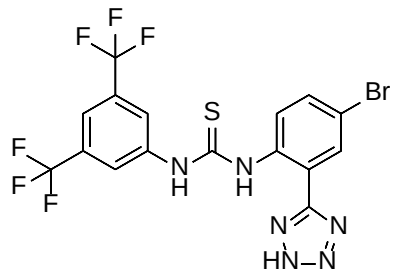
Product data sheet

MedKoo Cat#: 555184 Name: NS-11021 CAS: 956014-19-0 Chemical Formula: $C_{16}H_9BrF_6N_6S$ Exact Mass: 509.9697 Molecular Weight: 511.2444	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
NS-11021 is an activator of large-conductance Ca2+-activated potassium channels (BKCa, KCa1.1). BK channel activation by NS11021 decreases excitability and contractility of urinary bladder smooth muscle. NS11021 enhances erectile responses in rats.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	15.0	29.34
DMF:PBS (pH 7.2) (1:3)	0.25	0.49
DMSO	53.71	105.05
Ethanol	25.71	50.29

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.96 mL	9.78 mL	19.56 mL
5 mM	0.39 mL	1.96 mL	3.91 mL
10 mM	0.20 mL	0.98 mL	1.96 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Shrum S, Rusch NJ, MacMillan-Crow LA. Specific BK Channel Activator NS11021 Protects Rat Renal Proximal Tubular Cells from Cold Storage-Induced Mitochondrial Injury In Vitro. Biomolecules. 2019 Dec 4;9(12):825. doi: 10.3390/biom9120825. PMID: 31817165; PMCID: PMC6995623.

2. Bentzen BH, Nardi A, Calloe K, Madsen LS, Olesen SP, Grunnet M. The small molecule NS11021 is a potent and specific activator of Ca2+-activated big-conductance K+ channels. Mol Pharmacol. 2007 Oct;72(4):1033-44. doi: 10.1124/mol.107.038331. Epub 2007 Jul 16. PMID: 17636045.

In vivo study

1. Kun A, Matchkov VV, Stankevicius E, Nardi A, Hughes AD, Kirkeby HJ, Demnitz J, Simonsen U. NS11021, a novel opener of large-conductance Ca(2+)-activated K(+) channels, enhances erectile responses in rats. Br J Pharmacol. 2009 Nov;158(6):1465-76. doi: 10.1111/j.1476-5381.2009.00404.x. Epub 2009 Oct 20. PMID: 19845682; PMCID: PMC2795213.

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7. Bioactivity

Biological target:

NS 11021 is a potent and specific Ca^{2+}-activated big-conductance K^+ Channels (KCa1.1 channels) activator.

In vitro activity

In the present study, the effect of a novel NeuroSearch compound, 1-(3,5-bis-trifluoromethyl-phenyl)-3-[4-bromo-2-(1H-tetrazol-5-yl)-phenyl]-thiourea (NS11021), was investigated on cloned KCa1.1 expressed in Xenopus laevis oocytes and mammalian cells using electrophysiological methods. NS11021 at concentrations above 0.3 microM activated KCa1.1 in a concentration-dependent manner by parallel-shifting the channel activation curves to more negative potentials. Single-channel analysis revealed that NS11021 increased the open probability of the channel by altering gating kinetics without affecting the single-channel conductance.

Reference: Mol Pharmacol. 2007 Oct;72(4):1033-44. https://pubmed.ncbi.nlm.nih.gov/17636045/

In vivo activity

Erectile responses in anaesthetized rats were significantly increased after injection of both 0.1 and 1 $mg \cdot kg^{-1}$ NS11021 (Figure 9C, *n*= 5). NS11021 (0.1 $mg \cdot kg^{-1}$) did not change MAP, but a higher dose (1 $mg \cdot kg^{-1}$) induced a transient drop in MAP (maximum fall of 9.0 ± 2.5 mmHg, *n*= 5), which recovered to pre-injection values after 41.8 ± 15.2 s (data not shown).

Reference: Br J Pharmacol. 2009 Nov;158(6):1465-76. https://pubmed.ncbi.nlm.nih.gov/19845682/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.