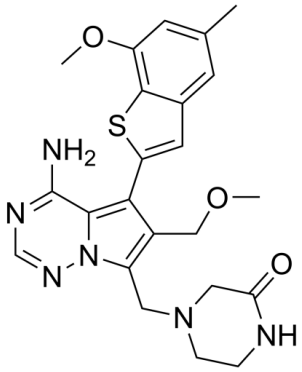
Data Sheet

Product Name:	Rogaratinib
Cat. No.:	CS-8129
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
Solubility:	DMSO : 5 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

Rogaratinib (BAY1163877) is a potent and selective **fibroblast growth factor receptor** (**FGFR**) inhibitor. IC50 & Target: FGFR[1] ***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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

[2]. 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.

CAIndexNames:

2-Piperazinone, 4-[[4-amino-6-(methoxymethyl)-5-(7-methoxy-5-methylbenzo[b]thien-2-yl)pyrrolo[2,1-f][1,2,4]triazin-7-yl]methyl]-

SMILES:

O=C1NCCN(CC2=C(COC)C(C3=CC4=CC(C)=CC(OC)=C4S3)=C5C(N)=NC=NN52)C1

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA