

Product Data Sheet

Product Name: CD 1530

Cat. No.: GC11794

Chemical Properties

Cas. No. 107430-66-0

Chemical Name 4-(7-((1s,3R,5S,7s)-adamantan-1-yl)-6-hydroxynaphthalen-2-yl)benzoic acid

SMILES OC1=CC2=CC=C(C3=CC=C(C(O)=O)C=C3)C=C2C=C1[C@]4(C[C@H]5C6)C[C@@H](C5)C[C@H]6C4

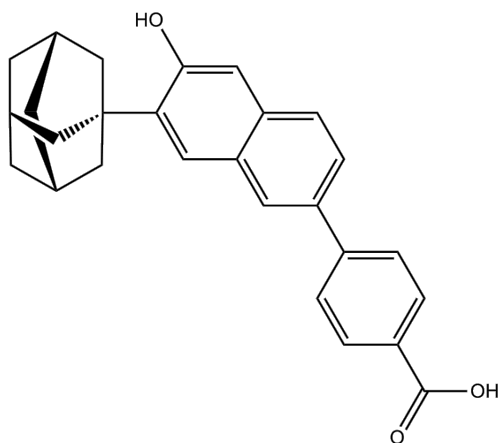
Formula $C_{27}H_{26}O_3$ M.Wt 398.49

Solubility 50 mM in 1 eq. NaOH: Soluble Storage Store at -20°C

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

Target: RAR γ , RAR β and RAR α

Ki: 150, 1500, and 2750 nM

CD1530 is a potent and selective RAR receptor agonist with Ki values of 150, 1500 and 2750 nM for

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RAR γ , RAR β and RAR α receptors, respectively [1]. In addition, CD1530 shows moderate RAR γ selectivity in the transcriptional assay with AC50 value of 1.8 nM. RAR- α is present in the majority of tissues while the distribution of RAR- β and γ is more selective [1]. RAR γ mediated retinoic acid (RA)-induced growth arrest and apoptosis of neoplastic mouse papilloma cell lines [2].

In vitro: CD1530 inhibited excessive ROS production in tongue epithelial cells [2]. In addition, CD1530 was also a potent CYP26A1 inhibitor as ketoconazole with an IC50 value of 530 nM [3].

In vivo: The combination of the drugs bexarotene (300 mg/kg) and CD1530 (2.5 mg/100 mL in drinking water) was more effective than either drug alone in preventing oral carcinogenesis induced by the carcinogen 4-nitroquinoline 1-oxide (4-NQO) in a mouse model of oral-cavity squamous-cell carcinoma (OCSCC), which did not cause cardiovascular risks [2]. High fat diet (HFD)-fed mice treated with CD1530 (2.5 mg/100 mL in drinking water) showed no decreases in steatosis, Kupffer cell TGF- β 1 expression, or Hepatic stellate cells (HSCs) activation [4].

References:

1. Thacher SM, Vasudevan J, Chandraratna RA. Therapeutic applications for ligands of retinoid receptors. *Curr Pharm Des.* 2000;6(1):25-58.
2. Tang XH, Osei-Sarfo K, Urvalek AM, Zhang T, Scognamiglio T, Gudas LJ. Combination of bexarotene and the retinoid CD1530 reduces murine oral-cavity carcinogenesis induced by the carcinogen 4-nitroquinoline 1-oxide. *Proc Natl Acad Sci U S A.* 2014;111(24):8907-12.
3. Thatcher JE, Buttrick B, Shaffer SA, Shimshoni JA, Goodlett DR, Nelson WL, et al. Substrate specificity and ligand interactions of CYP26A1, the human liver retinoic acid hydroxylase. *Mol Pharmacol.* 2011;80(2):228-39.
4. Trasino SE, Tang XH, Jessurun J, Gudas LJ. A retinoic acid receptor beta2 agonist reduces hepatic stellate cell activation in nonalcoholic fatty liver disease. *J Mol Med (Berl).* 2016.

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