TC-G 1005

Cat. No.: HY-110173

CAS No.: 1415407-60-1

Molecular Formula: $C_{25}H_{25}N_3O_2$

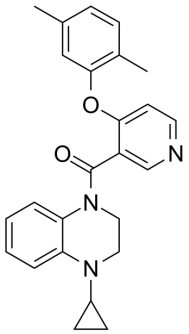
Molecular Weight: 399.48

Target: G protein-coupled Bile Acid Receptor 1

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 : 33.33 mg/mL (83.43 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5033 mL	12.5163 mL	25.0325 mL
5 mM	0.5007 mL	2.5033 mL	5.0065 mL
10 mM	0.2503 mL	1.2516 mL	2.5033 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 (6.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TC-G 1005 is a potent, selective and orally active agonist of the BA receptor Takeda G protein-coupled receptor 5 (TGR5), with EC_{50}s of 0.72 and 6.2 nM for hTGR5 and mTGR5, respectively. TC-G 1005 can reduce glucose levels in vivo[1][2].

IC_{50} & Target

IC50: 0.72 nM (hTGR5); 6.2 nM (mTGR5)[1]

In Vitro

TC-G 1005 activates human and mouse TGR5 with EC_{50}s of 0.72 nM and 6.2 nM, respectively[1].

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

In Vivo

TC-G 1005 (25-100 mg/kg; a single p.o.) stimulates GLP-1 secretion in imprinting control region (ICR) mice[1].

TC-G 1005 (50 mg/kg; a single p.o.) causes a 49% reduction in blood glucose $AUC_{0\text{-}120\ min}$ in ICR mice[1].

TC-G 1005 (50 mg/kg; a single p.o.) significantly reduces blood glucose at 4, 6, 10, and 24 h in db/db mice[1].
TC-G 1005 (5 mg/kg; p.o.) exhibits rather low plasma exposure, with a C_{max} of 56 ng/mL and a $t_{1/2}$ of 1.5 in rats[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ICR mice[1]
Dosage:	25, 50, 100 mg/kg
Administration:	A single p.o.
Result:	Increased the plasma active GLP-1 levels by 31, 96, and 282% at doses of 25, 50, and 100 mg/kg, respectively.

REFERENCES

[1]. Duan H, et, al. Design, synthesis, and antidiabetic activity of 4-phenoxynicotinamide and 4-phenoxypyrimidine-5-carboxamide derivatives as potent and orally efficacious TGR5 agonists. J Med Chem. 2012 Dec 13;55(23):10475-89.

[2]. Urso A, et, al. Bile acids inhibit cholinergic constriction in proximal and peripheral airways from humans and rodents. Am J Physiol Lung Cell Mol Physiol. 2020 Feb 1;318(2):L264-L275.

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