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产品名称: **N-Despropyl-macitentan**
产品别名: **Aprocitentan; ACT-132577**

生物活性:				
Description	Aprocitentan (ACT-132577) is the major and pharmacologically active metabolite of Macitentan. Aprocitentan is dual ETA/ETB antagonist with IC ₅₀ s of 3.4 nM and 987 nM, and pA ₂ values of 6.7 and 5.5, respectively[1].			
IC ₅₀ & Target	ET _A	ET _A	ET _B	ET _B
	3.4 nM (IC ₅₀)	6.7 (pA ₂)	987 nM (IC ₅₀)	5.5 (pA ₂)
In Vitro	Aprocitentan (ACT-132577) achieves full inhibition of intracellular calcium increase induced by ET-1 on nonrecombinant cells (primary human pulmonary smooth muscle cells and rat aortic smooth muscle cell line A10 and mouse fibroblast cell line 3T3)[1].			
In Vivo	Aprocitentan (ACT-132577) has a volume of distribution greater than the plasma volume and a longer half-life than its parent compound in the rat[1]. In rat plasma, the mean recovery of Aprocitentan (ACT-132577) ranges from 82.6% to 90.6%, matrix effect of Aprocitentan (ACT-132577) in rat plasma ranges from 101.4% to 115.2%[2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 46 mg/mL (84.22 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
		1 mM	1.8309 mL	9.1543 mL
		5 mM	0.3662 mL	1.8309 mL
		10 mM	0.1831 mL	0.9154 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°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。			
References	[1]. Iglarz M, et al. Pharmacology of macitentan, an orally active tissue-targeting dual endothelin receptor antagonist. J Pharmacol Exp Ther. 2008 Dec;327(3):736-45. [2]. Zhang J, et al. Pharmacokinetic study of ACT-132577 in rat plasma by ultra performance liquid chromatography-tandem mass spectrometry. Int J Clin Exp Med. 2015 Oct 15;8(10):18420-6			