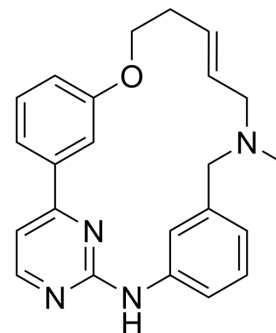


(E/Z)-Zotiraciclib

Cat. No.:	HY-15166												
CAS No.:	937270-47-8												
Molecular Formula:	C ₂₃ H ₂₄ N ₄ O												
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:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>1 year</td> </tr> <tr> <td></td> <td>-20°C</td> <td>6 months</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	1 year		-20°C	6 months
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)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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]} .		
IC₅₀ & Target	CDK2 13 nM (IC ₅₀)	JAK2 73 nM (IC ₅₀)	FLT3 56 nM (IC ₅₀)

In Vitro

(E/Z)-Zotiraciclib (0-10 μ M) shows potent inhibition to CDK2, JAK2 and FLT3 with IC₅₀s of 13, 73 and 56 nM, respectively^[1].

?(E/Z)-Zotiraciclib (0-10 μ M; 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 IC₅₀ value of 0.13 μ M^[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 μ M
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 μ M, 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)]heptacos-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.

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

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