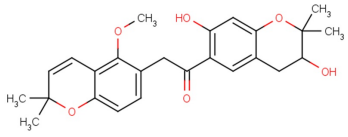
Data Sheet (Cat.No.T11044)

TargetMol
A DRUG SCREENING EXPERT

Dihydromunduletone

Chemical Properties



CAS No.:	674786-20-0
Formula:	C25H28O6
Molecular Weight:	424.49
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Dihydromunduletone (DHM) is a carotenoid derivative and selective strong adhesion G protein-coupled receptor (aGPCR) (GPR56 and GPR114/ADGRG5) antagonists, does not inhibit GPR110 or class A GPCR, IC 50 20.9μM.
Targets(IC_{50})	GPR56: 20.9 μM
In vitro	By adding [35S]GTPγS, the rate of activation of G protein stimulated by aGPCR ([35S]GTPγS binding to Gα) was measured with or without the influence of added compounds. Dihydromunduletone (DHM) inhibits the kinetics of G13GTPγS binding stimulated by GPR56 7TM to varying degrees. Dihydrotonsilone is the best inhibitory compound, reducing the rate of GPR56 7TM activating G13 by> 75% (from 0.18 to 0.04 min-1). At the concentration of dihydromontmorillonite (DHM) that inhibited GPR56 (50 μM) to the greatest extent, the rate of Gs activity stimulated by GPR114 7TM was also significantly inhibited. When dihydroglauberite (50 μM) was used on GPR110 7TM, it could not inhibit GPR110's stimulation of GqGTPγS binding. GPR56 A386M 7TM transfected cells were incubated with increasing concentrations of dihydromontanone. A P7 peptide agonist was added, and SRE-luciferase activity was measured. Dihydrotonsilone inhibits P7 peptide-induced luciferase activity in a concentration-dependent manner. Cells were also treated with a fixed concentration of 3 μM dihydrotonsilone, and then cells were stimulated with increasing concentrations of P7 peptide agonist. At each concentration, dihydromantonone treatment would inactivate the activation of P7 peptide. In summary, dihydromantonone antagonizes aGPCR activation mediated by synthetic peptide agonists and tethered peptide agonists in isolated membranes and HEK293T cell-based assays, but does not inhibit basal receptor signaling.

Solubility Information

Solubility	DMSO: 250 mg/mL (588.94 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.356 mL	11.779 mL	23.558 mL
5 mM	0.471 mL	2.356 mL	4.712 mL
10 mM	0.236 mL	1.178 mL	2.356 mL
50 mM	0.047 mL	0.236 mL	0.471 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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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