产品名称：MK-571 (sodium salt)
产品别名：MK-571 sodium salt； L-660711 (sodium salt)

生物活性：

Description	MK-571 sodium salt is a selective, orally active leukotriene D4 receptor antagonist, with K_is of 0.22 and 2.1 nM in guinea pig and human lung membranes.	
IC_{50} & Target	LTD_4	LTD_4
	0.22 nM (Ki, In guinea pig lung)	2.1 nM (Ki, In human lung)
In Vitro	MK-571 (L660,711) is a potent and selective competitive inhibitor of [3H]leukotriene D4 binding in guinea pig (Ki value, 0.22 nM) and human (Ki value, 2.1 nM) lung membranes. MK-571 is essentially inactive versus [3H]LTC4 binding with IC50 values of 23±11 μM (n=16) and 32 μM (n=1) in guinea pig and human lung, respectively. MK-571 competitively antagonizes contractions of guinea pig trachea and ileum induced by leukotriene (LT) D4 (respective pA2 values, 9.4 and 10.5) and LTE4 (respective pA2 values, 9.1 and 10.4) and contractions of human trachea induced by LTD4 (pA2 value, 8.5). MK-571 (58 nM) antagonizes contractions of guinea pig trachea induced by LTC4 in the absence (dose ratio=28) but not in the presence of 45 mM L-serine borate (dose ratio less than 2). MK-571 (19μM) does not block contractions of guinea pig trachea induced by histamine, acetylcholine, 5-hydroxytryptamine, PGF2 alpha, U-44069, or PGD2. In the presence of atropine, mepyramine, and indomethacin, MK-571 (19 μM) inhibits a small component of the response to antigen on guinea pig trachea but completely blocked anti-IgE-induced contractions of human trachea[1].	
In Vivo	MK-571 (L-660,711; i.v.) antagonizes bronchoconstriction induced in anesthetized guinea pigs by i.v. LTC4, LTD4, and LTE4 but does not block bronchoconstriction to arachidonic acid, U-44069, 5-hydroxytryptamine, histamine, or acetylcholine. Intraduodenal MK-571 antagonizes LTD4 (0.2-12.8 μg/kg)-induced bronchoconstriction in guinea pigs, and p.o. MK-571 blockes LTD4- and Ascaris-induced bronchoconstriction in conscious squirrel monkeys and ovalbumin-induced bronchoconstriction in conscious sensitized rats treated with methysergide (3 μg/kg)[1]. Hypoxia-exposed WT mice are treated with either saline or MK-571 (5 mg/kg/d or 25 mg/kg/d) for 2 more weeks while being maintain in hypoxic conditions. Saline-treated mice display all the hallmarks of PH (i.e., an increase in RVSP, Fulton index, and arterial wall thickness). However, following hypoxia, MK-571-treated mice display lower RVSP and Fulton index and a decrease in the medial thickening of small pulmonary arteries and arterioles[2].	
Solvent&Solubility	***In Vitro:***	
	DMSO : ≥ 33 mg/mL (61.44 mM)	
	H_2O : 12.5 mg/mL (23.27 mM; Need ultrasonic)	
	* "≥" means soluble, but saturation unknown.	

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8620 mL	9.3098 mL	18.6195 mL
	5 mM	0.3724 mL	1.8620 mL	3.7239 mL
	10 mM	0.1862 mL	0.9310 mL	1.8620 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]. Jones TR, et al. Pharmacology of L-660,711 (MK-571): a novel potent and selective leukotriene D_4 receptor antagonist. Can J Physiol Pharmacol. 1989 Jan;67(1):17-28. [2]. Hara Y, et al. Inhibition of MRP4 prevents and reverses pulmonary hypertension in mice. J Clin Invest. 2011 Jul;121(7):2888-97.

实验参考：

Animal Administration	Mice[2] The Mrp4-/- mice are used. Concerning the PH reversal study, 5-week-old WT mice are maintained in hypoxia for 3 weeks, then are randomized to receive, for 2 weeks, oral vehicle or MK-571 at the doses of either 5 mg/kg/d or 25 mg/kg/d. At the same time, the experiment is designed for mice in normoxia conditions. Increased hematocrit valuesare checked to assess the efficiency of hypoxia[2].
References	[1]. Jones TR, et al. Pharmacology of L-660,711 (MK-571): a novel potent and selective leukotriene D_4 receptor antagonist. Can J Physiol Pharmacol. 1989 Jan;67(1):17-28. [2]. Hara Y, et al. Inhibition of MRP4 prevents and reverses pulmonary hypertension in mice. J Clin Invest. 2011 Jul;121(7):2888-97.

源叶生物