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产品名称：GW791343 (dihydrochloride)
产品别名：GW791343 dihydrochloride

生物活性：

Description

GW791343 dihydrochloride is a P2X7 allosteric modulator; exhibits species-specific activity and acts as a negative allosteric modulator of human P2X7 (pIC50 = 6.9 - 7.2). IC50 value: 7 (pIC50) Target: P2X7 in vitro: In cells expressing human P2X7 receptors, GW 791343 inhibits agonist-stimulated ethidium accumulation in both sucrose and NaCl buffer. In NaCl buffer, GW 791343 reduces the maximal response to both ATP and BzATP, but there is little effect on agonist potency except for a decrease in the presence of 300–1000 nM GW 791343. GW 791343 also reduces maximal responses to ATP and BzATP in sucrose buffer, although this effect is more marked when using ATP as agonist. In sucrose buffer, GW 791343 produces a slight decrease in ATP potency at 300 nM. GW 791343 decreases BzATP potency at concentrations of 300 nM to 10 μM. A more marked increase in agonist effect is observed when using ATP as agonist in NaCl buffer with GW791343 increasing the pEC50 and maximal response to ATP at concentrations of 10 and 30 μM. In sucrose buffer, GW791343 also increases responses when using ATP as agonist [1]. GW791343 inhibits responses at the human–rat chimeric receptor in both sucrose and NaCl buffer. GW791343 increases responses to BzATP at the F95L mutant receptor [2]. GW791343 is a non-competitive antagonist and negative allosteric modulator at the human P2X7 receptor; however, GW 791343 also acts as a positive allosteric modulator at the rat P2X7 receptor [3]. At the dog P2X7 receptor, GW 791343 is an antagonist with similar potency to that determined at the human receptor [4].

Solvent&Solubility

In Vitro:

DMSO : ≥ 42 mg/mL (93.89 mM)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Michel AD, et al. Identification of regions of the P2X(7) receptor that contribute to human and rat species differences in antagonist effects. Br J Pharmacol, 2008, 155(5), 738-751.

[2]. Felix RA, et al. Development of a comprehensive set of P2 receptor pharmacological research compounds. Purinergic Signal, 2012, 8(Suppl 1), 101-112.

[3]. Roman S, et al. Cloning and pharmacological characterization of the dog P2X7 receptor. Br J Pharmacol, 2009, 158(6), 1513-1526.

[4]. Michel AD, et al. Negative and positive allosteric modulators of the P2X(7) receptor. Br J Pharmacol, 2008, 153(4), 737-750.