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Produktinformation

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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MGCD-265 analog

Cat. No.: HY-10991

CAS No.: 875337-44-3

Molecular Formula: $C_{26}H_{20}FN_5O_2S_2$

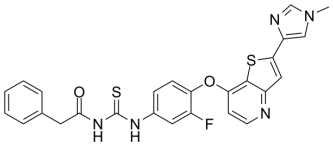
Molecular Weight: 517.6

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 / Mass / Concentration	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

1. 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
2. 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
3. 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 IC_{50}s of 29 nM and 10 nM, respectively. MGCD-265 analog has significant antitumor activity[1].

IC_{50} & Target

VEGFR2	c-Met
10 nM (IC_{50})	29 nM (IC_{50})

In Vitro	MGCD-265 analog inhibits A549 cells migration and DU145 cells scattering, with IC_{50}s of 0.4 μM and 0.08 μM, respectively, in HGF-driven cell migration and scattering assays[1]. MGCD-265 analog inhibits HUVEC ERK phosphorylation (IC_{50}=0.03 μM) and HUVEC proliferation (IC_{50}=0.006 μM) in VEGF-dependent cell-based assays[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

MGCD-265 analog (20 mg/kg; p.o.) inhibits tumor growth inhibition on various human tumor models in mice[1].
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].
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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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).

CUSTOMER VALIDATION

- Harvard Medical School LINCS LIBRARY

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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.

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