

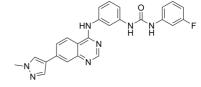
Data Sheet

Product Name: SP-96

Molecular Weight: 453.47

Target: Aurora Kinase

Pathway: Cell Cycle/DNA Damage; Epigenetics
Solubility: DMSO: 100 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

SP-96 is a?highly potent, selective and non-ATP-competitive **Aurora B** (IC_{50} =0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 and KIT. SP-96 shows selective growth inhibition in NCI60 screening, including MDA-MD-468 (GI_{50} =107 nM). SP-96 can be used for the research of triple negative breast cancer (TNBC)^[1]. *In Vitro:* SP-96 is a?highly potent, selective and non-ATP-competitive **Aurora B** (IC_{50} =0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 (IC_{50} =1475.6 nM) and KIT (IC_{50} =1307.6 nM)^[1].

SP-96 (0-1 μM; 24 hours) is not promiscuous, rather selective for a few cell lines, it inhibits MDA-MB-468, CCRF-CEM, COLO 205 and A498 cell growth with GI₅₀ values of 107 nM,47.4 nM, 50.3 nM and 53.2 nM, respectively^[1].

SP-96 (63.2 nM) inhibits Aurora B activity in H460 cells by the characteristics of increased DNA content, and it increases cell volume with enormous nucleus^[1].

SP-96 (0-2 μ M) inhibits Aurora B enzymatic activity with an IC₅₀ of 0.316 nM and inhibits Aurora A with observed IC₅₀ value of 18.975 nM. SP-96 shows >2000 fold selectivity against FLT3 (IC₅₀=1475.6 nM) and KIT (IC₅₀=1307.6 nM). Meanwhile, it exhibits inhibitory effects on other receptor tyrosine kinases (RTKs) namely EGFR, RET and HER2 with IC₅₀ value $\geq 2 \mu$ M^[1].

References:

[1]. Naga Rajiv Lakkaniga, et al. Discovery of SP-96, the first non-ATP-competitive Aurora Kinase B inhibitor, for reduced myelosuppression. Eur J Med Chem. 2020 Jul 12:203:112589.

CAIndexNames:

Urea, N-(3-fluorophenyl)-N'-[3-[[7-(1-methyl-1H-pyrazol-4-yl)-4-quinazolinyl]amino]phenyl]-

SMILES:

O=C(NC1=CC=CC(NC2=C3C=CC(C4=CN(C)N=C4)=CC3=NC=N2)=C1)NC5=CC=CC(F)=C5

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

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