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产品名称: **ETC-159**
产品别名: **ETC-1922159**

生物活性:					
Description	ETC-159 is a potent, orally available PORCN inhibitor. It inhibits β-catenin reporter activity with an IC ₅₀ of 2.9 nM.				
IC ₅₀ & Target	IC50: 2.9 nM (β-catenin) ^[1]				
In Vitro	ETC-159 blocks the secretion and activity of all Wnts. ETC-159 has robust activity in multiple cancer models driven by high Wnt signaling. ETC-159 is highly efficacious in molecularly defined colorectal cancers (CRCs) with R-spondin translocations ^[1]				
In Vivo	ETC-159 inhibits mouse PORCN with an IC ₅₀ of 18.1 nM, whereas the IC ₅₀ for Xenopus Porcn is approximately four fold higher (70 nM). ETC-159 is remarkably effective in treating RSPO-translocation bearing colorectal cancer (CRC) patient-derived xenografts. ETC-159 exhibits good oral pharmacokinetics in mice allowing preclinical evaluation via oral administration. After a single oral dose of 5 mg/kg, ETC-159 is rapidly absorbed into the blood with a T _{max} of ~0.5 h and oral bioavailability of 100% ^[1] .				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 34 mg/mL (86.87 mM) * "≥" means soluble, but saturation unknown.				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.5551 mL	12.7753 mL	25.5506 mL
		5 mM	0.5110 mL	2.5551 mL	5.1101 mL
		10 mM	0.2555 mL	1.2775 mL	2.5551 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液，一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。				
References	[1]. Madan B, et al. Wnt addiction of genetically defined cancers reversed by PORCN inhibition. Oncogene. 2015 Aug 10. doi: 10.1038/onc.2015.280.				
实验参考:					
Cell Assay	HEK293 cells stably transfected with STF reporter and pPGK-WNT3A plasmid (STF3A cells) are treated with varying concentrations of compounds. For Wnt secretion, STF3A cells are treated with ETC-159 diluted in 1% fetal bovine serum-containing media ^[1] .				
Animal Administration	Mice: For human xenograft models, patient-derived solid tissue fragments are subcutaneously implanted in BALB/c nude mice. All groups are matched for tumor size with equal variance before treatment. ETC-159 formulated in 50% PEG400 (vol/vol) in water is administered by oral gavage at a dosing volume of 10 μL/g body weight ^[1] .				
References	[1]. Madan B, et al. Wnt addiction of genetically defined cancers reversed by PORCN inhibition. Oncogene. 2015 Aug 10. doi: 10.1038/onc.2015.280.				