

# Product data sheet



MedKoo Cat#: 200371 Name: Luminespib (AUY-922) CAS#: 747412-49-3 Chemical Formula: C <sub>26</sub> H <sub>31</sub> N <sub>3</sub> O <sub>5</sub> Exact Mass: 465.2264 Molecular Weight: 465.54	
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:

Luminespib, also known as AUY-922, NVP-AUY922, VER52296, is a derivative of 4,5-diarylisoaxazole and a third-generation heat shock protein 90 (Hsp90) inhibitor with potential antineoplastic activity. Hsp90 inhibitor AUY922 has been shown to bind with high affinity to and inhibit Hsp90, resulting in the proteasomal degradation of oncogenic client proteins; the inhibition of cell proliferation; and the elevation of heat shock protein 72 (Hsp72) in a wide range of human tumor cell lines.

## 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
DMSO	50.0	107.4

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.15 mL	10.74 mL	21.48 mL
5 mM	0.43 mL	2.15 mL	4.30 mL
10 mM	0.21 mL	1.07 mL	2.15 mL
50 mM	0.04 mL	0.21 mL	0.43 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. Augello G, Emma MR, Cusimano A, Azzolina A, Mongiovi S, Puleio R, Cassata G, Gulino A, Belmonte B, Gramignoli R, Strom SC, McCubrey JA, Montalto G, Cervello M. Targeting HSP90 with the small molecule inhibitor AUY922 (luminespib) as a treatment strategy against hepatocellular carcinoma. *Int J Cancer*. 2019 May 15;144(10):2613-2624. doi: 10.1002/ijc.31963. Epub 2018 Dec 24. PMID: 30488605.

2. Chittoor-Vinod VG, Bazick H, Todd AG, Falk D, Morelli KH, Burgess RW, Foster TC, Notterpek L. HSP90 Inhibitor, NVP-AUY922, Improves Myelination in Vitro and Supports the Maintenance of Myelinated Axons in Neuropathic Mice. *ACS Chem Neurosci*. 2019 Jun 19;10(6):2890-2902. doi: 10.1021/acchemneuro.9b00105. Epub 2019 May 3. PMID: 31017387; PMCID: PMC6588339.

### In vivo study

1. Augello G, Emma MR, Cusimano A, Azzolina A, Mongiovi S, Puleio R, Cassata G, Gulino A, Belmonte B, Gramignoli R, Strom SC, McCubrey JA, Montalto G, Cervello M. Targeting HSP90 with the small molecule inhibitor AUY922 (luminespib) as a treatment strategy against hepatocellular carcinoma. *Int J Cancer*. 2019 May 15;144(10):2613-2624. doi: 10.1002/ijc.31963. Epub 2018 Dec 24. PMID: 30488605.

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2. Chittoor-Vinod VG, Bazick H, Todd AG, Falk D, Morelli KH, Burgess RW, Foster TC, Notterpek L. HSP90 Inhibitor, NVP-AUY922, Improves Myelination in Vitro and Supports the Maintenance of Myelinated Axons in Neuropathic Mice. ACS Chem Neurosci. 2019 Jun 19;10(6):2890-2902. doi: 10.1021/acschemneuro.9b00105. Epub 2019 May 3. PMID: 31017387; PMCID: PMC6588339.

## 7. Bioactivity

Biological target: Luminespib is a HSP90 inhibitor with IC50s of 7.8 and 21 nM for HSP90 $\alpha$  and HSP90 $\beta$ , respectively.

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### In vitro activity

The effect of AUY922 on cell viability was measured by MTS assay. As shown in Figure 2b, AUY922 reduced cell viability in a dose- and time-dependent manner in four of five HCC (hepatocellular carcinoma) cell lines (Fig. 2b), but it showed no cytotoxicity in normal human primary hepatocytes (Fig. 2c). The 50% inhibitory concentration (IC50) values ranged from 9.2 to 16.2  $\mu$ M in Huh7 and Hep3B cells, respectively, at 72 h (Supporting Information Table S4), whereas a minimal effect on SNU475 cells was observed, even at the highest dose tested (200 nM).

Reference: Int J Cancer. 2019 May 15;144(10):2613-2624. <https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.31963>

### In vivo activity

The potential therapeutic effects of AUY922 were tested in vivo using nude mice bearing HCC (hepatocellular carcinoma) tumor xenografts. AUY922 treatment led to significantly reduced tumor volume compared to the vehicle alone (Fig. 6a). Moreover, mice treated with AUY922 did not show significantly altered body weight when compared to control group, suggesting that the treatment was well tolerated (Fig. 6b). In addition, HSP90 inhibition was associated with significantly increased HSP70 expression in post-treatment HCC samples (Fig. 6c), confirming that AUY922 inhibited HSP90 activity in vivo (Fig. 2d).

Reference: Int J Cancer. 2019 May 15;144(10):2613-2624. <https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.31963>

*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.*