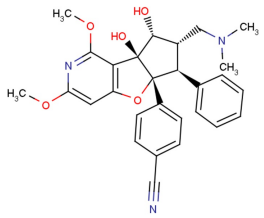
Data Sheet (Cat.No.T17296)

TargetMol
A DRUG SCREENING EXPERT

Zotatifin

Chemical Properties



CAS No.:	2098191-53-6
Formula:	C28H29N3O5
Molecular Weight:	487.55
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Zotatifin is a potent and well-tolerated eIF4A inhibitor. Zotatifin promotes eIF4A binding to specific mRNA sequences with recognition motifs in the 5′ -UTRs (IC50=2 nM). Zotatifin effectively reduces viral infectivity by inhibiting SARS-CoV-2 NP protein biogenesis (IC90=37 nM).
Targets(IC_{50})	eIF4A: 2 nM
In vitro	Zotatifin inhibits in vitro translation as a sequence-dependent manner (IC50: 1.5 nM, 13.8 nM, 92.5 nM, and 217.5 nM, respectively in an MDA-MB-231 cell line with transiently transfected AGAGAG, GGCGGC, CCG CCG and CAACAA 5′ -UTRs-containing sequences). Zotatifin induces the formation of a stable ternary complex. Zotatifin increases the residence time for eIF4A1 binds to an AGAGAG RNA surface (Kd: 0.021 μM and 8.0 μM, respectively for eFT226 presence or absence). Zotatifin (0.0001 μM-1 μM; 72 hours) inhibits tumor cell growth (GI50: TMD8, SU-DHL-2, HBL1, Pfeiffer, SU-DHL-6, SU-DHL-10, VAL, Carnaval, U2973, Ramos, Jeko1, Mino, and Rec-1 cells are 4.1 nM, 3 nM, 5.6 nM, 3.7 nM, 5.3 nM, 7.3 nM, 6.6 nM, 4.4 nM, 4.2 nM, 4.6 nM, 7.9 nM, 11.2 nM and 11.8 nM, respectively). Zotatifin (0.0001 μM-1 μM; 72 hours) inhibits tumor cell growth as a dose-dependent manner. It displays an effective anti-proliferative activity (GI50<15 nM) in MDA-MB-231 tumor cells. Zotatifin (30 μM-100 μM; 3 or 24 hours) causes translational regulation of oncogenic protein, decreases MYC, CCND3, BCL2 and MCL1 protein expression as a time- and dose-dependent manner. Zotatifin (10 nM, 100 nM, 200 nM, 500 nM, 2 μM, 10 μM; 1 or 2 hours pre-treatment before virus isolates) reduces the detection of the viral NP protein and reduces viral infectivity in a concentration-dependent matter in Vero E6 cells infected with SARS-CoV-2 isolates [1][2].
In vivo	Zotatifin (intravenous injection; 0.001 mg/kg-1 mg/kg; 15 days) inhibits the growth of B-cell lymphoma xenografts and is well-tolerated against B-cell lymphoma xenograft models in vivo.Zotatifin inhibits the TMD8 xenograft-bearing, HBL1 xenograft-bearing, Pfeiffer xenograft-bearing, SU-DHL-6 xenograft-bearing, SU-DHL-10 xenograft-bearing and Ramos-bearing animals′ tumor growth as percentage of 97%, 87%, 70%, 83%, 37% and 75%, respectively. Zotatifin (intravenous injection; 1 mg/kg; 14-22 days) reduces tumor volume [1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.051 mL	10.255 mL	20.511 mL
5 mM	0.41 mL	2.051 mL	4.102 mL
10 mM	0.205 mL	1.026 mL	2.051 mL
50 mM	0.041 mL	0.205 mL	0.41 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

2. Gordon DE, et al. A SARS-CoV-2 protein interaction map reveals targets for drug repurposing.Nature. 2020 Apr 30.

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