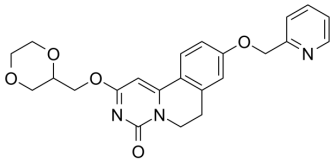
GPR84 antagonist 8

Cat. No.:	HY-112562
CAS No.:	1445846-30-9
Molecular Formula:	$C_{23}H_{23}N_3O_5$
Molecular Weight:	421.45
Target:	GPR84
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 : 5 mg/mL (11.86 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3728 mL	11.8638 mL	23.7276 mL
5 mM	0.4746 mL	2.3728 mL	4.7455 mL
10 mM	0.2373 mL	1.1864 mL	2.3728 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: ≥ 0.54 mg/mL (1.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.54 mg/mL (1.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.54 mg/mL (1.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GPR84 antagonist 8 is a selective GPR84 antagonist.

IC_{50} & Target

GPR84[1]

In Vitro

GPR84 is a member of the metabolic G protein-coupled receptor family, and its expression has been described predominantly in immune cells. To test the hypothesis that blocking the activation of GPR84 can be a potential anti-inflammatory strategy in different inflammatory diseases, GPR84 antagonist 8 is used. The potency and specificity of GPR84

antagonist 8 is assessed tusing GPR84-CHO cells in the cAMP assay. GPR84 antagonist 8 effectively inhibits the action of 6-OAU in decreasing cAMP production in GPR84-CHO cells. To test GPR84 antagonist 8's inhibition of the pro-inflammatory effects of GPR84 activation in macrophages, LPS pre-treated BMDMs are incubated with 10 μM GPR84 antagonist 8 for 30 min before adding 1 μM 6-OAU. Protein analysis by Western Blot shows that the GPR84 antagonist 8 partially blocks the phosphorylation of AKT and ERK induced by 6-OAU[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Bone marrow-derived macrophages treated with either vehicle (0.3% DMSO) or 1 μM 6-OAU for 1 h are incubated with unopsonised pHrodo E. coli bioparticles at 0.1 mg/mL in a 96-well flat clear bottom plate. For the inhibition studies with GPR84 antagonist 8, cells are pretreated with 10 μM GPR84 antagonist 8 for 30 min before addition of either vehicle or 6-OAU. The plate is then placed into the IncuCyte Zoom platform which is housed inside a humidified incubator at 37°C, 5% CO_2. Two to four images per well from three technical replicates are taken every 15 min for 4 h[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- BMC Cancer. 2023 May 11;23(1):426.

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REFERENCES

[1]. Recio C, et al. Activation of the Immune-Metabolic Receptor GPR84 Enhances Inflammation and Phagocytosis in Macrophages. Front Immunol. 2018 Jun 20;9:1419.

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