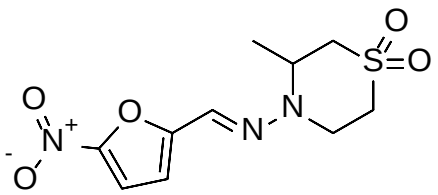
Product data sheet

MedKoo Cat#: 205934 Name: Nifurtimox CAS: 23256-30-6 Chemical Formula: $C_{10}H_{13}N_3O_5S$ Exact Mass: 287.0576 Molecular Weight: 287.29	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Nifurtimox is a 5-nitrofuran and is used to treat diseases caused by trypanosomes including Chagas disease and sleeping sickness. It is given by mouth and not by injection. Nifurtimox is now in a Phase II clinical trial for the treatment of pediatric neuroblastoma and medulloblastoma. Nifurtimox decreased cell viability in a concentration-dependent manner. Nifurtimox also suppressed basal and TrkB-mediated Akt phosphorylation, and the cytotoxicity of nifurtimox was attenuated by a tyrosine hydroxylase inhibitor (alpha-methyl-tyrosine). Nifurtimox killed catecholaminergic, but not cholinergic, autonomic neurons in culture. In vivo xenograft models showed inhibition of tumor growth with a histologic decrease in proliferation and increase in apoptosis. These results suggest that nifurtimox induces cell death in neuroblastoma . Therefore, further studies are warranted to develop nifurtimox as a promising new treatment for neuroblastoma.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	104.42
DMSO	75.67	263.38

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.48 mL	17.40 mL	34.81 mL
5 mM	0.70 mL	3.48 mL	6.96 mL
10 mM	0.35 mL	1.74 mL	3.48 mL
50 mM	0.07 mL	0.35 mL	0.70 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Li Q, Lin Q, Kim H, Yun Z. The anti-protozoan drug nifurtimox preferentially inhibits clonogenic tumor cells under hypoxic conditions. Am J Cancer Res. 2017 May 1;7(5):1084-1095. PMID: 28560059; PMCID: PMC5446476.
2. Cabanillas Stanchi KM, Bruchelt G, Handgretinger R, Holzer U. Nifurtimox reduces N-Myc expression and aerobic glycolysis in neuroblastoma. Cancer Biol Ther. 2015;16(9):1353-63. doi: 10.1080/15384047.2015.1070987. Epub 2015 Jul 15. PMID: 26177922; PMCID: PMC4622434.

In vivo study

1. Zhang Q, Chen Z, Yuan W, Tang YQ, Zhu J, Wu W, Ren H, Wang H, Zheng W, Zhang Z, Kong E. Nifurtimox Hampered the Progression of Astroglioma In vivo Via Manipulating the AKT-GSK3β axis. Curr Mol Med. 2020;20(9):723-732. doi: 10.2174/1566524020666200409124258. PMID: 32271693.

Product data sheet

2. Kong E, Zhu J, Wu W, Ren H, Jiao X, Wang H, Zhang Z. Nifurtimox Inhibits the Progression of Neuroblastoma in vivo. J Cancer. 2019 May 21;10(10):2194-2204. doi: 10.7150/jca.27851. PMID: 31258723; PMCID: PMC6584410.

7. Bioactivity

Biological target:

Nifurtimox is an antiprotozoal agent. Nifurtimox affects enzyme activity of lactate dehydrogenase (LDH).

In vitro activity

This study has found that nifurtimox preferentially kill clonogenic tumor cells especially under the hypoxic conditions of ≤0.1% O_2. Mechanistically, nifurtimox becomes activated after tumor cells enter into a fully hypoxic state, as shown by the stabilization of the Hypoxia-Inducible Factor 1α (HIF-1α). Nifurtimox specifically induces the formation of 53BP1 foci, a hallmark of DNA double-stranded breaks, in hypoxic tumor cells.

Reference: Am J Cancer Res. 2017 May 1;7(5):1084-1095. https://pubmed.ncbi.nlm.nih.gov/28560059/

In vivo activity

The results exhibited that nifurtimox could competently hinder the development of astroglioma in the mouse brain as compared to temozolomide, the first line of drug for brain tumors. Meanwhile the surviving rate, as well as the body-weight was dramatically upregulated upon nifurtimox treatment, as compared to that of temozolomide. These findings offered nifurtimox as a better alternative drug in treating astroglioma in vivo.

Reference: Curr Mol Med. 2020;20(9):723-732. https://pubmed.ncbi.nlm.nih.gov/32271693/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.