Zunsemetinib

Cat. No.: HY-139553

CAS No.: 1640282-42-3

Molecular Formula: $C_{25}H_{22}ClF_2N_5O_3$

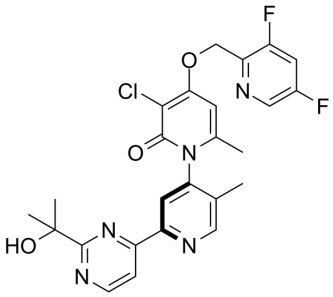
Molecular Weight: 513.92

Target: MAPKAPK2 (MK2)

Pathway: MAPK/ERK Pathway

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 : 100 mg/mL (194.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9458 mL	9.7291 mL	19.4583 mL
	5 mM	0.3892 mL	1.9458 mL	3.8917 mL
	10 mM	0.1946 mL	0.9729 mL	1.9458 mL

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

BIOLOGICAL ACTIVITY

Description

Zunsemetinib (CDD-450) is an orally active and selective p38α mitogen-activated protein kinase-activated protein kinase 2 (MK2) pathway inhibitor. Zunsemetinib can be used for the research of immuno-inflammatory diseases[1].

IC_{50} & Target

MK2[1]

In Vitro

Zunsemetinib (1 and 10 μM; 1 hour; WT and NOM ID BMMs) has no effect on NLRP3 expression, but decreases IL-1β expression by promoting IL-1β mRNA degradation[1].

Zunsemetinib (0.4 nM~1 μM; 16 hours; PBMC) reduces IL-1β secretion and promotes IL-1β mRNA instability[1].

Zunsemetinib selectively blocks p38α MAPK activation of the proinflammatory kinase MK2 while sparing p38α activation of other effectors such as PRAK and ATF2. Zunsemetinib inhibits in vitro osteoclast formation induced by RANKL[1].

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

RT-PCR[1]

Cell Line:	WT and NOM ID BMMs

Concentration:	1 and 10 μM
Incubation Time:	1 hour
Result:	Had no effect on NLRP3 expression, but decreased IL-1β expression by promoting IL-1β mRNA degradation.

In Vivo

Zunsemetinib (1,000 ppm; p.o.) blocks LPS-induced TNF-α expression persisted for up to 4 weeks after dosing[1].
Zunsemetinib (10 and 20 mg/kg; p.o.) increases bone density[1].
Zunsemetinib prevents osteopenia in NOM ID^c mice through inhibition of osteoclastogenesis[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	8-week-old WT female mice[1]
Dosage:	1,000 ppm
Administration:	P.o.
Result:	Blocked LPS-induced TNF-α expression persisted for up to 4 weeks after dosing.

Animal Model:	Rats[1]
Dosage:	10 and 20 mg/kg
Administration:	P.o.
Result:	Increased bone density.

REFERENCES

[1]. Zunsemetinib (ATI-450) – Investigational oral MK2 pathway inhibitor

[2]. Aclaris Therapeutics Announces ATI-450 (MK2 pathway Inhibitor) publication in Journal of Experimental Medicine

[3]. Wang C, et al. Selective inhibition of the p38α MAPK-MK2 axis inhibits inflammatory cues including inflammasome priming signals. J Exp Med. 2018;215(5):1315-1325.

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