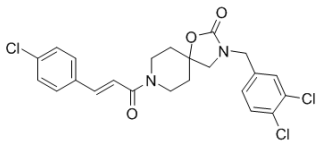
GSK682753A

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:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



Solvent & Solubility

In Vitro

DMSO : ≥ 27 mg/mL (56.28 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.

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].

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.

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