GW791343 dihydrochloride

Cat. No.: HY-15469

CAS No.: 1019779-04-4

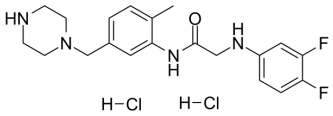
Molecular Formula: $C_{20}H_{26}Cl_2F_2N_4O$

Molecular Weight: 447.35

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (223.54 mM; Need ultrasonic)
DMSO : 20 mg/mL (44.71 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2354 mL	11.1769 mL	22.3539 mL
5 mM	0.4471 mL	2.2354 mL	4.4708 mL
10 mM	0.2235 mL	1.1177 mL	2.2354 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (111.77 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (4.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (4.47 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GW791343 dihydrochloride is a potent human P2X7 receptor negative allosteric modulator (exhibits species-specific activity), produces a non-competitive antagonist effect on human P2X7 receptor, with a pIC_{50} of 6.9-7.2. GW791343 dihydrochloride can enhance ATP rhythm. GW791343 dihydrochloride can be used in study of neurological disease[1][2].

IC_{50} & Target

P2X7 Receptor
6.9-7.2 (pIC_{50})

In Vitro

GW791343 dihydrochloride (0.01, 0.03, 0.1, 0.3, 1, 3, 10 μM; 40 min) shows a non-competitive antagonistic activity to the human P2X7 receptor[1].
GW791343 dihydrochloride (3, 10, 30 μM; 40 min) shows an anegative allosteric modulate activity to the human P2X7 receptor[1].
GW791343 dihydrochloride (5 μM; 24-48 h; ATP measured every 4 h) enhances ATP rhythm in SCN cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HEK293 cells (expressing human recombinant P2X7 receptors)
Concentration:	0.01, 0.03, 0.1, 0.3, 1, 3, 10 μM
Incubation Time:	40 min (pre-incubate for 10 min and incubate with other P2X7 receptor antagonists for another 30 min)
Result:	Inhibited agonist-stimulated ethidium accumulation in both sucrose and NaCl buffer. Reduced maximal responses toATP and BzATP in sucrose buffer.

Cell Viability Assay[1]

Cell Line:	HEK293 cells (expressing human recombinant P2X7 receptors)
Concentration:	3, 10, 30 μM
Incubation Time:	40 min (pre-incubate for 10 min and incubate with other P2X7 receptor antagonists for another 30 min)
Result:	Showed slow reversal effects at the human P2X7 receptor (after 45 min had reversed sufficiently), and had a rapid dissociation rate.

Cell Viability Assay[2]

Cell Line:	SCN cells (from 16-to 21- day-old Wistar rats, which are kept under a controlled 12-12 h light-dark cycle from birth)
Concentration:	5 μM (replace the medium with fresh drug-containing culture medium every 4 h).
Incubation Time:	24-48 h (ATP measured every 4 h)
Result:	Enhanced the amplitude of ATP release rhythm and extracellular ATP accumulation to 144 of control levels.

REFERENCES

[1]. Michel AD, et al. Negative and positive allosteric modulators of the P2X(7) receptor. Br J Pharmacol. 2008 Feb;153(4):737-50.

[2]. Svobodova I, et al. Circadian ATP Release in Organotypic Cultures of the Rat Suprachiasmatic Nucleus Is Dependent on P2X7 and P2Y Receptors. Front Pharmacol. 2018 Mar 6;9:192.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA