Glumetinib

Cat. No.: HY-116000

CAS No.: 1642581-63-2

Molecular Formula: $C_{21}H_{17}N_9O_2S$

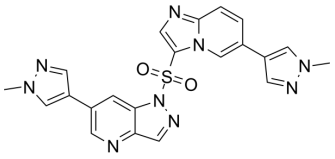
Molecular Weight: 459.48

Target: c-Met/HGFR

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 : 41.67 mg/mL (90.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1764 mL	10.8819 mL	21.7637 mL
	5 mM	0.4353 mL	2.1764 mL	4.3527 mL
	10 mM	0.2176 mL	1.0882 mL	2.1764 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Glumetinib (SCC244) is a highly selective, orally bioavailable, ATP-competitive c-Met inhibitor with an IC_{50} of 0.42 nM. Glumetinib has greater than 2400-fold selectivity for c-Met over those 312 kinases evaluated, including the c-Met family member RON and highly homologous kinases Axl, Mer, TyrO3. Antitumor activity[1].

IC_{50} & Target

IC50: 0.42 nM (c-Met kinase)[1]

In Vitro

Glumetinib (SCC244) (0-10 nM; 72 hours) elicits selective and profound effects against c-Met–driven cancer cell proliferation [1].

Glumetinib (0-50 nM; 24 hours) induces G1–S phase cell-cycle arrest in c-Met–addicted human cancer cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	EBC-1, SNU-5, MKN-45, BaF3/TPR-Met cells
Concentration:	0-10 nM

Incubation Time:	72 hours
Result:	Specifically and potently inhibited proliferation of c-Met–addicted human cancer cells (IC $_{50}$ ranging 0.5 to 2.45 nM for EBC-1, SNU-5, MKN-45, BaF3/TPR-Met cells).

Cell Cycle Analysis[1]

Cell Line:	EBC-1 and MKN-45 cells
Concentration:	0-50 nM
Incubation Time:	24 hours
Result:	Consistently induced G1–S cell-cycle arrest.

In Vivo

Glumetinib (2.5-10 mg/kg; p.o.; once daily for 2-3 weeks) significantly inhibits c-Met–driven tumor growth in cancer CDX models[1].
Glumetinib shows significant antitumor efficiency in NSCLC and HCC tumor PDX models with MET aberration[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female nude mice (4-6 weeks old) (MKN-45 model)[1]
Dosage:	10, 5, 2.5 mg/kg
Administration:	P.o.; once daily for 2-3 weeks
Result:	Significantly inhibited tumor growth with inhibitory rates of 99.3%, 88.6%, and 63.6% at doses of 10, 5, and 2.5 mg/kg, respectively.

CUSTOMER VALIDATION

- Dev Cell. 2022 Sep 26;S1534-5807(22)00633-5.

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REFERENCES

[1]. Ai J, et al. Preclinical Evaluation of SCC244 (Glumetinib), a Novel, Potent, and Highly Selective Inhibitor of c-Met in MET-dependent Cancer Models. Mol Cancer Ther. 2018 Apr;17(4):751-762.

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