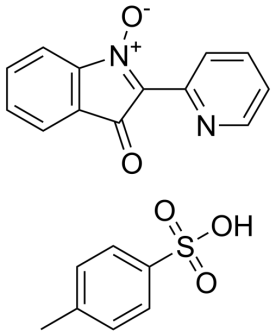
PIT

Cat. No.:	HY-108662
CAS No.:	56583-49-4
Molecular Formula:	$C_{20}H_{16}N_2O_5S$
Molecular Weight:	396.42
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (210.21 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5226 mL	12.6129 mL	25.2258 mL
5 mM	0.5045 mL	2.5226 mL	5.0452 mL
10 mM	0.2523 mL	1.2613 mL	2.5226 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PIT (2,2'-Pyridylisatogen tosylate) is a selective and non-competitive antagonist of P2Y1 receptor with an IC_{50} value of 0.14 μM for human P2Y1 receptor. PIT antagonizes P2Y1 receptor signaling without affecting nucleotide binding. PIT is an irreversible antagonist of responses to ATP at metabotropic purinoceptors (of the P2Y family) in some smooth muscles. PIT can be used for the research of chronic bronchitis and asthma[1][2][3].

IC_{50} & Target

P2Y1 Receptor
0.14 μM μM (IC_{50})

In Vitro

PIT (0.1-10 μM) non-competitively and dose-dependently diminishs human P2Y1 receptor signaling with an IC_{50} value of 0.14 μM[1].
PIT (0.1-10 μM) completely blocks the agonist activity of 2-MeSADP[1].
PIT (1 nM-10 μM) dose-dependently inhibits the accumulation of inositol phosphates induced by the agonist 2-MeSADP[1].
PIT (1 nM-10 μM) dose-dependently blocks the P2Y1 receptor signaling induced by the endogenous agonist ADP[1].
PIT (0.1-3 μM) increases ATP-responses 2-5 fold, while higher concentrations (3-100 μM) inhibits ATP-mediated inward

	current with an IC_{50} value of 13.2 μM[2]. PIT shows a low affinity for a range of membrane receptors, including: α_1, α_2-adrenoceptors, 5-HT_{1A}, 5-HT_{1B}, 5-HT_2, 5-HT_3, D_1, D_2, muscarinic, central benzodiazepine, H_1, μ-opioid, dihydropyridine and batrachotoxin receptors with pK_i values of <5[2]. PIT shows affinity to an adenosine (A_1) receptor with a pK_i value of 5.3[2]. PIT (12.5-50 μM) irreversibly antagonizes relaxations of ATP in guinea-pig isolated taenia caeca[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PIT (10 mg/kg; i.p.; for 5 days) significantly protects both the white matter and the cortical plate lesions against the insult in mice with S-bromo-willardiine injection induced tonic and tonicoclonic seizures[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gao ZG, et al. 2,2'-Pyridylisatogen tosylate antagonizes P2Y1 receptor signaling without affecting nucleotide binding. Biochem Pharmacol. 2004 Jul 15;68(2):231-7.

[2]. King BF, et al. Potentiation by 2,2'-pyridylisatogen tosylate of ATP-responses at a recombinant P2Y1 purinoceptor. Br J Pharmacol. 1996 Mar;117(6):1111-8.

[3]. Menton K, et al. Role of spin trapping and P2Y receptor antagonism in the neuroprotective effects of 2,2'-pyridylisatogen tosylate and related compounds. Eur J Pharmacol. 2002 May 24;444(1-2):53-60.

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