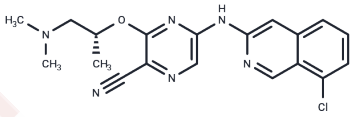
Data Sheet (Cat.No.T21331)

TargetMol

SAR-020106

Chemical Properties



CAS No. : 1184843-57-9

Formula: C19H19ClN6O

Molecular Weight: 382.85

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SAR-020106 is a potent, ATP-competitive, and selective CHK1 inhibitor with an IC50 of 13.3 nmol/L on the isolated human enzyme.
Targets(IC50)	Chk
In vitro	SAR-020106 potentiated the efficacies of irinotecan and gemcitabine in SW620 human colon carcinoma xenografts in nude mice[2]
In vivo	SAR-020106 is an ATP-competitive, potent, and selective CHK1 inhibitor with an IC(50) of 13.3 nmol/L on the isolated human enzyme. This compound abrogates an etoposide-induced G(2) arrest with an IC(50) of 55 nmol/L in HT29 cells, and significantly enhances the cell killing of gemcitabine and SN38 by 3.0- to 29-fold in several colon tumor lines in vitro and in a p53-dependent fashion. Biomarker studies have shown that SAR-020106 inhibits cytotoxic drug-induced autophosphorylation of CHK1 at S296 and blocks the phosphorylation of CDK1 at Y15 in a dose-dependent fashion both in vitro and in vivo. Cytotoxic drug combinations were associated with increased gammaH2AX and poly ADP ribose polymerase cleavage consistent with the SAR-020106-enhanced DNA damage and tumor cell death. Irinotecan and gemcitabine antitumor activity was enhanced by SAR-020106 in vivo with minimal toxicity. SAR-020106 represents a novel class of CHK1 inhibitors that can enhance antitumor activity with selected anticancer drugs in vivo and may therefore have clinical utility[1].

Solubility Information

Solubility	DMSO: 12 mg/mL (31.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.612 mL	13.0599 mL	26.1199 mL
5 mM	0.5224 mL	2.612 mL	5.224 mL
10 mM	0.2612 mL	1.306 mL	2.612 mL
50 mM	0.0522 mL	0.2612 mL	0.5224 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Walton M I , Eve P D , Hayes A , et al. The Preclinical Pharmacology and Therapeutic Activity of the Novel CHK1 Inhibitor SAR-020106[J]. Molecular Cancer Therapeutics, 2010, 9(1):89-100.
Klair S , Matthews T P , Cheung K M J , et al. Structure-Guided Evolution of Potent and Selective CHK1 Inhibitors through Scaffold Morphing[J]. Journal of Medicinal Chemistry, 2011, 54(24):8328-42.