NS-1619

Cat. No.: HY-12496

CAS No.: 153587-01-0

Molecular Formula: $C_{15}H_8F_6N_2O_2$

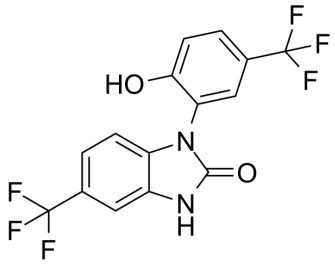
Molecular Weight: 362

Target: Potassium Channel; Apoptosis

Pathway: Membrane Transporter/Ion Channel; Apoptosis

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 : ≥ 31 mg/mL (85.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7624 mL	13.8122 mL	27.6243 mL
5 mM	0.5525 mL	2.7624 mL	5.5249 mL
10 mM	0.2762 mL	1.3812 mL	2.7624 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 (6.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NS-1619 is an opener of large conductance Ca^{2+}-activated K^+ (BK) channel. NS-1619 is a highly effective relaxant with an EC_{50} of about 10 – 30 μM in several smooth muscles of blood vessels and other tissues[1]. NS1619 inhibits proliferation and induces apoptosis in A2780 ovarian cancer cells[2].

In Vitro

NS1619 (5, 10, 30, 50, and 100 μM) inhibits the proliferation of A2780 cells in a dosage and time dependent manner IC_{50}=31.1 μM for 48 h pretreatment[2].
NS1619 (30 μM) exhibits augmenting effect on whole cell I_K in human ovarian cancer cells A2780[2].
NS1619 (10, 30, 50, and 100 μM) increases levels of p53, $p21^{Cip1}$ and Bax proteins in A2780 cells[2].
DNA content of A2780 cells was significantly decreased after 36 and 48 h of pretreatment. The breakdown of DNA results in

death of the tumor cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	The human ovarian cancer cell line A2780
Concentration:	5, 10, 30, 50, and 100 μM
Incubation Time:	48 hours
Result:	Inhibited cell growth in a time and concentration-dependent manner, IC_{50}=31.1 μM. Proliferation was significantly inhibited at concentrations of NS1619 higher than 10 μM.

Western Blot Analysis[2]

Cell Line:	A2780 cells
Concentration:	0, 5, 10, 30, 50, and 100 μM
Incubation Time:	48 hours
Result:	Expression of p53, p21, and Bax in A2780 cells was significantly increased in comparison with control.

Western Blot Analysis[2]

Cell Line:	A2780 cells
Concentration:	30 μM
Incubation Time:	36 and 48 hours
Result:	DNA content of A2780 cells was significantly decreased after 36 and 48 h of pretreatment. The breakdown of DNA results in death of the tumor cells.

In Vivo

Opening of K_{Ca} channels with NS-1619 (1 mg/kg; i.p.) can delay protection in mouse hearts[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male outbred ICR mice[3]
Dosage:	1 mg/kg
Administration:	Pretreated i.p. 24 h before I/R
Result:	Pretreatment induced delayed protection 24 h later. Resulted in significant cardioprotection 24 h later, i.e., infarct size was reduced from 38.8 ± 3.7% to 19.8 ± 2.9%.

CUSTOMER VALIDATION

- J Cell Physiol. 2021 Aug;236(8):5818-5831.

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REFERENCES

[1]. H Yamamura, et al. BK channel activation by NS-1619 is partially mediated by intracellular Ca^{2+} release in smooth muscle cells of porcine coronary artery. Br J Pharmacol. 2001 Feb;132(4):828-34.

[2]. Xiaobing Han,et al. The potassium ion channel opener NS1619 inhibits proliferation and induces apoptosis in A2780 ovarian cancer cells. Biochem Biophys Res Commun. 2008 Oct 17;375(2):205-9.

[3]. Xiaoyin Wang, et al. Opening of Ca^{2+}-activated K^+ channels triggers early and delayed preconditioning against I/R injury independent of NOS in mice. Am J Physiol Heart Circ Physiol. 2004 Nov;287(5):H2070-7.

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