# Inhibitors

## **ML334**

Cat. No.: HY-110258 CAS No.: 1432500-66-7 Molecular Formula:  $C_{26}H_{26}N_{2}O_{5}$ Molecular Weight: 446.5

Target: Keap1-Nrf2 Pathway: NF-κB

4°C, stored under nitrogen Storage:

\* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

**Product** Data Sheet

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 44.65 mg/mL (100.00 mM; Need ultrasonic) Ethanol: 44.65 mg/mL (100.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.2396 mL	11.1982 mL	22.3964 mL
	5 mM	0.4479 mL	2.2396 mL	4.4793 mL
	10 mM	0.2240 mL	1.1198 mL	2.2396 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 5 mg/mL (11.20 mM); Clear solution

#### **BIOLOGICAL ACTIVITY**

Description ML334 is a potent, cell permeable activator of NRF2 by inhibition of Keap1-NRF2 protein-protein interaction. ML334 binds to Keap1 Kelch domain with a  $K_d$  of 1  $\mu$ M. ML334 stimulates NRF2 expression and nuclear translocation and induces

antioxidant response elements (ARE) activity [1][2].

IC<sub>50</sub> & Target Ki: 1 μM (Keap1)<sup>[2]</sup>

In Vitro ML334 (LH601A; 50-100  $\mu$ M; 6-16 hours; HEK293 cells) treatment increases NQO1 and TRX1 mRNAs between 2- and 3-fold at

> both 6 and 16 h. And also enhances HO-1 mRNA expression between 4- and 7-fold at 6 h, with lesser fold-changes at 16 h<sup>[1]</sup>. ?ML334 (LH601A; 50-100 μM; 16 hours; HEK293 cells) treatment induces HO-1 and TRX1 proteins in HEK293 cells<sup>[1]</sup>.

?ML334 (LH601A) stimulates NRF2 expression and nuclear translocation in HEK293 cells<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR<sup>[1]</sup>

Cell Line:	HEK293 cells	
Concentration:	50 μM or 100 μM	
Incubation Time:	6 hours, 16 hours	
Result:	Increased NQO1 and TRX1 mRNAs between 2- and 3-fold at both 6 and 16 h. Enhanced HO-1 mRNA expression between 4- and 7-fold at 6 h.	
Western Blot Analysis <sup>[1]</sup>		
Cell Line:	HEK293 cells	
Concentration:	50 μM or 100 μM	
Incubation Time:	16 hours	
Result:	Enhanced HO-1 and TRX1 protein expression at 16 h.	

### **CUSTOMER VALIDATION**

- Ecotoxicol Environ Saf. 2023 Sep 28:265:115534.
- Pharmaceuticals. 2023, 16(1), 14.
- Mol Carcinog. 2022 Oct 4.
- Eur J Pharm Sci. 2022 Mar 5;106164.
- Research Square Print. December 14th, 2022.

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## REFERENCES

[1]. Wen X, et al. Activation of NRF2 Signaling in HEK293 Cells by a First-in-Class Direct KEAP1-NRF2 Inhibitor. J Biochem Mol Toxicol. 2015 Jun;29(6):261-6.

[2]. Hu L, et al. Discovery of a small-molecule inhibitor and cellular probe of Keap1-Nrf2 protein-protein interaction. Bioorg Med Chem Lett. 2013 May 15;23(10):3039-43.

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

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