

4-(2,6-dichlorobenzamido)-N-(piperidin-4-yl)-1H-pyrazole-3-carboxamide hydrochloride

CAS Registry No.: 902135-91-5

Smiles String:

c1cc(c(c1)Cl)C(=O)Nc2c[nH]nc2C(=O)NC3CCNCC3)Cl.Cl

Molecular Weight: 418.71

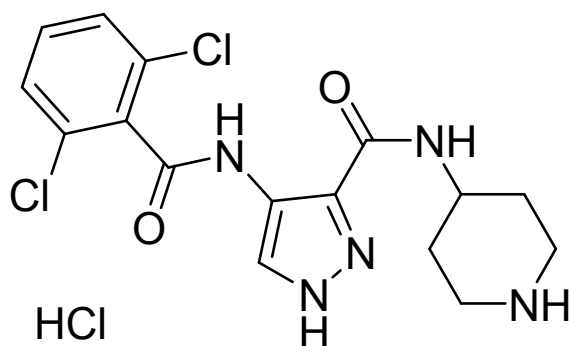
Molecular Formula: C₁₆H₁₇Cl₂N₅O₂.HCl

Lot Number: Refer to vial

¹H-NMR: Available on request

HPLC (Purity): > 95.0% @ 254 nm

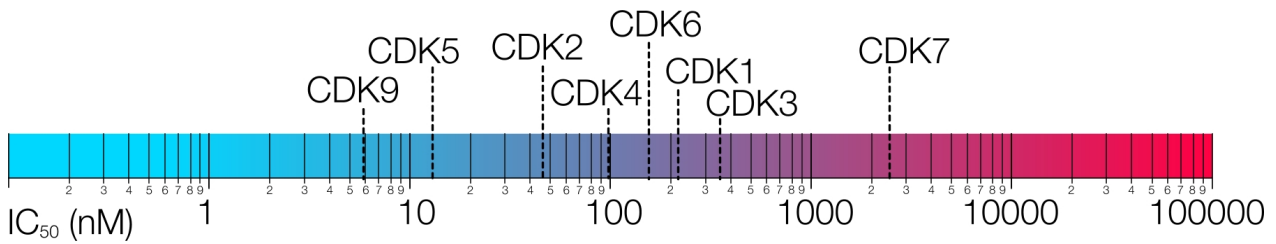
ES-MS: Available on request



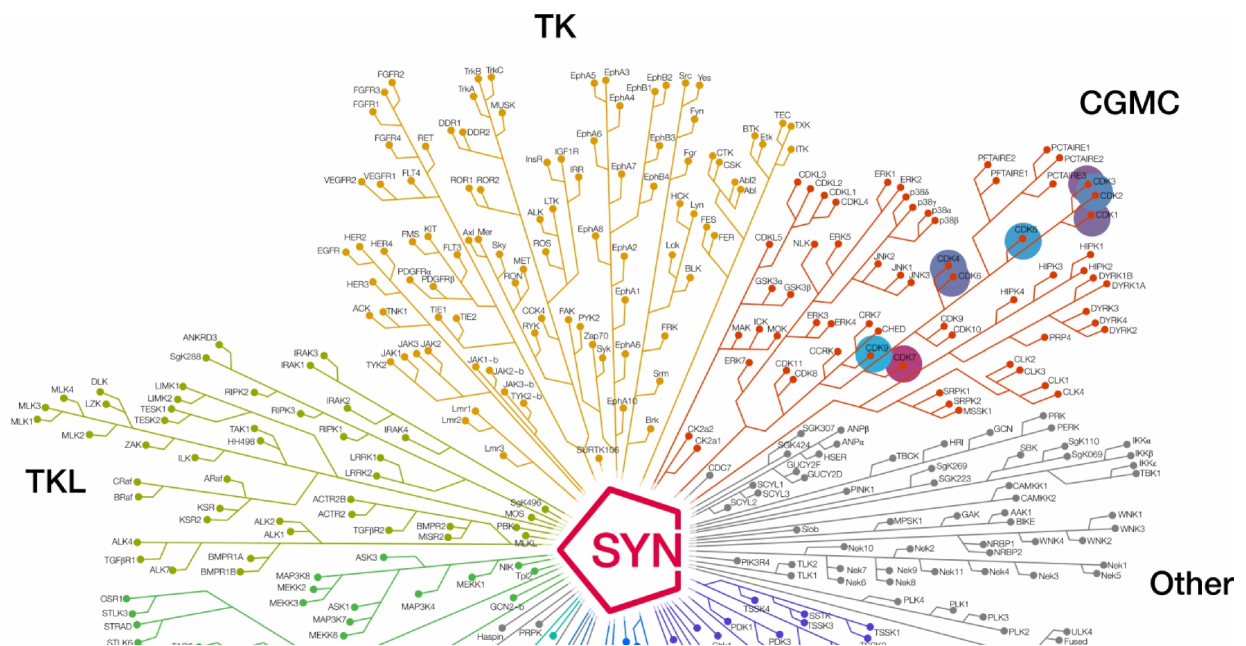
Description:

AT7519 is a potent inhibitor of several CDK family members. AT7519 showed potent antiproliferative activity (40-940 nmol/L) in a panel of human tumor cell lines, and the mechanism of action was shown here to be consistent with the inhibition of CDK1 and CDK2 in solid tumor cell lines. AT7519 caused cell cycle arrest followed by apoptosis in human tumor cells and inhibited tumor growth in human tumor xenograft models. Tumor regression was observed following twice daily dosing of AT7519 in the HCT116 and HT29 colon cancer xenograft models. Also it has been shown that the biological effects are linked to inhibition of CDKs in vivo and that AT7519 induces tumor cell apoptosis in these xenograft models. Moreover, AT7519 has an attractive biological profile and is well tolerated and effective making it a more plausible candidate for clinical development than previously available CDK inhibitors. In in vitro kinase assays AT7519 showed nanomolar levels of activity from <10 to 2400 for cyclins; only one other non-cyclin related kinase was inhibited at levels below 10 μM (GSK3beta, 89nM), all others tested had IC₅₀'s of greater than 10,000 nM. {PMID: 19174555, supplemental data}.

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

DMSO 10mg/mL, Ethanol 1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.4188	4.1880	8.3760	20.9400

References

1. Squires MS, Feltell RE, Wallis NG, Lewis EJ, Smith DM, Cross DM, Lyons JF, Thompson NT. Biological characterization of AT7519, a small-molecule inhibitor of cyclin-dependent kinases, in human tumor cell lines. Mol Cancer Ther. 2009 Feb;8(2):324-32. doi: 10.1158/1535-7163.MCT-08-0890. Epub 2009 Jan 27.

Ordering Information

To order more of this or any other SYNkinase compound, go to synkinase.com, Call us Toll Free (US Only) at 1- 877-854-6273 or email orders@synkinase.com.

Product Datasheet (Rev. 1.1)