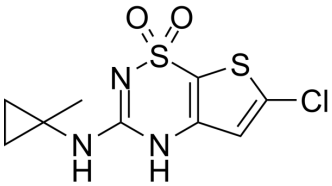
Tifenazoxide

Cat. No.:	HY-119322
CAS No.:	279215-43-9
Molecular Formula:	$C_9H_{10}ClN_3O_2S_2$
Molecular Weight:	291.78
Target:	Potassium Channel
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 : 100 mg/mL (342.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4272 mL	17.1362 mL	34.2724 mL
	5 mM	0.6854 mL	3.4272 mL	6.8545 mL
	10 mM	0.3427 mL	1.7136 mL	3.4272 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 (8.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tifenazoxide (NN414) is a potent, orally active and SUR1/Kir6.2 selective K^{ATP} channels opener. Tifenazoxide has antidiabetic effect, can inhibit glucose stimulated insulin release in vitro and in vivo, and has a beneficial effect on glucose homeostasis[1][2].

IC_{50} & Target

K^{ATP} channels[1][2]

In Vitro

Tifenazoxide (NN414) hyperpolarises βTC3 cell membranes, and inhibits insulin release from βTC6, from isolated rat islets

and from human islets at least a 100-fold more potent than Diazoxide[2].
The EC_{50} values for Tifenazoxide and diazoxide are 0.45 and 31 μM, respectively in the patch-clamp assay. Tifenazoxide (100 μM) activates Kir6.2/SUR1 channels, but not Kir6.2/SUR2A or Kir6.2/SUR2 channels, expressed in Xenopus oocytes both in whole-cell and inside-out macropatch recordings[2].
Tifenazoxide is a potent inhibitor of glucose stimulated insulin release from βTC6 cells (IC_{50} = 0.15 μM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Tifenazoxide (NN414; 1.5 mg/kg; oral administration; twice daily; for 3 weeks; male VDF Zucker (fa/fa) rat) treatment for 3 weeks in VDF rats reduces basal hyperglycemia, improves glucose tolerance, and reduces hyperinsulinemia during an oral glucose tolerance test (OGTT) and improves insulin secretory responsiveness ex vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Vancouver diabetic fatty (VDF) Zucker rat[1]
Dosage:	1.5 mg/kg
Administration:	Oral administration; twice daily; for 3 weeks
Result:	Basal glucose was significantly reduced with the fall averaging 0.64 mM after 3 weeks of treatment.

REFERENCES

[1]. Carr RD, et al. NN414, a SUR1/Kir6.2-selective potassium channel opener, reduces blood glucose and improves glucose tolerance in the VDF Zucker rat. Diabetes. 2003 Oct;52(10):2513-8.

[2]. Hansen JB. Towards selective Kir6.2/SUR1 potassium channel openers, medicinal chemistry and therapeutic perspectives. Curr Med Chem. 2006;13(4):361-76.

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