

Product Data Sheet

Product Name: Astemizole

Cat. No.: GC16945

Chemical Properties

Cas. No. 68844-77-9

Chemical Name 1-(4-fluorobenzyl)-N-(1-(4-methoxyphenethyl)piperidin-4-yl)-1H-benzo[d]imidazol-2-amine

SMILES FC1=CC=C(C=C1)CN2C(NC3CCN(CCC(C=C4)=CC=C4OC)CC3)=NC5=CC=CC=C25

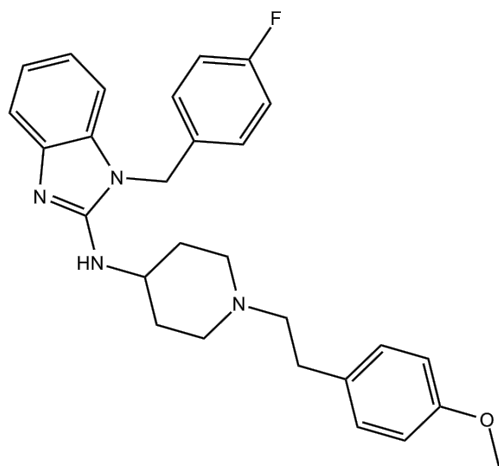
Formula $C_{28}H_{31}FN_4O$ M.Wt 458.57

Solubility $\geq 11.5\text{mg/mL}$ in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell lines BT-474 cells

BT-474 cells were maintained in Hybri-Care medium supplemented with 1.5g/l sodium bicarbonate, 100units/ml penicillin plus 100µg/ml streptomycin, and heat-inactivated FBS to a final concentration of 10% in humidified atmosphere Preparation Method with 5% CO₂ at 37°C. Cells were seeded in 96-well plates at a density of 1000 cells per well. After 24h, cells were incubated in the presence of different concentrations of Astemizole (0, 0.5, 1, 1.5, 2.0, 2.5µM), or the vehicle alone (DMSO) during 6 days. Cell proliferation was measured.

Reaction 0, 0.5, 1, 1.5, 2.0, 2.5µM; 6 days
Conditions

Applications Astemizole treatment reduced the cell viability of BT-474 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Male Wistar rats

Male Wistar rats weighing 200g received intraperitoneal injections of Diethylnitrosamine (50mg/kg) once a week for 12 weeks. Oral administration of Preparation Method Astemizole (50mg/kg) was given daily for 12 weeks. The control group received PBS. Mice were weighed weekly. At the end of treatments, mice were sacrificed by decapitation. Livers were rapidly removed weighed, and photographed.

Dosage form 50mg/kg/day for 12 weeks; p.o.

Applications Astemizole treatment prevented diethylnitrosamine-induced rat HCC development in rats.

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References:

- [1] García-Quiroz J, González-González M E, Díaz L, et al. Astemizole, an inhibitor of ether-à-go-go-1 potassium channel, increases the activity of the tyrosine kinase inhibitor gefitinib in breast cancer cells[J]. Revista de investigación clínica, 2019, 71(3): 186-194.
- [2] de Guadalupe Chavez-Lopez M, Pérez-Carreón J I, Zuñiga-García V, et al. Astemizole-based anticancer therapy for hepatocellular carcinoma (HCC), and Eag1 channels as potential early-stage markers of HCC[J]. Tumor Biology, 2015, 36(8): 6149-6158.

Background

Astemizole is a potent anti-histamine compound that antagonizes the histamine H1-receptor with an IC_{50} value of 4nM [1]. Astemizole can inhibit the KCa1.1 potassium ion channel in osteosarcoma cells, with an IC_{50} value of 0.135 μ M [2]. Astemizole has been widely used as an anti-cancer agent, inhibiting the growth of various tumor cells[3].

In vitro, Astemizole treatment for 6 days significantly inhibited cell death in BT-474 cells, with an IC_{50} value of 1.72 μ M[4]. 1 μ M of Astemizole was pre-treated for 12 hours, which significantly restored the viability of human umbilical vein endothelial cells (HUVECs) under oxidative stress and eliminated the intracellular reactive oxygen species (ROS) induced by H_2O_2 [5]. Treatment with 5 μ M Astemizole for 96 hours can target the expression of Eag1 protein, induce apoptosis in HeLa cells, and inhibit cell proliferation[6].

In vivo, Astemizole treatment for 7 days (20mg/kg/day; p.o.) can effectively reverse the leakage of albumin across the blood-retinal barrier in experimental diabetes[7]. Oral administration of 50mg/kg of Astemizole daily for 12 weeks significantly inhibited the occurrence of hepatocellular carcinoma (HCC) in rats induced by diethylnitrosamine[8].

References:

- [1] Laduron P M, Janssen P F, Gommeren W, et al. In vitro and in vivo binding characteristics of a new long-acting histamine H1 antagonist, astemizole[J]. Molecular Pharmacology, 1982, 21(2): 294-300.
- [2] Mészáros B, Csoti A, Szanto T G, et al. The hEag1 K⁺ Channel Inhibitor Astemizole Stimulates

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Ca²⁺ Deposition in SaOS-2 and MG-63 Osteosarcoma Cultures[J]. International journal of molecular sciences, 2022, 23(18): 10533.

[3] García-Quiroz J, Camacho J. Astemizole: an old anti-histamine as a new promising anti-cancer drug[J]. Anti-Cancer Agents in Medicinal Chemistry-Anti-Cancer Agents), 2011, 11(3): 307-314.

[4] García-Quiroz J, González-González M E, Díaz L, et al. Astemizole, an inhibitor of ether-à-go-go-1 potassium channel, increases the activity of the tyrosine kinase inhibitor gefitinib in breast cancer cells[J]. Revista de investigación clínica, 2019, 71(3): 186-194.

[5] Tian J N, Shi X D, Wang X K, et al. Astemizole protects against human umbilical vein endothelial cell injury induced by hydrogen peroxide via the p53 signaling pathway[J]. Molecular Medicine Reports, 2017, 15(6): 4286-4290.

[6] de Guadalupe Chávez-López M, Hernández-Gallegos E, Vázquez-Sánchez A Y, et al. Antiproliferative and proapoptotic effects of astemizole on cervical cancer cells[J]. International Journal of Gynecological Cancer, 2014, 24(5): 824-828.

[7] Hollis T M, Sill H W, Butler C, et al. Astemizole reduces blood-retinal barrier leakage in experimental diabetes[J]. Journal of diabetes and its complications, 1992, 6(4): 230-235.

[8] de Guadalupe Chavez-Lopez M, Pérez-Carreón J I, Zuñiga-García V, et al. Astemizole-based anticancer therapy for hepatocellular carcinoma (HCC), and Eag1 channels as potential early-stage markers of HCC[J]. Tumor Biology, 2015, 36(8): 6149-6158.

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