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产品名称: **Avatrombopag**
产品别名: **E5501; AKR-501; YM477**

生物活性:

Description	Avatrombopag (E5501; AKR-501; YM477) is an orally-active thrombopoietin (TPO) receptor agonist with an EC50 value of 3.3 nM and may be useful in the treatment of patients with thrombocytopenia[1].				
IC50 & Target	EC50: 3.3 nM (TPO receptor)[1]				
In Vitro	Avatrombopag (0.003-3 μM; Ba/F3 cells) treatment supports the proliferation of TPO receptor expressing Ba/F3 cell in a concentration-dependent fashion[1].				
	Avatrombopag (0.003-3 μM; 15 minutes; Ba/F3 cells) treatment induces tyrosine phosphorylation of STAT3 and STAT5, and threonine phosphorylation of ERK in the cells. Avatrombopag activates signal transduction in TPO receptor expressing Ba/F3 cells through the TPO receptor, and supports the proliferation of these cells[1].				
	Cell Proliferation Assay[1]				
	Cell Line:	Ba/F3 cells			
	Concentration:	0.003 μM, 0.03 μM, 0.3 μM, 3 μM			
	Incubation Time:				
	Result:	Increased the proliferation of TPO receptor expressing Ba/F3 cell in a concentration-dependent fashion.			
	Western Blot Analysis[1]				
	Cell Line:	Ba/F3 cells			
	Concentration:	0.003 μM, 0.03 μM, 0.3 μM, 3 μM			
	Incubation Time:	15 minutes			
	Result:	Induced tyrosine phosphorylation of STAT3 and STAT5, and threonine phosphorylation of ERK in the cells.			
In Vivo	Avatrombopag (0-3 mg/kg; oral administration; once per day; for 14 days; NOD/SCID mice with human FL CD34+cells) treatment dose dependently increases the number of human platelets. Withdrawal of Avatrombopag administration causes the human platelet count to return nearly to pretreatment levels[1].				
	Animal Model:	NOD/SCID mice (9-12-week-old) with human FL CD34+ cells[1]			
	Dosage:	0 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg			
	Administration:	Oral administration; once per day; for 14 days			
	Result:	Dose dependently increased the number of human platelets.			
Solvent&Solubility	In Vitro:				
	DMSO : ≥ 32 mg/mL (49.26 mM)				
	* "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	1.5393 mL	7.6965 mL	15.3929 mL
		5 mM	0.3079 mL	1.5393 mL	3.0786 mL
10 mM		0.1539 mL	0.7696 mL	1.5393 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					



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	<p>复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>
References	<p>[1]. Fukushima-Shintani M, et al. AKR-501 (YM477) a novel orally-active thrombopoietin receptor agonist. Eur J Haematol. 2009 Apr;82(4):247-54.</p>



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