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产品名称：MSX-122
产品别名：MSX-122

生物活性：

Description	MSX-122 is an orally active partial antagonist of CXCR4, inhibiting CXCR4/CXCL12 actions, with an IC_{50} of ~10 nM. MSX-122 has anti-inflammatory and anti-metastatic activity.
IC_{50} & Target	CXCR4/CXCL12
	~10 nM (IC_{50})
In Vitro	MSX-122 is a partial antagonist of CXCR4, inhibiting CXCR4/CXCL12 actions, with an IC50 of ~10 nM. MSX-122 shows no inhibition on cAMP reduction mediated by their corresponding ligands CCR3/CCL5 and CCR5/CCL5. MSX-122 (100 nM) potently blocks invasion of 78% MDA-MB-231 cells. However, MSX-122 does not suppress T-tropic HIV infection and is inactive in calcium flux assay[1].
In Vivo	MSX-122 (10 mg/kg, i.p.) blocks inflammation induced by carrageenan and lung fibrosis induced by bleomycin in mice. MSX-122 (4 mg/kg, i.p., daily) blocks metastasis in an experimental animal model of breast cancer metastasis. Furthermore, MSX-122 (10 mg/kg i.p., daily) significantly decreases the numbers of hepatic micrometastases[1].
Solvent&Solubility	***In Vitro:***
	DMSO : 4 mg/mL (13.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4207 mL	17.1034 mL	34.2067 mL
	5 mM	0.6841 mL	3.4207 mL	6.8413 mL
	10 mM	0.3421 mL	1.7103 mL	3.4207 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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 0.4 mg/mL (1.37 mM); Clear solution

此方案可获得 ≥ 0.4 mg/mL (1.37 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 4.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 0.4 mg/mL (1.37 mM); Clear solution

	此方案可获得 ≥ 0.4 mg/mL (1.37 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 4.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 0.4 mg/mL (1.37 mM); Clear solution 此方案可获得 ≥ 0.4 mg/mL (1.37 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 4.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Liang Z, et al. Development of a unique small molecule modulator of CXCR4. PLoS One. 2012;7(4):e34038.

实验参考：

Animal Administration	Mice[1] Six- to eight-week-old female nude mice are given injections of 1.5×10^6 MDA-MB-231 breast cancer cells mixed with the compound (1 μM, less than 5 min preincubation) through the tail vein (10/group). From the following day, mice in the treated group are given 4 mg/kg MSX-122ms (salt form) daily by i.p. injection. The animals are sacrificed 35 days after the tumor cell injection. Whole lung tissues are harvested and sectioned for real-time RT-PCR for human CXCR4 and H&E histostaining to evaluate the metastatic tumor area in five fields per section microscopically. These experiments are repeated once more to confirm the results. For the head and neck cancer animal model, metastatic subclones of 686LN-Ms cells are injected in the same way as MDA-MB-231 cells. [^{18}F]FDG-PET is performed. For the uveal melanoma micrometastasis mouse model, on day 0, each mouse is inoculated with 1×10^6 wild-type OMM2.3 cells expressing HGF/TGF-β/CXCR4/MMP2 into the posterior chamber of right eye. On day 3, mice are treated with 10 mg/kg MSX-122 in 0.1 mL volume of 45% CD daily by i.p. injection, whereas the control mice are injected with 0.1 mL 45% CD only. On day 7, eyes with tumor are enucleated. The growth of tumor is checked by histological methods. On day 28, hepatic tissues are collected and fixed in 10% formalin, processed, H&E stained, and the number of hepatic micrometastases is counted under microscope. Six sections through the center of the liver are microscopically examined for the presence of micrometastases (<100 μm diameter) and the average number of micrometastases per section is determined. Ten mice per group are used. A table summarizing animal experiments for three metastasis models can be found in the Data S3[1].
References	[1]. Liang Z, et al. Development of a unique small molecule modulator of CXCR4. PLoS One. 2012;7(4):e34038.