Product Data Sheet

Product Name: CYH33 methanesulfonate
Cat. No.: GC63391

Chemical Properties

Cas. No.	1494684-33-1		
Formula	$C_{25}H_{33}F_3N_8O_8S_2$	M.Wt	694.7
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	CYH33 methanesulfonate		

Background

CYH33 methanesulfonate is an orally active, highly selective PI3Kα inhibitor with IC50s of 5.9 nM/598 nM/78.7 nM/225 nM against α/β/δ/γ isoform, respectively. CYH33 methanesulfonate inhibits phosphorylation of Akt, ERK and induces significant G1 phase arrest in breast cancer cells and non-small cell lung cancer (NSCLC) cells. CYH33 methanesulfonate has potent activity against solid tumors[1][2][3].

CYH33 methanesulfonate inhibits cell proliferation with IC50s below 1?μM in 56% (18/32) of the breast cancer cell lines[2]. CYH33 (0.012-1 μM; for 24 hours) methanesulfonate significantly arrests T47D and MCF7 cells in G1 phase in a concentration-dependent manner[2]. CYH33 (4-1000 nM; 1 hour) methanesulfonate concurrently inhibits phosphorylation of ERK and Akt in both T47D and MCF7 cells[2]. CYH33 (0.11-1 μM; 24 hours) methanesulfonate fails to induce apoptosis in MCF7 and MDA-MB-231?cells[2].

CYH33 (2-20 mg/kg; oral; once a day for 21 days) methanesulfonate potently restrains tumor growth in mice bearing human breast cancer cell xenografts[2]. Single administration of CYH33 (20mg/kg; oral) methanesulfonate significantly down-regulates the level of phosphorylated Akt in tumor tissues, demonstrating the suppression of PI3K

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signaling in nude mice[2]. CYH33 (10mg/kg; oral; once a day for 18-d or 20-d respectively) methanesulfonate delays the restoration of blood glucose and area under the curve (AUC) of blood glucose increased upon CYH33 treatment in T47D xenografts and R26-Pik3caH1047R;MMTV-Cre mice[2].

[1]. Haoyue Xiang, et al. Abstract LB-268: Discovery of clinical candidate methyl (5-(6-((4-(methylsulfonyl)piperazin-1-yl)methyl)-4-morpholinopyrrolo[2,1-f][1,2,4]triazin-2-yl)-4-(trifluoromethyl)pyridin-2-yl)carbamate (CYH33) : A highly potent and selective PI3K alpha inhibitor for the treatment of advanced solid tumors. AACR Annual Meeting 2018; April 14-18, 2018
[2]. Xue-Ling Liu, et al. Decrease in Phosphorylated ERK Indicates the Therapeutic Efficacy of a Clinical PI3Kα-selective Inhibitor CYH33 in Breast Cancer. Cancer Lett. 2018 Oct 1;433:273-282.
[3]. Yuxiang Wang, et al. Simultaneous inhibition of PI3Kα and CDK4/6 synergistically suppresses KRAS-mutated non-small cell lung cancer. Cancer Biol Med. 2019 Feb;16(1):66-83.