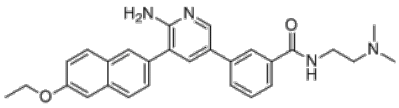
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PKD inhibitor CRT5
Cat. No.	:	PC-38466
CAS No.	:	1034297-58-9
Molecular Formula	:	$C_{28}H_{30}N_4O_2$
Molecular Weight	:	454.574
Target	:	PKD
Solubility	:	10 mM in DMSO



Biological Activity

CRT5 (PKD inhibitor CRT5) is a potent, selective pyrazine benzamide inhibitor of PKD (protein kinase D), inhibits peptide substrate phosphorylation with IC50 of 1, 2 and 1.5 nM for PKD1, PKD2 and PKD3, respectively.
CRT5 (PKD inhibitor CRT5) displays little inhibitory effect on any of the PKC isoforms tested at 1 uM, as well as a panel of other serine/threonine and tyrosine protein kinases.
CRT5 (5 uM treatment) inhibited VEGF-induced phosphorylation of PKD1 at Ser916 and PKD2 at the corresponding site Ser876 in HUVECs, with no effect on PKD phosphorylation at Ser744/Ser748.
CRT5 (PKD inhibitor CRT5) significantly reduced VEGF-induced HSP27 Ser82 phosphorylation in vitro, as well as VEGF-induced CREB phosphorylation.
CRT5 (PKD inhibitor CRT5) also strongly inhibited VEGF-induced HDAC5 phosphorylation at 5 uM.
CRT5 (PKD inhibitor CRT5) markedly inhibited VEGF-induced migration, proliferation and in vitro angiogenesis.

References

Evans IM, et al. Biochem J. 2010 Aug 1;429(3):565-72.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com