Web: www.taiclone.com
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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_{50}** 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

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(PKC), 2.1 μM (T-Fyn), 6.1 μM (Insulin receptor), 7.4 μM (GSK3β)[1]

In Vitro: BX-912 also inhibits PKA, KDR, CDK2/cyclin E, Chck1, c-Kit, PKC, T-Fyn, Insulin receptor, and GSK3β with IC_{50}s of 110 nM, 410 nM, 650 nM, 830 nM, 850 nM, 1.25 μM, 2.1 μM, 6.1 μM and 7.4 μM in kinase assays, respectively. BX-912 is identified in a coupled assay measuring PDK1- and PtdIns-3,4-P_2-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_{50}=26), although BX-912 fails to block preactivated AKT2 activity (IC_{50}>10 μM). 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 PKCα. 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^{308}-Akt[1].