

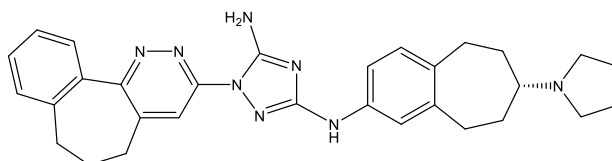
**Catalog # 10-4676**

**R428**

**CAS# 1037624-75-1**

1-(3,4-Diazatricyclo[9.4.0.0<sup>2,7</sup>]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 # FBS3011



R428 is a potent and selective inhibitor of AXL kinase ( $IC_{50} = 1.4nM$ ).<sup>1</sup> R428 has been shown to overcome chemotherapy resistance to various agents in multiple cancer models.<sup>2-7</sup> 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.<sup>8,9</sup>

- 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.Comm.* **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:	C <sub>30</sub> H <sub>34</sub> N <sub>8</sub>
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.**