R428 is a potent and selective inhibitor of AXL kinase (IC$_{50} = 1.4$nM). R428 has been shown to overcome chemotherapy resistance to various agents in multiple cancer models. 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.

1) 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
2) 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
3) Xu et al. (2014) Inhibition of Axl improves the targeted therapy against ALK-mutated neuroblastoma; Biochem.Biophys.Res.Commun. 454 566
4) 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
5) Lin et al. (2017) Targeting AXL overcomes resistance to docetaxel therapy in advanced prostate cancer; Oncotarget 8 41064
6) Palisoul et al. (2017) Inhibition of the Receptor Tyrosine Kinase AXL Restores Paclitaxel Chemosensitivity in Uterine Serous Cancer; Mol.Cancer.Ther. 16 2281
7) 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
8) 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
9) 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$_{30}$H$_{34}$N$_{8}$
- 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.