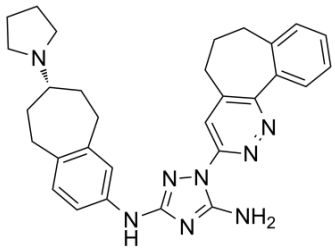
Bemcentinib

Cat. No.:	HY-15150
CAS No.:	1037624-75-1
Molecular Formula:	$C_{30}H_{34}N_8$
Molecular Weight:	506.64
Target:	TAM Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.41 mg/mL (12.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9738 mL	9.8689 mL	19.7379 mL
	5 mM	0.3948 mL	1.9738 mL	3.9476 mL
	10 mM	0.1974 mL	0.9869 mL	1.9738 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.71 mg/mL (1.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.71 mg/mL (1.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.71 mg/mL (1.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Bemcentinib (R428) is a potent and selective inhibitor of Axl with an IC_{50} of 14 nM[2].
IC_{50} & Target	IC50: 14 nM (Axl kinase)
In Vitro	Bemcentinib (R428) (2μM) significantly interferes with mechanisms of migration and invasion of Axlpos melanoma cells at levels comparable to Axl knockdown[1]. Bemcentinib (R428) synergizes with CDDP to enhance suppression of liver micrometastasis[2]. Bemcentinib (R428) (50 nM-1μM) causes a concentration-dependent inhibition of preadipocyte

	differentiation into mature adipocytes, as evidenced by reduced lipid uptake[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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[2]. 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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cells maintained for 24 hours in serum-free medium are harvested and transferred to the upper chamber (1.5×10^5 cells per well) of uncoated (migration) or matrigel-coated (invasion) 24-well chambers. RPMI medium containing 10% fetal bovine serum is added to the lower chamber. Bemcentinib (R428) (2 μM) or vehicle (DMSO, 0.25%) is added for 2 hours to cells before loading them in the upper chambers. Both the upper and lower chambers contain the drug or vehicle. Quantification of migrating/invading cells is obtained by measuring their fluorescent signals with a 480/520 nm filter set on an Infinite M1000 microplate reader 20 or 42 hours later, respectively. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Seven- to 8-wk-old female NCr nu/nu mice are injected intracardially with bioluminescent MDA-MB-231-luc-D3H2LN cell suspension. Oral dosing with Bemcentinib (R428) (125 mg/kg, p.o.) or vehicle twice daily begins 2 h before cell implantation and continue to day 21 (n=20). Metastatic burden is quantified by in vivo bioluminescence imaging on day 22 and analyzed using the Wilcoxon rank sum test. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2018 Dec 10;34(6):954-969.e4.
- Cell Stem Cell. 2020 Jul 2;27(1):125-136.e7.
- Cell Syst. 2020 Oct 21;S2405-4712(20)30370-7.
- Theranostics. 2018 Jul 30;8(15):4262-4278.
- EMBO Rep. 2020 Nov 5;21(11):e50078.

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REFERENCES

[1]. 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.

[2]. Holland SJ, 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 Feb 15;70(4):1544-54.

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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