BETP

Cat. No.: HY-103546

CAS No.: 1371569-69-5

Molecular Formula: $C_{20}H_{17}F_3N_2O_2S$

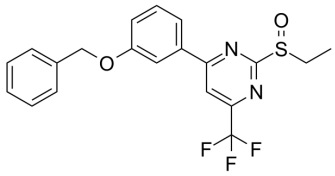
Molecular Weight: 406.42

Target: GCGR

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 : 25 mg/mL (61.51 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4605 mL	12.3025 mL	24.6051 mL
5 mM	0.4921 mL	2.4605 mL	4.9210 mL
10 mM	0.2461 mL	1.2303 mL	2.4605 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 (6.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BETP is an agonist of glucagon-like peptide-1 (GLP-1) receptor, with EC_{50}s of 0.66 and 0.755 μM for human and rat GLP-1 receptor, respectively.

IC_{50} & Target

EC50: 0.66 μM (Human GLP-1 receptor), 0.755 μM (Rat GLP-1 receptor)[1]

In Vitro

BETP is a GLP-1 receptor agonist, with EC_{50}s of 0.66 and 0.755 μM for human and rat GLP-1 receptor, respectively. BETP (Compound B) is inactive in cells expressing the GLP-2, GIP, PTH, or glucagon receptors. BETP (1-10 μM) enhances insulin secretion in normal and diabetic human islets. In addition, BETP in combination with GLP-1 shows additive effects on increasing GLP-1 receptor signaling[1]. BETP increases the potency of oxyntomodulin by 10-fold (EC_{50} of 80 pM). GLP-1 does

	not change the potencies and efficacies of both oxyntomodulin and glucagon at the glucagon receptor. BETP (0-30 μM) increases the binding affinity of oxyntomodulin for the GLP-1 receptor[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BETP has insulinotropic effect in SD rats. BETP (10 mg/kg, jugular vein cannula) exhibits insulin secretagogue activity in the intravenous glucose tolerance test (IVGTT) model. BETP (10 mg/kg, i.v.)-treated rats need 20% higher glucose infusion rates and demonstrates higher plasma insulin levels in SD rat hyperglycemic clamp model[1]. BETP (5 mg/kg) enhances oxyntomodulin-stimulated insulin secretion[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] The IVGTT studies are performed. Male SD rats are group-housed three per cage in polycarbonate cages with filter tops. Rats are maintained on a 12:12 h light-dark cycle (lights on at 6:00 a.m.) at 21°C and receive diet and deionized water ad libitum. Rats are fasted overnight and anesthetized with 60 mg/kg pentobarbital for the duration of the experiment. For glucose and compounds (BETP, etc.) administration, a catheter with a diameter of 0.84 mm is inserted into the jugular vein. For rapid blood collection, a larger catheter with 1.02-mm diameter is inserted into the carotid artery. Blood is collected for glucose and insulin levels at time 0, 2, 4, 6, 10, and 20 min after intravenous administration of the BETP which is immediately followed by an intravenous glucose bolus of 0.5 g/kg. Plasma levels of glucose and insulin are determined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sloop KW, et al. Novel small molecule glucagon-like peptide-1 receptor agonist stimulates insulin secretion in rodents and from human islets. Diabetes. 2010 Dec;59(12):3099-107.

[2]. Willard FS, et al. Small molecule allosteric modulation of the glucagon-like Peptide-1 receptor enhances the insulinotropic effect of oxyntomodulin. Mol Pharmacol. 2012 Dec;82(6):1066-73.

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