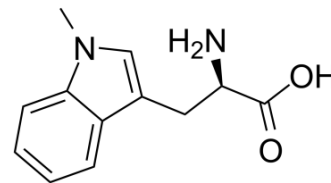


## Indoximod

Cat. No.:	HY-16724		
CAS No.:	110117-83-4		
Molecular Formula:	C <sub>12</sub> H <sub>14</sub> N <sub>2</sub> O <sub>2</sub>		
Molecular Weight:	218.25		
Target:	Indoleamine 2,3-Dioxygenase (IDO)		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

H<sub>2</sub>O : 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	1 mg	5 mg	10 mg
	Concentration				
	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

Please refer to the solubility information to select the appropriate solvent.

### BIOLOGICAL ACTIVITY

#### Description

Indoximod (1-Methyl-D-tryptophan; NLG-8189) is an indoleamine 2,3-dioxygenase (IDO) pathway inhibitor with a K<sub>i</sub> of 19 μM<sup>[2]</sup>.

#### IC<sub>50</sub> & Target

IDO  
 19 μM (K<sub>i</sub>)

#### 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 (K<sub>i</sub>=19 μM), whereas the d isomer is much less effective. The DL mixture is intermediate, with a K<sub>i</sub> of 35 μM<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## In Vivo

The D isomer is more efficacious as an anticancer agent in chemo-immunotherapy regimens using NSC-26271, NSC 125973, or LY 188011, 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 NSC 125973 can elicit regression of autochthonous breast tumors<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Kinase Assay <sup>[1]</sup>

1MT enantiomers are solubilized in DMSO containing 0.1N HCl and added at concentrations of 100, 50, and 0  $\mu$ M to wells containing the reaction mixture with tryptophan (0-200  $\mu$ M) followed by addition of IDO enzyme. Plates are sealed and incubated 1 hr in a humidified 37°C incubator, after which the reactions are terminated by addition of 12.5  $\mu$ l 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  $\mu$ l Ehrlich reagent and incubated 10 min at room temperature. Absorbance at 490 nm is read<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### Animal Administration <sup>[1]</sup>

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)<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Nat Biomed Eng. 2018;2:578-588.
- Folia Biol-Prague. 2019 Aug.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## REFERENCES

[1]. Hou DY, et al. Inhibition of indoleamine 2,3-dioxygenase in dendritic cells by stereoisomers of 1-methyl-tryptophan correlates with antitumor responses. Cancer Res. 2007 Jan 15;67(2):792-801.

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA