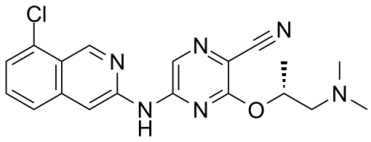
Data Sheet

Product Name:	SAR-020106
Cat. No.:	CS-0018190
CAS No.:	1184843-57-9
Molecular Formula:	$C_{19}H_{19}ClN_6O$
Molecular Weight:	382.85
Target:	Checkpoint Kinase (Chk)
Pathway:	Cell Cycle/DNA Damage
Solubility:	DMSO : 5 mg/mL (13.06 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

SAR-020106 is an ATP-competitive, potent, and selective **CHK1** inhibitor with an **IC_{50}** of 13.3 nM for human CHK1. SAR-020106 shows excellent selectivity over CHK2. SAR-020106 significantly enhances the cell killing of Gemcitabine and SN38 by 3- to 29-fold in several colon tumor lines and in a p53-dependent fashion. SAR-020106 can enhance antitumor activity with selected anticancer drugs[1][2]. **In Vitro:** SAR-020106 (0.1-1 μM; 23 hours) abrogates an Etoposide-induced S and G2 arrest[1].
SAR-020106 is capable of abrogating Etoposide-induced cell cycle arrest with an IC_{50} of 55 nM and 91 nM in HT29 and SW620 cells, respectively. SAR-020106 is relatively nontoxic with a GI_{50} of 0.48 μM in HT29 and 2 μM in SW620, resulting in an activity index of 8.7 and 22, respectively. SAR-020106 inhibits cytotoxic drug-induced autophosphorylation of CHK1 at S296 and blocks the phosphorylation of CDK1 at Y15 in a dose-dependent fashion[1]. **In Vivo:** SAR-020106 (40 mg/kg; i.p.; administered on days 0, 1, 7, 8, 14, and 15) in combination with Irinotecan potentiates the antitumor activity in SW620 xenografts[1].

References:

[1]. Walton MI, et al. The preclinical pharmacology and therapeutic activity of the novel CHK1 inhibitor SAR-020106. Mol Cancer Ther. 2010;9(1):89-100.

[2]. Reader JC, et al. Structure-guided evolution of potent and selective CHK1 inhibitors through scaffold morphing. J Med Chem. 2011;54(24):8328-8342.

CAIndexNames:

2-Pyrazinecarbonitrile, 5-[(8-chloro-3-isoquinolinyl)amino]-3-[(1R)-2-(dimethylamino)-1-methylethoxy]-

SMILES:

N#CC1=NC=C(NC2=CC3=C(C=N2)C(Cl)=CC=C3)N=C1O[C@H](C)CN(C)C

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

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