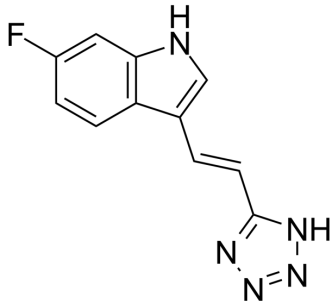
LM10

Cat. No.:	HY-33298
CAS No.:	1316695-35-8
Molecular Formula:	$C_{11}H_8FN_5$
Molecular Weight:	229.21
Target:	Others
Pathway:	Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (218.14 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.3628 mL	21.8141 mL	43.6281 mL
	5 mM	0.8726 mL	4.3628 mL	8.7256 mL
	10 mM	0.4363 mL	2.1814 mL	4.3628 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 16.67 mg/mL (72.73 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 16 mg/mL (69.80 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.91 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LM10 is a potent inhibitor of tryptophan 2,3-dioxygenase (TDO). Tryptophan 2,3-dioxygenase (TDO) is an unrelated hepatic enzyme that also degrades tryptophan along the kynurenine pathway. LM10 has the potential for the research of cancer diseases[1].

IC_{50} & Target	TDO[1]
In Vivo	LM10 (160 mg/kg; p.o.) prevents the growth of TDO-expressing P815 tumor cells and promotes better rejection of control clone P815B cl1, which does not express TDO[1]. LM10 displays a good TDO inhibition (Ki = 5.6 μM) with a competitive inhibition profile[1]. LM10 does not inhibit IDO and has a high solubility and bioavailability without obvious signs of toxicity[1]. The plasma concentration of LM10 after oral administration of 160 mg/kg/day is between 20 and 40 μg/mL (87-175 μM), a concentration about 40 times above the IC_{50} measured in the cellular assay performed with the physiological concentration of plasma tryptophan[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	DBA/2 mice (6-8 weeks)[1]
Dosage:	160 mg/kg/day
Administration:	p.o.
Result:	Prevented the growth of TDO-expressing P815 tumor cells and promoted better rejection of control clone P815B cl1, which does not express TDO.

REFERENCES

[1]. Pilotte L, et al. Reversal of tumoral immune resistance by inhibition of tryptophan 2,3-dioxygenase. Proc Natl Acad Sci U S A. 2012;109(7):2497-2502.

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