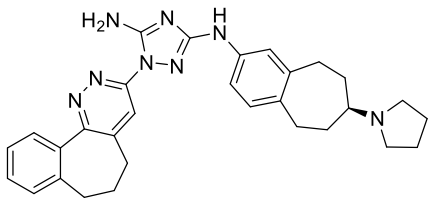
Product data sheet

MedKoo Cat#: 401810 Name: Bemcentinib CAS#: 1037624-75-1 Chemical Formula: $C_{30}H_{34}N_8$ Exact Mass: 506.29064 Molecular Weight: 506.64	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Bemcentinib, also known as BGB-324 or R428, is a selective small molecule inhibitor of Axl kinase, which showed activity to blocks tumor spread and prolongs survival in models of metastatic breast cancer. The receptor tyrosine kinase Axl may play an important role in cancer progression, invasion, metastasis, drug resistance, and patient mortality. R428 inhibits Axl with low nanomolar activity and blocked Axl-dependent events, including Akt phosphorylation, breast cancer cell invasion, and proinflammatory cytokine production.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	15.71	31.01

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.97 mL	9.87 mL	19.74 mL
5 mM	0.39 mL	1.97 mL	3.95 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Han S, Wang Y, Ge C, Gao M, Wang X, Wang F, Sun L, Li S, Dong T, Dang Z, Cui W, Zhang G, Liu N. Pharmaceutical inhibition of AXL suppresses tumor growth and invasion of esophageal squamous cell carcinoma. Exp Ther Med. 2020 Nov;20(5):41. doi: 10.3892/etm.2020.9169. Epub 2020 Sep 2. PMID: 32952632; PMCID: PMC7480165.
2. Zajac O, Leclere R, Nicolas A, Meseure D, Marchiò C, Vincent-Salomon A, Roman-Roman S, Schoumacher M, Dubois T. AXL Controls Directed Migration of Mesenchymal Triple-Negative Breast Cancer Cells. Cells. 2020 Jan 19;9(1):247. doi: 10.3390/cells9010247. PMID: 31963783; PMCID: PMC7016818.

In vivo study

1. Yang PW, Liu YC, Chang YH, Lin CC, Huang PM, Hua KT, Lee JM, Hsieh MS. Cabozantinib (XL184) and R428 (BGB324) Inhibit the Growth of Esophageal Squamous Cell Carcinoma (ESCC). Front Oncol. 2019 Nov 6;9:1138. doi: 10.3389/fonc.2019.01138. PMID: 31781483; PMCID: PMC6851194.
2. Landolt L, Furriol J, Babickova J, Ahmed L, Eikrem Ø, Skogstrand T, Scherer A, Suliman S, Leh S, Lorens JB, Gausdal G, Marti HP, Osman T. AXL targeting reduces fibrosis development in experimental unilateral ureteral obstruction. Physiol Rep. 2019 May;7(10):e14091. doi: 10.14814/phy2.14091. PMID: 31134766; PMCID: PMC6536582.

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7. Bioactivity

Biological target:

Bemcentinib (R428) is a potent and selective inhibitor of Axl with an IC50 of 14 nM.

In vitro activity

To determine the effect of R428 on ESCC tumor cells, the expression levels of AXL in TE1 and KYSE150 cells were determined using western blotting. Both cell lines were found to express AXL and activated AXL (AXL phosphorylated at tyrosine residue 779; Fig. 1A). It was also confirmed that R428 treatment suppressed AXL activation in both TE1 and KYSE150 cells in a dose-dependent manner (Fig. 1B-E). AKT and ERK signaling are two major branches of AXL signaling, thus their responses to R428 were determined; it was identified that R428 treatment suppressed AKT and ERK signaling activation in a dose-dependent manner (Fig. 1B-E).

Reference: Exp Ther Med. 2020 Nov; 20(5): 41. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7480165/

In vivo activity

This study demonstrated the efficacy of R428, but not of BMS-777607 (Figure 1), in inhibiting ESCC cell growth. Although BMS-777607 has been found to inhibit cell growth in a xenograft model of gastric carcinoma and to suppress the metastatic phenotype of HGF-induced prostate cancer, this study did not observe a significant effect of BMS-777607 on the viability of either CE81T or KYSE-70 ESCC cells (Figure 1). In addition to viability, R428 also suppressed the migration activity of ESCC cells (Figure 4). R428 alone also significantly decreased ESCC tumor growth compared to vehicle in the mouse model (Figure 5), however, not as remarkably as cabozantinib did.

Reference: Front Oncol. 2019; 9: 1138. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6851194/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.