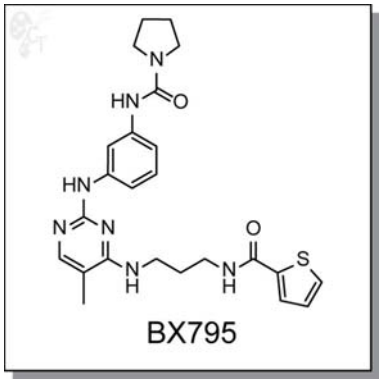
Product Specification Sheet

Product Name: BX795

Catalog Number: C2979



Technical information:

Chemical Formula:	$C_{23}H_{26}IN_7O_2S$
CAS #:	702675-74-9
Molecular Weight:	591.47
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	N-(3-(5-iodo-4-(3-(thiophene-2-carboxamido)propylamino)pyrimidin-2-ylamino)phenyl)pyrrolidine-1-carboxamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.169mL of DMSO for each mg of BX795
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

BX-795 is an aminopyrimidine-based, ATP-competitive inhibitor of PDK1, TBK1, and IKKe, with IC50 values of 11 nM, 6 nM, and 41 nM, respectively. [1, 2] BX-795 also potently inhibits ERK8, MNK2, Aurora B, Aurora C, and MARK3. [3] BX-795 inhibits both Thr308-Akt and Thr389-S6K1 phosphorylation at an IC50 of 300 nM. [2]

BX-795 has proven to be a powerful tool in the elucidation of TBK1's and IKKe's role in autophosphorylation events. [1] In particular, it wasfound that BX-795 blocked the autophosphorylation of overexpressed TBK1 and IKKe at Ser172, but did not inhibit endogenous TBK1 and IKKe in response to LPS, poly (I:C), IL-1a). These observations demonstrate that the phosphorylation of Ser172 and activation of TBK1 and IKKe are catalyzed by a distince protein kinase in vivo and that TBK1 and IKKe control a feedback loop that limits their activation to prevent hyperactivation by these enzymes. [1]

Reference:

1. Clark et al., 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, 284, 14136-14146. Pubmed ID: 19307177
2. Feldman et al., Novel small molecule inhibitors of 3-phosphoinositide-dependent kinase-1. J. Biol. Chem. 2005, 280(20), 19867-19874. Pubmed ID: 15772071
3. Bain et al., The selectivity of protein kinase inhibitors: a further update. Biochem J. 2007, 408, 297-315. Pubmed ID: 17850214

To reorder: http://www.cellagentech.com/BX795/

For Technical Support: technical@cellagentech.com

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