PDK-1

Phosphoinositide dependent protein kinase-1

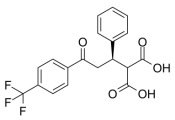
PDK-1 (phosphoinositide dependent protein kinase-1) is a protein which in humans is encoded by the PDK1 gene. It is implicated in the development and progression of melanomas. PDK-1 is a master kinase, which is crucial for the activation of AKT/PKB and many other AGC kinases including PKC, S6K, SGK. An important role for PDK-1 is in the signalling pathways activated by several growth factors and hormones including insulin signaling. More recent data indicate that alteration of PDK-1 is a critical component of oncogenic PI3K signalling in breast cancer, suggesting that inhibition of PDK-1 can inhibit breast cancer progression. PDK-1 has an essential role in regulating cell migration especially in the context of PDK-1 deficiency. PDK-1 is a valid therapeutic target and suggests that PDK-1 inhibitors may be useful to prevent cancer progression and abnormal tissue dissemination.

PDK-1 Inhibitors & Activators

(R)-PS210

Cat. No.: HY-13856

(R)-PS210, the R enantiomer of PS210 (compound 4h-eutomer), is a substrate-selective allosteric activator of **PDK1** with an AC_{50} value of 1.8 μM. (R)-PS210 targets to the PIF-binding pocket of PDK1. PIF: The protein kinase C-related kinase 2 (PRK2)-interacting fragment.

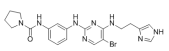


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BX-912

Cat. No.: HY-11005

BX-912 is a direct, selective, and ATP-competitive **PDK1** inhibitor (IC_{50}=26 nM). BX-912 blocks PDK1/Akt signaling in tumor cells and inhibits the anchorage-dependent growth of a variety of tumor cell lines in culture or induces **apoptosis**.

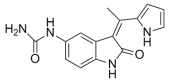


Purity: 98.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 50 mg, 100 mg

BX517

Cat. No.: HY-13842

BX517 is a potent and selective inhibitor of **PDK1** with IC_{50} of 6 nM.

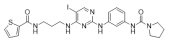


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BX795

Cat. No.: HY-10514

BX795 is a potent and selective inhibitor of **PDK1**, with an IC_{50} of 6 nM. BX795 is also a potent and relatively specific inhibitor of **TBK1** and **IKKε**, with an IC_{50} of 6 and 41 nM, respectively.

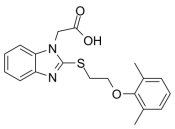


Purity: 99.33%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

CRTh2 antagonist 3

Cat. No.: HY-135773

CRTh2 antagonist 3 is a potent **chemoattractant receptor-homologous molecule expressed on Th2 cells (CRTh2)** antagonist. CRTh2 antagonist 3 enhances the activity of PDK1 toward a short peptide substrate, with an EC_{50} of 2 μM and a K_d of 8.4 μM.

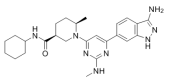


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK2334470

Cat. No.: HY-14981

GSK2334470 is a highly specific and potent inhibitor of **PDK1** with an IC_{50} of 10 nM.



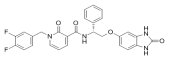
Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

MP7

(PDK1 inhibitor)

Cat. No.: HY-14440

MP7 (PDK1 inhibitor) is a phosphoinositide-dependent kinase-1 (**PDK1**) inhibitor.

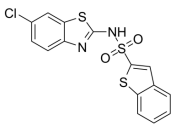


Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PDK1-IN-RS2

Cat. No.: HY-114645

PDK1-IN-RS2 is a mimic of peptide docking motif (PIFtide) and is a substrate-selective **PDK1** inhibitor with a K_d of 9 μM. PDK1-IN-RS2 suppresses the activation of the downstream kinases S6K1 by **PDK1**.

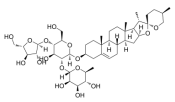


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Polyphyllin I

Cat. No.: HY-N0047

Polyphyllin I is a bioactive constituent extracted from Paris polyphylla, has strong anti-tumor activity. Polyphyllin I is an activator of the **JNK** signaling pathway and is an inhibitor of **PDK1/Akt/mTOR** signaling. Polyphyllin I induces **autophagy**, G2/M phase arrest and **apoptosis**.

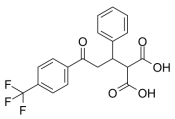


Purity: >98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

PS210

Cat. No.: HY-121629

PS210 is a potent and selective **PDK1** activator with a K_d of 3 μM and targets the PIF-binding pocket of **PDK1**. PS210 is inactive against other protein kinases, including **PDK1** downstream signaling components such as S6K, PKB/Akt or GSK3.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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