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

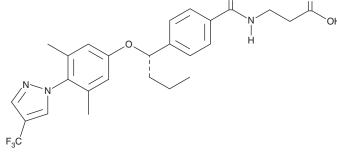
Synonym: GCGR Antagonist IV

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

-20°C Storage: 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). 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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