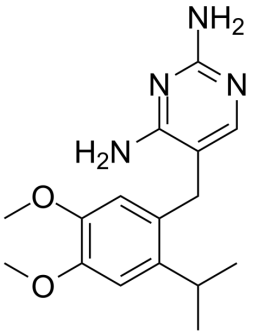
RO-3

Cat. No.:	HY-19978
CAS No.:	1026582-88-6
Molecular Formula:	$C_{16}H_{22}N_4O_2$
Molecular Weight:	302.37
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (413.40 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3072 mL	16.5360 mL	33.0721 mL
	5 mM	0.6614 mL	3.3072 mL	6.6144 mL
	10 mM	0.3307 mL	1.6536 mL	3.3072 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO-3 is a potent, CNS-penetrant, and orally active $P2X_3$ and $P2X_{2/3}$ antagonist with pIC_{50}s of 5.9 and 7.0 for human homomultimeric $P2X_3$ and heteromultimeric $P2X_{2/3}$ receptors, respectively. RO-3 shows selectivity for $P2X_3$ and $P2X_{2/3}$ over all other functional homomultimeric P2X receptors (IC_{50} >10 μM at $P2X_{1,2,4,5,7}$)[1].

IC_{50} & Target

pIC50: 5.9 (human homomultimeric $P2X_3$ receptor); 7.0 (human heteromultimeric $P2X_{2/3}$ receptor)[1]

In Vivo

In a guinea pig ureter-afferent nerve preparation, and mouse bladder-pelvic nerve preparation, RO-3 dose-dependently reduces afferent nerve activity induced by distension or α,β-meATP[1].
RO-3 has activity in several rodent models of pain, as well as in cystometry models optimized to measure various parameters associated with sensory regulation of the micturition reflex[1].

RO-3 has moderate to high metabolic stability in rat and human hepatocytes and liver microsomes, and is highly permeable, orally bioavailable (14%), and has a reasonable in vivo plasma half-life ($t_{1/2}$=0.41 h) in rats[1].

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

REFERENCES

[1]. Ford AP, et al. Purinoceptors as therapeutic targets for lower urinary tract dysfunction. Br J Pharmacol. 2006;147 Suppl 2(Suppl 2):S132-S143.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA