Bemcentinib

Cat. No.: HY-15150
CAS No.: 1037624-75-1
Molecular Formula: \( \text{C}_{30}\text{H}_{34}\text{N}_{8} \)
Molecular Weight: 506.64
Target: TAM Receptor
Pathway: Protein Tyrosine Kinase/RTK
Storage:
- Powder: -20°C for 3 years, 4°C for 2 years, In solvent: -80°C for 6 months, -20°C for 1 month

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>DMSO: 10.25 mg/mL (20.23 mM; Need ultrasonic and warming)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Mass [mg/mL]</td>
<td>1 mg</td>
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<tr>
<td>--------------------------</td>
<td>------</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.9738 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3948 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1974 mL</td>
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</table>

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

**BIOLOGICAL ACTIVITY**

**Description**

Bemcentinib (R428) is a potent and selective inhibitor of Axl with an \( \text{IC}_{50} \) of 14 nM.

**IC\(_{50}\) & Target**

\( \text{IC}_{50}: 14 \text{ nM (Axl kinase)} \)

**In Vitro**

Bemcentinib (R428) (2\( \mu \)M) 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-1\( \mu \)M) causes a concentration-dependent inhibition of preadipocyte differentiation into mature adipocytes, as evidenced by reduced lipid uptake\(^[3]\).

**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\(^[3]\).
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


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Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA