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# Produktinformation

- Forschungsprodukte & Biochemikalien
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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# GW791343 dihydrochloride

**Cat. No.:** HY-15469

**CAS No.:** 1019779-04-4

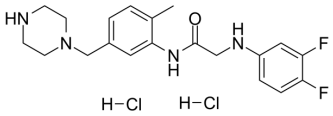
**Molecular Formula:** $C_{20}H_{26}Cl_2F_2N_4O$

**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.**

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