

Product Data Sheet

Product Name: PTUPB
Cat. No.: GC60311

Chemical Properties

Cas. No. 1287761-01-6

SMILES O=S(C1=CC=C(N2N=C(CCCNC(NC3=CC=C(C(F)(F)F)C=C3)=O)C=C2C4=CC=CC=C4)C=C1)(N)=O

Formula $C_{26}H_{24}F_3N_5O_3S$ M.Wt 543.56

Solubility DMSO: 100 mg/mL (183.97 mM) 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.

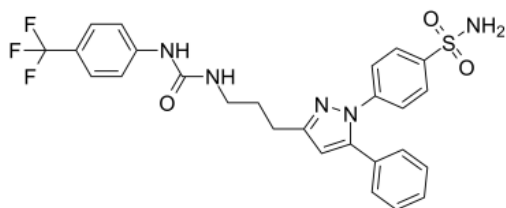
Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

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

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Structure



Cell experiment [1]:

Cell lines Primary murine peritoneal macrophages

Macrophages were treated with LPS (100 ng/mL) for 6 h with or without PTUPB Preparation Method pre-treatment (10, 100, and 1000 nM) for 1 h and activity of LDH in the supernatant was detected.

Reaction Conditions 10, 100, 1000, and 10000 nM;1h

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Applications	PTUPB pretreatment at concentrations of 10, 100, and 1000 nM significantly decreased the LDH activity triggered by LPS.
Animal experiment [1]:	
Animal models	Acute lung injury (ALI) C57BL/6 mice
Preparation Method	Mice were subcutaneously injected with PTUPB (5 mg/kg) dissolved in PEG400 1 h prior to ALI. Specifically, The mice were randomly assigned to four groups: control, PTUPB, ALI, and ALI + PTUPB. ALI was induced by administering an intratracheal injection of LPS (5 mg/kg) dissolved in 50 µL of sterile saline. Mice in the PTUPB and PTUPB + ALI groups received a subcutaneous injection of PTUPB (5 mg/kg) dissolved in PEG400, one hour before the intratracheal injection. For the control and ALI groups, PEG400 was administered subcutaneously.
Dosage form	5 mg/kg; 1 h before the LPS administration (ALI); s.c
Applications	PTUPB mitigates the pathological lung damage and restores the respiratory function in mice exposed to LPS.
Kinase experiment [1]:	
Preparation Method	For the recombinant affinity purified sEHs (human, mouse, and rat), we used a fluorescent-based assay to determine IC₅₀s. Enzymes (~1 nM human sEH) were incubated with PTUPB for 5 min in 25 mM Bis-Tris/HCl buffer (200 µL; pH 7.0) at 30 °C before substrate (cyano(2-methoxynaphthalen-6-yl)methyl trans-(3-phenyl-oxyran-2-yl)methyl carbonate (CMNPC) was added. Activity was assessed by measuring the appearance of the fluorescent 6-methoxynaphthaldehyde product (λ_{em}= 330 nm, λ_{ex} = 465 nm) at 30 °C during a 10 min incubation.

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Applications PTUPB is sEH inhibitor with IC₅₀ values of 0.9 μM.

References:

[1]. Yang HH, Duan JX, et.al. A COX-2/sEH dual inhibitor PTUPB alleviates lipopolysaccharide-induced acute lung injury in mice by inhibiting NLRP3 inflammasome activation. *Theranostics*. 2020 Mar 26;10(11):4749-4761. doi: 10.7150/thno.43108. PMID: 32308747; PMCID: PMC7163435.

Background

PTUPB is a novel dual COX-2 and sEH inhibitor with IC₅₀ values of 1.26 nM and 0.9 μM, respectively^[1]. It has certain anti-tumor and anti-inflammatory effects^[2].

