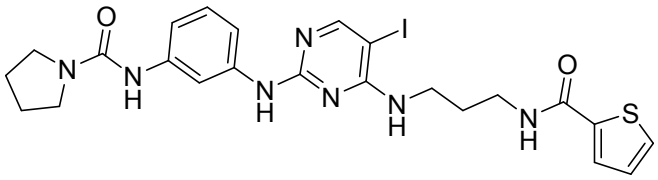
BX795

SYN-1026



N-(3-(5-iodo-4-(3-(thiophene-2-carboxamido)propylamino)pyrimidin-2-ylamino)phenyl)pyrrolidine-1-carboxamide

CAS Registry No.: 702675-74-9

Smiles String:
c1cc(cc(c1)NC(=O)N2CCCC2)Nc3ncc(c(n3)NCCCNC(=O)c4cccs4)I

Molecular Weight: 591.47

Molecular Formula: C23H26IN7O2S

Lot Number: Refer to vial

1H-NMR: Available on request

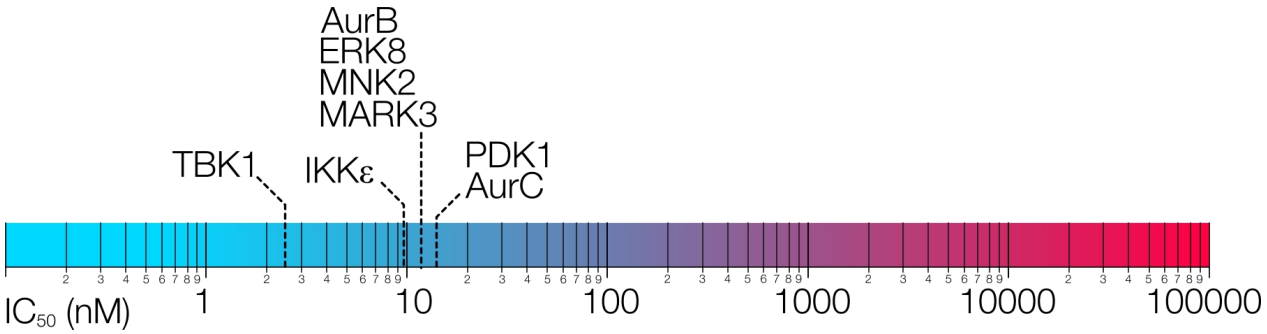
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

