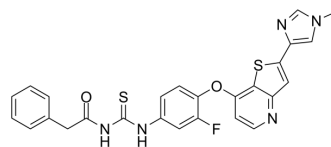


MGCD-265 analog

Cat. No.:	HY-10991		
CAS No.:	875337-44-3		
Molecular Formula:	C ₂₆ H ₂₀ FN ₅ O ₂ S ₂		
Molecular Weight:	517.6		
Target:	c-Met/HGFR; VEGFR; Apoptosis		
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (193.20 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.9320 mL	9.6600 mL	19.3199 mL
	5 mM	0.3864 mL	1.9320 mL	3.8640 mL
	10 mM	0.1932 mL	0.9660 mL	1.9320 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.75 mg/mL (5.31 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.75 mg/mL (5.31 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.75 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MGCD-265 analog is a potent and oral active inhibitor of c-Met and VEGFR2 tyrosine kinases, with IC₅₀s of 29 nM and 10 nM, respectively. MGCD-265 analog has significant antitumor activity^[1].

IC₅₀ & Target

VEGFR2 10 nM (IC ₅₀)	c-Met 29 nM (IC ₅₀)
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In Vitro	<p>MGCD-265 analog inhibits A549 cells migration and DU145 cells scattering, with IC₅₀s of 0.4 μM and 0.08 μM, respectively, in HGF-driven cell migration and scattering assays^[1].</p> <p>MGCD-265 analog inhibits HUVEC ERK phosphorylation (IC₅₀=0.03 μM) and HUVEC proliferation (IC₅₀=0.006 μM) in VEGF-dependent cell-based assays^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																
In Vivo	<p>MGCD-265 analog (20 mg/kg; p.o.) inhibits tumor growth inhibition on various human tumor models in mice^[1].</p> <p>MGCD-265 analog exhibits moderate oral bioavailability (rat 12%, dog 42%) and C_{max} (rat 0.14, dog 0.21 uM/(mg/kg)) following oral administration (rat 5-25, dog 5 mg/kg)^[1].</p> <p>MGCD-265 analog exhibits reasonable terminal elimination half-lives (rat 1.2, dog 5.8 h) due to plasma clearance (rat 0.33, dog 1.1 L/(kg h)) following intravenous administration (rat 2.5, dog 0.8 mg/kg)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Female Sprague-Dawley rats^[1]</td> </tr> <tr> <td>Dosage:</td> <td>2.5 mg/kg for i.v.; 5-25 mg/kg for oral (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Intravenous injection and oral administration</td> </tr> <tr> <td>Result:</td> <td>Oral bioavailability (12%), C_{max} (0.14 μM/(mg/kg)), T_{1/2} (1.2 h),</td> </tr> </table> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Male beagle dogs</td> </tr> <tr> <td>Dosage:</td> <td>0.8 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Intravenous administration and oral administration</td> </tr> <tr> <td>Result:</td> <td>Oral bioavailability (42%), C_{max} (0.21 uM/(mg/kg)), T_{1/2} (5.8 h).</td> </tr> </table>	Animal Model:	Female Sprague-Dawley rats ^[1]	Dosage:	2.5 mg/kg for i.v.; 5-25 mg/kg for oral (Pharmacokinetic Analysis)	Administration:	Intravenous injection and oral administration	Result:	Oral bioavailability (12%), C _{max} (0.14 μM/(mg/kg)), T _{1/2} (1.2 h),	Animal Model:	Male beagle dogs	Dosage:	0.8 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)	Administration:	Intravenous administration and oral administration	Result:	Oral bioavailability (42%), C _{max} (0.21 uM/(mg/kg)), T _{1/2} (5.8 h).
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REFERENCES

[1]. Claridge S, et al. Discovery of a novel and potent series of thieno[3,2-b]pyridine-based inhibitors of c-Met and VEGFR2 tyrosine kinases. Bioorg Med Chem Lett. 2008 May 1;18(9):2793-8.

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

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