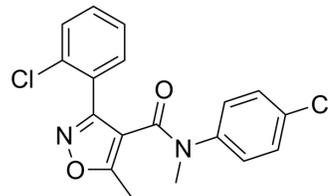


TGR5 Receptor Agonist

Cat. No.:	HY-14229		
CAS No.:	1197300-24-5		
Molecular Formula:	C ₁₈ H ₁₄ Cl ₂ N ₂ O ₂		
Molecular Weight:	361.22		
Target:	G protein-coupled Bile Acid Receptor 1; Calcium Channel		
Pathway:	GPCR/G Protein; Membrane Transporter/Ion Channel; Neuronal Signaling		
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 : ≥ 48 mg/mL (132.88 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.7684 mL	13.8420 mL	27.6840 mL
	5 mM	0.5537 mL	2.7684 mL	5.5368 mL
	10 mM	0.2768 mL	1.3842 mL	2.7684 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 10 mg/mL (27.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 10 mg/mL (27.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TGR5 Receptor Agonist (CCDC), a potent Takeda G protein-coupled receptor 5 (TGR5; GPCR19) agonist, shows improved potency in the U2-OS cells and melanophore cells with pEC₅₀s of 6.8 and 7.5, respectively. TGR5 Receptor Agonist can induce peripheral and central hypersensitivity to bladder distension in mice, and increase intracellular Ca²⁺ concentration. TGR5 Receptor Agonist can also reduce food intake and improve insulin responsiveness, in diet-induced obese mice. TGR5 Receptor Agonist can be used to research diabetes, bladder hypersensitivity and anti-obesity^{[1][2][3][4]}.

IC₅₀ & Target

TGR5^[1]

In Vivo

TGR5 Receptor Agonist (CCDC) activates directly a sub-population of bladder-innervating dorsal root ganglia (DRG) neurons

and a small percentage of non-neuronal cells in *Trpv1*^{-/-} mice^[2].

?TGR5 Receptor Agonist (CCDC) (2? or 5 µg; ICV) reduces food intake and body weight in diet-induced obese mice^[3].

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

Animal Model:	Female C57BL/6J mice [12-18 weeks; TRPV1 knockout (<i>trpv1</i> ^{-/-}), TRPA1 knockout (<i>trpa1</i> ^{-/-}), or TGR5 knockout (<i>Gpbar1</i> ^{-/-})] ^[2]
Dosage:	100 µM, 100 µL
Administration:	Infused gently, to fill but not fully distend the bladder, and allowed to incubate for 5 min
Result:	Activated directly a sub-population of bladder-innervating dorsal root ganglia (DRG) neurons in <i>Trpv1</i> ^{-/-} mice, also activated a small percentage of non-neuronal cells. Increased intracellular Ca ²⁺ in bladder-innervating DRG neurons. Increased intracellular Ca ²⁺ in a small proportion of non-neuronal cells.
Animal Model:	Male C57BL/6J mice (obese induced by high-fat diet) ^[3]
Dosage:	2 or 5 µg at a volume of 0.2 µL per brain side and a rate of 0.6 µL/min
Administration:	ICV (acute intra-hypothalamic experiment)
Result:	Significantly reduced food intake over time, with a robust reduction in 24 h food intake and body weight gain.
Animal Model:	Male C57BL/6J mice (obese induced by high-fat diet; implanted with micro-osmotic pumps that were filled with CCDC) ^[3]
Dosage:	5 µg/day; 91.9 µL, pumping rate of 0.09 µL/h
Administration:	ICV; for 4 weeks (chronic experiment)
Result:	Reduced food intake and improved insulin responsiveness. Increased energy expenditure during the dark phase. Increased mRNA expression of β1, 2, and 3 adrenoreceptors (<i>Adrb1</i> , <i>Adrb2</i> , and <i>Adrb3</i>) in the epididymal white adipose tissue, and increased <i>Dio2</i> (the gene expressing the enzyme D2) in brown adipose tissue.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jun 30;14(1):3863.

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REFERENCES

[1]. Caldwell A, Grundy L, Harrington AM, Garcia-Caraballo S, Castro J, Bunnett NW, Brierley SM. TGR5 agonists induce peripheral and central hypersensitivity to bladder distension. *Sci Rep.* 2022 Jun 15;12(1):9920.

[2]. Castellanos-Jankiewicz A, et al. Hypothalamic bile acid-TGR5 signaling protects from obesity. *Cell Metab.* 2021 Jul 6;33(7):1483-1492.e10.

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

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