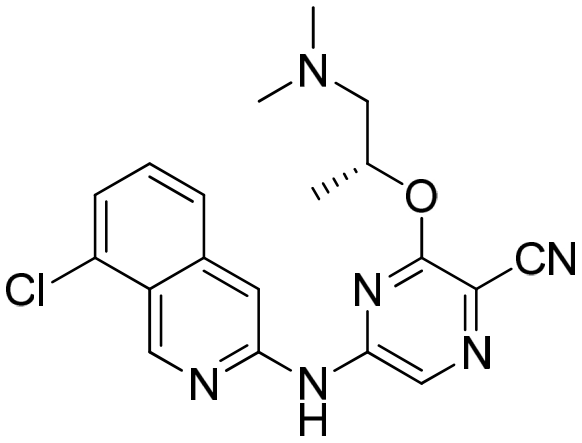
SAR020106

SYN-1189



(R)-5-((8-chloroisoquinolin-3-yl)amino)-3-((1-(dimethylamino)propan-2-yl)oxy)pyrazine-2-carbonitrile

CAS Registry No.: 1184843-57-9

Smiles String:
ClC1=CC=CC2=CC(NC3=CN=C(C#N)C(O[C@H](C)CN(C)C)=N3)=NC=C21

Molecular Weight: 382.85

Molecular Formula: C19H19ClN6O

Lot Number: Refer to vial

1H-NMR: Available on request

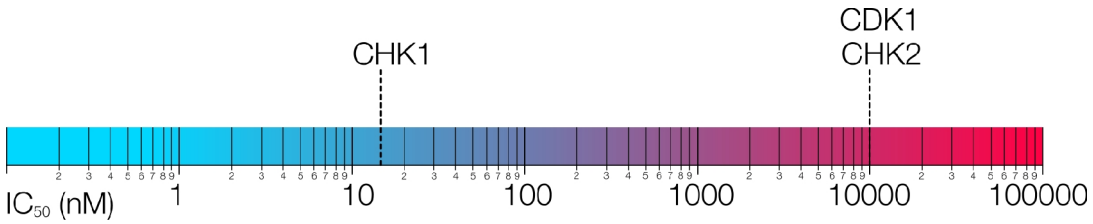
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

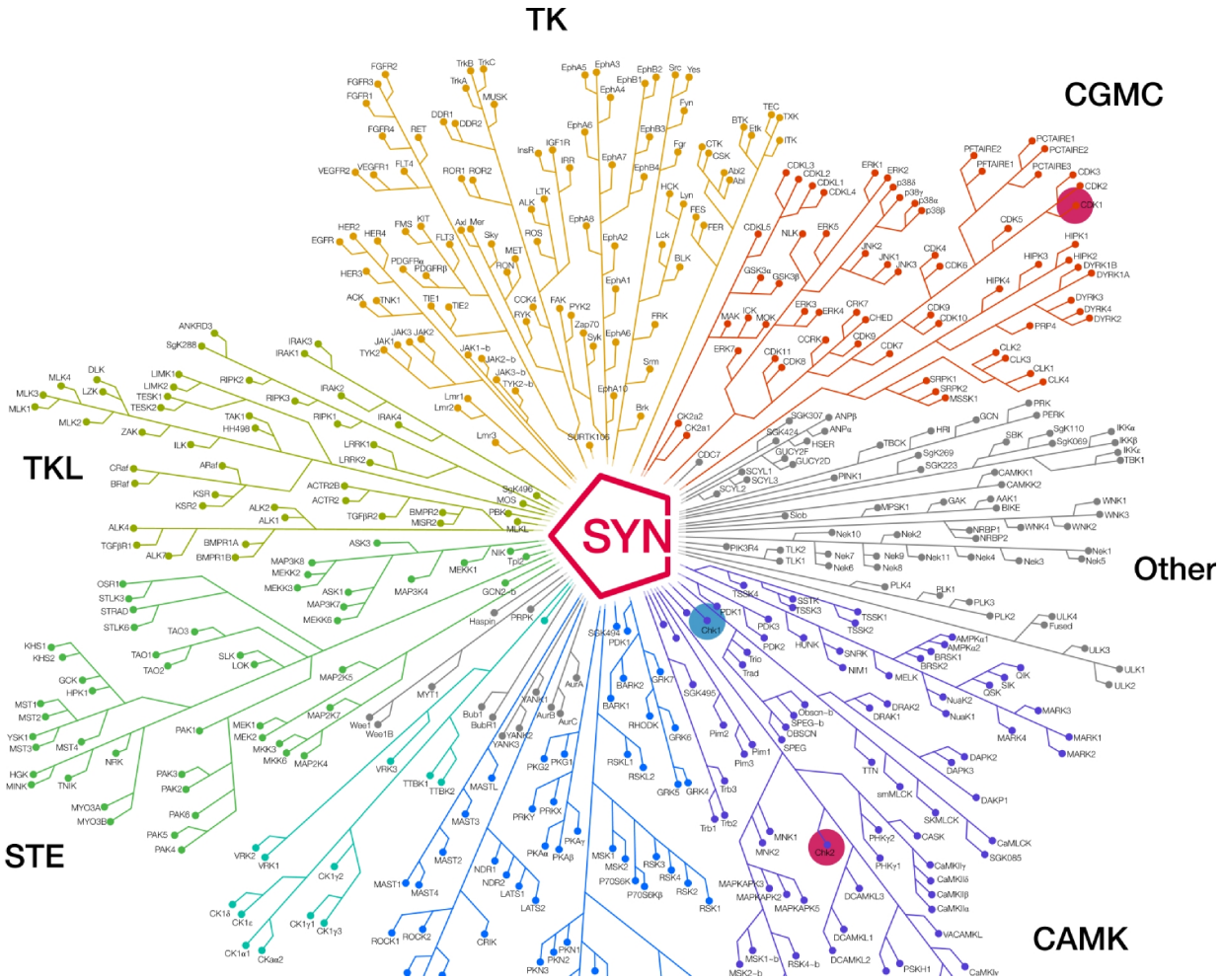
Description:

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.

Biological Activity



Kinome Mapping



Shipping and Storage Temperature

Shipping:
Ambient

Storage:
2 years -20C, Powder 1 month, -4C in DMSO, More than one month -80C in DMSO

Solubility

20 mM in DMSO

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.3829	3.8290	7.6580	19.1450

References

1. Walton MI, Eve PD, Hayes A, Valenti M, De Haven Brandon A, Box G, Boxall KJ, Aherne GW, Eccles SA, Raynaud FI, Williams DH, Reader JC, Collins I, Garrett MD. The preclinical pharmacology and therapeutic activity of the novel CHK1 inhibitor SAR-020106. Mol Cancer Ther. 2010 Jan;9(1):89-100. doi: 10.1158/1535-7163.MCT-09-0938. Epub 2010 Jan 6. PubMed PMID: 20053762.

Ordering Information

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