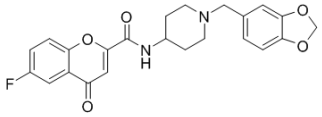
MCHr1 antagonist 2

Cat. No.:	HY-100321
CAS No.:	863115-70-2
Molecular Formula:	$C_{23}H_{21}FN_2O_5$
Molecular Weight:	424.42
Target:	MCHR1 (GPR24); Potassium Channel
Pathway:	GPCR/G Protein; Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	MCHr1 antagonist 2 is an antagonist of **melanin concentrating hormone receptor 1**, with an **IC_{50}** of 65 nM; MCHr1 antagonist 2 also inhibits **hERG**, with an **IC_{50}** of 4.0 nM in IMR-32 cells.
IC_{50} & Target	IC50: 65 nM (melanin concentrating hormone receptor 1), 4.0 nM (hERG in IMR-32 cells)[1]
In Vitro	MCHr1 antagonist 2 (Compound 30) is an antagonist of melanin concentrating hormone receptor 1, with an IC_{50} of 65 nM. MCHr1 antagonist 2 has inhibitory effects on Ca^{2+} flux, and hERG, with IC_{50}s of 196 ± 30 nM and 4.0 ± 0.8 nM, respectively, in IMR-32 cells[1].

PROTOCOL

Kinase Assay [1]

In 96-well plates, **IMR-32 cells (I3.4.2) membranes (6 μg/well)** are incubated in the presence of test compound (**MCHr1 antagonist 2**) in binding buffer (25 mM HEPES pH 7.4, 1 mM $CaCl_2$, 5 mM $MgCl_2$ and 0.5% BSA) and with 0.05 nM [^{125}I]MCH (2200 Ci/mmol) per well for 60 min at room temperature. Nonspecific binding controls consist of I3.4.2 membranes, 0.05 nM [^{125}I]MCH, and 300 nM human MCH. Total binding controls of I3.4.2 membranes and 0.05 nM [^{125}I]MCH are also included on each plate. The plates are centrifuged for 5 min at 1380 g in a GS-6R desktop centrifuge. The reaction buffer is carefully aspirated from each well without disturbing the pellet. Wash buffer (25 mM HEPES, pH 7.4, 1 mM $CaCl_2$, 5 mM $MgCl_2$, and 0.5 M NaCl) is added to each well and then transferred to a 0.5% polyethylenimine-treated GF/B filtration plate using a plate Filtermate Harvester. The filter plate is washed three times with wash buffer, MicroScint 20 is added to each well, and the plate is read using a Topcount microplate scintillation counter[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lynch JK, et al. Optimization of chromone-2-carboxamide melanin concentrating hormone receptor 1 antagonists: assessment of potency, efficacy, and cardiovascular safety. J Med Chem. 2006 Nov 2;49(22):6569-84.

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

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