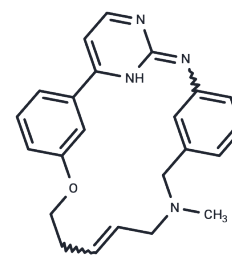


## (E/Z)-Zotiraciclib

## Chemical Properties

CAS No. :	937270-47-8
Formula:	C <sub>23</sub> H <sub>24</sub> N <sub>4</sub> O
Molecular Weight:	372.46
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	(E/Z)-Zotiraciclib ((E/Z)-TG02) effectively inhibits CDK2, JAK2, and FLT3 with IC <sub>50</sub> s of 13 nM, 73 nM, and 56 nM, respectively.
Targets(IC <sub>50</sub> )	FLT,CDK,JAK
In vitro	(E/Z)-Zotiraciclib has a highly novel kinase inhibitory spectrum inhibiting 17 kinases from a panel of 63, 11 of which are CDK/JAK/FLT family members. Human CYP1A2, 3A4, 2C9, and 2C19 isoforms are not inhibited by (E/Z)-Zotiraciclib at the highest tested concentration of 25 μM, but (E/Z)-Zotiraciclib inhibits CYP2D6 with an IC <sub>50</sub> of 0.95 μM, approximately at the plasma C <sub>max</sub> observed at the maximum tolerated dose. (E/Z)-Zotiraciclib inhibits cell proliferation concentrations in HCT-116 with an IC <sub>50</sub> of 0.079 μM and HL-60 with an IC <sub>50</sub> of 0.059 μM[1]. (E/Z)-Zotiraciclib is a novel small molecule potent CDK/JAK2/FLT3 inhibitor and mainly metabolized by CYP3A4 and CY1A2 in vitro [2].
In vivo	Treatment with (E/Z)-Zotiraciclib (75 mg/kg p.o. q.d. 3×/week) significantly inhibits the growth of tumors with a mean TGI of 82%, while the lower dose(50 mg/kg p.o. 3×/week) is marginally effective. Treatment with (E/Z)-Zotiraciclib using either regime significantly inhibits the growth of tumors with mean TGIs of 42% and 63% for the oral and ip delivery methods, respectively[1]. In pharmacokinetic studies, (E/Z)-Zotiraciclib shows moderate to high systemic clearance (relative to liver blood flow), high volume of distribution (>0.6 L/kg), the oral bioavailability of 24%, ~4 and 37% in mice, rats, and dogs, respectively; and extensive tissue distribution in mice[2].

## Solubility Information

Solubility	DMSO: 20 mg/mL (53.7 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (2.68 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.6849 mL	13.4243 mL	26.8485 mL
5 mM	0.537 mL	2.6849 mL	5.3697 mL
10 mM	0.2685 mL	1.3424 mL	2.6849 mL
50 mM	0.0537 mL	0.2685 mL	0.537 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- 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
- 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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