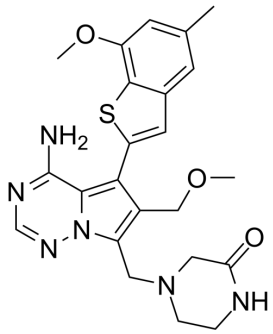
Rogaratinib



Cat. No.:	HY-100019
CAS No.:	1443530-05-9
Molecular Formula:	$C_{23}H_{26}N_6O_3S$
Molecular Weight:	466.56
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (10.72 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1433 mL	10.7167 mL	21.4335 mL
5 mM	0.4287 mL	2.1433 mL	4.2867 mL
10 mM	0.2143 mL	1.0717 mL	2.1433 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.

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].

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CUSTOMER VALIDATION

- ACS Appl Mater Interfaces. 2021 Apr 20.
- IOP Conf Ser Mater Sci Eng. 562 (2019) 012128.

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REFERENCES

[1]. 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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