

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 Borussertib

 Cat. No.
 :
 PC-36126

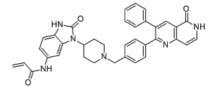
 CAS No.
 :
 1800070-77-2

 Molecular Formula
 :
 C₃₆H₃₂N₆O₃

 Molecular Weight
 :
 596.691

Target : Akt

Solubility : 10 mM in DMSO



Biological Activity

Borussertib is a potent, first-in-class, covalent-allosteric **AKT** inhibitor with IC50 of 0.8 nM and Ki of 2.2 nM for WT Akt. Borussertib specifically binds to two non-catalytic cysteines in AKT at 120 positions 296 and 310.

Borussertib exhibits pronounced sensitivity to breast cancer cell line ZR-75-1 with EC50 of 5 nM, 7- to 12-fold higher potency compared to reversible allosteric inhibitor miransertib and MK-2206.

Borussertib demonstrated strong antiproliferative activity in cancer cell lines harboring genetic alterations within the PTEN, PI3K, and RAS signaling pathways.

Borussertib displayed antitumor activity in combination with the MEK inhibitor trametinib in patient-derived xenograft models of mutant KRAS pancreatic and colon cancer.

References

Weisner J, et al. *Cancer Res.* 2019 Mar 11. pii: canres.2861.2018.

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

E-mail: tech@probechem.com