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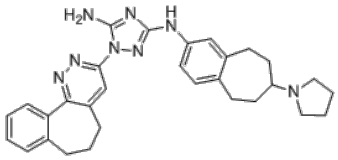
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	R428
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

R428 (Bemcentinib, BGB324) is potent, selective and orally bioavailable inhibitor of Axl kinase with IC50 of 14 nM.
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.

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