# NVP-AUY922

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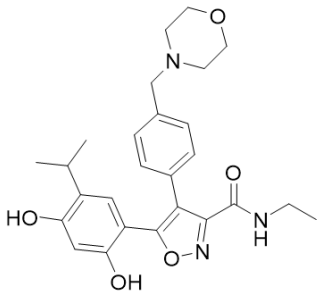
| **Cat. No.:** | HY-10215 |
| **CAS No.:** | 747412-49-3 |
| **Molecular Formula:** | $C_{26}H_{31}N_3O_5$ |
| **Molecular Weight:** | 465.54 |
| **Target:** | HSP; Autophagy |
| **Pathway:** | Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |




## Solvent & Solubility

**In Vitro**

**DMSO : ≥ 62 mg/mL (133.18 mM)**

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
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| | 1 mM | 2.1480 mL | 10.7402 mL | 21.4804 mL |
| | 5 mM | 0.4296 mL | 2.1480 mL | 4.2961 mL |
| | 10 mM | 0.2148 mL | 1.0740 mL | 2.1480 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

NVP-AUY922 is a potent **HSP90** inhibitor with **$IC_{50}$**s of 7.8 and 21 nM for HSP90α and HSP90β, respectively.

**$IC_{50}$ & Target**

IC50: 7.8 nM (HSP90α), 21 nM (HSP90β), 535 nM (GRP94), 85 nM (TRAP-1)[1]

**In Vitro**

NVP-AUY922 is a potent and selective HSP90 inhibitor, with $IC_{50}$s and $K_i$s of 21 ± 16, 8.2 ± 0.7 nM against HSP90β and of 7.8 ± 1.8, 9.0 ± 5.0 nM for HSP90α. NVP-AUY922 shows weak activity against GRP94 and TRAP-1 wich $IC_{50}$s of 535 ± 51 nM ($K_i$, 108 nM) and 85 ± 8 nM ($K_i$, 53 nM), respectively. NVP-AUY922 exhibits inhibitory effect on proliferation of various human tumor cell lines (2.3-49.6 nM), induces cell cycle arrest and apoptosis and depletes client proteins in human cancer cells (80 nM)[1]. NVP-AUY922 (100 nM) significantly reduces CD40L fibroblast-induced changes in immunophenotype and STAT3 signaling but with no effect on the viability of chronic lymphocytic leukemia (CLL) cells. NVP-AUY922 (500 nM) in combination with fludarabine more effectively induces apoptosis in cells in co-culture than either drug alone, and overcomes fibroblast-derived resistance to Hsp90 inhibitor[2]. NVP-AUY922 shows great inhibition of pancreatic cancer cells with $IC_{50}$ of at 10 nM. NVP-AUY922 (10 nM) reduces the

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| | expression and the epidermal growth factor (EGF)-mediated activation of EGFR and substantially disrupts EGF signaling in terms of diminishing downstream phosphorylation of ERK$^{Thr202/Tyr204}$. NVP-AUY922 (10 nM) significantly blocks pancreatic cancer cell migration and invasion both in the absence and presence of EGF[3]. |
| **In Vivo** | NVP-AUY922 (50, 75 mg/kg, i.p.) significantly inhibits tumor growth rate, reducing the mean weights of tumors on day 11 in human tumor xenografts[2]. NVP-AUY922 (50 mg/kg/week, 3×25 mg/kg/week) significantly reduces tumor growth rates and lowers tumor weights in the L3.6pl pancreatic cancer cell-bearing mice model[3]. |

## PROTOCOL

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| **Cell Assay** [1] | Cell lines are grown in DMEM/10% FCS, 2 mM glutamine, and nonessential amino acids in a humidified atmosphere of 5% $CO_2$ in air. All lines are free of Mycoplasma. Cell proliferation is determined using the SRB assay for **tumor cells and prostate epithelial cells**, the WST-1 assay for MCF10A and HB119, or an alkaline phosphatase assay for HUVEC and HDMEC. $GI_{50}$ is the compound concentration inhibiting cell proliferation by 50% compared with vehicle controls. Active caspase-3/7 is measured using a homogenous caspase assay kit[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [1] | Mice[1]<br>For efficacy studies, human tumor xenografts are established s.c. in **athymic mice**. **WM266.4 cells** are also injected i.v. to generate experimental lung metastases and PC3LN3 prostate carcinoma cells are implanted into the prostates of male mice. Dosing by **i.p. with NVP-AUY922** commences when tumors are well established. Tumor growth is monitored and at study end samples are harvested for analysis[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- **Blood**. 2018 Jul 19;132(3):307-320.
- **Nat Commun**. 2017 Sep 4;8(1):422.
- **Clin Cancer Res**. 2018 Feb 15;24(4):794-806.
- **J Chem Theory Comput**. 2018 Jul 10;14(7):3859-3869.
- **Stem Cell Res**. 2014 Sep;13(2):284-99.

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## REFERENCES

[1]. Eccles, Suzanne A., et al. NVP-AUY922: A Novel Heat Shock Protein 90 Inhibitor Active against Xenograft Tumor Growth, Angiogenesis, and Metastasis. Cancer Research (2008), 68(8), 2850-2860.

[2]. Best OG, et al. Heat shock protein-90 inhibitor, NVP-AUY922, is effective in combination with fludarabine against chronic lymphocytic leukemia cells cultured on CD40L-stromal layer and inhibits their activated/proliferative phenotype. Leuk Lymphoma. 2012 Jul 9.

[3]. Moser C, et al. Stoeltzing O.Targeting HSP90 by the novel inhibitor NVP-AUY922 reduces growth and angiogenesis of pancreatic cancer. Anticancer Res. 2012 Jul;32(7):2551-61.

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

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