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Inhibitors, Screening Libraries, Proteins

PKD

Protein kinase D

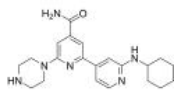
PKD (Protein kinase D) is an evolutionarily conserved protein kinase family with structural, enzymological, and regulatory properties different from the PKC family members. Signaling through PKD is induced by a remarkable number of stimuli, including G-protein-coupled receptor agonists and polypeptide growth factors. PKD family of serine/threonine protein kinases has three members: PKD1, PKD2, PKD3. PKD1, the most studied member of the family, is increasingly implicated in the regulation of a complex array of fundamental biological processes, including signal transduction, cell proliferation and differentiation, membrane trafficking, secretion, immune regulation, cardiac hypertrophy and contraction, angiogenesis, and cancer. PKD mediates such a diverse array of normal and abnormal biological functions via dynamic changes in its spatial and temporal localization, combined with its distinct substrate specificity.

PKD Inhibitors

BPKDi

Cat. No.: HY-118052

BPKDi is a potent bipyridyl PKD inhibitor with IC_{50} s of 1 nM, 9 nM and 1 nM for PKD1, PKD2 and PKD3, respectively. BPKDi blocks signal-dependent phosphorylation and nuclear export of class IIa HDACs in cardiomyocytes.

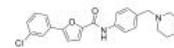


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CID 2011756

Cat. No.: HY-13454

CID 2011756 is an ATP competitive PKD inhibitor, with an IC_{50} of 3.2 μ M for PKD1 in cell free assay, and also shows cellular pan-PKD inhibitory activity against PKD2 and PKD3 (IC_{50} 0.6 and 0.7 μ M, respectively). CID 2011756 also has antitumor activity.

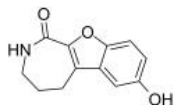


Purity: 96.04%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg

CID755673

Cat. No.: HY-12239

CID755673 is a potent PKD inhibitor with IC_{50} s of 182 nM, 280 nM and 227 nM for PKD1, PKD2 and PKD3, respectively.

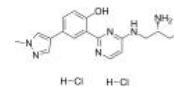


Purity: 99.12%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CRT0066101 dihydrochloride

Cat. No.: HY-15698A

CRT0066101 dihydrochloride is a potent and specific PKD inhibitor with IC_{50} values of 1, 2.5 and 2 nM for PKD1, 2, and 3 respectively.



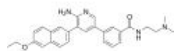
Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

CRT5

(CRT0066051)

Cat. No.: HY-112547

CRT5, a pyrazine benzamide, is a potent and selective inhibitor for all three isoforms of PKD in endothelial cells treated with VEGF (IC_{50} s = 1, 2, and 1.5 nM for PKD1, PKD2, and PKD3, respectively).

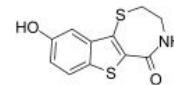


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

kb NB 142-70

Cat. No.: HY-15528

kb NB 142-70 is a potent PKD inhibitor, with IC_{50} s of 28.3, 58.7 and 53.2 nM for PKD1, PKD2, and PKD3, respectively. kb NB 142-70 also has antitumor activity.

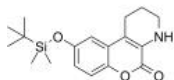


Purity: 98.85%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 10 mg, 50 mg

kb-NB77-78

Cat. No.: HY-16698

kb-NB77-78 is an analogue of CID797718, but shows no PKD inhibitory activity.



Purity: 99.97%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg