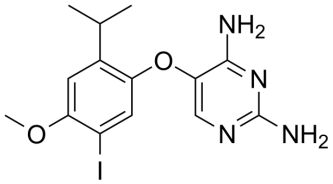
AF-353

Cat. No.:	HY-14483
CAS No.:	865305-30-2
Molecular Formula:	$C_{14}H_{17}IN_4O_2$
Molecular Weight:	400.21
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 : 100 mg/mL (249.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4987 mL	12.4934 mL	24.9869 mL
	5 mM	0.4997 mL	2.4987 mL	4.9974 mL
	10 mM	0.2499 mL	1.2493 mL	2.4987 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: ≥ 2.5 mg/mL (6.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AF-353 (Ro-4) is a potent, selective and orally bioavailable P2X3/P2X2/3 receptor antagonist, with a pIC_{50} of 8.0 for both human and rat P2X3, and with a pIC_{50} of 7.3 for human P2X2/3[1][2].

IC_{50} & Target

pIC50: 8.0 (human P2X3), 8.0 (rat P2X3), 7.3 (human P2X2/3)[1]

In Vitro

AF-353 (Ro-4) is a highly potent inhibitor of α,β-meATP-evoked intracellular calcium flux in cell lines expressing recombinant rat and human P2X3 and human P2X2/3 channels[1].

	AF-353 (Ro-4) also blocks human P2X2/3 channel function with marginally reduced potency with a p_{50} of 7.3[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AF-353 (Ro-4) does not compromise oxygen levels or cardiac function[2]. AF-353 (Ro-4) (10 mg/kg, 20 mg/kg; i.v.; for 4-6 hours) inhibits the purinergic response in both normal and spinal cord-injured (SCI) rats[2]. AF-353 (Ro-4) (10 mg/kg, 20 mg/kg; i.v.; for 4-6 hours) also reduces the inter-contractile interval in normal but not in SCI rats; however, the frequency of non-voiding (NVC) in SCI rats is significantly reduced[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague-Dawley rats (250–300 g) bearing SCI[2]
Dosage:	10 mg/kg, 20 mg/kg
Administration:	Intravenous injection; interval of 90 minutes, for 4 hours to 6 hours
Result:	Significantly reduced purinergic response in both normal and SCI rats.

REFERENCES

[1]. Gever JR, et al. AF-353, a novel, potent and orally bioavailable P2X3/P2X2/3 receptor antagonist. Br J Pharmacol. 2010 Jul;160(6):1387-1398.

[2]. Munoz A, et al. Modulation of bladder afferent signals in normal and spinal cord-injured rats by purinergic P2X3 and P2X2/3receptors. BJU Int. 2012 Oct;110(8 Pt B):E409-414.

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