

# BX-912

Catalog No: tcsc0079



## Available Sizes

Size: 5mg

Size: 50mg

Size: 100mg



## Specifications

CAS No:

702674-56-4

Formula:

$C_{20}H_{23}BrN_8O$

Pathway:

PI3K/Akt/mTOR

Target:

PDK-1

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

471.35

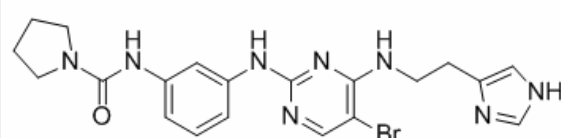
## Product Description

BX-912 is a potent **PDK1** inhibitors with an **IC<sub>50</sub>** of 12 nM.

IC50 & Target: IC50: 12 nM (PDK1), 110 nM (PKA), 410 nM (KDR), 650 nM (CDK2/cyclin E), 830 nM (Chck1), 850 nM (c-Kit), 1.25 μM

(PKC), 2.1  $\mu$ M (T-Fyn), 6.1  $\mu$ M (Insulin receptor), 7.4  $\mu$ M (GSK3 $\beta$ )<sup>[1]</sup>

***In Vitro:*** BX-912 also inhibits PKA, KDR, CDK2/cyclin E, Chck1, c-Kit, PKC, T-Fyn, Insulin receptor, and GSK3 $\beta$  with IC<sub>50</sub>s of 110 nM, 410 nM, 650 nM, 830 nM, 850 nM, 1.25  $\mu$ M, 2.1  $\mu$ M, 6.1  $\mu$ M and 7.4  $\mu$ M in kinase assays, respectively. BX-912 is identified in a coupled assay measuring PDK1- and PtdIns-3,4-P<sub>2</sub>-mediated Akt activation, which can detect inhibitors of PDK1, AKT2, or other steps critical for activation of AKT2. BX-912 potently inhibits PDK1 enzyme activity in a direct kinase assay format (IC<sub>50</sub>=26), although BX-912 fails to block preactivated AKT2 activity (IC<sub>50</sub>>10  $\mu$ M). BX-912 binds to the ATP binding site of PDK1. The aminopyrimidine backbone of BX-912 adopts a similar orientation in the active site of PDK1. To examine the kinase selectivity of BX-912, its effects on the in vitro activity of 10 different Ser/Thr and tyrosine kinases are determined, including the related AGC kinases PKA and PKC $\alpha$ . BX-912 is 9-fold selective for PDK1 relative to PKA. BX-912 blocks PDK1 activity in PTEN-negative PC-3 cells. PTEN-negative PC-3 cells display constitutive activation of Akt which is reflected in high levels of the PDK1 product, phospho-Thr<sup>308</sup>-Akt<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!