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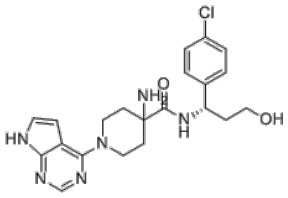
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AZD5363
Cat. No.	:	PC-49529
CAS No.	:	1143532-39-1
Molecular Formula	:	$C_{21}H_{25}ClN_6O_2$
Molecular Weight	:	428.921
Target	:	Akt
Solubility	:	10 mM in DMSO



Biological Activity

Capivasertib (AZD5363) is a potent, selective and orally bioavailable inhibitor of **pan-Akt** kinases with IC50 of <10 nM.
AZD5363 exhibits similar potency against P70S6K and PKA (IC50=6 and 7 nM) but a lower potency against the Rho kinases ROCK1 and ROCK2.
AZD5363 inhibited phosphorylation of AKT substrates in cells with a potency of 0.3-0.8 uM.
AZD5363 inhibited the proliferation of 41 of 182 solid and hematologic tumor cell lines with IC50 of <3 uM.
Oral dosing of AZD5363 (100 and 200mg/kg twice daily) reduces PRAS40, GSK3β, and S6 phosphorylation in BT474c xenografts (PRAS40 phosphorylation EC(50)=0.1 µmol/L total plasma exposure), reversible increases in blood glucose concentrations, and dose-dependent decreases in 2[18F]fluoro-2-deoxy-D-glucose ((18)F-FDG) uptake in U87-MG xenografts.
Chronic oral dosing of AZD5363 caused dose-dependent growth inhibition of xenografts derived from various tumor types, including HER2(+) breast cancer models that are resistant to trastuzumab.
AZD5363 also significantly enhanced the antitumor activity of docetaxel, lapatinib, and trastuzumab in breast cancer xenografts.

References

Addie M, et al. ***J Med Chem***. 2013 Mar 14;56(5):2059-73.

Lamoureux F, et al. ***Clin Cancer Res***. 2013 Feb 15;19(4):833-44.

Davies BR, et al. ***Mol Cancer Ther.*** 2012 Apr;11(4):873-87.

E-mail: tech@probechem.com