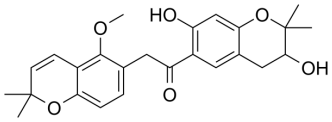
Dihydromunduletone

Cat. No.:	HY-101483
CAS No.:	674786-20-0
Molecular Formula:	$C_{25}H_{28}O_6$
Molecular Weight:	424.49
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (588.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3558 mL	11.7788 mL	23.5577 mL
	5 mM	0.4712 mL	2.3558 mL	4.7115 mL
	10 mM	0.2356 mL	1.1779 mL	2.3558 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: ≥ 2.08 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dihydromunduletone (DHM) is a rotenoid derivative and a selective, potent adhesion G protein-coupled receptor (aGPCR) (GPR56 and GPR114/ADGRG5) antagonist with an IC_{50} of 20.9 μM for GPR56, but not inhibit GPR110 or class A GPCRs[1].

IC_{50} & Target

IC50: 20.9 μM (GPR56)[1]; GPR114[1]

In Vitro

Assays are initiated by the addition of [^{35}S]GTPγS, and the rates of aGPCR-stimulated G protein activation ([^{35}S]GTPγS binding to Gα) are measured with or without the influence of added compounds. Dihydromunduletone (DHM) inhibits the

kinetics of GPR56 7TM-stimulated G13 GTPγS binding to varying degrees. Dihydromunduletone is the best inhibitory compound and reduced the rate at which GPR56 7TM activated G13 >75% (from 0.18 to 0.04 minute^{-1})[1].
At a concentration of Dihydromunduletone (DHM) that maximally inhibits GPR56 (50 μM), the rate of GPR114 7TM-stimulated Gs activity is also inhibited dramatically. When Dihydromunduletone (50 μM) is applied to the GPR110 7TM, it fails to inhibit GPR110 stimulation of Gq GTPγS binding[1].
Cells transfected with GPR56 A386M 7TM are incubated with increasing concentrations of Dihydromunduletone. P7 peptide agonist is added, and SRE-luciferase activity is measured. Dihydromunduletone inhibits the P7 peptide-induced luciferase activity in a concentration-dependent manner. Cells are also treated with a fixed concentration of 3 μM Dihydromunduletone and then stimulated with an increasing concentration of P7 peptide agonist. Dihydromunduletone treatment blunts P7 peptide activation at each concentration. In conclusion, Dihydromunduletone antagonizes synthetic-peptide agonist and tethered-peptide agonist-mediated aGPCR activation in isolated membranes and HEK293T cell-based assays, but it does not inhibit basal receptor signaling[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hannah M. Stoveken, et al. Dihydromunduletone Is a Small-Molecule Selective Adhesion G Protein–Coupled Receptor Antagonist. Mol Pharmacol. 2016 Sep; 90(3): 214–224.

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