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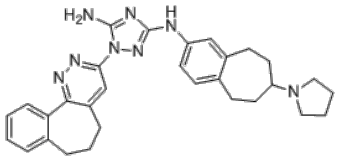
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Bemcentinib
Cat. No.	:	PC-20336
CAS No.	:	1037624-75-1
Molecular Formula	:	$C_{30}H_{34}N_8$
Molecular Weight	:	506.66
Target	:	TAM Receptor (Tyro3-Axl-Mer)
Solubility	:	10 mM in DMSO



Biological Activity

Bemcentinib (R428, BGB324) is potent, selective and orally bioavailable inhibitor of **Axl kinase** with IC50 of 14 nM, also is an effective blocker of **FAM171A2**-α-syn fibril interaction both in vitro and in vivo.
R428 inhibits phosphorylation of Akt (Ser473) and Axl (Tyr821) in cell-based activity assays.
R428 exhibits>100-fold selectivity for Axl versus Abl and 50- and >100-fold selectivity over TAM family kinases Mer and Tyro3, respectively, in cells.
R428 is >100-fold selective for Axl over insulin receptor,EGFR, HER2, and PDGFRβ and kinases of other subfamilies.
R428 (0-3 uM) dose dependently suppresses invasion of both human MDA-MB-231 and murine 4T1 breast cancer cell lines.
R428 (125 mg/kg, BID) suppresses breast cancer metastasis in MDA-MB-231 xenograft and orthotopic 4T1 models.
R428 suppresses angiogenesis in vivo and modulates expression of surrogate markers in tumor tissue.

References

Holland SJ, et al. ***Cancer Res.*** 2010 Feb 15;70(4):1544-54.

Hector A, et al. ***Cancer Biol Ther.*** 2010 Nov 15;10(10):1009-18.

Lijnen HR, et al. ***J Pharmacol Exp Ther.*** 2011 May;337(2):457-64.

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

E-mail: tech@probechem.com