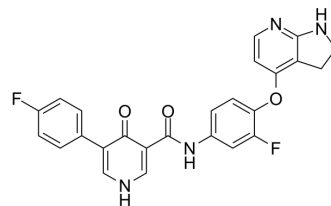


BPI-9016M

Cat. No.:	HY-114356
CAS No.:	1528546-94-2
Molecular Formula:	C ₂₅ H ₁₈ F ₂ N ₄ O ₃
Molecular Weight:	460.43
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (217.19 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.1719 mL	10.8594 mL	21.7188 mL
5 mM	0.4344 mL	2.1719 mL	4.3438 mL
10 mM	0.2172 mL	1.0859 mL	2.1719 mL

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

BIOLOGICAL ACTIVITY

Description

BPI-9016M is a potent, orally active, and selective dual c-Met and AXL tyrosine kinases inhibitor. BPI-9016M suppresses tumor cell growth, migration and invasion of lung adenocarcinoma^{[1][2]}.

In Vitro

BPI-9016M (6.3-25 μM; 2 weeks) inhibited cell proliferation^[2].
 BPI-9016M (12.5-50 μM; 24 hours) induces accumulation of more tumor cells in the G1 phase^[2].
 BPI-9016M (3.1-50 μM) reduces expression of c-Met, p-c-Met, p-AKT and p-ERK in the H1299 and A549 cells in a dose-dependent manner^[2].
 The IC₅₀ of BPI-9016M in several lung adenocarcinoma cell lines (A549, H1299, H1650, H1975, HCC827, and PC-9 cells) as well as in primary lung adenocarcinoma cells are ranged from 5.3 μM to 27.1 μM^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Cell Proliferation Assay^[2]

Cell Line:	A549 and H1299 cells
Concentration:	6.3, 12.5, 25 μM

	<table border="1"> <tr> <td>Incubation Time:</td> <td>2 weeks</td> </tr> <tr> <td>Result:</td> <td>Colony formation was significantly inhibited in a dose-dependent manner.</td> </tr> </table>	Incubation Time:	2 weeks	Result:	Colony formation was significantly inhibited in a dose-dependent manner.				
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Result:	Colony formation was significantly inhibited in a dose-dependent manner.								
	<p>Cell Cycle Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549 and H1299 cells</td> </tr> <tr> <td>Concentration:</td> <td>12.5, 25, 50 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Induced accumulation of more tumor cells in the G1 phase.</td> </tr> </table>	Cell Line:	A549 and H1299 cells	Concentration:	12.5, 25, 50 μ M	Incubation Time:	24 hours	Result:	Induced accumulation of more tumor cells in the G1 phase.
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Concentration:	12.5, 25, 50 μ M								
Incubation Time:	24 hours								
Result:	Induced accumulation of more tumor cells in the G1 phase.								
In Vivo	<p>BPI-9016M (60 mg/kg; p.o.; daily for 16 or 12 days) dramatically restrains tumor growth in PDX xenografts in NOD/SCID mice [2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>NOD/SCID mice^[2]</td> </tr> <tr> <td>Dosage:</td> <td>60 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>p.o.; daily for 16 or 12 days</td> </tr> <tr> <td>Result:</td> <td>Dramatically restrained tumor growth in PDX xenografts in NOD/SCID mice.</td> </tr> </table>	Animal Model:	NOD/SCID mice ^[2]	Dosage:	60 mg/kg	Administration:	p.o.; daily for 16 or 12 days	Result:	Dramatically restrained tumor growth in PDX xenografts in NOD/SCID mice.
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REFERENCES

[1]. Zhang P, et al. BPI-9016M, a c-Met inhibitor, suppresses tumor cell growth, migration and invasion of lung adenocarcinoma via miR203-DKK1. *Theranostics*. 2018 Nov 12;8(21):5890-5902.

[2]. Hu X, et al. First-in-human phase I study of BPI-9016M, a dual MET/Axl inhibitor, in patients with non-small cell lung cancer. *J Hematol Oncol*. 2020 Jan 16;13(1):6.

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

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