产品名称：1-甲基-D-色氨酸
产品别名：Indoximod；NLG-8189; 1-Methyl-D-tryptophan

生物活性：

Description	Indoximod (D-1MT, NLG8189) is an indoleamine 2,3-dioxygenase (IDO) pathway inhibitor with a Ki of 19 μM.
IC_{50} & Target	IDO
	19 μM (Ki)
In Vitro	The IDO inhibitor 1-methyl-tryptophan exists in two stereoisomers with potentially different biological properties. The L isomer is the more potent inhibitor of IDO activity using the purified enzyme and in HeLa cell–based assays. However, the D isomer is significantly more effective in reversing the suppression of T cells created by IDO-expressing dendritic cells. The L isomer of 1-methyl-tryptophan functioned as a competitive inhibitor (Ki=19 μM), whereas the d isomer is much less effective. The DL mixture is intermediate, with a Ki of 35 μM[1].
In Vivo	The D isomer is more efficacious as an anticancer agent in chemo-immunotherapy regimens using cyclophosphamide, paclitaxel, or gemcitabine, when tested in mouse models of transplantable melanoma and transplantable and autochthonous breast cancer. The D isomer of 1-methyl-tryptophan specifically targets the IDO gene because the antitumor effect of d-1-methyl-tryptophan is completely lost in mice with a disruption of the IDO gene (IDO-knockout mice). Oral administration of dl-1-methyl-tryptophan in combination with paclitaxel can elicit regression of autochthonous breast tumors[1].
Solvent&Solubility	***In Vitro:*** **H_2O : 5 mg/mL** (**22.91 mM;** ultrasonic and adjust pH to 2 with HCl) **DMSO : 0.55 mg/mL** (**2.52 mM;** Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.5819 mL	22.9095 mL	45.8190 mL
5 mM	0.9164 mL	4.5819 mL	9.1638 mL
10 mM	0.4582 mL	2.2910 mL	4.5819 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]. Hou DY, et al. Inhibition of indoleamine 2,3-dioxygenase in dendritic cells by stereoisomers of 1-methyl-tryptophancorrelates with antitumor responses. Cancer Res. 2007 Jan 15;67(2):792-801.

实验参考：

Animal Administration	Mice: B16F10 melanoma are established in B6 mice. For administration in drinking water, D-1MT is prepared at 2 mg/mL in water supplemented with a small amount of aspartame (2 envelopes per liter) to improve acceptance by the mice, and filter sterilized. The solution is delivered in standard autoclaved drinking-water bottles. Mice drink 4.5-5.0 mL/day (similar to consumption of water without drug)[1].
	1MT enantiomers are solubilized in DMSO containing 0.1N HCl and added at concentrations of 100, 50, and 0 μM to wells containing the reaction mixture with tryptophan (0-200 μM) followed by addition of IDO enzyme. Plates are sealed and incubated 1 hr in a humidified 37°C incubator, after

Kinase Assay	which the reactions are terminated by addition of 12.5 µl 30% TCA per well. Plates are then resealed in plastic wrap, incubated 30 min at 50°C to hydrolyze the reaction product N-formylkynurenine to kynurenine, and centrifuged. Supernatants are transferred to a flat-bottom 96-well plate, mixed with 100 µl Ehrlich reagent and incubated 10 min at room temperature. Absorbance at 490 nm is read[1].
References	[1]. Hou DY, et al. Inhibition of indoleamine 2,3-dioxygenase in dendritic cells by stereoisomers of 1-methyl-tryptophancorrelates with antitumor responses. Cancer Res. 2007 Jan 15;67(2):792-801.

源叶生物