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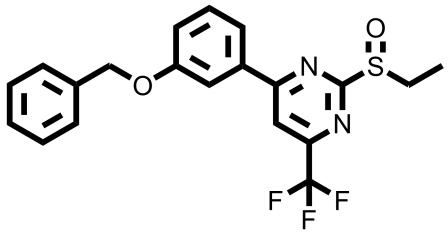
GLP-1R Potentiator – BETP

Chemical Name: 4-(3-(benzyloxy)phenyl)-2-(ethylsulfinyl)-6-(trifluoromethyl)pyrimidine



Molecular Weight:	406.42
Formula:	$C_{20}H_{17}F_3N_2O_2S$
Purity:	≥98%
CAS#:	1371569-69-5
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

BETP is positive allosteric modulator and partial agonist of the glucagon-like peptide 1 (GLP-1) receptor. It covalently modifies cysteines 347 and 438 in GLP-1R. Specificity studies have shown that it has no activity on GLP-2, GIP, PTH or glucagon receptors. BETP has been shown to potentiate GLP-1R–dependent intracellular calcium mobilization but not cAMP accumulation in response to GLP-1(7-36)NH2 in recombinant cell lines. Conversely, BETP can enhance cAMP efficacy of GLP-1(9-36)NH2 at GLP-1R but not intracellular calcium mobilization. BETP also potentiates cAMP production of the dual-acting GLP-1R/glucagon (GCG) receptor (GCGR) agonist oxyntomodulin at GLP-1R. It promotes GLP-1(9-36)NH2–mediated glucose-dependent insulin secretion in rodent and human islet preparations as well as in rodent models following intravenous administration.

How to Use:

In vitro: BETP was used at 5-20 μM in vitro and cellular assays.

In vivo: BETP was administered through intravenous administration at 5 mg/kg to Wistar rats for IVGTT (In Vivo Intravenous Glucose Tolerance Test). Formulation: 10% ethanol-Solutol, 20% polyethylene glycol-400, and 70% phosphate-buffered saline, pH 7.4.

Reference:

1. Sloop KW, et al. Novel small molecule glucagon-like peptide-1 receptor agonist stimulates insulin secretion in rodents and from human islets. (2010) Diabetes. 59(12):3099-107.
2. Cheong YH, et al. Two small molecule agonists of glucagon-like peptide-1 receptor modulate the receptor activation response differently. (2012) Biochem Biophys Res Commun. 417(1):558-63.
3. Willard FS, et al. Small molecule allosteric modulation of the glucagon-like Peptide-1 receptor enhances the insulinotropic effect of oxyntomodulin. (2012) Mol Pharmacol. 82(6):1066-73.
4. Nolte WM, et al. A potentiator of orthosteric ligand activity at GLP-1R acts via covalent modification. (2014) Nat Chem Biol. In press.