Product Datasheet

R428

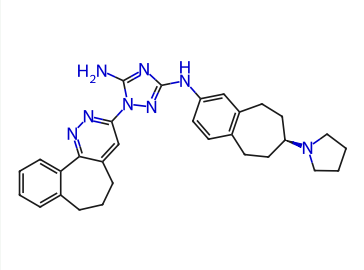
Catalog No. **BP-01834** · CAS **1037624-75-1** · Form **free base** · Physical state **solid** · Color **Off-white to yellow** · Version **1.0** · Revision **2026-09-08**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
TAM Receptor	**Cancer**

Molecular formula	$C_{30}H_{34}N_8$
Molecular weight	**506.6446**

STRUCTURE



DESCRIPTION

Bemcentinib (R428, BGB324) is an inhibitor of Axl with IC50 of 14 nM, >100-fold selective for Axl versus Abl. Selectivty for Axl is also greater than Mer and Tyro3 (50-to-100- fold more selective) and InsR, EGFR, HER2, and PDGFRβ (100- fold more selective).

BIOLOGICAL ACTIVITY

In Vitro

Bemcentinib (R428) (2 μM) significantly interferes with mechanisms of migration and invasion of Axlpos melanoma cells at levels comparable to Axl knockdown.

Bemcentinib (R428) synergizes with CDDP to enhance suppression of liver micrometastasis.

Bemcentinib (R428) (50 nM-1 μM) causes a concentration-dependent inhibition of preadipocyte differentiation into mature adipocytes, as evidenced by reduced lipid uptake.

In Vivo

Bemcentinib (R428) (125 mg/kg, p.o.) significantly blocks MDA-MB-231-luc-D3H2LN metastases development in two independent mouse models of breast cancer dissemination, suppresses both tumor angiogenesis and vascular endothelial growth factor (VEGF)-induced corneal neovascularization in vivo.

Bemcentinib (R428) (75 mg/kg/day, 25 mg/kg twice daily, p.o.) makes mice keep on a high-fat diet resulted in significantly reduced weight gain and subcutaneous and gonadal fat mass.

SOLUBILITY

Soluble in DMSO, not in water

REFERENCES

[1]. Holland SJ, Pan A, Franci C, 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. 2010;70(4):1544-1554.

[2]. Sensi M, et al. Human cutaneous melanomas lacking MITF and melanocyte differentiation antigens express a functional Axl receptor kinase. J Invest Dermatol. 2011 Dec;131(12):2448-57.

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

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com