

## Small Molecules

R428

Inhibits receptor tyrosine kinase Axl



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Catalog #100-0566  
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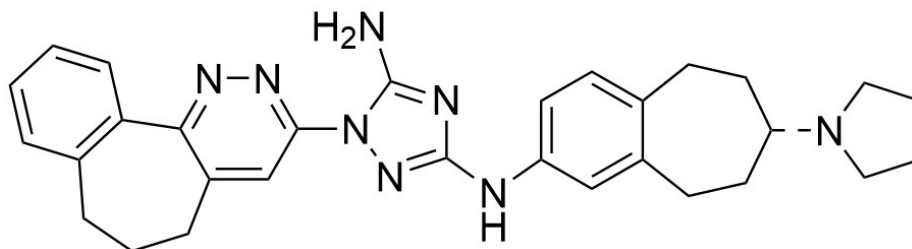
1 mg  
10 mg

## Product Description

R428 is a potent and selective inhibitor of Axl ( $IC_{50} = 14$  nM) with antiproliferative activity (Holland et al.). Axl is a receptor tyrosine kinase that is involved in cell survival, proliferation, adhesion, and migration (Chen et al.). R428 exhibits over 100-fold selectivity for Axl over insulin receptor, epidermal growth factor receptor, human epidermal growth factor receptor 2, and platelet-derived growth factor receptor  $\beta$  (Holland et al.).

Molecular Name:	R428
Alternative Names:	Bemcentinib; BGB 324
CAS Number:	1037624-75-1
Chemical Formula:	$C_{30}H_{34}N_8$
Molecular Weight:	506.6 g/mol
Purity:	$\geq 98\%$
Chemical 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

Structure:



## Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at $-20^{\circ}\text{C}$ as supplied. Protect product from prolonged exposure to light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	<ul style="list-style-type: none"><li>• DMSO <math>\leq 9.8</math> mM</li></ul> For example, to prepare a 6 mM stock solution in DMSO, resuspend 1 mg in 329 $\mu\text{L}$ of DMSO.  Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at $-20^{\circ}\text{C}$ . Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.  Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

## Published Applications

### DIFFERENTIATION

- Inhibits preadipocyte differentiation into mature adipocytes (Lijnen et al.).
- Induces beta cell maturation from human induced pluripotent stem cells (Kushner et al.; Yabe et al.).

### CANCER RESEARCH

- Inhibits Axl expression and breast cancer cell metastasis (Holland et al.).
- Blocks lysosomal acidification and induces apoptosis in cancer cells (Chen et al.).

## References

Chen F et al. (2018) Axl inhibitor R428 induces apoptosis of cancer cells by blocking lysosomal acidification and recycling independent of Axl inhibition. *Am J Cancer Res* 8(8): 1466–82.

Holland SJ 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(4): 1544–54.

Kushner JA et al. (2014) Stem cells to insulin secreting cells: two steps forward and now a time to pause? *Cell Stem Cell* 15(5): 535–6.

Lijnen HR et al. (2011) Growth arrest-specific protein 6 receptor antagonism impairs adipocyte differentiation and adipose tissue development in mice. *J Pharmacol Exp Ther* 337(2): 457–64.

Yabe SG et al. (2019) Induction of functional islet-like cells from human iPS cells by suspension culture. *Regen Ther* 10: 69–76.

## Related Small Molecules

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