

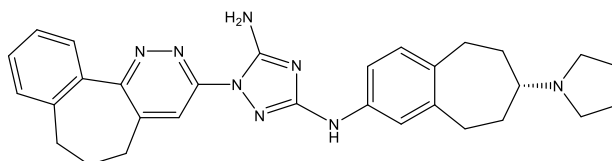
Catalog # 10-4676

R428

CAS# 1037624-75-1

1-(3,4-Diazatricyclo[9.4.0.0^{2,7}]pentadeca-1(15),2,4,6,11,13-hexaen-5-yl)-3-N-[(7S)-7-pyrrolidin-1-yl]-6,7,8,9-tetrahydro-5H-benzo[7]annulen-3-yl]-1,2,4-triazole-3,5-diamine; Bemcentinib; BGB324

Lot # FBS1118



R428 is a potent and selective inhibitor of AXL kinase ($IC_{50} = 1.4nM$).¹ R428 has been shown to overcome chemotherapy resistance to various agents in multiple cancer models.²⁻⁷ AXL has been shown to suppress myeloid cell activation and function – combined AXL inhibition with R428 and PD-1 blockade showed potent synergistic antitumor effects.^{8,9}

- Holland *et al.* (2010) *R428, a Selective Small Molecule Inhibitor of Axl Kinase, Blocks Tumor Spread and Prolongs Survival in Models of Metastatic Breast Cancer*; *Cancer Res.* **70** 1544
- Fleuren *et al.* (2014) *The role of AXL and the in vitro activity of the receptor tyrosine kinase inhibitor BGB324 in Ewing sarcoma*; *Oncotarget* **5** 12753
- Xu *et al.* (2014) *Inhibition of Axl improves the targeted therapy against ALK-mutated neuroblastoma*; *Biochem.Biophys.Res.Commun.* **454** 566
- Ben-Batalla *et al.* (2017) *Axl Blockade by BGB324 Inhibits BCR-ABL Tyrosine Kinase Inhibitor-Sensitive and -Resistant Chronic Myeloid Leukemia*; *Clin.Cancer Res.* **23** 2289
- Lin *et al.* (2017) *Targeting AXL overcomes resistance to docetaxel therapy in advanced prostate cancer*; *Oncotarget* **8** 41064
- Palisoul *et al.* (2017) *Inhibition of the Receptor Tyrosine Kinase AXL Restores Paclitaxel Chemosensitivity in Uterine Serous Cancer*; *Mol.Cancer.Ther.* **16** 2881
- Pinate *et al.* (2019) *Integrated analysis of multiple receptor tyrosine kinases identifies Axl as a therapeutic target and mediator of resistance to sorafenib in hepatocellular carcinoma*; *Br.J.Cancer* **120** 512
- Guo *et al.* (2017) *Axl inhibition induces the antitumor immune response which can be further potentiated by PD-1 blockade in the mouse cancer models*; *Oncotarget* **8** 89761
- Ludwig *et al.* (2018) *Small-Molecule Inhibition of Axl Targets Tumor Immune Suppression and Enhances Chemotherapy in Pancreatic Cancer*; *Cancer Res.* **78** 246

PHYSICAL DATA

Molecular Weight: 506.64
Molecular Formula: C₃₀H₃₄N₈
Purity: >98% by HPLC
NMR: (Conforms)
Solubility: DMSO (>25 mg/ml)
Physical Description: Yellow solid
Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.