

Product Data Sheet

Product Name: PPTN hydrochloride

Cat. No.: GC50080

Chemical Properties

Cas. No. 1992047-65-0

SMILES FC(F)(F)C(C=C4)=CC=C4C1=CC=C(C(C3=CC=C(C5CCNCC5)C=C3)=CC(C(O)=O)=C2)C2=C1.Cl

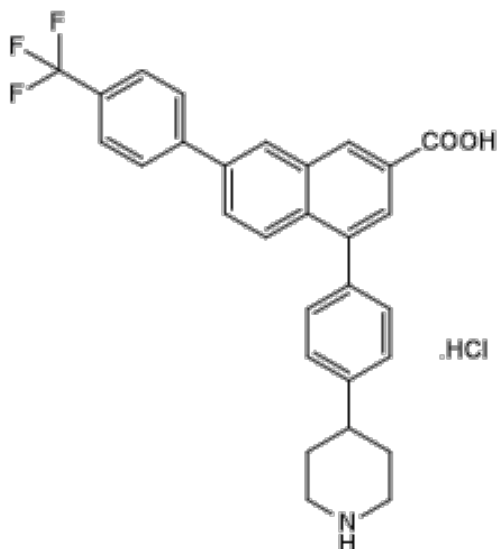
Formula C₂₉H₂₄F₃NO₂.HCl M.Wt 511.96

Solubility DMSO : 250 mg/mL (488.32 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines	Osteoblasts from 6-week-old C57BL/6 mice
Preparation Method	Osteoblasts were plated at 10^4 cells/cm ² in osteoblast differentiation medium (α MEM supplemented with 10% FBS, 1% sodium pyruvate, 1% penicillin-streptomycin, and 50 μ g/ml ascorbic acid) and cultured for 2-3 days prior to experiments. After treating the cells with 10 μ M PPTN hydrochloride for 10 minutes, the intracellular cAMP level and cytoplasmic free calcium concentration were analyzed.
Reaction Conditions	100nM; 10min
Applications	PPTN hydrochloride treatment significantly enhanced the levels of intracellular cAMP and cytoplasmic free calcium in osteoblasts.

Animal experiment [2]:

Animal models	C57BL/6N mice
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C57BL/6N mice (8 weeks old; 18-20g) were maintained under a 12h light and 12h dark cycle at a temperature of 25°C and humidity of 50%±10%, with free water and diet. The mice were randomly divided into two groups: sham-operated mice and MIR mice. Surgery and sacrifices were performed under anesthesia using an intraperitoneal injection of 75mg/kg ketamine hydrochloride and 1mg/kg medetomidine, and the mice were placed on a heating pad to maintain body temperature. A ventilator was connected after endotracheal intubation. Left lateral thoracotomy was performed to expose the heart under the operating microscope. We occluded the left anterior descending artery (LAD)

Preparation Method using 8-0 nylon suture with a polyethylene tube in the surgical knot to protect the LAD from injury by the suture during ligation. Successful operation was indicated by ST-segment elevation of the electrocardiogram and regional blanching of the left ventricle. After 30min of regional ischemia, we cut the nylon suture and removed the polyethylene tube, then we closed the chest and suture followed by 2h, 24h, and 72h reperfusion, respectively. Sham-operated mice underwent an identical surgical procedure without LAD ligation. On the day before MIR, a 14.55mg/kg/day dose of PPTN hydrochloride was injected into the tail vein of the mice for 4 days. Then, the heart tissues of the mice were collected for analysis.

Dosage form 14.55mg/kg/day for 4 days; tail vein injection

Applications PPTN hydrochloride treatment alleviated the cardiac injury and myocardial tissue inflammation in mice with MIR.

References:

- [1] Mikolajewicz N, Komarova S V. Role of UDP-sugar receptor P2Y14 in murine osteoblasts[J]. International journal of molecular sciences, 2020, 21(8): 2747.
- [2] Li K, Zhou P, Li J, et al. Upregulation of P2Y14 receptor in neutrophils promotes inflammation after myocardial ischemia/reperfusion injury[J]. Life sciences, 2023, 326: 121805.

