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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Lifirafenib

Cat. No.: HY-18957

CAS No.: 1446090-79-4

Molecular Formula: $C_{25}H_{17}F_3N_4O_3$

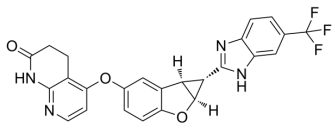
Molecular Weight: 478.42

Target: EGFR; Raf

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; MAPK/ERK Pathway

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 (209.02 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0902 mL	10.4511 mL	20.9021 mL
5 mM	0.4180 mL	2.0902 mL	4.1804 mL
10 mM	0.2090 mL	1.0451 mL	2.0902 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: ≥ 2.5 mg/mL (5.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lifirafenib (BGB-283) is a novel and potent Raf Kinase and EGFR inhibitor with IC_{50} values of 23 and 29 nM for recombinant $BRaf^{V600E}$ and EGFR, respectively.

IC_{50} & Target

EGFR	$BRaf^{V600E}$	$EGFR^{L858R/T790M}$
29 nM (IC_{50})	23 nM (IC_{50})	495 nM (IC_{50})

In Vitro

Lifirafenib (BGB-283) potently inhibits $BRaf^{V600E}$-activated ERK phosphorylation and cell proliferation. It demonstrates selective cytotoxicity and preferentially inhibits proliferation of cancer cells harboring $BRaf^{V600E}$ and EGFR mutation/amplification. In $BRaf^{V600E}$ colorectal cancer cell lines, Lifirafenib (BGB-283) effectively inhibits the reactivation of

	EGFR and EGFR-mediated cell proliferation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lifirafenib (BGB-283) treatment leads to dose-dependent tumor growth inhibition accompanied by partial and complete tumor regressions in both cell line-derived and primary human colorectal tumor xenografts bearing $BRaf^{V600E}$ mutation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Melanoma, colon, breast, and lung cancer cells are left to attach for 16 hours and then treated with a 10-point dilution series in duplicate. CellTiter-Glo reagent is added in each well. Mixture is mixed on an orbital shaker for 2 minutes to allow cell lysing, followed by 10 minutes incubation at room temperature to allow development and stabilization of luminescent signal. Luminescent signal is measured using PHERAstar FS reader. EC_{50} values for cell viability are determined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: When the average tumor size reaches 110 to 200 mm^3, mice are randomized to treatment groups and treated twice per day or once daily by oral gavage (p.o.) with vehicle alone or 2.5 to 30 mg/kg of BGB-283. As control, mice are treated with erlotinib (100 mg/kg qd) or cetuximab (40 mg/kg twice weekly). Lifirafenib (BGB-283) and erlotinib are formulated at the desired concentration as a homogenous suspension in 0.5% (w/v) methylcellulose in purified water. Cetuximab is formulated by diluting the injection solution with saline before dosing[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Virol. 2022 Oct 17.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Tang Z, et al. BGB-283, a Novel RAF Kinase and EGFR Inhibitor, Displays Potent Antitumor Activity in BRAF-Mutated Colorectal Cancers. Mol Cancer Ther. 2015 Oct;14(10):2187-97.

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