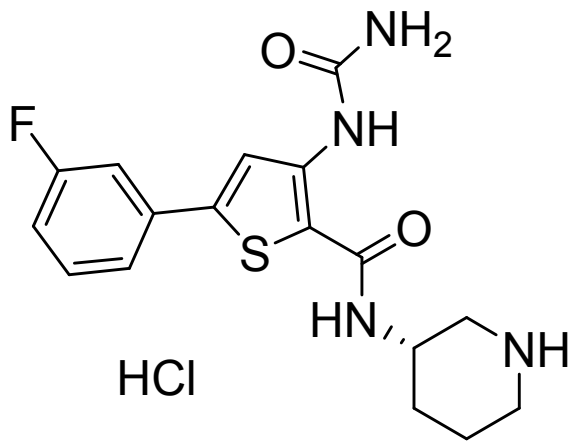
AZD7762 HCl

SYN-1017



1-(2-((S)-piperidin-3-ylcarbamoyl)-5-(3-fluorophenyl)thiophen-3-yl)urea hydrochloride

CAS Registry No.: 1246094-78-9

Smiles String:
c1cc(cc(c1)F)c2cc(c(s2)C(=O)N[C@H]3CCCNC3)NC(=O)N.Cl

Molecular Weight: 398.88

Molecular Formula: C17H19FN4O2S.HCl

Lot Number: Refer to vial

1H-NMR: Available on request

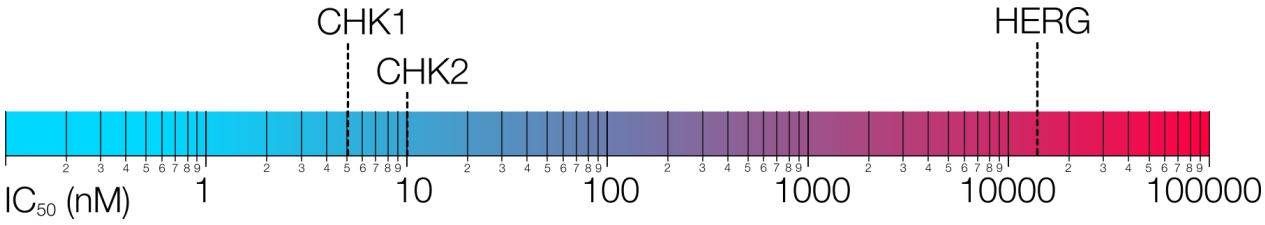
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

