Tifenazoxide

Cat. No.: HY-119322
CAS No.: 279215-43-9
Molecular Formula: C₉H₁₀ClN₃O₂S₂
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

BIOLOGICAL ACTIVITY

Description
Tifenazoxide (NN414) is a potent, orally active and SUR1/Kir6.2 selective K<sub>ATP</sub> 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].

IC₅₀ & Target
K<sub>ATP</sub> 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 EC₅₀ 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 (IC₅₀ = 0.15 µM)[1].

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].

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