

Product Data Sheet

Product Name: GRA Ex-25

Cat. No.: GC31379

Chemical Properties

Cas. No. 307983-31-9

SMILES O=C(O)CCNC(C1=CC=C(CN([C@H]2CC[C@H](C(C)(C)C)CC2)C(NC3=CC=C(OC(F)(F)F)C=C3)=O)C=C1)=O

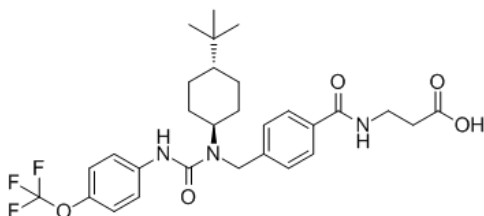
Formula $C_{29}H_{36}F_3N_3O_5$ M.Wt 563.61

Solubility DMSO : ≥ 32 mg/mL (56.78 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Molecular Docking of the Receptor-CLigand Complex[1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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The receptor and small ligand molecules Gra EX-25 were used to conduct molecular docking of 5XEZ-A with dauricine and Gra Ex-25 using Vina Preparation Method computing under the calculation conditions screened. In addition, scoring data and PyMol were used to analyze the ligand and receptor amino acid binding way.

Applications Gra Ex-25 is bonded to Ser350 and Lys349 amino acids of GCGR through hydrogen bonding.

Animal experiment [2]:

Animal models Glucagon challenged rats

Preparation Method Anesthetized animals were given an intravenous dose of GRA Ex-25 min prior to a 3mg/kg glucagon load.

Dosage form 3mg/kg; i.v.; once

Applications GRA Ex-25 (3mg/kg) significantly reduced blood glucose levels in rats with elevated blood glucose induced by exogenous glucagon.

References:

[1]. Li L, Dai S, et,al . Antagonistic Effect and In Vitro Activity of Dauricine on Glucagon Receptor. J Nat Prod. 2022 Aug 26;85(8):2035-2043.

[2].Lau J, Behrens C, et,al . New beta-alanine derivatives are orally available glucagon receptor antagonists. J Med Chem. 2007 Jan 11;50(1):113-28.

Background

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Background

GRA Ex-25 is a potent glucagon receptor inhibitor with IC₅₀ of 56nM and 55nM for rat and human glucagon receptors, respectively^[1]. GRA Ex-25 binds to the human glucagon receptor (h-GlucRbind) with a K_i of 63nM and moderates glucagon-induced adenylate cyclase inhibition (h-GlucRcyclase) with a K_i of 254nM^[2]. GRA Ex-25 (3mg/kg; i.v.; once) reduces blood glucose levels induced by exogenous glucagon in a rat model, possibly due to direct inhibition of glucagon-stimulated hepatic glucose output^[2].

References:

- [1]. Li L, Dai S, et,al . Antagonistic Effect and In Vitro Activity of Dauricine on Glucagon Receptor. J Nat Prod. 2022 Aug 26;85(8):2035-2043.
- [2].Lau J, Behrens C, et,al . New beta-alanine derivatives are orally available glucagon receptor antagonists. J Med Chem. 2007 Jan 11;50(1):113-28.

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