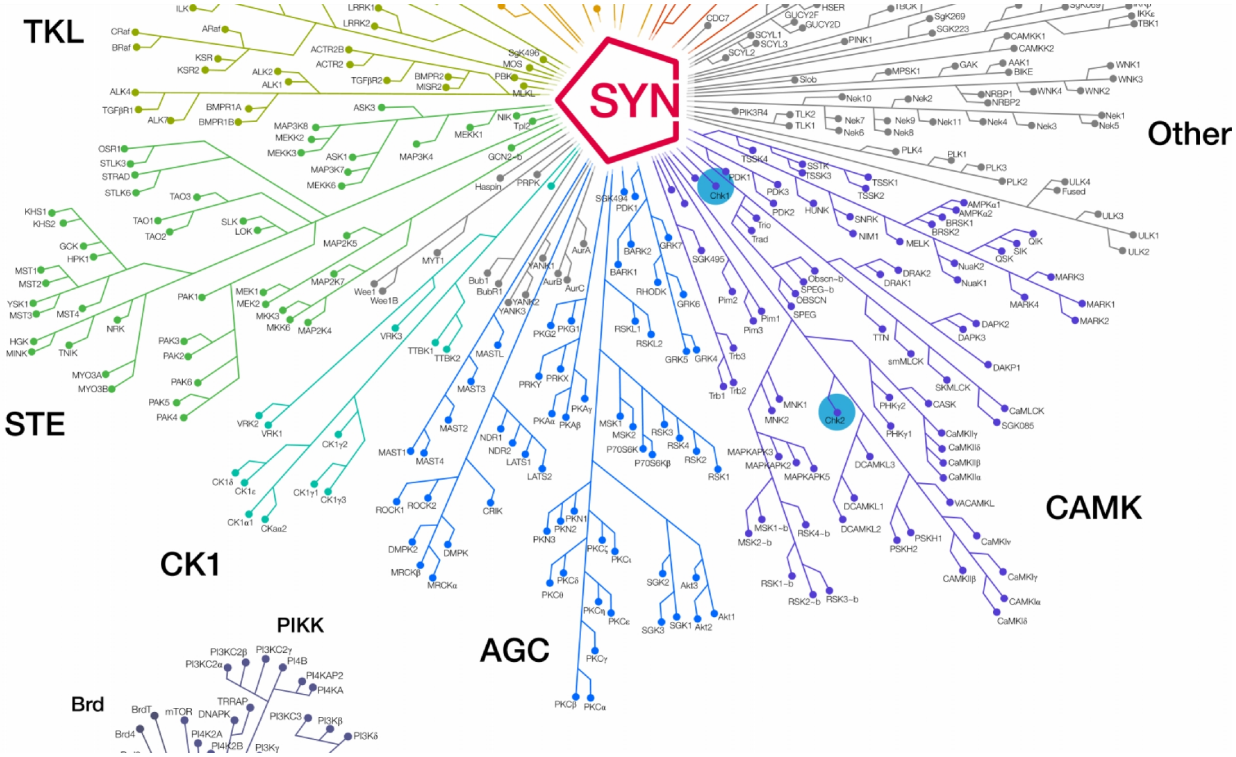
Description:

Checkpoint kinases CHK1 and CHK2 are activated in response to DNA damage that results in cell cycle arrest, allowing sufficient time for DNA repair. Agents that lead to abrogation of such checkpoints have potential to increase the efficacy of such compounds as chemo- and radiotherapies. AZD7762 is a specific inhibitor for the CHK1/2 kinases with IC50 values less than 10. AZD7762 enhances radiation sensitivity and gemcitabine-mediated radiosensitization in pancreatic cancer cells and xenografts and thus is helpful in the treatments of potentially many cancers. In addition, AZD7762 is a chemosensitizer and with gemcitabine and radiation produced a significant delay in the growth of pancreatic tumor xenografts with tolerable toxicity. AZD7762 is being studied as an important link in improving both adjuvant therapy and the treatment of metastatic disease.

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 73mg/mL, Ethanol 3mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.3989	3.9888	7.9776	19.9440

References

1. Oza V, Ashwell S, Almeida L, Brassil P, Breed J, Deng C, Gero T, Grondine M, Horn C, Ioannidis S, Liu D, Lyne P, Newcombe N, Pass M, Read J, Ready S, Rowsell S, Su M, Toader D, Vasbinder M, Yu D, Yu Y, Xue Y, Zabludoff S, Janetka J . Discovery of checkpoint kinase inhibitor (S)-5-(3-fluorophenyl)-N-(piperidin-3-yl)-3-ureidothiophene-2-carboxamide (AZD7762) by structure-based design and optimization of thiophenecarboxamide ureas. J Med Chem. 2012 Jun 14;55(11):5130-42. doi: 10.1021/jm300025r. Epub 2012 Jun 4.

2. Morgan MA, Parsels LA, Zhao L, Parsels JD, Davis MA, Hassan MC, Arumugarajah S, Hylander-Gans L, Morosini D, Simeone DM, Canman CE, Normolle DP, Zabludoff SD, Maybaum J, Lawrence TS . Mechanism of radiosensitization by the Chk1/2 inhibitor AZD7762 involves abrogation of the G2 checkpoint and inhibition of homologous recombinational DNA repair. Cancer Res. 2010 Jun 15;70(12):4972-81. doi: 10.1158/0008-5472.CAN-09-3573. Epub 2010 May 25.

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.