GSK682753A

Cat. No.: HY-101192

CAS No.: 1334294-76-6

Molecular Formula: $C_{23}H_{21}Cl_3N_2O_3$

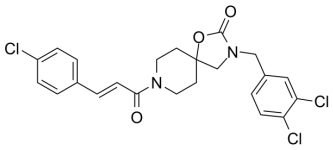
Molecular Weight: 479.78

Target: EBI2/GPR183

Pathway: GPCR/G Protein

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (208.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0843 mL	10.4214 mL	20.8429 mL
5 mM	0.4169 mL	2.0843 mL	4.1686 mL
10 mM	0.2084 mL	1.0421 mL	2.0843 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.21 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (4.34 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK682753A is a selective and highly potent inverse agonist of the epstein-barr virus-induced receptor 2 (EBI2) with an IC_{50} of 53.6 nM.

IC_{50} & Target

IC50: 53.6 nM (EBI2)[1]

In Vitro

GSK682753 is a selective and highly potent inverse agonist for murine as well as human EBI2 with inhibition of G protein-dependent signals as well as signals that are probably G protein-independent. In cAMP-response element-binding protein-based reporter and guanosine5'-3-O-(thio)-triphosphate (GTPγS) binding assays, the potency of this compound is 2.6-53.6

nM, and its inhibitory efficacy is 75%. GSK682753A dose-dependently inhibits EBI2 with an IC_{50} of 53.6 nM. GSK682753A inhibits ERK phosphorylation, GTPγS binding, and cAMP-response element-binding protein activation with similar potency [1].

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

PROTOCOL

Cell Assay [1]

The effect of GSK682753A on cAMP-induced CREB activation is measured. GSK682753A at varying concentrations is added when the transfection is stopped with a DMSO concentration after compound addition of 0.1%. The CREB activity is determined 24 h after transfection using the LucLite substrate[1].

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

CUSTOMER VALIDATION

- Structure. 2022 Apr 26;S0969-2126(22)00133-2.
- Mediat Inflamm. 10 Dec 2021.

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REFERENCES

[1]. Benned-Jensen T, et al. Ligand modulation of the Epstein-Barr virus-induced seven-transmembrane receptor EBI2: identification of a potent and efficacious inverse agonist. J Biol Chem. 2011 Aug 19;286(33):29292-302.

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