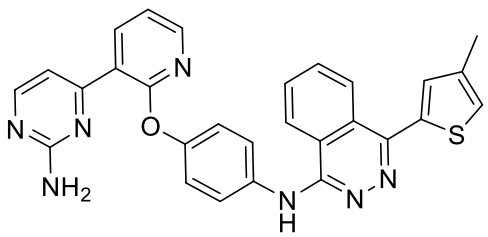
Product data sheet

MedKoo Cat#: 204370 Name: AMG-900 CAS#: 945595-80-2 Chemical Formula: $C_{28}H_{21}N_7OS$ Exact Mass: 503.15283 Molecular Weight: 503.57764	
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:
AMG 900 is a small-molecule inhibitor of Aurora kinases A, B and C with potential antineoplastic activity. Aurora kinase inhibitor AMG 900 selectively binds to and inhibits the activities of Aurora kinases A, B and C, which may result in inhibition of cellular division and proliferation in tumor cells that overexpress these kinases. Aurora kinases are serine-threonine kinases that play essential roles in mitotic checkpoint control during mitosis and are overexpressed by a wide variety of cancer cell types.

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	55.0	109.22
DMF	15.0	29.79
DMF:PBS (pH 7.2) (1:3)	0.25	0.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.99 mL	9.93 mL	19.86 mL
5 mM	0.40 mL	1.99 mL	3.97 mL
10 mM	0.20 mL	0.99 mL	1.99 mL
50 mM	0.04 mL	0.20 mL	0.40 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. Ryu J, Pyo J, Lee CW, Kim JE. An Aurora kinase inhibitor, AMG900, inhibits glioblastoma cell proliferation by disrupting mitotic progression. Cancer Med. 2018 Nov;7(11):5589-5603. doi: 10.1002/cam4.1771. Epub 2018 Sep 17. PMID: 30221846; PMCID: PMC6246935.
2. Borges KS, Andrade AF, Silveira VS, Marco Antonio DS, Vasconcelos EJR, Antonini SRR, Tone LG, Scrideli CA. The aurora kinase inhibitor AMG 900 increases apoptosis and induces chemosensitivity to anticancer drugs in the NCI-H295 adrenocortical carcinoma cell line. Anticancer Drugs. 2017 Jul;28(6):634-644. doi: 10.1097/CAD.0000000000000504. PMID: 28410270.

In vivo study

1. Payton M, Cheung HK, Ninniri MSS, Marinaccio C, Wayne WC, Hanestad K, Crispino JD, Juan G, Coxon A. Dual Targeting of Aurora Kinases with AMG 900 Exhibits Potent Preclinical Activity Against Acute Myeloid Leukemia with Distinct Post-Mitotic Outcomes. Mol Cancer Ther. 2018 Dec;17(12):2575-2585. doi: 10.1158/1535-7163.MCT-18-0186. Epub 2018 Sep 28. PMID: 30266802; PMCID: PMC6279493.

2. Juan G, Bush TL, Ma C, Manoukian R, Chung G, Hawkins JM, Zoog S, Kendall R, Radinsky R, Loberg R, Friberg G, Payton M. AMG 900, a potent inhibitor of aurora kinases causes pharmacodynamic changes in p-Histone H3 immunoreactivity in human tumor xenografts and proliferating mouse tissues. J Transl Med. 2014 Nov 4;12:307. doi: 10.1186/s12967-014-0307-x. PMID: 25367255; PMCID: PMC4221688.

7. Bioactivity

Biological target:

AMG 900 is a pan-Aurora kinases inhibitor with IC50 of 5 nM, 4 nM and 1 nM for Aurora A, B and C, respectively.

In vitro activity

Treatment with AMG900 reduced growth of A172, U-87MG, and U-118MG cells in a concentration-dependent manner (from 0.1 to 100 nmol/L; Figure 1A). In addition, while the number of DMSO-treated control cells increased in a time-dependent manner (from 24 to 120 hours), this was not the case for 100 nmol/L AMG900-treated cells (Figure 1B). To examine the long-term effects of AMG900, we exposed A172 cells to AMG900 for 24 hours, washed out drug, and examined colony formation after 14 days. AMG900-treated cells showed significantly lower colony-forming activity than control cells (Figure 1C), suggesting that short-term exposure results in irreversible defects in survival. Overall, the data indicate that AMG900 reduces the proliferation of glioblastoma cells.

Reference: Cancer Med. 2018 Nov; 7(11): 5589–5603. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6246935/

In vivo activity

As measured by whole body bioluminescence, mice treated with AMG 900 using either dose schedule showed significant anti-tumor activity across study time points relative to vehicle-treated group [tumor growth inhibition 86–90% and 94%, $P < .0001$ (days 6 and 9); 95%, $P < 0.02$ (day 12)] (Fig. 5B). Relative to vehicle-treated group, AMG 900 significantly reduced the MOLM-13 cell fraction in the marrow ($P \leq 0.0002$) (Fig. 5D), with 7-day schedule reducing tumor burden to a greater degree than the 4-day schedule ($P = 0.0152$).

Reference: Mol Cancer Ther. 2018 Dec; 17(12): 2575–2585. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6279493/

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.