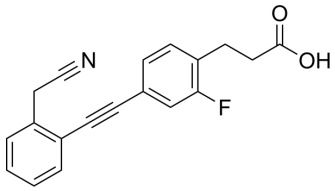
TUG-770

Cat. No.:	HY-15697
CAS No.:	1402601-82-4
Molecular Formula:	$C_{19}H_{14}FNO_2$
Molecular Weight:	307.32
Target:	Free Fatty Acid Receptor
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 : ≥ 100 mg/mL (325.39 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2539 mL	16.2697 mL	32.5394 mL
5 mM	0.6508 mL	3.2539 mL	6.5079 mL
10 mM	0.3254 mL	1.6270 mL	3.2539 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 (8.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TUG-770 is a potent, selective and orally active GPR40/FFA1 agonist with an EC_{50} of 6 nM for human FFA1. TUG-770 shows a high selectivity for FFA1 over FFA2, FFA3, FFA4, PPARγ, other receptors, transporters, and enzymes. TUG-770 can be uesd for type 2 diabetes research[1]. TUG-770 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EC50: 6 nM (Human GPR40/FFA1)[1]

In Vitro

TUG-770 (Compound 22) displays excellent physicochemical and in vitro ADME properties, with good aqueous solubility, good chemical stability, low lipophilicity, and decreased plasma protein binding (PPB). TUG-770 shows excellent stability

toward human liver microsomes (HLM), and good permeability in the Caco-2 cell assay[1].
TUG-770 exhibits lower potency on the rodent orthologs (mFFA1, pEC_{50} = 6.83; rFFA1, pEC_{50} = 6.49)[1].
In the rat INS-1E cell line, TUG-770 significantly increases insulin secretion (10.75% of total content with 10 μM 22 vs 8.74 with vehicle) at high glucose concentration (12.4 mM) and, no effect (4.14% of total content with 10 μM 22 vs 4.02 with vehicle) at low glucose concentration (2.8 mM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TUG-770 (Compound 22; 20 mg/kg; oral administration; daily; for 28 days) treatment significantly improves glucose tolerance, and has no effect on food intake, body weight, body composition or plasma leptin concentration. TUG-770 also significantly improves the insulin sensitivity index (plasma glucose x plasma insulin) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C56B1/6 male mice (5-6 weeks of age) fed on the 60% fat diet D12492[1]
Dosage:	20 mg/kg
Administration:	Oral administration; daily; for 28 days
Result:	Significantly improved glucose tolerance.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2023 May.
- Chem Biol Interact. 2018 Sep 20;296:185-197.

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REFERENCES

[1]. Christiansen E, et al. Discovery of TUG-770: A Highly Potent Free Fatty Acid Receptor 1 (FFA1/GPR40) Agonist for Treatment of Type 2 Diabetes. ACS Med Chem Lett. 2013 May 9;4(5):441-445.

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