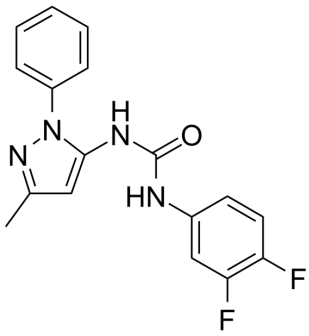
ML 297

Cat. No.:	HY-110192
CAS No.:	1443246-62-5
Molecular Formula:	$C_{17}H_{14}F_2N_4O$
Molecular Weight:	328.32
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (761.45 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0458 mL	15.2290 mL	30.4581 mL
	5 mM	0.6092 mL	3.0458 mL	6.0916 mL
	10 mM	0.3046 mL	1.5229 mL	3.0458 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 (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML 297 (VU 0456810) is a potent and selective $GIRK_{1/2}$ activator, with an EC_{50} of 0.16 μM. ML 297 is potential for the treatment of epilepsy[1][2].

IC_{50} & Target

EC50: 0.16 μM ($GIRK_{1/2}$), 18 μM ($GIRK_{1/4}$)[1]

In Vitro

ML 297 is completely inactive for $GIRK_{2/3}$[1].
ML297 shows concentration-dependent efficacy in expressing GIRK1/2 cells and with an EC_{50} of 162 nM[2].
ML297 shows a complete inability to modulate the activity of HEK-293 cells expressing $GIRK_2$ alone and $GIRKGIRK_{2/3}$[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ML297 (60 mg/kg; i.p.) shows a highly significant ability to both prevent convulsions and prevent fatality of the PTZ treatment[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	8-10 months old C57/BL6 male mice (approximately 30 g)[2]
Dosage:	60 mg/kg
Administration:	Intraperitoneal injection
Result:	Most of the animals neither convulsions nor death.

CUSTOMER VALIDATION

- Research Square Preprint. 2020 Dec.

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REFERENCES

[1]. Wen W, et al. Discovery of 'molecular switches' within a GIRK activator scaffold that afford selective GIRK inhibitors. Bioorg Med Chem Lett. 2013 Aug 15;23(16):4562-6.

[2]. Kaufmann K, et al. ML297 (VU0456810), the first potent and selective activator of the GIRK potassium channel, displays antiepileptic properties in mice. ACS Chem Neurosci. 2013 Sep 18;4(9):1278-86.

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