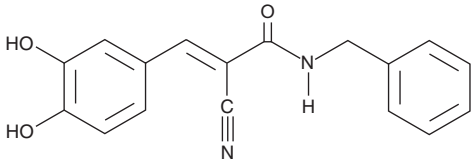
PRODUCT INFORMATION

AG-490

Item No. 10010311

CAS Registry No.:	133550-30-8
Formal Name:	(2E)-2-cyano-3-(3,4-dihydroxyphenyl)-N-(phenylmethyl)-2-propenamide
Synonym:	Tyrphostin AG-490
MF:	$C_{17}H_{14}N_2O_3$
FW:	294.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 257, 363 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AG-490 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-490 in the solvent of choice, which should be purged with an inert gas. AG-490 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AG-490 in these solvents is approximately 10, 30, and 25 mg/ml, respectively.

AG-490 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AG-490 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AG-490 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Protein tyrosine kinase (Ptk) inhibitors are potential antiproliferative agents for diseases caused by the hyperactivity of Ptks. Tyrphostins are a class of antiproliferative compounds which act as Ptk blockers.[1] Leukemic cells from patients in relapse have constitutively activated JAK2 Ptk. AG-490 is an inhibitor of JAK2 activity and selectively blocks leukemic cell growth *in vitro* and *in vivo* by inducing programmed cell death, with no deleterious effect on normal hematopoiesis. AG-490 almost completely blocks growth of all pre-B acute leukemia (ALL) cells at a concentration of 5 µM.[2] Furthermore, inhibition of JAK/STAT signaling in satellite cells *via* AG-490 and the STAT3 inhibitor 5,15-DPP (Item No. 16090) has been used to stimulate muscle regeneration in a model of aging skeletal muscle deterioration.[3]

References

1. Gazit, A., Yaish, P., Gilon, C., *et al.* Tyrphostins I: Synthesis and biological activity of protein tyrosine kinase inhibitors. *J. Med. Chem.* **32(10)**, 2344-2352 (1989).
2. Meydan, N., Grunberger, T., Dadi, H., *et al.* Inhibition of acute lymphoblastic leukaemia by a Jak-2 inhibitor. *Nature* **379(6566)**, 645-648 (1996).
3. Price, F.D., von Maltzahn, J., Bentzinger, C.F., *et al.* Inhibition of JAK-STAT signaling stimulates adult satellite cell function. *Nat. Med.* **20(10)**, 1174-1181 (2014).

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