SRPKIN-1

Cat. No.: HY-116856

CAS No.: 2089226-94-6

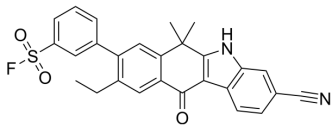
Molecular Formula: $C_{27}H_{21}FN_2O_3S$

Molecular Weight: 472.53

Target: SRPK

Pathway: Cell Cycle/DNA Damage

Storage: -20°C, stored under nitrogen, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 110 mg/mL (232.79 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1163 mL	10.5813 mL	21.1627 mL
	5 mM	0.4233 mL	2.1163 mL	4.2325 mL
	10 mM	0.2116 mL	1.0581 mL	2.1163 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: ≥ 2.75 mg/mL (5.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (5.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SRPKIN-1 is a covalent and irreversible SRPK1/2 inhibitor with IC_{50}s of 35.6 and 98 nM, respectively. Anti-angiogenesis effect [1].

IC_{50} & Target

IC50: 35.6 nM (SRPK1), 98 nM (SRPK2)[1]

In Vitro

SRPKIN-1 treatment at 200 nM (10, 50, 100, 200 nM, 16 hours) significantly reduces SR protein phosphorylation at the steady state with or without washout[1]. ?

SRPK-IN-1 potently converts VEGF from pro-angiogenic to anti-angiogenic isoform[1].

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

Cell Viability Assay[1]

Cell Line:	Ba/F3 cells
Concentration:	0-10000 nM
Incubation Time:	72 h
Result:	Potently decreased the level of SR phosphorylation in a dose-dependent manner, leading to increased VEGF-A165b RNA as well as protein even at a dose of 200 nM[1].

In Vivo

SRPKIN-1 (50 nM, 300 nM,1 μL, 5 times) blocks angiogenesis in a CNV mouse model through VEGF alternative splicing[1].

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

Animal Model:	Mice[1]
Dosage:	50 nM, 300 nM, 1 μL
Administration:	Intravitreal injection, 5 times
Result:	SRPKIN-1-treated mice is significantly suppressed in a dose-dependent manner based upon measurement of the CNV area[1].

CUSTOMER VALIDATION

- Mol Cell. 2023 Aug 17;83(16):3010-3026.e8.
- Leukemia. 2023 Jul 8.
- Cancers (Basel). 2023 Apr 13, 15(8), 2271.

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REFERENCES

[1]. Hatcher JM, et al. SRPKIN-1: A Covalent SRPK1/2 Inhibitor that Potently Converts VEGF from Pro-angiogenic to Anti-angiogenic Isoform. Cell Chem Biol. 2018 Apr 19;25(4):460-470.e6.

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