PDK-1

3-Phosphoinositide-dependent protein kinase 1

PDK-1 (3-Phosphoinositide-dependent protein kinase 1), a member of the protein A, G and C (AGC) family of proteins, is a Ser/Thr protein kinase. PDK-1, is the pivotal node in the PI3K pathway, has a key role in insulin and growth-factor signalling through phosphorylation and subsequent activation of a number of other AGC kinase family members, such as protein kinase B.

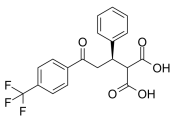
PDK-1 is responsible for the regulation of cell proliferation and migration and it also has been found to play a key role in different cancers, pancreatic and breast cancer amongst others. Many cancer-driving mutations induce activation of PDK-1 targets including Akt, S6K (p70 ribosomal S6 kinase) and SGK. Thus, PDK1 is a critical activator of multiple pro-survival and oncogenic protein kinases, for which it has garnered considerable interest as an oncology drug target.

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.

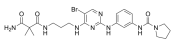


Purity: 98.20%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BX-320

Cat. No.: HY-10515

BX-320 is a selective, ATP-competitive, orally acitive, and direct **PDK1** inhibitor with an IC_{50} of 30 nM in a direct kinase assay format. BX-320 also induces **apoptosis**. Anticancer effect.

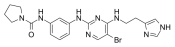


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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**.

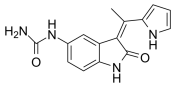


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 50 mg, 100 mg

BX517

Cat. No.: HY-13842

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

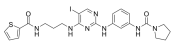


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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.

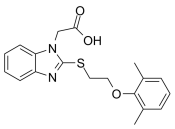


Purity: 99.17%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

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.

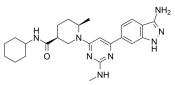


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK2334470

Cat. No.: HY-14981

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

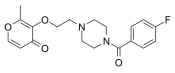


Purity: 99.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

LDHA/PDKs-IN-1

Cat. No.: HY-146977

LDHA/PDKs-IN-1 (compound 20e) is a potent and dual inhibitor of PDKs and LDHA with IC_{50}s of 0.8 and 0.15 μM, respectively. LDHA/PDKs-IN-1 reduces A549 cell proliferation with an EC_{50} of 13.2 μM and decreases the lactate formation, and increases oxygen consumption.

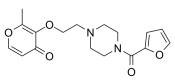


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LDHA/PDKs-IN-2

Cat. No.: HY-146978

LDHA/PDKs-IN-2 (compound 20k) is a potent and dual inhibitor of PDKs and LDHA with IC_{50}s of 1.6 and 0.7 μM, respectively. LDHA/PDKs-IN-2 reduces A549 cell proliferation with an EC_{50} of 15.7 μM and decreases the lactate formation, and increases oxygen consumption.



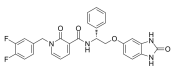
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MP7

(PDK1 inhibitor)

Cat. No.: HY-14440

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

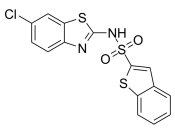


Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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**.

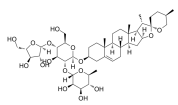


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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**.

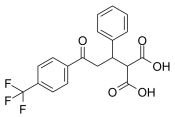


Purity: 99.61%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

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: 98.30%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg