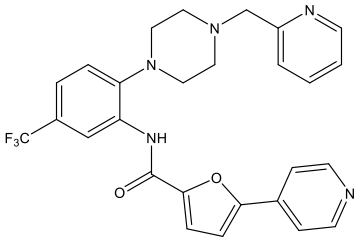
Catalog #10-4376

SPHINX31

CAS# 1818389-84-2

5-Pyridin-4-yl-*N*-[2-[4-(pyridin-2-ylmethyl)piperazin-1-yl]-5-(trifluoromethyl)phenyl]furan-2-carboxamide

Lot # FBS10052



SPHINX31 is a potent (IC_{50} = 5.9 nM) and selective inhibitor of serine/arginine-rich protein kinase 1 (SRPK1), a splice factor kinase involved in VEGF-A isoform formation and other alternative splicing events.[1] It displayed antiangiogenic effects in a mouse model of choroidal neovascularization[1] a rat model of diabetic retinopathy[2]. SPHINX31 exhibited antitumor and synergistic (with cisplatin) effects in extranodal lymphoma cells.[3] It was able to change splicing of programmed cell death receptor 1 (PD-1) to produce a more soluble form that prevented T cell exhaustion in a cancer model.[4] It corrected BIN1, MCL-1, and BCL2 splicing errors in cholangiocarcinoma cells resulting in apoptosis of cancer cells.[5]

1) Batson *et al.* (2017), *Development of Potent, Selective SRPK1 Inhibitors as Potential Topical Therapeutics for Neovascular Eye Disease*; ACS Chem. Biol. **12** 825
2) Malhi *et al.* (2022), *Serine-arginine-rich protein kinase-1 inhibition for the treatment of diabetic retinopathy*; Am. J. Physiol. Heart Circ. Physiol. **322** H1014
3) He *et al*. (2022), *Inhibition of SRPK1, a key splicing regulator, exhibits antitumor and chemotherapeutic-sensitizing effects on extranodal NK/T-cell lymphoma cells*; BMC Cancer **22** 1100
4) Wahid *et al*. (2023), *Targeting alternative splicing as a new cancer immunotherapy-phosphorylation of serine arginine-rich splicing factor (SRSF1) by SR protein kinase 1 (SRPK1) regulates alternative splicing of PD1 to generate a soluble antagonistic isoform that prevents T cell exhaustion*; Cancer Immunol. Immunother. **72** 4001
5) Changphasuk *et al.* (2024), *SRPK Inhibitor Reduce the Phosphorylation and Translocation of SR Protein Splicing Factors, thereby Correcting BIN1, MCL-1 and BCL2 Splicing Errors and Enabling Apoptosis of Cholangiocarcinoma Cells*; Front. Biosci. (Schol. Ed.) **16** 17

PHYSICAL DATA

Molecular Weight:	507.52
Molecular Formula:	$C_{27}H_{24}F_3N_5O_2$
Purity:	>98% (HPLC)
	NMR: (Conforms)
Solubility:	DMSO (15 mg/mL with warming)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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