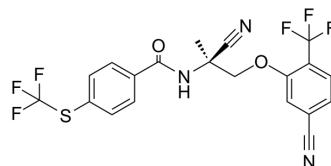


Monepantel

Cat. No.:	HY-14774		
CAS No.:	887148-69-8		
Molecular Formula:	C ₂₀ H ₁₃ F ₆ N ₃ O ₂ S		
Molecular Weight:	473.39		
Target:	nAChR		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (211.24 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.1124 mL	10.5621 mL	21.1242 mL
5 mM	0.4225 mL	2.1124 mL	4.2248 mL
10 mM	0.2112 mL	1.0562 mL	2.1124 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: 2.5 mg/mL (5.28 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Monepantel is organic anthelmintic, and acts as a positive allosteric modulator of a nematode-specific clade of nicotinic acetylcholine receptor (nAChR) subunits.

In Vitro

The metallocenyl analogues of monepantel shows nematocidal activity^[1]. Monepantel (25 μM) induces accumulation of acidic vacuoles. Ovarian cancer cell lines are highly sensitive to Monepantel with IC₅₀ values of 7.2±0.2 μM (OVCAR-3) and 10.5±0.4 μM (A2780). Monepantel (0, 10 and 25 μM) induces autophagosome formation in these cancer cell lines. Monepantel (0, 10 and 25 μM) exhibits a markedly reduced level of punctate staining indicating the suppression of phosphorylated mTOR at Ser2448. Monepantel also decreases the expression of phosphorylated Raptor at Ser792, which is one of the mTORC1 coMonepantel members^[2]. Monepantel (250 μg/mL) leads multiple ABC transporter genes higher transcription in both

	worm isolates. Larvae exposed to monepantel at 250 µg/mL shows an increased efflux of rhodamine-123 and a proportion of the larval population shows an ability to subsequently tolerate higher concentrations of IVM in migration assays ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Monepantel (10 µM) significantly increased all CYP-related activities and CYP3A24 mRNA in sheep ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[2]	Caspase-3 and -8 colorimetric assay kits are used according to the manufacturer's instructions. Briefly, after treatment the cells with indicated concentration of Monepantel (0, 10 and 25 µM) for 48 and 72 h, cells are harvested, centrifuged at 250 g for 10 min. The cell pellet lysed by the addition of the lyses buffer, then incubated on ice for 10 min followed by centrifugation at 10,000 g for 5 min. The supernatant is used to start the enzymatic reaction in 96 well plates based on manufacturer protocol. Each concentration is tested in replications of 4 and each experiment is repeated twice. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay ^[2]	The effect of monepantel with or without other agents on cell proliferation is assessed using the sulforhodamine B (SRB) assay. Briefly, cells are seeded in 96-well plates (2500 cells/well) overnight followed by treatment with desired concentrations of Monepantel. After 72 h cells are fixed with 200 µL of 0.1% TCA, washed with tap water and stained with 100 µL of 0.4% (w/v) SRB dissolved in 1% acetic acid. Unbound dye is removed by five washes with 1% acetic acid before air drying. Bound SRB is solubilized with 100 µL of 10 mM Tris base (pH 10.5) and the absorbance read at 570 nm. Each concentration is tested in replications of 8 and each experiment is repeated twice. Data represent mean±SEM from two independent experiments combined. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Hess J, et al. Assessment of the nematocidal activity of metallocenyl analogues of monepantel. Dalton Trans. 2016 Nov 28;45(44):17662-17671
- [2]. Bahrami F, et al. Monepantel induces autophagy in human ovarian cancer cells through disruption of the mTOR/p70S6K signalling pathway. Am J Cancer Res. 2014 Sep 6;4(5):558-71.
- [3]. Raza A, et al. Increased expression of ATP binding cassette transporter genes following exposure of Haemonchus contortus larvae to a high concentration of monepantel in vitro. Parasit Vectors. 2016 Sep 29;9(1):522.
- [4]. Stuchlikova, et al. Monepantel induces hepatic cytochromes p450 in sheep in vitro and in vivo. Chem Biol Interact. 2015 Feb 5;227:63-8. ?

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

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