GPR84 antagonist 3

Cat. No.: HY-151100

CAS No.: 2815263-05-7

Molecular Formula: $C_{29}H_{27}N_5O$

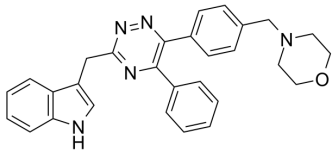
Molecular Weight: 461.56

Target: GPR84

Pathway: GPCR/G Protein

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (216.66 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1666 mL	10.8328 mL	21.6657 mL
	5 mM	0.4333 mL	2.1666 mL	4.3331 mL
	10 mM	0.2167 mL	1.0833 mL	2.1666 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: ≥ 2.5 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GPR84 antagonist 3 (compound 42) is a potent GPR84 (G-protein-coupled receptor 84) antagonist. GPR84 antagonist 3 inhibits GTPγS, with a pIC_{50} of 8.28. GPR84 antagonist 3 has a favorable pharmacokinetic profile suitable[1].

IC_{50} & Target

pIC50: 8.28 ± 0.11 (GTPγS)[1]

In Vitro

GPR84 antagonist 3 (compound 42) displays no ability to block the actions of the C3 fatty acid propionate at either FFAR2 or FFAR3 when tested at 10 μM[1]

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

In Vivo

GPR84 antagonist 3 (compound 42) (1 mg/kg (IV), 10 mg/kg (Orally); once) has a good elimination half-life (2.51 h), moderate rate of clearance, and bioavailability[1].

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

Animal Model:	Male C57BL/6J mice (n = 3)[1]
Dosage:	1 mg/kg (IV), 10 mg/kg (Orally)
Administration:	IV, Orally; once (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of GPR84 antagonist 3 in Male C57BL/6J mice[1].

	IV (1 mg/kg)	PO (10 mg/kg)
half-life (h)	2.51 ± 6.55	
CL (mL/min/kg)	38.9 ± 13.9	
Vss (L/kg)	7.24 ± 9.2	
C_0 (ng/mL)	394 ± 19.1	
AUCall (ng/mL·h)	420 ± 12.5	1590 ± 18.7
T_{max} (h)		1
C_{max} (ng/mL)		402 ± 31.8
F (%)		36.8 ± 18.7

REFERENCES

[1]. Mahindra A, et al. Investigating the Structure-Activity Relationship of 1,2,4-Triazine G-Protein-Coupled Receptor 84 (GPR84) Antagonists. J Med Chem. 2022 Aug 10.

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