(E/Z)-GSK5182

Cat. No.: HY-111226A

CAS No.: 2699724-40-6

Molecular Formula: $C_{27}H_{31}NO_3$

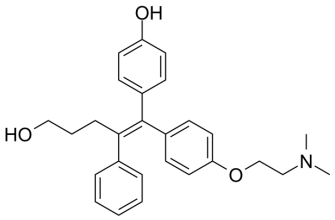
Molecular Weight: 417.54

Target: Estrogen Receptor/ERR; Reactive Oxygen Species

Pathway: Vitamin D Related/Nuclear Receptor; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 75 mg/mL (179.62 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3950 mL	11.9749 mL	23.9498 mL
5 mM	0.4790 mL	2.3950 mL	4.7900 mL
10 mM	0.2395 mL	1.1975 mL	2.3950 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: ≥ 3.75 mg/mL (8.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 3.75 mg/mL (8.98 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.75 mg/mL (8.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(E/Z)-GSK5182 is a racemic compound of (E)-GSK5182 and (Z)-GSK5182 isomers. GSK5182 is a highly selective and orally active inverse agonist of estrogen-related receptor γ (ERRγ) with an IC_{50} of 79 nM[1]. GSK5182 also induces reactive oxyen species (ROS) generation in hepatocellular carcinoma[1][2][3].

REFERENCES

[1]. Kim JH, et al. Estrogen-related receptor γ is upregulated in liver cancer and its inhibition suppresses livercancer cell proliferation via induction of p21 and p27. Exp Mol Med. 2016 Mar 4;48:e213.

[2]. Misra J, et al. ERRγ: a Junior Orphan with a Senior Role in Metabolism. Trends Endocrinol Metab. 2017 Apr;28(4):261-272.

[3]. Kim DK, et al. Inverse agonist of nuclear receptor ERRγ mediates antidiabetic effect through inhibition of hepatic gluconeogenesis. Diabetes. 2013 Sep;62(9):3093-102.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA