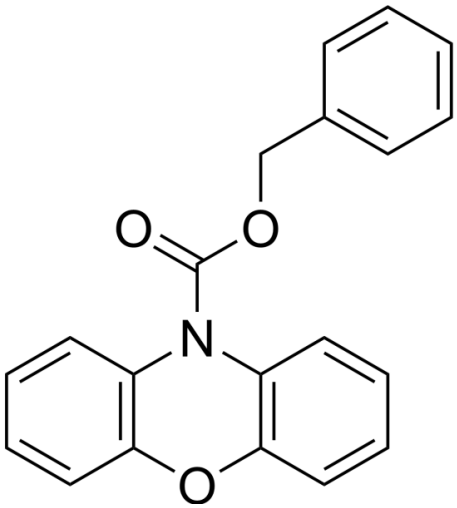
Product Data Sheet

Product Name: PSB-12054
Cat. No.: GC79507

Chemical Properties

Cas. No.	1407632-07-8		
Formula	$C_{20}H_{15}NO_3$	M.Wt	317.34
Solubility	DMSO: 28.57 mg/mL (90.03 mM; ultrasonic and warming and heat to 60°C)	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



Background

PSB-12054 is a P2X4 receptor antagonist with IC_{50} values of 0.19 μM, 2.10 μM and 1.77 μM against human, rat and mouse receptors, respectively, and exhibits selectivity over other human P2X receptor subtypes. PSB-12054 inhibits ATP-induced calcium influx, suppresses shear stress-induced transverse Ca 2+ wave generation, and elevates basal Ca 2+ levels in rat atrial myocytes. PSB-12054 binds to the 5-HT2B receptor. PSB-12054 can be used in research related to neuropathic pain, traumatic brain injury, cerebral ischemia and spinal cord injury [1] [2] [3] [4].

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vivo, PSB-12054 (3 mg/kg; i.p.; daily; 8 days) impairs HSPC homing by 40%, early engraftment by more than 50%, and delays peripheral blood cell recovery in lethally irradiated mice[4]. PSB-12054 (3 mg/kg; i.p.; daily; 8 days) impairs G-CSF-induced HSPC mobilization in wild-type mice[4]. PSB-12054 (3 mg/kg; i.p.; daily; 8 days) impairs short-term AMD3100-induced HSPC mobilization in wild-type mice[4]. PSB-12054 (3 mg/kg; i.p.; daily; 8 days) impairs AMD3100 (Plerixafor) -induced HSPC mobilization in P2X7-KO mice[4].

In Vitro, PSB-12054 (10 μM; 4-5 min) suppresses shear-stress-induced T-wave generation in isolated rat right atrial myocytes, with a concomitant increase in basal Ca2+ levels[2]. PSB-12054 (compound 26) (10 nM-100 μM; 30 min pre-incubation) potently inhibits ATP-induced calcium influx in 1321N1 astrocytoma cells stably transfected with human P2X4 receptors with an IC_{50} of 0.189 μM, reaching a maximal inhibition of 77%[1]. PSB-12054 (30 min pre-incubation) inhibits ATP-induced calcium influx in 1321N1 astrocytoma cells stably transfected with rat P2X4 receptors with an IC_{50} of 2.10 μM[1]. PSB-12054 (30 min pre-incubation) inhibits ATP-induced calcium influx in 1321N1 astrocytoma cells stably transfected with mouse P2X4 receptors with an IC_{50} of 1.77 μM[1]. PSB-12054 (30 min pre-incubation) inhibits ATP-induced calcium influx in 1321N1 astrocytoma cells stably transfected with human P2X1 receptors with an IC_{50} of 6.52 μM[1]. PSB-12054 (10 μM; 30 min pre-incubation) shows weak inhibition of ATP-induced calcium influx in 1321N1 astrocytoma cells stably transfected with human P2X2, P2X3, and P2X7 receptors, with 13%, ~49%, and 9% inhibition respectively[1]. PSB-12054 (10-100 μM; 6 h) is hydrolytically stable under acidic, neutral, and basic conditions, showing no degradation after 6 h of incubation at relevant temperatures[1]. PSB-12054 (10 μM) shows high selectivity for P2X4 receptors, with significant interaction only observed with serotonin 5-HT2B receptors among 80 tested targets[1]. PSB-12054 inhibits human P2X4 receptors with higher potency (IC_{50} = 0.19 μM) than rat (IC_{50} = 2.10 μM) and mouse (IC_{50} = 1.77 μM) P2X4 receptors[3]. PSB-12054 impairs the chemotactic response of human umbilical cord blood-derived CFU-GM clonogenic progenitors to SDF-1, S1P, and eATP gradients[4]. PSB-12054 (10 μM; 30 min prior to imaging) impairs the migration of murine Sca-1+c-kit+Lin– (SKL) cells in response to ATP, reducing total migration path length and average velocity[4].

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References:

[1]. Hernandez-Olmos V, et al. N-substituted phenoxazine and acridone derivatives: structure-activity relationships of potent P2X4 receptor antagonists. Journal of medicinal chemistry. 2012 Nov 26;55(22):9576-88.
[2]. Le QA, et al. Distinct shear-induced Ca signaling in the left and right atrial myocytes: Role of P2 receptor context. Journal of molecular and cellular cardiology. 2020 Jun;143:38-50.
[3]. Li B, et al. Molecular mechanism underlying the subtype-selectivity of competitive inhibitor NF110 and its distinct potencies in human and rat P2X3 receptors. Sci Bull (Beijing). 2018 Dec 30;63(24):1616-1625.
[4]. Adamiak M, et al. The P2X4 purinergic receptor has emerged as a potent regulator of hematopoietic stem/progenitor cell mobilization and homing-a novel view of P2X4 and P2X7 receptor interaction in orchestrating stem cell trafficking. Leukemia. 2022 Jan;36(1):248-256.

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