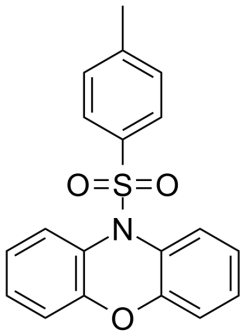
PSB-12062

Cat. No.:	HY-101910
CAS No.:	55476-47-6
Molecular Formula:	$C_{19}H_{15}NO_3S$
Molecular Weight:	337.39
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (74.10 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9639 mL	14.8196 mL	29.6393 mL
5 mM	0.5928 mL	2.9639 mL	5.9279 mL
10 mM	0.2964 mL	1.4820 mL	2.9639 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PSB-12062 is a potent and selective P2X4 antagonist with an IC_{50} of 1.38 μM for human P2X4.

IC_{50} & Target

IC50: 1.38 μM (human P2X4), 92.8 nM (rat P2X4), 1.76 μM (mouse P2X4)[1]

In Vitro

PSB-12062 shows similar potency in human, rat, and mouse species. PSB-12062 shows to be allosteric in nature with a 35-fold selectivity toward P2X4 versus P2X1, P2X2, P2X3, and P2X7. However, PSB-12062 is unable to completely block ATP-induced P2X4-mediated calcium influx even when used at high concentrations (>30 μM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The competition binding studies are performed in assay buffer (50 mM Tris-HCl, pH 7.4) containing 1 mM EDTA and 0.2 nM [^{35}S]ATPγS. The incubations are started by the addition of membranes (10-15 μg) and are performed in a 250 μL final assay volume. The reactions are terminated by vacuum filtration over GF/ B glass-fiber filters using a Brandell 48-well harvester. The filters are rinsed three times with ice-cold Tris-HCl buffer (50 mM, pH 7.4). The filters are punched out and transferred to 4 mL scintillation vials. Then 2.5 mL of Ultima Gold scintillation cocktail is added, and samples are counted after 6 h for 1 min each, using a liquid scintillation counter (LSC). Nonspecific binding of [^{35}S]ATPγS is determined using 100 μM ATP[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hernandez-Olmos V, et al. N-substituted phenoxazine and acridone derivatives: structure-activity relationships of potent P2X4 receptor antagonists. J Med Chem. 2012 Nov 26;55(22):9576-88.

[2]. Stokes L, et al. P2X4 Receptor Function in the Nervous System and Current Breakthroughs in Pharmacology.Front Pharmacol. 2017 May 23;8:291.

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