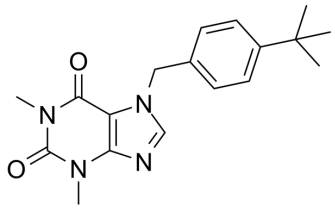
VU0071063

Cat. No.:	HY-124424
CAS No.:	333415-38-6
Molecular Formula:	$C_{18}H_{22}N_4O_2$
Molecular Weight:	326.39
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (306.38 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0638 mL	15.3191 mL	30.6382 mL
	5 mM	0.6128 mL	3.0638 mL	6.1276 mL
	10 mM	0.3064 mL	1.5319 mL	3.0638 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 (7.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0071063 is a potent and specific Kir6.2/SUR1 opener (EC_{50}=7.44 μM) and can be used for investigating Kir6.2/SUR1 expressed in the pancreas and brain. VU0071063 inhibits insulin secretion by inducing hyperpolarization of β-cell membrane potential. VU0071063 chemotype has a very steep structure-activity relationships[1][2].

IC_{50} & Target

EC50: 7.44 μM (Kir6.2/SUR1)[1]

In Vitro

VU0071063 (1 nM~1 mM; HEK-293 cells) dose dependently opens Kir6.2/SUR1. VU0071063 (0~20 μM; isolated cells) inhibits β-Cell excitability in mouse Islets. VU0071063 (10 μM; 1 hour; isolated cells) inhibits glucose-stimulated insulin secretion[1]. VU0071063 dose dependently and reversibly hyperpolarizes the β-cell membrane potential, which, in turn, inhibits glucose-

	stimulated Ca^{2+} entry and insulin secretion. The actions of VU0071063 on the β-cell membrane potential are reversed by tolbutamide, and glucose stimulated insulin secretion is unaffected by the inactive analog 34MT, indicating that the effects are mediated through Kir6.2/SUR1[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VU0071063 (50 mg/kg; i.p.; 4 hours) leads to a significant increase in blood glucose at 60 minutes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice (10-12 weeks age)[1]
Dosage:	50 mg/kg (Pharmacokinetic analysis)
Administration:	I.p.
Result:	Led to a significant increase in blood glucose at 60 minutes.

REFERENCES

[1]. Kharade SV, et al. Structure-Activity Relationships, Pharmacokinetics, and Pharmacodynamics of the Kir6.2/SUR1-Specific Channel Opener VU0071063. J Pharmacol Exp Ther. 2019;370(3):350-359.

[2]. Raphemot R, et al. Direct activation of β-cell KATP channels with a novel xanthine derivative. Mol Pharmacol. 2014;85(6):858-865.

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