Linifanib

Cat. No.: HY-50751

CAS No.: 796967-16-3

Molecular Formula: $C_{21}H_{18}FN_5O$

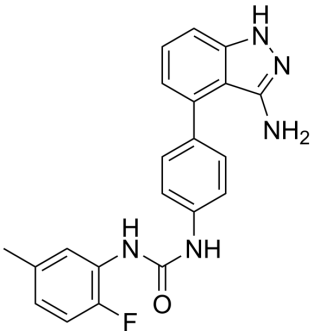
Molecular Weight: 375.4

Target: PDGFR; VEGFR; FLT3; c-Fms; c-Kit; Autophagy; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 13.16 mg/mL (35.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6638 mL	13.3191 mL	26.6383 mL
	5 mM	0.5328 mL	2.6638 mL	5.3277 mL
	10 mM	0.2664 mL	1.3319 mL	2.6638 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: ≥ 1.32 mg/mL (3.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.32 mg/mL (3.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.32 mg/mL (3.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Linifanib (ABT-869) is a potent and orally active multi-target inhibitor of VEGFR and PDGFR family with IC_{50}s of 4, 3, 66, and 4 nM for KDR, FLT1, PDGFRβ, and FLT3, respectively. Linifanib shows prominent antitumor activity. Linifanib has much less activity against unrelated RTKs, soluble tyrosine kinases, or serine/threonine kinases. Linifanib is a specific miR-10b inhibitor that blocks miR-10b biogenesis[1][2].

IC_{50} & Target				
	Flt-1	KDR	PDGFRβ	FLT3
	3 nM (IC_{50})	4 nM (IC_{50})	66 nM (IC_{50})	4 nM (IC_{50})

	CSF-1R 3 nM (IC_{50})	Kit 14 nM (IC_{50})

In Vitro

Linifanib (0-10000 nM; 72 hours) inhibits in vitro the cell proliferation of 8305C and 8505C cell lines in a concentration-dependent manner[3].

?Linifanib significantly decreased the levels of phospho-CSF-1R after 24 h and 72 h in both 8505C and 8305C cells[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[3]

Cell Line:	8305C and 8505C cells
Concentration:	0-10000 nM
Incubation Time:	72 hours
Result:	Inhibited the 8305C and 8505C cell proliferation with an IC50 of 0.7 nM and 123.7 nM, respectively.

In Vivo

The synergistic ATC antitumor activity of linifanib (10 mg/kg; p.o.; daily for 33 days)/Irinotecan combination significantly increases the survival of ATC affected mice and induces some complete responses[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old CD nu/nu male mice (bearing 8505C ATC cells)[3]
Dosage:	10 mg/kg
Administration:	P.o.; daily for 33 days
Result:	The combination of linifanib and irinotecan produced a greater survival result than either monotherapy, and resulted in a significant higher median survival of 100 days.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Int J Oncol. 2019 Oct;55(4):879-895.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Albert DH, et al. Preclinical activity of ABT-869, a multitargeted receptor tyrosine kinase inhibitor. Mol Cancer Ther, 2006, 5(4), 995-1006.

[2]. Monroig-Bosque PDC, et al. OncomiR-10b hijacks the small molecule inhibitor linifanib in human cancers. Sci Rep. 2018;8(1):13106. Published 2018 Aug 30.

[3]. Banchi M, et al. Synergistic activity of linifanib and irinotecan increases the survival of mice bearing orthotopically implanted human anaplastic thyroid cancer. Am J Cancer Res. 2020;10(7):2120-2127. Published 2020 Jul 1.

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

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