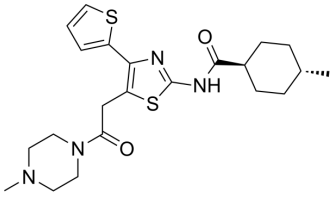
GPR81 agonist 1

Cat. No.:	HY-135982
CAS No.:	1620992-67-7
Molecular Formula:	$C_{22}H_{30}N_4O_2S_2$
Molecular Weight:	446.63
Target:	GPR109A
Pathway:	GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (111.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2390 mL	11.1949 mL	22.3899 mL
	5 mM	0.4478 mL	2.2390 mL	4.4780 mL
	10 mM	0.2239 mL	1.1195 mL	2.2390 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 (5.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GPR81 agonist 1 is a potent and highly selective GPR81 agonist, with EC_{50}s of 58 nM and 50 nM for human and mouse GPR81, respectively. GPR81 agonist 1 inhibits lipolysis in differentiated 3T3-L1 adipocytes. GPR81 agonist 1 suppresses lipolysis in mice without cutaneous flushing. GPR81 agonist 1 displays remarkable selectivity for GPR81 over GPR109a[1].

In Vitro

GPR81 agonist 1 (compound 2) (1-1000 nM) inhibits lipolysis in differentiated 3T3-L1 adipocytes[1].

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

In Vivo

GPR81 agonist 1 (100 mg/kg; i.p.) suppresses lipolysis in mice without cutaneous flushing[1].

GPR81 agonist 1 (10 mg/kg; i.p.) shows good bioavailability (71%) and C_{max} (6.3 μM)[1].

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

Animal Model:	Nine-week-old male C57/Bl6 mice (fed and fasted mice)[1]
Dosage:	100 mg/kg
Administration:	I.p.
Result:	Reduced plasma FFA content of fed and fasted mice by approximately 50% and 35%, respectively, at 15 min postdose when intraperitoneally administered at a dose of 100 mg/kg.

Animal Model:	Male C57/Bl6 mice[1]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	I.p.(Pharmacokinetic Analysis)
Result:	Showed good bioavailability (71%) and C_{max} (6.3 μM).

CUSTOMER VALIDATION

- Mol Carcinog. 2023 May 30.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Sakurai T, et al. Identification of a novel GPR81-selective agonist that suppresses lipolysis in mice without cutaneous flushing. Eur J Pharmacol. 2014;727:1-7.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA