Tegatrabetan

Cat. No.: HY-109103

CAS No.: 1227637-23-1

Molecular Formula: $C_{28}H_{36}N_4O_6S_2$

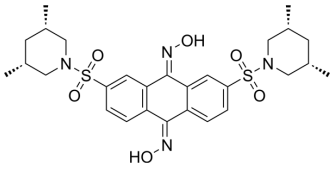
Molecular Weight: 588.74

Target: β-catenin

Pathway: Stem Cell/Wnt

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (84.93 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6985 mL	8.4927 mL	16.9854 mL
	5 mM	0.3397 mL	1.6985 mL	3.3971 mL
	10 mM	0.1699 mL	0.8493 mL	1.6985 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: 2.5 mg/mL (4.25 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.25 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tegatrabetan (BC2059) is a β-Catenin antagonist. Tegatrabetan disrupts the binding of β-catenin with the scaffold protein transducin β-like 1 (TBL1)[1].

IC_{50} & Target

β-Catenin[1]

In Vitro

Tegatrabetan (BC2059; 20-100 nM; 48 hours) inhibits cell proliferation in suspension culture over 120 hours and induces apoptosis of cultured human acute myeloid leukemia (AML) HL-60, OCI-AML3 and MV4-11 cells dose-dependently[1].

?Tegatrabetan (20 and 50 nM; 24 hours) induces a modest but significant accumulation of cells in the G0/G1 phase, with a concomitant decline in the G2/M phase of the cell cycle[1].
?Tegatrabetan (100 nM, 24 hours) depletes the levels of β-catenin and its target genes, including c-MYC and survivin without affecting the levels of the TBL1 in OCI-AML3, HL-60 and MV4-11 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HL-60, OCI-AML3 and MV4-11 cells
Concentration:	20, 50, and 100 nM
Incubation Time:	48 hours
Result:	Dose-dependently inhibited cell proliferation.

Cell Cycle Analysis[1]

Cell Line:	OCI-AML3 cells
Concentration:	20 and 50 nM
Incubation Time:	24 hours
Result:	Dose-dependently induced cell cycle growth arrest.

Western Blot Analysis[1]

Cell Line:	OCI-AML3, HL-60 and MV4-11 cells
Concentration:	100 nM
Incubation Time:	24 hours
Result:	Treatment depleted β-Catenin expression levels.

In Vivo

Tegatrabetan (BC2059; 1.0 or 5.0 mg/kg/day; intravenously) significantly improves the median survival of the mice from approximately 17.5 to 39 days. Treatment with Tegatrabetan (10 mg/kg/day; intravenously) alone further improves the median survival to 51.5 days[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID mice bearing OCI-AML3 xenografts[1]
Dosage:	1 mg/kg; 5 mg/kg; 10 mg/kg
Administration:	Intravenously; 1 mg/kg daily 4 days per week or 5 mg/kg or 10 mg/kg of BC2059 twice per week (Tuesday and Thursday) for 3 weeks.
Result:	Treatment significantly improved survival of NOD/SCID mice bearing OCI-AML3 xenografts.

CUSTOMER VALIDATION

- Eur J Pharmacol. 2022 Apr 21;924:174940.

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REFERENCES

[1]. Fiskus W, et al. Pre-clinical efficacy of combined therapy with novel β-catenin antagonist BC2059 and histone deacetylase inhibitor against AML cells. Leukemia. 2015 Jun;29(6):1267-78.

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