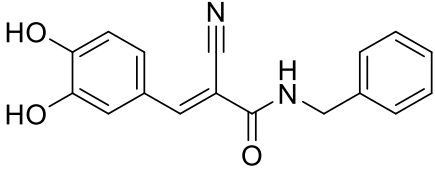


Product data sheet



MedKoo Cat#: 200121 Name: AG-490 CAS#: 133550-30-8 Chemical Formula: C ₁₇ H ₁₄ N ₂ O ₃ Exact Mass: 294.10044 Molecular Weight: 294.3	
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:

Tyrphostin AG490 is a JAK-2 specific inhibitor, which inhibits phosphorylation of EGFR and signal transducer and activator of transcription 3 [STAT-3], and subsequently reduce invasion and adhesion potential of malignant cells. The hematopoietic cancer c-Kit+, Jak-2+ and non hematopoietic tumors c-Kit+, HER-2+, JAK-2+ can be inhibited by the chemosensitizing agent AG490 causing programmed cell death.

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	45.81	155.66
DMSO:PBS (pH 7.2) (1:10)	0.1	0.34
DMF	25.0	84.95
Ethanol	7.30	24.80

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.40 mL	16.99 mL	33.98 mL
5 mM	0.68 mL	3.40 mL	6.80 mL
10 mM	0.34 mL	1.70 mL	3.40 mL
50 mM	0.07 mL	0.34 mL	0.68 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

- Ni Y, Zhang H, Zhang J, Li Z, Li Z. Inhibition of JAK2 by AG490 promotes TNF- α -induced apoptosis by inhibiting autophagy in MC3T3-E1 cells. *Pharmazie*. 2020 Jun 1;75(6):255-260. doi: 10.1691/ph.2020.0375. PMID: 32539921.
- Zhou Y, Sun Y, Hou W, Ma L, Tao Y, Li D, Xu C, Bao J, Fan W. The JAK2/STAT3 pathway inhibitor, AG490, suppresses the abnormal behavior of keloid fibroblasts in vitro. *Int J Mol Med*. 2020 Jul;46(1):191-200. doi: 10.3892/ijmm.2020.4592. Epub 2020 Apr 29. PMID: 32377718; PMCID: PMC7255460.

In vivo study

- Fan L, Zhou L. AG490 protects cerebral ischemia/reperfusion injury via inhibiting the JAK2/3 signaling pathway. *Brain Behav*. 2021 Jan;11(1):e01911. doi: 10.1002/brb3.1911. Epub 2020 Oct 23. PMID: 33098244; PMCID: PMC7821583.

Product data sheet



2. Tan G, Jiang L, Li G, Bai K. ESTAT3 Inhibitor AG-490 Inhibits the Growth of Prostate Cancer by miR-503-5p Both In Vivo and In Vitro. *Technol Cancer Res Treat*. 2020 Jan-Dec;19:1533033820948062. doi: 10.1177/1533033820948062. PMID: 33063634; PMCID: PMC7580129.

7. Bioactivity

Biological target:

AG490 (Typhostin AG490) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.

In vitro activity

To explore the effect of blocking p-JAK2 on TNF- α -induced apoptosis in MC3T3-E1 cells, cells were pretreated with AG490 (0, 10, 20, and 40 μ M), a specific JAK2 inhibitor, for 30 min before incubation with TNF- α (20 ng/ml, 48 h). Then, flow cytometry was performed after Annexin V and PI labeling. The results showed that AG490 significantly increased the percentage of apoptotic cells (Figs. 3A and B). Western blot analysis was used to detect p-JAK2, JAK2, and Cl-PARP expression. JAK2 phosphorylation decreased and reached the lowest point at 40 μ M concentration. Meanwhile, the level of Cl-PARP increased (Figs. 3C–E). These results indicate that JAK2-blockage increases TNF- α -induced apoptosis in MC3T3-E1 cell.

Reference: *Pharmazie*. 2020 Jun 1;75(6):255-260. <https://pubmed.ncbi.nlm.nih.gov/32539921/>

In vivo activity

Besides the effect of AG490 on neuroprotection against apoptosis, this study also observed the alternations of neurotrophins mediated by the inhibitor for neural repairment. The results from ELISA tests revealed that the i.p. injection of AG490 could increase the BDNF level from serum and brain tissue of MCAO mice (Figure 5a,b). Meanwhile, this study found the higher expression of BDNF ($p < .05$), neurotrophin-3 (NT3), and also the neurotrophin receptor TrkB in the brain tissue (Figure 5c–e) in vivo. This evidence suggests that AG490 served as a pluripotent drug for neuroprotection in addition to its antiapoptotic activity.

Reference: *Brain Behav*. 2021 Jan; 11(1): e01911. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7821583/>

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.