Background

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PPTN hydrochloride is a potent, high-affinity, competitive, and highly selective P2Y₁₄ receptor antagonist with a K_B value of 0.434nM [1]. PPTN hydrochloride inhibits P2Y₁₄R to reduce excessive activation of neutrophils and the infiltration into the lungs, thereby preventing oxidative stress and the continuous activation of the biological cascade reactions associated with neutrophils [2]. PPTN hydrochloride has been widely used to decrease neurological dysfunction, neuronal apoptosis, and proapoptotic molecules in preclinical models [3].

In vitro, PPTN hydrochloride (100nM) pretreatment for 10 minutes reduced the proliferation of mouse osteoblasts, decreased the phosphorylation level of ERK1/2, and increased the phosphorylation level of AMPK α [4]. Treatment with 10 μ M PPTN hydrochloride for 30 minutes reduced the increase in IL-8 and CCL-2 mRNA expression in MDCK-C11 cells induced by UDP-glucose [5].

In vivo, PPTN hydrochloride treatment via an injection at a dose of 125 μ g/kg into trigeminal ganglion neurons (TGNs) reduced mechanical hyperalgesia and the production of pro-inflammatory cytokines in mice with chronic constriction injury of the infraorbital nerve (CCI-ION) within 7 days [6]. A single intravenous injection of 0.18mg/kg of PPTN hydrochloride for 48h protected mice from ischemic acute kidney injury and reduced the recruitment of pro-inflammatory immune cells [7]. Tail vein injection of 14.55mg/kg/day of PPTN hydrochloride for 4 days significantly alleviated the cardiac injury induced by myocardial ischemia-reperfusion injury (MIR) in mice, and inhibited cardiomyocyte apoptosis and myocardial tissue inflammation [8].

References:

- [1] Barrett M O, Sesma J I, Ball C B, et al. A selective high-affinity antagonist of the P2Y₁₄ receptor inhibits UDP-glucose–stimulated chemotaxis of human neutrophils[J]. Molecular pharmacology, 2013, 84(1): 41-49.
- [2] Lintzmaier Petiz L, Glaser T, Scharfstein J, et al. P2Y₁₄ Receptor as a Target for Neutrophilia Attenuation in Severe COVID-19 Cases: From Hematopoietic Stem Cell Recruitment and Chemotaxis to Thrombo-inflammation[J]. Stem cell reviews and reports, 2021, 17(1): 241-252.
- [3] Kanamaru H, Zhu S, Dong S, et al. UDP-Glucose/P2Y₁₄ receptor signaling exacerbates neuronal apoptosis after subarachnoid hemorrhage in rats[J]. Stroke, 2024, 55(5): 1381-1392.
- [4] Mikolajewicz N, Komarova S V. Role of UDP-sugar receptor P2Y₁₄ in murine osteoblasts[J]. International journal of molecular sciences, 2020, 21(8): 2747.
- [5] Azroyan A, Cortez-Retamozo V, Bouley R, et al. Renal intercalated cells sense and mediate

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inflammation via the P2Y₁₄ receptor[J]. PloS one, 2015, 10(3): e0121419.

[6] Lin J, Fang X, Liu F, et al. P2Y₁₄ receptor in trigeminal ganglion contributes to neuropathic pain in mice[J]. European journal of pharmacology, 2022, 931: 175211.

[7] Battistone M A, Mendelsohn A C, Spallanzani R G, et al. Proinflammatory P2Y₁₄ receptor inhibition protects against ischemic acute kidney injury in mice[J]. The Journal of clinical investigation, 2020, 130(7): 3734-3749.

[8] Li K, Zhou P, Li J, et al. Upregulation of P2Y₁₄ receptor in neutrophils promotes inflammation after myocardial ischemia/reperfusion injury[J]. Life sciences, 2023, 326: 121805.

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