Product Data Sheet

Product Name: BGB-283
Cat. No.: GC19066

Chemical Properties

Cas. No.	1446090-77-2		
SMILES	FC(F)(F)C(C=C1)=CC2=C1N=C(N2)[C@@H]3[C@H]([C@@H]43)OC(C4=C5)=CC=C5OC6=CC=NC(N7)=C6CCC7=O		
Formula	$C_{25}H_{17}F_3N_4O_3$	M.Wt	478.42
Solubility	DMSO : ≥ 125 mg/mL (261.28 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BGB-283		

Protocol

Cell experiment:

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. EC50 values for cell viability are determined[1].

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Animal experiment:

Mice: When the average tumor size reaches 110 to 200 mm3, 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].

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.

Background

BGB-283 is a novel and potent Raf Kinase and EGFR inhibitor with IC50 values of 23 and 29 nM for recombinant BRafV600E and EGFR, respectively.

BGB-283 potently inhibits BRafV600E-activated ERK phosphorylation and cell proliferation. It demonstrates selective cytotoxicity and preferentially inhibits proliferation of cancer cells harboring BRafV600E and EGFR mutation/amplification. In BRafV600E colorectal cancer cell lines, BGB-283 effectively inhibits the reactivation of EGFR and EGFR-mediated cell proliferation[1].

BGB-283 treatment leads to dose-dependent tumor growth inhibition accompanied by

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partial and complete tumor regressions in both cell line-derived and primary human colorectal tumor xenografts bearing BRafV600E mutation[1].

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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