Ogerin

Cat. No.: HY-110279

CAS No.: 1309198-71-7

Molecular Formula: $C_{17}H_{17}N_5O$

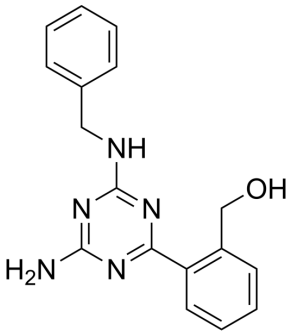
Molecular Weight: 307.35

Target: GPR68

Pathway: GPCR/G Protein

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 (813.40 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2536 mL	16.2681 mL	32.5362 mL
5 mM	0.6507 mL	3.2536 mL	6.5072 mL
10 mM	0.3254 mL	1.6268 mL	3.2536 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 (6.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ogerin is a selective GPR68 positive aliasing modulator (PAM) (pEC_{50}=6.83) with a moderate antagonistic effect on A_{2A} (K_i =220 nM). Ogerin inhibits the fear conditioning reflex in mice and also inhibits TGF-β-induced myofibroblast differentiation of fibroblasts from multiple organ systems. Ogerin can be used in the studies of fibrotic diseases and neurological disorders [1][2].

IC_{50} & Target

pEC50: 6.83 (GPR68)[1]

Ki: 220 nM (A_{2A} receptoor), 736 nM ($5\text{-}HT_{2B}$ receptor)[1]

In Vitro

Ogerin (50-150 μM; 72 h) inhibits and partially reverses TGF-β induced pro-fibrotic fibroblast phenotypes in PHLFs[1].
?Ogerin (50-150 μM; 48 h) inhibits basal and TGF-β induced collagen production at the transcriptional level in PHLFs[1].
?Ogerin (50, 100 μM; 72 h) shows anti-proliferative effect on TGF-β stimulated PHLFs[1].
?Ogerin (150 μM; 40 min) activates $G\alpha_s$ signaling in PHLFs[1].
?Ogerin (50 μM; 10 min) activates the PKA and MAP kinase pathways in HEK293 cells (stably expressing HA-GPR68)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Primary human lung fibroblasts (PHLFs) (TGF-β induced)
Concentration:	50, 100 μM
Incubation Time:	72 h
Result:	Inhibited TGF-β stimulated proliferation.

Cell Viability Assay[2]

Cell Line:	HEK293 cells (stably expressing HA-GPR68)
Concentration:	50 μM
Incubation Time:	10 min
Result:	Activated PKA and p42/p44 MAP kinase.

RT-PCR[1]

Cell Line:	Primary human lung fibroblasts (PHLFs) (TGF-β induced)
Concentration:	50-150 μM
Incubation Time:	48 h
Result:	Suppressed TGF-β induced Col1A1 and Col3A1 mRNA levels in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	Primary human lung fibroblasts (PHLFs) (TGF-β induced)
Concentration:	150 μM
Incubation Time:	40 min (pre-treat)
Result:	Induced CREB phosphorylation in both non-fibrotic and fibrotic PHLFs.

Western Blot Analysis[1]

Cell Line:	Primary human lung fibroblasts (PHLFs) (TGF-β induced)
Concentration:	50-150 μM
Incubation Time:	72 h
Result:	Inhibited TGF-β induced αSMA expression in a dose-dependent manner.

In Vivo

Ogerin (10 mg/kg; single) shows effects that supports a role for GPR68 in hippocampal-associated memory[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	GPR68 knockout and WT mice[2].
Dosage:	10 mg/kg
Administration:	Single (30 min before the training)
Result:	Suppressed recall in fear conditioning in wild-type, but not in GPR68 knockout mice.

REFERENCES

[1]. Bell TJ, et al. Ogerin mediated inhibition of TGF-β(1) induced myofibroblast differentiation is potentiated by acidic pH. PLoS One. 2022 Jul 28;17(7):e0271608.

[2]. Huang XP, et al. Allosteric ligands for the pharmacologically dark receptors GPR68 and GPR65. Nature. 2015 Nov 26;527(7579):477-83.

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