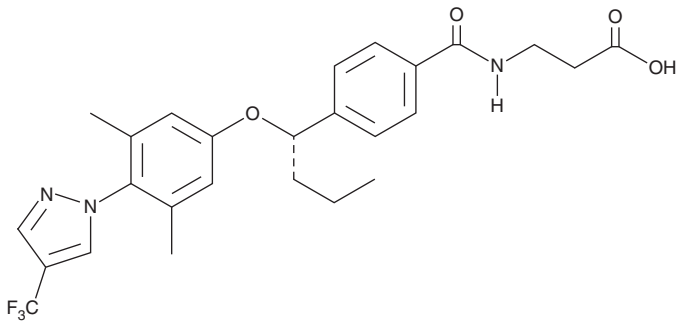
PRODUCT INFORMATION

Glucagon Receptor Antagonist 4

Item No. 22164

CAS Registry No.:	1393124-08-7
Formal Name:	N-[4-[(1S)-1-[3,5-dimethyl-4-[4-(trifluoromethyl)-1H-pyrazol-1-yl]phenoxy]butyl]benzoyl]-β-alanine
Synonym:	GCGR Antagonist IV
MF:	$C_{26}H_{28}F_3N_3O_4$
FW:	503.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 234 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Glucagon receptor antagonist 4 is supplied as a crystalline solid. A stock solution may be made by dissolving the glucagon receptor antagonist 4 in the solvent of choice, which should be purged with an inert gas. Glucagon receptor antagonist 4 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of glucagon receptor antagonist 4 in ethanol is approximately 0.5 mg/ml and approximately 50 mg/ml in DMSO and DMF.

Glucagon receptor antagonist 4 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, glucagon receptor antagonist 4 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Glucagon receptor antagonist 4 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glucagon receptor antagonist 4 is an antagonist of the glucagon receptor (GCGR; K_i = 14 nM for the human recombinant receptor).[1] It is greater than 230-fold selective for GCGR over the glucagon-like peptide 1 (GLP-1) receptor, as well as a panel of 69 receptors, ion channels, uptake sites, and enzymes at 10 µM. Glucagon receptor antagonist 4 prevents glucagon-induced increases in glucose levels in rat blood when administered at doses of 7.5 and 75 mg/kg.

Reference

1. Guzman-Perez, A., Pfefferkorn, J.A., Lee, E.C., *et al.* The design and synthesis of a potent glucagon receptor antagonist with favorable physicochemical and pharmacokinetic properties as a candidate for the treatment of type 2 diabetes mellitus. *Bioorg. Med. Chem. Lett.* **23(10)**, 3051-3058 (2013).

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