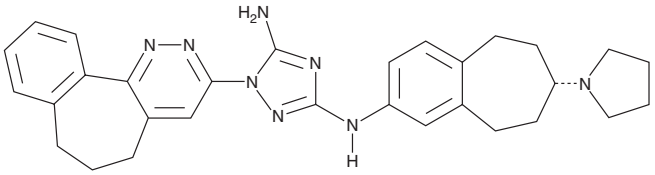
PRODUCT INFORMATION

R428

Item No. 21523

CAS Registry No.:	1037624-75-1
Formal Name:	1-(6,7-dihydro-5H-benzo[6,7]cyclohepta[1,2-c]pyridazin-3-yl)-N3-[(7S)-6,7,8,9-tetrahydro-7-(1-pyrrolidinyl)-5Hbenzocyclohepten-2-yl]-1H-1,2,4-triazole-3,5-diamine
Synonyms:	Bemcentinib, BGB 324
MF:	$C_{30}H_{34}N_8$
FW:	506.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 258, 317 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

R428 is supplied as a crystalline solid. A stock solution may be made by dissolving the R428 in the solvent of choice, which should be purged with an inert gas. R428 is soluble in the organic solvent DMSO at a concentration of approximately 5 mg/ml.

Description

R428 is a small molecule inhibitor of Axl kinase, both in an *in vitro* biochemical assay and in a cell-based assay using phosphorylation of Ser^{473} on Akt as the readout (EC_{50}s = 14 nM for both).[1] It is orally bioavailable, blocks angiogenesis in corneal micropocket and tumor models, and reduces metastatic burden while extending survival in mouse models of breast cancer metastasis.[1] R428 synergizes with cisplatin (Item No. 13119) to enhance suppression of liver micrometastasis.[1] R428 is used to study the role of Axl kinase signaling in cancer, cellular senescence, and Zika virus infection.[2-4]

References

1. Holland, S.J., Pan, A., Franci, C.R., *et al.* R428, a selective small molecule inhibitor of Axl kinase, blocks tumor spread and prolongs survival in models of metastatic breast cancer. *Cancer Res.* **70(4)**, 1544-1554 (2010).
2. Brand, T.M., Iida, M., Stein, A.P., *et al.* AXL is a logical molecular target in head and neck squamous cell carcinoma. *Clin. Cancer Res.* **21(11)**, 2601-2612 (2015).
3. Jin, C.-w., Wang, H., Chen, Y.-q., *et al.* Gas6 delays senescence in vascular smooth muscle cells through the PI3K/ Akt/FoxO signaling pathway. *Cell. Physiol. Biochem.* **35(3)**, 1151-1166 (2015).
4. Meertens, L., Labeau, A., Dejarnac, O., *et al.* Axl mediates ZIKA virus entry in human glial cells and modulates innate immune responses. *Cell Rep.* **18(2)**, 324-333 (2017).

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