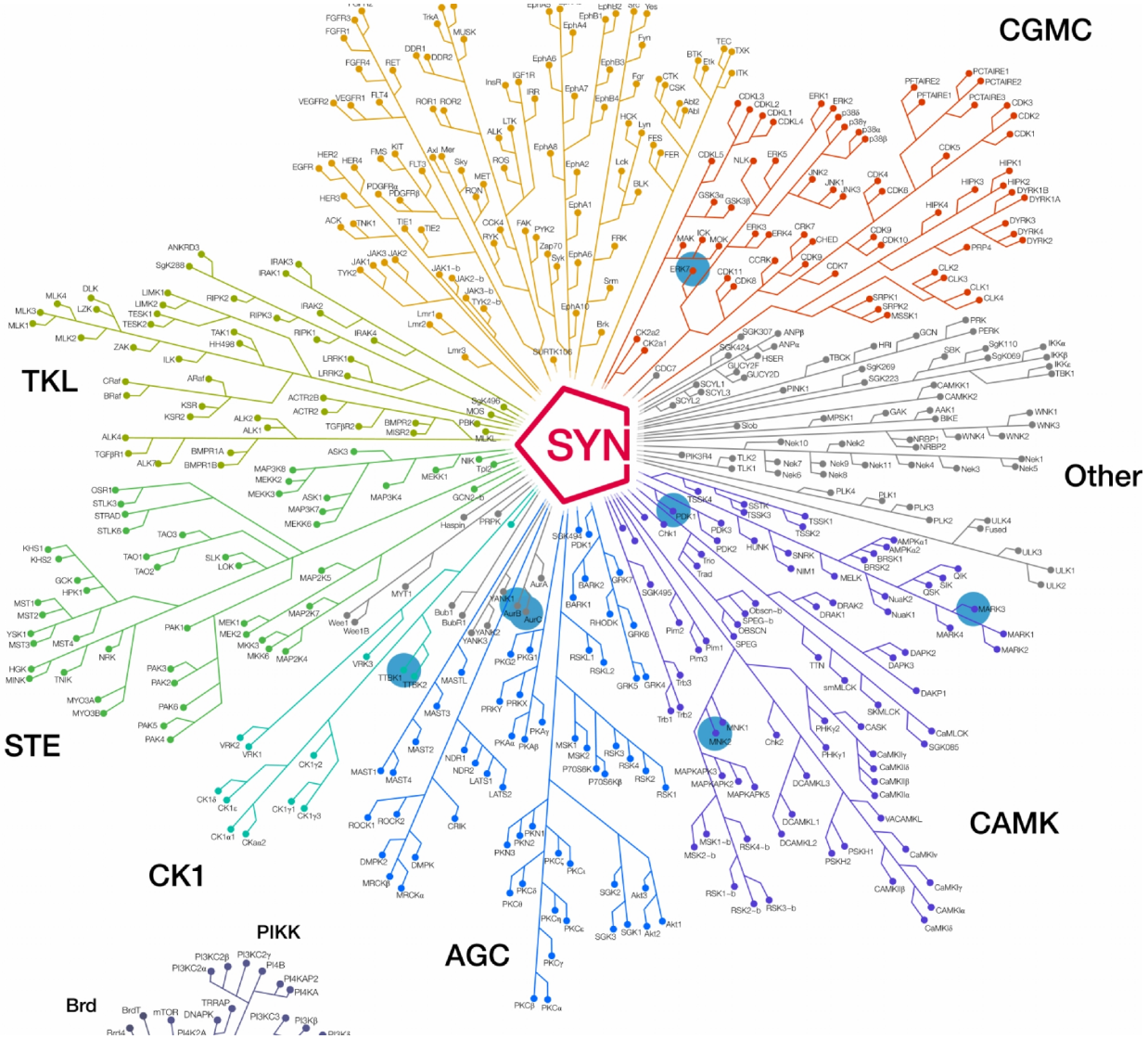
Description:

BX795 was initially developed as a PDK1 inhibitor (direct competitive inhibitor IC50 11nM for PDK1) and has been shown to be a potent and relatively specific inhibitor of TBK1 and IKK-epsilon. It blocks the phosphorylation, nuclear translocation, and transcriptional activity of interferon regulatory factor 3. BX795 also is a potent inhibitor of cell growth of multiple cancer cell lines with IC50 values ranging from submicromolar amounts (0.368 μM to greater than 450 μM. (pubchem biological data, CID: 10077147).

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 118mg/mL, Ethanol 1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.5915	5.9150	11.8300	29.5750

References

1. Clark K, Plater L, Peggie M, Cohen P . Use of the pharmacological inhibitor BX795 to study the regulation and physiological roles of TBK1 and IkappaB kinase epsilon: a distinct upstream kinase mediates Ser-172 phosphorylation and activation. J Biol Chem. 2009 May 22;284(21):14136-46. doi: 10.1074/jbc.M109.000414. Epub 2009 Mar 22.

2. Bain J, Plater L, Elliott M, Shpiro N, Hastie CJ, McLauchlan H, Klevernic I, Arthur JS, Alessi DR, Cohen P. The selectivity of protein kinase inhibitors: a further update. Biochem J. 2007 Dec 15;408(3):297-315.

3. Feldman RI, Wu JM, Polokoff MA, Kochanny MJ, Dinter H, Zhu D, Biroc SL, Alicke B, Bryant J, Yuan S, Buckman BO, Lentz D, Ferrer M, Whitlow M, Adler M, Finster S, Chang Z, Arnaiz DO . Novel small molecule inhibitors of 3-phosphoinositide-dependent kinase-1. J Biol Chem. 2005 May 20;280(20):19867-74. Epub 2005 Mar 16.

Ordering Information

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