

Product data sheet



MedKoo Cat#: 524255 Name: AR-A014418 CAS#: 487021-52-3 Chemical Formula: C ₁₂ H ₁₂ N ₄ O ₄ S Exact Mass: 308.05793 Molecular Weight: 308.31	
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:

AR-A014418, also known as SN 4521, is a labeled Glycogen Synthase Kinase 3β (GSK-3β) inhibitor. AR-A014418 suppresses pancreatic cancer cell growth via inhibition of GSK-3-mediated Notch1 expression. AR-A014418 decreases neuropathic pain in mice: evidence for the mechanisms of action. AR-A014418 and B6B3O prevent human immunodeficiency virus-mediated neurotoxicity in primary human neurons.

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
DMSO	55.46	179.88

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.24 mL	16.22 mL	32.43 mL
5 mM	0.65 mL	3.24 mL	6.49 mL
10 mM	0.32 mL	1.62 mL	3.24 mL
50 mM	0.06 mL	0.32 mL	0.65 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

- Lee YC, Shi YJ, Wang LJ, Chiou JT, Huang CH, Chang LS. GSK3β suppression inhibits MCL1 protein synthesis in human acute myeloid leukemia cells. *J Cell Physiol.* 2021 Jan;236(1):570-586. doi: 10.1002/jcp.29884. Epub 2020 Jun 22. PMID: 32572959.
- Kawahara N, Mizutani A, Matsubara S, Takeda Y, Kobayashi H. GSK-3β mediates the effects of HNF-1β overexpression in ovarian clear cell carcinoma. *Exp Ther Med.* 2020 Nov;20(5):122. doi: 10.3892/etm.2020.9250. Epub 2020 Sep 21. PMID: 33005248; PMCID: PMC7523276.

In vivo study

- Rashvand M, Danyali S, Manaheji H. The Potential Role of Glycogen Synthase Kinase-3β in Neuropathy-Induced Apoptosis in Spinal Cord. *Basic Clin Neurosci.* 2020 Jan-Feb;11(1):15-30. doi: 10.32598/bcn.11.1.1. Epub 2020 Jan 1. PMID: 32483472; PMCID: PMC7253818.
- Yan X, Li Z, Li H, Liu P, Zhao Z, Cheng S, Wang Z, Zhang Q. Inhibition Of Glycogen Synthase Kinase 3 Beta Suppresses The Growth And Survival Of Skull Base Chordoma Cells By Downregulating Brachyury Expression. *Onco Targets Ther.* 2019 Nov 18;12:9783-9791. doi: 10.2147/OTT.S218930. PMID: 31819479; PMCID: PMC6874116.

7. Bioactivity

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Biological target:

AR-A014418 is a potent, selective and ATP-competitive GSK3 β inhibitor (IC₅₀=104 nM; K_i=38 nM).

In vitro activity

AR-A014418 treatment decreased the survival of U937 cells with a half-maximal inhibitory concentration (IC₅₀) of approximately 5 μ M after a 24 hr treatment (Figure 1a). The AR-A014418 treatment caused a marked reduction in proliferation (Figure 1b) and the colony-forming ability (Figure 1c) of U937 cells. These results indicate that AR-014418 elicits apoptosis in U937 cells. Transfection of constitutively active GSK3 β (59A; CA-GSK3 β) increased the survival of AR-A014418-treated U937 cells (Figure 1g), indicating the causal role of GSK3 β suppression in AR-A014418-induced cell death.

Reference: J Cell Physiol. 2021 Jan;236(1):570-586. <https://pubmed.ncbi.nlm.nih.gov/32572959/>

In vivo activity

However, the pre-emptive treatment of AR-A014418 decreased the apoptotic cells in ipsilateral laminae I, II, III [F(2, 24)=100.9; P<0.0001]; IV, V [F(2, 24)=39.59; P<0.0001], and X [F(2, 24)=15.98; P<0.0001] in the SNL group. The one-way ANOVA results also revealed a significant increase in the TUNEL-positive cells in contralateral laminae I, II, III, IV, V, and X in the SNL group, in comparison to the sham-operated rats. However, the pre-emptive treatment of AR-A014418 decreased the apoptotic cells in the contralateral laminae I, II, III [F(2, 24)=51.24; P<0.0001]; IV, V [F(2, 24)=22; P<0.0001], and X [F(2, 24)=8.22; P<0.001] in the SNL group.

Reference: Basic Clin Neurosci. 2020 Jan-Feb; 11(1): 15–30. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7253818/>

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.