Gliclazide

Cat. No.: HY-B0753

CAS No.: 21187-98-4

Molecular Formula: $C_{15}H_{21}N_3O_3S$

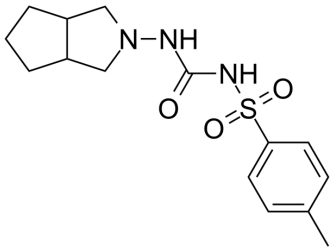
Molecular Weight: 323.41

Target: Potassium Channel

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 (309.21 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0921 mL	15.4603 mL	30.9205 mL
5 mM	0.6184 mL	3.0921 mL	6.1841 mL
10 mM	0.3092 mL	1.5460 mL	3.0921 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 (7.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gliclazide (S1702) is a whole-cell beta-cell ATP-sensitive potassium currents blocker with an IC_{50} of 184 nM. Gliclazide is used as an antidiabetic[1].

IC_{50} & Target

Potassium Channel[1]

In Vitro	Gliclazide (S1702) further characterize its mechanism of hypoglycemic effect: the observed improvements in insulin sensitivity and in GLUT4 translocation indicate that gliclazide counters the hydrogen peroxide-induced insulin resistance in 3T3L1 adipocytes and also would further augment the hypoglycemic effect of this drug as insulinotropic sulfonylurea[1]. Gliclazide blocked whole-cell beta-cell KATP currents with an IC_{50} of 184 +/- 30 nmol/l (n=6-10) but was much less effective in cardiac and smooth muscle (IC_{50}s of 19.5 +/- 5.4 micromol/l (n=6-12) and 37.9 +/- 1.0 micromol/l (n=5-10), respectively). In all three tissues, the action of the drug on whole-cell KATP currents was rapidly reversible. In inside-out patches on beta-cells, gliclazide (1 micromol/l) produced a maximum of 66 +/- 13 % inhibition (n=5), compared with more than 98 % block in the whole-cell configuration. Gliclazide is a high-potency sulphonylurea which shows specificity for the pancreatic beta-cell KATP channel over heart and smooth muscle. In this respect, it differs from glibenclamide[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Pharmaceuticals. 2023, 16(2), 225.
- Dig Dis Sci. 2023 Dec 16.

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REFERENCES

[1]. Shimoyama, T., et al., Gliclazide protects 3T3L1 adipocytes against insulin resistance induced by hydrogen peroxide with restoration of GLUT4 translocation. Metabolism, 2006. 55(6): p. 722-30.

[2]. Lawrence, C.L., et al., Gliclazide produces high-affinity block of KATP channels in mouse isolated pancreatic beta cells but not rat heart or arterial smooth muscle cells. Diabetologia, 2001. 44(8): p. 1019-25.

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