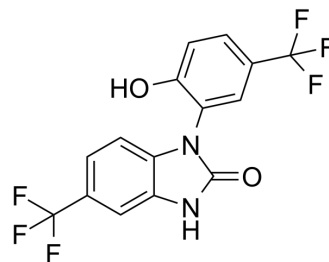


NS-1619

Cat. No.:	HY-12496		
CAS No.:	153587-01-0		
Molecular Formula:	C ₁₅ H ₈ F ₆ N ₂ O 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

- 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
- 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 Ca²⁺-activated K⁺ (BK) channel. NS-1619 is a highly effective relaxant with an EC₅₀ 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 IC₅₀=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 μ M
Incubation Time:	48 hours
Result:	Inhibited cell growth in a time and concentration-dependent manner, $IC_{50}=31.1 \mu$ M. Proliferation was significantly inhibited at concentrations of NS1619 higher than 10 μ M.

Western Blot Analysis^[2]

Cell Line:	A2780 cells
Concentration:	0, 5, 10, 30, 50, and 100 μ M
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 μ M
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 $38.8 \pm 3.7\%$ to $19.8 \pm 2.9\%$.

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

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