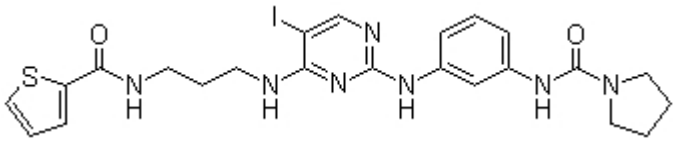
Product Introduction

BX-795

BX795 is a potent and specific **PDK1** inhibitor with **IC50** of 6 nM, 140- and 1600-fold more selective for PDK1 than PKA and PKC, respectively. Meanwhile, in comparison to GSK3β more than 100-fold selectivity observed for PDK1.

Technical Data:

Molecular Weight (MW):	591.47	
Formula:	$C_{23}H_{26}IN_7O_2S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	702675-74-9	

Biological Activity

BX-795 effectively blocks PDK1 activity in PC-3 cells, as shown by their ability to block phosphorylation of S6K1, Akt, PKCδ, and GSK3β. BX-795 potently inhibits tumor cell growth on plastic with IC50 of 1.6, 1.4, and 1.9 μM for MDA-468, HCT-116 and MiaPaca cells, respectively. In soft agar, BX-795 displays higher growth inhibition with IC50 of 0.72, and 0.25 μM for MDA-468, and PC-3 cells, respectively. [1] In addition, BX-795, as an inhibitor of the TBK1/IKKε, blocks TBK1- and IKKε-mediated activation of IRF3 and

production of IFN-β. [2] In platelet physiological responses, BX795 produces inhibitory effect on 2-MeSADP-induced or collagen-induced aggregation, ATP secretion and thromboxane generation. [3]

References

[1] Feldman RI, et al. J Biol Chem. 2005, 280(20), 19867-19874.

[2] Clark K, et al. J Biol Chem. 2009, 284(21), 14136-14146.

[3] Dangelmaier C, et al. Thromb Haemost. 2013,111(4).

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