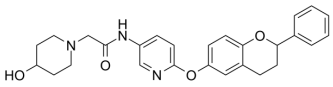
ORM-10962

Cat. No.:	HY-123785
CAS No.:	763926-98-3
Molecular Formula:	$C_{27}H_{29}N_3O_4$
Molecular Weight:	459.54
Target:	Na+/Ca2+ Exchanger
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 : 250 mg/mL (544.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1761 mL	10.8804 mL	21.7609 mL
	5 mM	0.4352 mL	2.1761 mL	4.3522 mL
	10 mM	0.2176 mL	1.0880 mL	2.1761 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 (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ORM-10962 is a potent, highly selective sodium-calcium exchanger (NCX) inhibitor, with IC_{50} values of 67 and 55 nM for the reverse and forward mode inhibition, respectively. ORM-10962 shows antiarrhythmic effect[1][2][3].

IC_{50} & Target

NCX[1][2].

In Vitro

ORM-10962 (10 nM, 100 nM and 1 μM) decreased the NCX current in dog ventricular myocytes in a concentration-dependent manner with estimated IC_{50} values of 55 and 67 nM at -80 and at 20 mV, respectively[1].

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

In Vivo

ORM-10962 (0.3 mg/kg, IV, once) pre-treatment significantly delays the development and recurrence of ventricular extrasystoles (by about 50%) or ventricular tachycardia (by about 30%) in anesthetized guinea pigs[1].

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

Animal Model:	Male guinea-pigs (250-300 g)[1]
Dosage:	0.3 mg/kg
Administration:	IV, 10 min before starting ouabain infusion
Result:	Significantly delayed the development of ventricular extrasystoles (from 24±1.7 min in controls to 36.6±2.7 min in the presence of the drug) or ventricular tachycardia (from 31.8±1.8 min in controls to 40.8±2.1 min in the presence of the drug).

REFERENCES

[1]. Kohajda Z, et al. Novel Na+/Ca2+ Exchanger Inhibitor ORM-10962 Supports Coupled Function of Funny-Current and Na+/Ca2+ Exchanger in Pacemaking of Rabbit Sinus Node Tissue. Front Pharmacol. 2020 Jan 29;10:1632.

[2]. Kohajda Z, et al. The Effect of a Novel Highly Selective Inhibitor of the Sodium/Calcium Exchanger (NCX) on Cardiac Arrhythmias in In Vitro and In Vivo Experiments. PLoS One. 2016 Nov 10;11(11):e0166041.

[3]. Oravecz K, et al. Inotropic effect of NCX inhibition depends on the relative activity of the reverse NCX assessed by a novel inhibitor ORM-10962 on canine ventricular myocytes. Eur J Pharmacol. 2018 Jan 5;818:278-286.

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