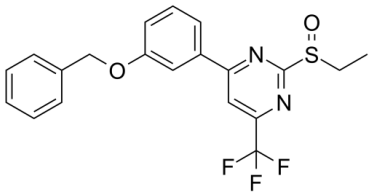
Data Sheet

Product Name:	BETP
Cat. No.:	CS-0028074
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
Solubility:	DMSO : 25 mg/mL (61.51 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: BETP is formulated in dosing solution containing 10% ethanol/solutol, 20% polyethylene glycol-400, and 70% PBS (pH 7.4)[1].[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].

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.

CAIndexNames:

Pyrimidine, 2-(ethylsulfinyl)-4-[3-(phenylmethoxy)phenyl]-6-(trifluoromethyl)-

SMILES:

FC(C1=CC(C2=CC=CC(OCC3=CC=CC=C3)=C2)=NC(S(CC)=O)=N1)(F)F

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