Olutasidenib

Cat. No.: HY-114226

CAS No.: 1887014-12-1

Molecular Formula: $C_{18}H_{15}ClN_4O_2$

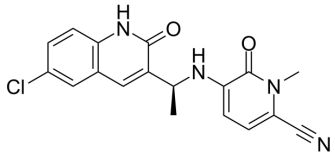
Molecular Weight: 354.79

Target: Isocitrate Dehydrogenase (IDH)

Pathway: Metabolic Enzyme/Protease

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 : 125 mg/mL (352.32 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8186 mL	14.0928 mL	28.1857 mL
	5 mM	0.5637 mL	2.8186 mL	5.6371 mL
	10 mM	0.2819 mL	1.4093 mL	2.8186 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: ≥ 2.08 mg/mL (5.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Olutasidenib (FT-2102) is a highly potent, orally active, brain penetrant and selective inhibitor of mutant Isocitrate dehydrogenase 1 (IDH1), with IC_{50} values of 21.2 nM and 114 nM for IDH1- R132H and IDH1- R132C, respectively . Olutasidenib (FT-2102) is under the study in the treatment of acute myeloid leukemia (AML) or myelodysplastic syndrome (MDS) [1][2].

IC_{50} & Target

IDH1

In Vitro

Olutasidenib (FT-2102) potently inhibits 2-HG production by multiple IDH1-R132 mutants (R132H, R132C, R132G, R132L), suggesting Olutasidenib (FT-2102) could be efficacious against most IDH1-R132 mutant-expressing tumors. Olutasidenib (FT-2102) is highly selective for IDH1 isoforms, showing no appreciable inhibition against wild-type IDH1 (> 20 μM) and IDH2

	mutants (R172K and R140Q: both > 20 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Olutasidenib (FT-2102, three oral doses (12.5, 25, and 50 mg/kg) in 12-hour intervals) exhibits potent anti-tumor activity in HCT116-IDH1-R132H/+ xenograft bearing female BALB/c Nude mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	HCT116-IDH1-R132H/+ xenograft bearing female BALB/c Nude mice[2].
Dosage:	12.5, 25, and 50 mg/kg.
Administration:	Three oral doses (12.5, 25, and 50 mg/kg) in 12-hour intervals.
Result:	Showed a time and dose-dependent inhibition of 2-HG levels in in tumor. At the highest dose tested in these studies (50 mg/kg), treatment with FT-2102 inhibited 2-HG levels in the tumor by >90% for up to 24 hours after the last dose in the HCT116-IDH1-R132H/+ xenograft model.

CUSTOMER VALIDATION

- Nat Commun. 2022 Aug 15;13(1):4785.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. JM Watts, et al. A phase 1 dose escalation study of the IDH1m inhibitor, FT-2102, in patients with acute myeloid leukemia (AML) or myelodysplastic syndrome (MDS).

[2]. Justin A. Caravella, et al. Structure-based design and identification of FT-2102 (olutasidenib), a potent mutant-selective IDH1 inhibitor. J Med Chem. 2020.

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