(E/Z)-Zotiraciclib

Cat. No.: HY-15166

CAS No.: 937270-47-8

Molecular Formula: $C_{23}H_{24}N_4O$

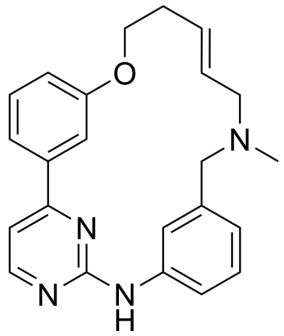
Molecular Weight: 372.46

Target: CDK; JAK; FLT3

Pathway: Cell Cycle/DNA Damage; Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 26.5 mg/mL (71.15 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6849 mL	13.4243 mL	26.8485 mL
5 mM	0.5370 mL	2.6849 mL	5.3697 mL
10 mM	0.2685 mL	1.3424 mL	2.6849 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 (5.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(E/Z)-Zotiraciclib ((E/Z)-TG02) is a potent inhibitor of CDK2, JAK2 and FLT3 with IC_{50}s of 13, 73 and 56 nM, respectively. (E/Z)-Zotiraciclib effectively inhibits the proliferation of cancer cells, it can be used for the research of cancer[1][2].

IC_{50} & Target

CDK2	JAK2	FLT3
13 nM (IC_{50})	73 nM (IC_{50})	56 nM (IC_{50})

In Vitro

(E/Z)-Zotiraciclib (0-10 μM) shows potent inhibition to CDK2, JAK2 and FLT3 with IC_{50}s of 13, 73 and 56 nM, respectively[1].
?(E/Z)-Zotiraciclib (0-10 μM; 48 h) inhibits proliferation of cancer cells[1].
?(E/Z)-Zotiraciclib (8-1000 nM; 24 h) potently inhibits the CDK2 biomarker pRb in HCT-116 cells and potently againsts pRb in MV4-11 cells with an IC_{50} value of 0.13 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HL-60, HCT-116, RAMOS, COLO205 and DU145 cell lines
Concentration:	0-10 μM
Incubation Time:	48 h
Result:	Inhibited proliferation of HL-60, HCT-116, RAMOS, COLO205 and DU145 cells with IC_{50}s of 0.059, 0.079, 0.033, 0.072 and 0.14 μM, respectively.

In Vivo

(E/Z)-Zotiraciclib (50 and 75 mg/kg; p.o. once daily for 3 weeks) inhibits tumor growth[1].
?(E/Z)-Zotiraciclib (15 and 75 mg/kg; p.o. once daily 2 days on and 5 days off; i.p. once daily 5 days on 5 days off) inhibits tumor growth in two manners[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BALB/c mice with HCT-116 colon cancer cells xenografts[1]
Dosage:	50 and 75 mg/kg
Administration:	Oral gavage; 50 and 75 mg/kg once daily for 3 weeks
Result:	Significantly inhibited the growth of tumors with a mean TGI of 82%.

Animal Model:	Male BALB/c mice with lymphoma Ramos cells xenografts[1]
Dosage:	15 and 75 mg/kg
Administration:	Oral gavage and intraperitoneal injection ; 75 mg/kg once daily 2 days on and 5 days off (p.o.) and 15 mg/kg once daily 5 days on 5 days off (i.p.)
Result:	Significantly inhibited the growth of tumors with mean TGIs of 42% and 63% for the oral and ip delivery methods, respectively.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Cancers (Basel). 2022 Mar 19;14(6):1575.
- Mol Cancer Res. 2020 Oct;18(10):1512-1521.
- ACS Chem Biol. 2016 Jun 17;11(6):1710-9.
- J Neurosurg Pediatr. 2021 Sep 3;1-10.

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REFERENCES

[1]. William AD, et al. Discovery of kinase spectrum selective macrocycle (16E)-14-methyl-20-oxa-5,7,14,26-tetraazatetracyclo[19.3.1.1(2,6).1(8,12)]heptacosa-1(25),2(26),3,5,8(27),9,11,16,21,23-decaene (SB1317/TG02), a potent inhibitor of cyclin dependent kina

[2]. Pasha MK, et al. Preclinical metabolism and pharmacokinetics of SB1317 (TG02), a potent CDK/JAK2/FLT3 inhibitor. Drug Metab Lett. 2012 Mar;6(1):33-42.

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