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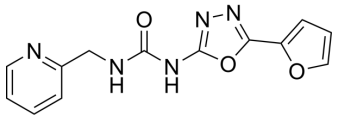
NK-252

Cat. No.:	HY-19734
CAS No.:	1414963-82-8
Molecular Formula:	$C_{13}H_{11}N_5O_3$
Molecular Weight:	285.26
Target:	Keap1-Nrf2
Pathway:	NF-κB

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 : ≥ 29 mg/mL (101.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5056 mL	17.5279 mL	35.0557 mL
5 mM	0.7011 mL	3.5056 mL	7.0111 mL
10 mM	0.3506 mL	1.7528 mL	3.5056 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: ≥ 2.08 mg/mL (7.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NK-252 is a potential Nrf2 activator, which exhibits a great Nrf2-activating potential.

IC_{50} & Target

Nrf2[1]

In Vitro

The luciferase activity in Huh-7.5 cells treated with Oltipraz (OPZ) or NK-252 shows activation of the NAD(P)H quinone oxidoreductase 1 (NQO1)-ARE in a dose-dependent manner. NK-252 displays this effect with higher potency than OPZ based

	on the fact that the EC2 value (concentration for a 2-fold induction above background), calculated with linear extrapolation from the values above and below the induction threshold, is 20.8 μM for OPZ and 1.36 μM for NK-252. NK-252 has potential as an Nrf2 activator in hepatic cells. Prototypical Nrf2 activators that include OPZ have been reported to protect microglial cells from H_2O_2-induced cytotoxicity. The protective effects of OPZ and NK-252 are examined against H_2O_2-induced cytotoxicity using Huh-7 cells to evaluate their antioxidant properties. The cells treated with OPZ or NK-252 show increased resistance to H_2O_2-induced cytotoxicity compared with control cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rats on a choline-deficient L-amino acid–defined (CDAA) diet given OPZ or NK-252 display decreased fibrosis scores compared with CDAA control rats, with median scores of 3, corresponding to bridging fibrosis. CDAA control rats display approximately 20-fold augmentation of the liver fibrosis area compared with rats fed a normal control diet (naive) (14.7 and 0.72%, respectively).This augmentation is also drastically reduced by administration of OPZ or NK-252 (5.80% for OPZ, 6.20% for NK-252_low, and 4.97% for NK-252_high). The effects of NK-252 on both fibrosis score and fibrosis area are dose-dependent[1]. NK-252 alone has no antitumour effect in P388/S- and P388/VCR-mice. The combination therapy of Etoposide with NK-252 administered p.o. significantly increases the life-span of mice inoculated i.p. with P388/S compared with the corresponding therapeutic effects with Etoposide alone. The combination therapy with Etoposide and NK-252 significantly increases the life-span of mice inoculated i.p. with P388/VCR compared with the corresponding survival time with Etoposide alone[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The Huh-7.5 cells, a subline derived from Huh-7 cells, are transfected with ARE/pGL4.32 by lipofectamine LTX. The stable clonal transfectant is isolated by selection in hygromycin B (0.1 mg/mL). Cells derived from stable clones are transfected with control or Nrf2 small interfering RNA by lipofectamine RNAiMAX (30 hours), then treated with OPZ, NK-252 (0.1-30 μM, 16 hours) , or DMSO alone (control). The luciferase activity values are measured using the Steady-Glo Luciferase Assay System[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1][2]	Rats[1] Six-week-old male Fischer 344 rats are randomly divided into four compound administration groups and four control groups. Compound administration groups of rats fed a CDAA diet receive oral administration as follows: 1) OPZ from 1 week after feeding at a dose of 60 mg/kg once daily for 9 weeks (CDAA+OPZ group; N=8), 2) NK-252 from 1 week after feeding at a dose of 20 mg/kg once daily for 9 weeks (CDAA+NK-252_low group; N=8), 3) NK-252 from 1 week after feeding at a dose of 60 mg/kg once daily for 9 weeks (CDAA+NK-252_high group; N=8), or 4) NK-252 from 6 weeks after feeding at a dose of 60 mg/kg once daily for 4 weeks (CDAA+NK-252_delayed administration: DA group; N=7). Two control groups of rats are fed a CDAA diet for 6 or 10 weeks (pre-CDAA control or CDAA control group; N=9 each), and the other two control groups of rats are fed standard rodent chow (CRF-1) for 6 or 10 weeks (prenaive or naive; N=3 each). Laparotomy and blood sampling are performed under isoflurane anesthesia. After blood sampling, rats are euthanized by exsanguination under isoflurane anesthesia, and the livers are immediately extirpated.[2]Mice[2] Six- to 8-week-old male BALB/c x DBA/2 F_1 (hereafter called $CD2F_1$) mice weighing 22 to 26 g are used. Male $CD2F_1$ mice are inoculated i.p. with 10^6 cells of P388/S and P388/VCR cell line on day 0. Each group consist of six mice. NK-250 and NK-252 (100, 300, and 1000 mg/kg) are given p.o. daily from day 1 to 5. Mean survival days and the range of survival days are analysed. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2023 Oct 3;35(10):1688-1703.e10.

- Cell Death Dis. 2020 Jul 30;11(7):607.
- Phytomedicine. 2024 Feb 1, 155401.
- iScience. 2020 Apr.
- Aging. 2020 Nov 7;12(21):21161-21185.

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REFERENCES

[1]. Shimozono R et al. Nrf2 activators attenuate the progression of nonalcoholic steatohepatitis-related fibrosis in a dietary rat model. Mol Pharmacol. 2013 Jul, 84(1):62-70.

[2]. Kiue A, et al. Enhancement of antitumour activity of etoposide by dihydropyridines on drug-sensitive and drug-resistant leukaemia in mice. Br J Cancer. 1991 Aug;64(2):221-6.

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