



上海源叶生物科技有限公司
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产品名称: 6,4"-二羟基橙酮
产品别名: Hispidol ; (Z)-Hispidol

生物活性:					
Description	Hispidol ((Z)-Hispidol) is a potential therapeutic for inflammatory bowel disease; inhibits TNF-α induced adhesion of monocytes to colon epithelial cells with an IC ₅₀ of 0.50 μM.				
In Vitro	Hispidol shows potent inhibitory effect (>70%) on the TNF-α-induced adhesion of monocytes to colon epithelial cells, which is one of the hallmark events leading to inflammatory bowel disease (IBD). Hispidol shows strong inhibitory activities against TNF-α-induced monocytic-colonic epithelial cell adhesion as well as LPS-induced TNF-α expression, is as an excellent candidate for IBD drug development. This inhibition of TNF-α expression by hispidol corresponds to the additional inhibitory activity against AP-1 transcriptional activity, which is another transcription factor required for high level TNF-α expression[1].				
In Vivo	The oral administration of hispidol suppresses significantly and dose-dependently TNBS-induced rat colitis. Oral administration of hispidol suppresses TNBS-induced colitis in a dose-dependent manner. There is a significant recovery in body weight decrease and colon tissue edematous inflammation. A higher dose (300 mg/kg) of hispidol shows a similar recovery effect to that of 300 mg/kg sulfasalazine. In the colon tissues, TNBS induces a dramatic increase in the level of MPO, a biochemical marker of inflammation, which is suppressed significantly by hispidol in a dose-dependent manner[1].				
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (393.33 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	3.9333 mL	19.6665 mL	39.3329 mL
		5 mM	0.7867 mL	3.9333 mL	7.8666 mL
		10 mM	0.3933 mL	1.9666 mL	3.9333 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]. Kadayat TM, et al. Discovery and structure-activity relationship studies of 2-benzylidene-2,3-dihydro-1H-inden-1-one and benzofuran-3(2H)-one derivatives as a novel class of potential therapeutics for inflammatory bowel disease. Eur J Med Chem. 2017 Sep 8;137:575-597.				
实验参考:					
Animal Administration	Rats: To study the effect of the drugs, hispidol (10 or 30 mg/Kg/day in corn oil) is administered orally once in a day, until 5 days after TNBS administration. The doses of 10 or 30 mg/kg are selected based on previous studies. The concentration of the compound inhibiting 70% and 90% (μM) cell-to-cell adhesion is selected and regarded as the in vivo test dose (mg/kg). Sulfasalazine (300 mg/Kg/day) is administered in corn oil as a positive control. On the 6th day, the rats are sacrificed and the severity of colitis and macroscopic ulceration are evaluated by two independent				



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	investigators who are blinded to the experiments. The colon tissues (5-7 cm proximal to rectum) are cut and used to measure the amount of myeloperoxidase and for the histological examinations[1].
References	[1]. Kadayat TM, et al. Discovery and structure-activity relationship studies of 2-benzylidene-2,3-dihydro-1H-inden-1-one and benzofuran-3(2H)-one derivatives as a novel class of potential therapeutics for inflammatory bowel disease. Eur J Med Chem. 2017 Sep 8;137:575-597.



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