Small Molecules

AG-490

Tyrosine kinase inhibitor; Inhibits EGFR, HER2, JAK2, JAK3, STAT5a/b

Catalog #	72932	10 mg
	72934	50 mg

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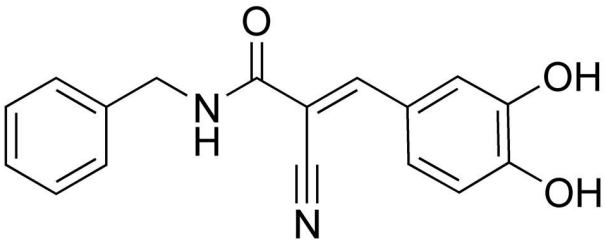
Product Description

AG-490 is a member of the tyrphostin family of small molecule inhibitors of tyrosine kinases including EGFR (Gazit et al.), HER2 (Gazit et al.), JAK2 (Burger et al.), JAK3 (Brown et al.), and STAT5a/b (Wang et al.) with IC_{50} values of 0.1, 13.5, 11, and 12 µM, respectively. AG-490 does not inhibit LCK, LYN, BTK, SYK, or SRC tyrosine kinases (Meydan et al.).

Molecular Name:	AG-490
Alternative Names:	Tyrphostin AG-490
CAS Number:	133550-30-8
Chemical Formula:	$C_{17}H_{14}N_2O_3$
Molecular Weight:	294.3 g/mol
Purity:	≥ 98%
Chemical Name:	alpha-Cyano-(3,4-dihydroxy)-N-benzylcinnamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 100 mM · Absolute ethanol ≤ 30 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 3.40 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION
· Induces differentiation of mouse (OG2) embryonic stem cells, with LIF and BMP4 (Chen et al.).

CANCER
· Blocks growth of acute lymphoblastic leukemia pre-B cells by inducing programmed cell death, via inhibition of JAK2 (Meydan et al.).
· Inhibits proliferation of cervical carcinoma cell lines (Soto-Cruz et al.).
· Inhibits STAT3 phosphorylation and induced translocation of beta-catenin to the cytoplasm in the colorectal cell line SW480 (Kawada et al.).
· Induces S phase arrest of GL15 glioblastoma cells via JAK2 inhibition (Sciaccaluga et al.).
· Inhibits EGF-dependant proliferation of NIH3T3 cell lines (Gazit et al.).
· Blocks IL-2 induced thymidine incorporation in T-cell lines (Wang et al.).

References

Brown GR et al. (2000) Naphthyl ketones: a new class of Janus kinase 3 inhibitors. Bioorg Med Chem Lett 10(6): 575–579.
Burger R et al. (2009) Janus kinase inhibitor INCB20 has antiproliferative and apoptotic effects on human myeloma cells in vitro and in vivo. Mol Cancer Ther 8(1): 26–35.
Chen S et al. (2006) Self-renewal of embryonic stem cells by a small molecule. Proc Natl Acad Sci 103(46): 17266–17271.
Gazit A et al. (1991) Tyrphostins. 2. Heterocyclic and alpha-substituted benzylidenemalononitrile tyrphostins as potent inhibitors of EGF receptor and ErbB2/neu tyrosine kinases. J Med Chem 34(6): 1896–907.
Kawada M et al. (2006) Signal transducers and activators of transcription 3 activation is involved in nuclear accumulation of beta-catenin in colorectal cancer. Cancer Res 66(6): 2913–7.
Meydan N et al. (1996) Inhibition of acute lymphoblastic leukaemia by a Jak-2 inhibitor. Nature 379(6566): 645–8.
Sciaccaluga M et al. (2007) Constitutive phosphorylation of Janus kinase 2 in the GL15 glioblastoma derived human cell line. Oncol Rep 17(1): 17–23.
Soto-Cruz I et al. (2008) The Tyrphostin B42 Inhibits Cell Proliferation and HER-2 Autophosphorylation in Cervical Carcinoma Cell Lines. Cancer Invest 26(2): 136–144.
Wang LH et al. (1999) JAK3, STAT, and MAPK signaling pathways as novel molecular targets for the tyrphostin AG-490 regulation of IL-2-mediated T cell response. J Immunol 162(7): 3897–904.

Related Small Molecules

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