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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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TGR5 Receptor Agonist

Cat. No.: HY-14229

CAS No.: 1197300-24-5

Molecular Formula: $C_{18}H_{14}Cl_2N_2O_2$

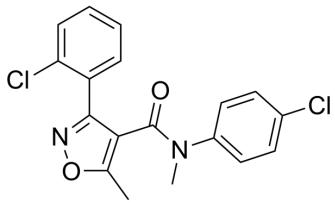
Molecular Weight: 361.22

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	Mass / Solvent / Concentration	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

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 10 mg/mL (27.68 mM); Clear solution
2. 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 pEC_{50}s of 6.8 and 7.5, respectively. TGR5 Receptor Agonist can induce peripheral and central hypersensitivity to bladder distension in mice, and increase intracellular Ca^{2+} concentration. TGR5 Receptor Agonist can also reduces food intake and improves 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].

IC_{50} & 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 (trpv1$^{-/-}$), TRPA1 knockout (trpa1$^{-/-}$), or TGR5 knockout (Gpbar1$^{-/-}$)][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 Trpv1$^{-/-}$ 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 (Adrb1, Adrb2, and Adrb3) in the epididymal white adipose tissue, and increased Dio2 (the gene expressing the enzyme D2) in brown adipose tissue.

CUSTOMER VALIDATION

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

See more customer validations on www.MedChemExpress.com

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.

[3]. Evans KA, et al. Discovery of 3-aryl-4-isoxazolecarboxamides as TGR5 receptor agonists. J Med Chem. 2009 Dec 24;52(24):7962-5.

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