inhibitor of the coactivator (CoA) interaction of its receptor[1].

ZK168281 resembles more the mouse constitutive androstane receptor (CAR) inverse agonist Androstanol in its ability to recruit corepressor (CoR) proteins. A salt bridge between the CoR and a conserved lysine in helix 4 of the nuclear receptor (NR) is central to this interaction, but also helix 12 was stabilized by direct contacts with residues of the CoR. Fixation of helix 12 in the antagonistic/inverse agonistic conformation prevents an energetically unfavorable free floatation of the C terminus[1].

[1]. Lempiäinen H, et al. Antagonist- and inverse agonist-driven interactions of the vitamin D receptor and the constitutive androstane receptor with corepressor protein. *Mol Endocrinol.* 2005 Sep;19(9):2258-72.

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

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