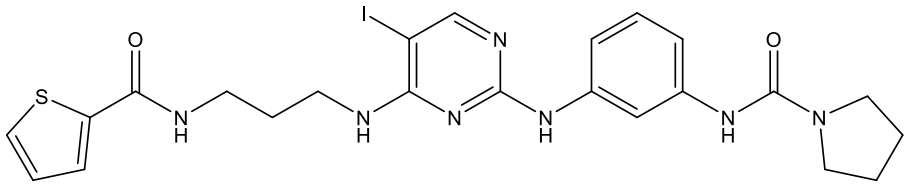
Catalog # 10-4677
BX795

CAS# 702675-74-9

N-[3-[[5-Iodo-4-[3-(thiophene-2-carbonylamino)propylamino]pyrimidin-2-yl]amino]phenyl]pyrrolidine-1-carboxamide

Lot # FBS2192



BX795, originally described as a moderately potent inhibitor of PDK1 (IC_{50} = 111 nM)[1], is, more importantly, a dual inhibitor of TBK1 and IKKε (IC_{50}'s = 6 and 41 nM respectively)[2]. TBK1 and IKKε regulate the production of Type I interferons during bacterial and viral infection *via* phosphorylation of the transcription factor IRF3. It also inhibited of MARK, MLK, NUAK, AurB, and ERK8.[3] BX795 exhibited antitumor activity in human oral squamous cell carcinoma[4], pancreatic ductal adenocarcinoma[5], and Glioblastoma Multiforme[6]. BX795 has been used to enhance lentiviral transduction efficiency in human NK cells[7-9] and human primary T cells[10] for CAR-T cell therapy.

1) Feldman *et al.* (2005) *Novel small molecule inhibitors of 3-phosphoinositide-dependent kinase-1;* J. Biol. Chem. **280** 19867
2) Bain *et al.* (2007) *The selectivity of protein kinase inhibitors: a further update;* Biochem. J. **408** 297
3) Clark *et al.* (2009) *Use of the Pharmacological Inhibitor BX795 to Study the Regulation and Physiological Roles of TBK1 and IkB Kinase ε;* J. Biol. Chem. **284** 14136
4) Bai *et al.* (2015) *BX795, a TBK1 inhibitor, exhibits antitumor activity in human oral squamous cell carcinoma through apoptosis induction and mitotic phase arrest;* Eur. J. Pharmacol. **769** 287
5) Choi *et al.* (2019) *A pharmacogenomic analysis using L1000CDS^2 identifies BX-795 as a potential anticancer drug for primary pancreatic ductal adenocarcinoma cells;* Cancer Lett. **465** 82
6) Scuderi *et al.* (2021) *TBK1 Inhibitor Exerts Antiproliferative Effect on Glioblastoma Multiforme Cells;* Oncol. Res. **28** 779
7) Sutlu *et al.* (2012) *Inhibition of Intracellular Antiviral Defense Mechanisms Augments Lentiviral Transduction of Human Natural Killer Cells: Implications for Gene Therapy;* Hum. Gene Ther. **23** 1090
8) Allan *et al.* (2021) *Systematic improvements in lentiviral transduction of primary human natural killer cells undergoing e4x vivo expansion;* Mol. Ther. Methods Clin. Dev. **20** 559
9) Chockley *et al.* (2021) *Transient blockade of TBK1/IKKε allows efficient transduction of primary human natural killer cells with vesicular stomatitis virus G-pseudotyped lentiviral vectors;* Cytotherapy **23** 787
10) Lingyu *et al.* (2020) *Lentiviral delivery of combinatorial CAR/CRISPRi circuit into human primary T cells is enhanced by TBK1/IKKε complex inhibitor BX795;* J. Transl. Med. **18** 363

PHYSICAL DATA

Molecular Weight:	591.47
Molecular Formula:	$C_{23}H_{26}IN_7O_2S$
Purity:	>97% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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