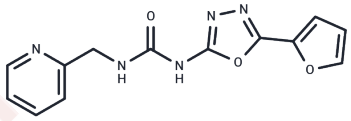
Data Sheet (Cat.No.T16331)

TargetMol

NK-252

Chemical Properties



CAS No. : 1414963-82-8

Formula: C13H11N5O3

Molecular Weight: 285.26

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	NK-252 is a potential activator of Nrf2. It has great Nrf2-activating potential.
Targets(IC50)	Reductase,Nrf2
In vitro	NK-252 shows 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. The protective effects of OPZ and NK-252 are examined against H2O2-induced cytotoxicity using Huh-7 cells to evaluate their antioxidant properties. The cells treated with OPZ or NK-252 show increased resistance to H2O2-induced cytotoxicity compared with control cells. The luciferase activity in Huh-7.5 cells treated with Oltipraz (OPZ) or NK-252 displays activation of the NAD(P)H quinone oxidoreductase 1 (NQO1)-ARE in a dose-dependent manner. Prototypical Nrf2 activators that include OPZ have been reported to protect microglial cells from H2O2-induced cytotoxicity [1].
In vivo	Rats on a choline-deficient L-amino acid-defined (CDAA) diet that received OPZ or NK-252 exhibited decreased liver fibrosis scores compared to those on the same diet without these compounds. NK-252's impact on fibrosis was dose-dependent[1]. CDAA diet-fed rats showed a nearly 20-fold increase in liver fibrosis area compared to rats on a normal diet (naive), which was significantly reduced by treatments (5.80% for OPZ, 6.20% for NK-252_low, and 4.97% for NK-252_high). Although NK-252 did not show antitumor effects in P388/S- and P388/VCR-mice when used alone, its combination with Etoposide notably enhanced the lifespan of mice with P388/VCR cancer, more than Etoposide alone[2]. Similarly, oral administration of NK-252 with Etoposide significantly prolonged the lifespan of P388/S inoculated mice, showing an improved therapeutic outcome compared to using Etoposide by itself.

Solubility Information

Solubility	DMSO: 150 mg/mL (525.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.01 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0701 mL	0.3506 mL	0.7011 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.