Data Sheet (Cat.No.T207191)

TargetMol

GLP-1R agonist 30

Chemical Properties

CAS No. :

Formula: C33H32F3N5O4

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GLP-1R agonist 30 is an orally active, selective GLP-1R agonist with an EC50 of 0.048 nM. It exhibits outstanding selectivity, with EC50 values exceeding 20 μM for GLP-2R, GIPR, and GCPR. GLP-1R agonist 30 significantly enhances cAMP stimulation and reduces hERG inhibition. The compound has good absorption and excellent β-arrestin pathway selectivity. Additionally, GLP-1R agonist 30 improves glucose tolerance and promotes insulin secretion in B-hGLP1R gene knock-in mice.
Targets(IC50)	Glucagon Receptor
In vitro	GLP-1R agonist (Compound 73) significantly inhibits hERG activity in CHO cells, with an inhibition rate of 37.6% at a concentration of 10 μM, and 0.6% at 1 μM. This compound exhibits excellent pathway selectivity and minimal β-arrestin agonist activity, with EC50 values for β-arrestin1 and β-arrestin2 being 863.70 nM and 2021.00 nM, respectively, in HEK293 cells. It shows strong binding affinity for GLP-1R in CHO cells, achieving a binding rate of 35.46% at 500 nM and 71.21% at 5000 nM. Additionally, it demonstrates remarkable selectivity, with EC50 values exceeding 20 μM for GLP-2R, GIPR, and GCPR in CHO cells, and effectively enhances insulin release in Endoc-βh5 cells with an EC50 of 22.705 nM.
In vivo	Compound 73, acting as a GLP-1R agonist (1-10 mg/kg, oral, glucose administered 15 minutes later), reduces blood glucose levels in a dose-dependent manner and enhances glucose-stimulated insulin secretion in hGLP-1R knock-in mice.

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