GW791343 trihydrochloride

Cat. No.: HY-15470

CAS No.: 309712-55-8

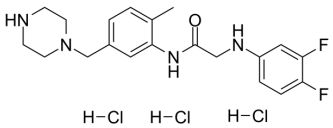
Molecular Formula: $C_{20}H_{27}Cl_3F_2N_4O$

Molecular Weight: 483.81

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GW791343 trihydrochloride 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 trihydrochloride can enhance ATP rhythm. GW791343 trihydrochloride can be used in study of neurological disease[1][2].
IC_{50} & Target	P2X7 Receptor 6.9-7.2 (pIC_{50})

In Vitro

GW791343 trihydrochloride (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 trihydrochloride (3, 10, 30 μM; 40 min) shows an anegative allosteric modulate activity to the human P2X7 receptor[1].

GW791343 trihydrochloride (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.

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