Product Data Sheet

Product Name: ZW4864
Cat. No.: GC63560

Chemical Properties

Cas. No.	2632259-93-7		
Formula	$C_{33}H_{43}ClN_6O_3$	M.Wt	607.19
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	ZW4864		

Background

ZW4864 is an orally active and selective β catenin/B-Cell lymphoma 9 protein-protein interaction (β catenin/BCL9 PPI) inhibitor. ZW4864 inhibits β catenin/BCL9 PPI with a Ki value of 0.76 μM and an IC50 value of 0.87 μM[1].

ZW4864 (10~40 μM; 24 hours; SW480 and MBA-MD-231 cells) decreases the expression levels of Axin2 and cyclin D1 proteins[1].ZW4864 (10~40 μM; 72 hours; MDA-MB231, MCF10A and MDA-MB-468 cells) selectively triggeres rapid apoptosis of triple-negative breast cancer cells with hyperactive β-catenin signaling while sparing normal mammary epithelial MCF10A cells[1].ZW4864 (10~40 μM; 24 hours; SW480 and MBA-MD-231 cells) suppresses the transcription of β-catenin target genes in a concentration-dependent manner without affecting the expression of HPRT, a house-keeper gene, in both SW480 and Wnt 3a-activated MDA-MB-231 cells[1].ZW4864 binds with β-catenin and selectively disrupts the protein-protein interaction (PPI) between B-cell lymphoma 9 (BCL9) and β-catenin while sparing the β-catenin/E-cadherin PPI. ZW4864 dose-dependently suppresses β-catenin signaling activation, downregulates oncogenic β-catenin target genes, and abrogates invasiveness of β-catenin-dependent cancer cells. ZW4864 suppresses TOPFlash luciferase activities in β-catenin expressing HEK293 cells in a dose-dependent manner with an IC50 of 11 μM. ZW4864 also dose-dependently suppresses

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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the TOPFlash luciferase activities in SW480 and Wnt 3a-activated MDA-MB-468 cells with the IC50s of 7.0 and 6.3 μM, respectively. ZW4864 selectively suppresses transactivation of β-catenin signaling[1].

ZW4864 (20 mg/kg; p.o.) exhibits good pharmacokinetic properties with an oral bioavailability (F) of 83 %[1].ZW4864 (90 mg/kg; p.o.) shows a variation in tumor growth in mice[1].ZW4864 shows good pharmacokinetic properties and effectively suppresses β-catenin target gene expression in the patient-derived xenograft mouse model[1].

[1]. Wang Z, et al. Discovery of an Orally Bioavailable Small-Molecule Inhibitor for the β-Catenin/B-Cell Lymphoma 9 Protein-Protein Interaction. J Med Chem. 2021;64(16):12109-12131.

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Address: 10292 Central Ave. #205, Montclair, CA, USA