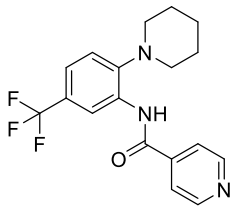
Product data sheet

MedKoo Cat#: 406657 Name: SRPIN340 CAS#: 218156-96-8 Chemical Formula: $C_{18}H_{18}F_3N_3O$ Exact Mass: 349.1402 Molecular Weight: 349.35	
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:
SRPIN340 is a selective serine arginine protein kinase (SRPK) 1 inhibitor with Ki = 0.89 μM. SRPK1 is a common binding partner of the E1^E4 protein of diverse human papillomavirus types. SRPK1 selective inhibitors could be a potentially novel topical (eye drop) therapeutic for wet AMD.

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	40.49	115.90
DMF	15.0	42.94
Ethanol	47.5	135.97
Ethanol:PBS (pH 7.2) (1:4)	0.2	0.57

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.86 mL	14.31 mL	28.62 mL
5 mM	0.57 mL	2.86 mL	5.72 mL
10 mM	0.29 mL	1.43 mL	2.86 mL
50 mM	0.06 mL	0.29 mL	0.57 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. Sigala I, Koutroumani M, Koukiali A, Giannakouros T, Nikolakaki E. Nuclear Translocation of SRPKs Is Associated with 5-FU and Cisplatin Sensitivity in HeLa and T24 Cells. Cells. 2021 Mar 30;10(4):759. doi: 10.3390/cells10040759. PMID: 33808326; PMCID: PMC8065462.
2. Siqueira RP, Barbosa Éde A, Polêto MD, Righetto GL, Seraphim TV, Salgado RL, Ferreira JG, Barros MV, de Oliveira LL, Laranjeira AB, Almeida MR, Júnior AS, Fietto JL, Kobarg J, de Oliveira EB, Teixeira RR, Borges JC, Yunes JA, Bressan GC. Potential Antileukemia Effect and Structural Analyses of SRPK Inhibition by N-(2-(Piperidin-1-yl)-5-(Trifluoromethyl)Phenyl)Isonicotinamide (SRPIN340). PLoS One. 2015 Aug 5;10(8):e0134882. doi: 10.1371/journal.pone.0134882. PMID: 26244849; PMCID: PMC4526641.

In vivo study

1. Gammons MV, Lucas R, Dean R, Coupland SE, Oltean S, Bates DO. Targeting SRPK1 to control VEGF-mediated tumour angiogenesis in metastatic melanoma. Br J Cancer. 2014 Jul 29;111(3):477-85. doi: 10.1038/bjc.2014.342. Epub 2014 Jul 10. PMID: 25010863; PMCID: PMC4119992.

2. Dong Z, Noda K, Kanda A, Fukuhara J, Ando R, Murata M, Saito W, Hagiwara M, Ishida S. Specific inhibition of serine/arginine-rich protein kinase attenuates choroidal neovascularization. Mol Vis. 2013;19:536-43. Epub 2013 Mar 5. PMID: 23559848; PMCID: PMC3611948.

7. Bioactivity

Biological target:

SRPIN340 is an ATP-competitive serine-arginine-rich protein kinase (SRPK) inhibitor, with a Ki of 0.89 μM for SRPK1.

In vitro activity

SRPIN340 prevented nuclear translocation of SRPKs in 5-FU and cisplatin-treated cells, reducing drug cytotoxicity. Inhibition of SRPK1 by SRPIN340 or trifluoromethyl arylamides kept SRPK1 mainly in the cytoplasm when stimulated with EGF in melanoma cells. Similarly, SRPIN340 inhibition of SRPK1 and SRPK2 protected cardiomyocytes from oxidative stress-induced apoptosis and cell death.

Reference: Cells. 2021 Apr; 10(4): 759. https://pubmed.ncbi.nlm.nih.gov/33808326/

In vivo activity

Daily subcutaneous injection of SRPIN340 near the tumor site significantly decreased human melanoma tumor growth compared to control. Post-tumor analysis revealed reduced total VEGF expression in SRPIN340-treated tumors, with no impact on anti-angiogenic VEGFxxxb isoforms. Unlike knockdown, tumors were large enough for CD31 staining to assess microvascular density (MVD), showing a significant reduction with SRPIN340 treatment compared to vehicle.

Reference: Br J Cancer. 2014 Jul 29; 111(3): 477–485. https://pubmed.ncbi.nlm.nih.gov/25010863/

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.