Vemurafenib (PLX4032, RG7204)

Cat. No.: A3004
CAS No.: 918504-65-1
Formula: C23H18ClF2N3O3S
M.Wt: 489.93
Synonyms: Vemurafenib, Zelboraf, PLX-4032, RG7204, RO 5185426,
Target: MAPK Signaling
Pathway: MEK1/2
Storage: Store at -20°C

Solvent & Solubility

≥24.5mg/mL in DMSO

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass Concentration</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.0411 mL</td>
<td>10.2055 mL</td>
<td>20.4111 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4082 mL</td>
<td>2.0411 mL</td>
<td>4.0822 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2041 mL</td>
<td>1.0206 mL</td>
<td>2.0411 mL</td>
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</tr>
</tbody>
</table>

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

Biological Activity

Shortsummary: BRAF kinase inhibitor

IC₅₀ & Target:
31 nM (B-RafV600E), 48 nM (C-Raf), 51 nM (MAP4K5 (KHS1)), 18 nM (SRMS), 19 nM (ACK1), 63 nM (FGR)

Cell Viability Assay

Cell Line: MALME-3M melanoma cell lines
Preparation method: The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions: 24 h; 10 μM
Applications: In melanoma cell lines, RG7204 was a potent inhibitor of proliferation in those expressing BRAFV600E but not BRAFWT. RG7204 also potently inhibited proliferation of melanoma cell lines expressing other codon 600 BRAF mutations (V600D, V600 K, and V600R).

Animal experiment

Animal models: Athymic nude mice

Dosage form: 100 mg/kg bid; oral taken.

Applications: In mice bearing Colo829 tumor xenografts, RG7204 at 100 mg/kg bid for 21 days showed greatly improved antitumor activity compared both with vehicle (P = 0.001) at the end of the study on day 38 after the tumor cell implant. There was complete tumor regression in all 10 mice treated with RG7204 by the end of the study. Survival in the mice treated with RG7204 was significantly better than in those treated with vehicle (P = 0.0008).

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations


References


Caution

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