PTUPB(10, 100, 1000, and 10000 nM;1h) inhibits the activation of NLRP3 inflammasome in primary murine macrophages by reduced the protein expression of caspase-1 p10 and IL-1β p17 in macrophages^[3]. PTUPB (10, 20, 25 or 30 μM; 72 h) inhibits glioblastoma cell proliferation and G1 phase cell cycle arrest in vitro, and suppresses the tumor growth and angiogenesis in vivo^[4].

PTUPB(5 mg/kg; 1 h before the LPS administration; s.c) decreased the pro-inflammatory factors, oxidative stress, and activation of NACHT, LRR, and PYD domains-containing protein 3 (NLRP3) inflammasome in LPS-induced ALI mice^[3]. PTUPB(10 mg/kg/d; 8 weeks) attenuates renal inflammation and oxidative stress in Zucker diabetic fatty(ZDF) rats^[5]. PTUPB(5 mg/kg; s.c) treatment suppressed the activation of NLRP3 inflammasome in the liver and lung of septic mice^[6]. PTUPB (5 mg/kg; s.c; once a day for 14days) alleviated the pathological changes in lung tissue and collagen deposition, as well as reduced senescence marker molecules (p16Ink4a and p53-p21Waf1/Cip1) in the lungs of mice treated by bleomycin (BLM)^[7].

References:

[1]. Hwang SH, Wagner KM, et.al. Synthesis and structure-activity relationship studies of urea-containing pyrazoles as dual inhibitors of cyclooxygenase-2 and soluble epoxide hydrolase. *J Med Chem*. 2011 Apr 28;54(8):3037-50. doi: 10.1021/jm2001376. Epub 2011 Apr 5. PMID: 21434686; PMCID: PMC3281519.

[2]. Wang F, Zhang H, et.al. COX-2/sEH Dual Inhibitor PTUPB Potentiates the Antitumor Efficacy of

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Cisplatin. Mol Cancer Ther. 2018 Feb;17(2):474-483. doi: 10.1158/1535-7163.MCT-16-0818. Epub 2017 Dec 28. PMID: 29284644; PMCID: PMC5824635.

[3]. Yang HH, Duan JX, et,al. A COX-2/sEH dual inhibitor PTUPB alleviates lipopolysaccharide-induced acute lung injury in mice by inhibiting NLRP3 inflammasome activation. Theranostics. 2020 Mar 26;10(11):4749-4761. doi: 10.7150/thno.43108. PMID: 32308747; PMCID: PMC7163435.

[4]. Li J, Zhou Y, et,al. COX-2/sEH dual inhibitor PTUPB suppresses glioblastoma growth by targeting epidermal growth factor receptor and hyaluronan mediated motility receptor. Oncotarget. 2017 Sep 15;8(50):87353-87363. doi: 10.18632/oncotarget.20928. PMID: 29152086; PMCID: PMC5675638.

[5]. Hye Khan MA, Hwang SH, et,al. A dual COX-2/sEH inhibitor improves the metabolic profile and reduces kidney injury in Zucker diabetic fatty rat. Prostaglandins Other Lipid Mediat. 2016 Sep;125:40-7. doi: 10.1016/j.prostaglandins.2016.07.003. Epub 2016 Jul 16. PMID: 27432695; PMCID: PMC5035206.

[6]. Zhang YF, Sun CC, et,al. A COX-2/sEH dual inhibitor PTUPB ameliorates cecal ligation and puncture-induced sepsis in mice via anti-inflammation and anti-oxidative stress. Biomed Pharmacother. 2020 Jun;126:109907. doi: 10.1016/j.biopha.2020.109907. Epub 2020 Feb 27. PMID: 32114358; PMCID: PMC8868492.

[7]. Zhang CY, Duan JX, et,al. COX-2/sEH dual inhibitor PTUPB alleviates bleomycin-induced pulmonary fibrosis in mice via inhibiting senescence. FEBS J. 2020 Apr;287(8):1666-1680. doi: 10.1111/febs.15105. Epub 2019 Nov 8. PMID: 31646730; PMCID: PMC7174142.

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