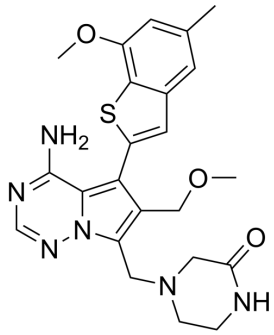
Rogaratinib

Cat. No.:	HY-100019
CAS No.:	1443530-05-9
Molecular Formula:	$C_{23}H_{26}N_6O_3S$
Molecular Weight:	467
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
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 : 5 mg/mL (10.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1413 mL	10.7066 mL	21.4133 mL
	5 mM	0.4283 mL	2.1413 mL	4.2827 mL
	10 mM	0.2141 mL	1.0707 mL	2.1413 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: ≥ 0.56 mg/mL (1.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.56 mg/mL (1.20 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.56 mg/mL (1.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rogaratinib (BAY1163877) is a potent and selective fibroblast growth factor receptor (FGFR) inhibitor. Rogaratinib inhibits FGFRs with IC50s of 11.2 nM (FGFR1), <1 nM (FGFR2), 18.5 nM (FGFR3), 127 nM (VEGFR3/FLT4), 201 nM (FGFR4), respectively[1] [2].

IC_{50} & Target	FGFR1	FGFR2	FGFR3	FGFR4

In Vitro

Of the 24 cell lines, 2 FGFR1-amplified lung cancer (LC) cell lines, H1581 and DMS114, show extreme sensitivity to Rogaratinib

(BAY1163877) (GI_{50} values ranging from 36 to 244 nM). Treatment with Rogaratinib results in a significant decrease in colonies formed by H1581P cells, but not by H1581AR and BR cells. Ectopic expression of Met significantly induces resistance to Rogaratinib in MTT assays. Met overexpression induces activation of downstream extracellular signal-regulated kinase 1/2 (ERK1/2) and AKT, which cannot be abrogated by Rogaratinib treatment[1].

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

PROTOCOL

Cell Assay [1]

Cells (3000 cells/well) are seeded on 96-well plates at 37°C. After overnight incubation, the cells are treated with Rogaratinib for 72 h. Then, MTT reagent [3-(4,5-Dimethylthiazol-2-yl)-2,5-diphenyltetrazoliumbromide] is added to each well and incubated for 4 h at 37°C. MTT solubilization solution/stop mix is added to each well, mixed, and the plates are incubated overnight at 37°C. After measuring the absorbance at 570 nm, the data are graphically displayed[1].

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

CUSTOMER VALIDATION

- Mol Syst Biol. 2023 Dec 18.
- ACS Appl Mater Interfaces. 2021 Apr 20.
- Anti-Cancer Drug. 2022 Dec.
- IOP Conf Ser Mater Sci Eng. 562 (2019) 012128.

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REFERENCES

[1]. Heroult M, et al. Preclinical profile of BAY 1163877-a selective pan-FGFR inhibitor in phase 1 clinical trial[J]. Cancer Res, 2014, 74(suppl 19): 1739a.

[2]. Kim SM, et al. Activation of the Met kinase confers acquired drug resistance in FGFR-targeted lung cancer therapy. Oncogenesis. 2016 Jul 18;5(7):e241.

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