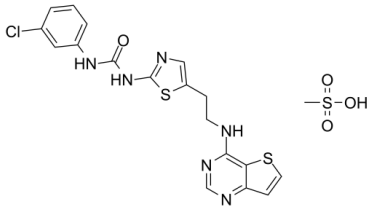
Data Sheet

Product Name:	SNS-314
Cat. No.:	CS-0113
CAS No.:	1146618-41-8
Molecular Formula:	C19H19ClN6O4S3
Molecular Weight:	527.04
Target:	Aurora Kinase
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Solubility:	DMSO : 50 mg/mL (94.87 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

SNS-314 is a potent and selective **aurora** kinase inhibitor with **IC_{50}**s of 9, 31, and 6 nM for aurora A, B and C, respectively. IC50 & Target: IC50: 9 nM (Aurora A), 31 nM (Aurora B), 6 nM (Aurora C)[1] **In Vitro:** SNS-314 blocks proliferation in a broad panel of tumor cell lines (HCT116, A2780, PC-3, HeLa, MDA-MB-231, H-1299, and HT29) with IC_{50} values ranging from 1.8 nM in A2780 ovarian cancer cells to 24 nM in HT29 colon cancer cells[2]. **In Vivo:** In the HCT116 human colon cancer xenograft model, administration of 50 and 100 mg/kg SNS-314 leads to dose-dependent inhibition of histone H3 phosphorylation for at least 10 h. SNS-314 shows significant tumor growth inhibition in a dose dependent manner under a variety of dosing schedules including weekly, bi-weekly, and 5 days on/9 days off[2].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [2]A homogeneous time-resolved fluorescence (HTRF)-based biochemical IC_{50} assay is used to test for the kinase activity of the three isoforms of Aurora (A, B, and C) in the presence of SNS-314. A biotin-conjugated histone H3 peptide is used as substrate. Aurora-A kinase (7.5 nM) is assayed in 10 mM Tris–HCl pH 7.2, 10 mM $MgCl_2$, 0.1% BSA, 0.05% Tween 20, 1 mM DTT, 120 nM biotinylated peptide ARTKQTARKSTGGKAPRKQLA-GGK-biotin, 6 μM ATP (2×the Km for the enzyme) for 1 h at 25°C. The reaction is stopped with 200 mM EDTA. Aurora-B and Aurora-C are assayed at 5 nM enzyme concentration, 120 nM biotinylated peptide, and 300 IM ATP (29 the **K_m** for the enzymes) for 1 h at 25°C[2]. **Cell Assay:** SNS-314 is prepared in DMSO[2].[2]HCT116 cells are treated with various concentrations of SNS-314 for 96 hours. cells are incubated with BrdU for 2 h at 37°C. Cell proliferation activity is evaluated by chemiluminescence detection of BrdU incorporated in DNA[2]. **Animal Administration:** For intraperitoneal (i.p.) administration, SNS-314 is formulated in 20% Captisol (sulfobutyl ether b-cyclodextrin)[2].[2]Mouse: Tumor mice are treated with vehicle or SNS-314. Animals are weighed, monitored for signs or symptoms of toxic effects, and measured for tumor volumes twice weekly until an end point is met[2].

References:

[1]. Oslob JD, et al. Discovery of a potent and selective aurora kinase inhibitor. Bioorg Med Chem Lett. 2008 Sep 1;18(17):4880-4.

[2]. Arbitrario JP, et al. SNS-314, a pan-Aurora kinase inhibitor, shows potent anti-tumor activity and dosing flexibility in vivo. Cancer Chemother Pharmacol. 2010 Mar;65(4):707-17.

CAIndexNames:

Urea, N-(3-chlorophenyl)-N'-[5-[2-(thieno[3,2-d]pyrimidin-4-ylamino)ethyl]-2-thiazolyl]-, methanesulfonate (1:1)

SMILES:

O=C(NC1=CC=CC(Cl)=C1)NC2=NC=C(S2)CCNC3=C4C(C=CS4)=NC=N3.OS(C)(=O)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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