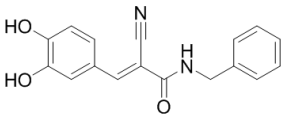
产品名称 ： AG-490

同义词 ： AG 490 | Tyrphostin AG 490 | AG490

产品货号 ： M11343



CAS Number ： 133550-30-8

分子式 ： C17H14N2O3

分子量 ： 294.3047

化学全名 ： 2-Propenamide, 2-cyano-3-(3,4-dihydroxyphenyl)-N-(phenylmethyl)-, (2E)-

产品描述 ： AG-490 (Tyrphostin AG 490) is a multi-targeted tyrphostin family of tyrosine kinase inhibitor, inhibits EGF receptor tyrosine kinase with IC50 of 2 and 13.5 uM for EGFR and ErbB2, also inhibits JAK2, JAK3/STAT, JAK3/AP-1 and JAK3/MAPK pathways; selectively blocks leukaemic cell growth in vitro and in vivo by inducing programmed cell death, blocks the constitutive activation of Stat3 and inhibits spontaneous as well as IL2-induced growth of MF tumor cells.Blood Cancer Discontinued(In Vitro):AG490 inhibits the activation of Stat-3 by selectively blocking JAK2. AG490 is used to selectively inhibit JAK/Stat-3 activation. At a dose of 10 μM, Stat-3 phosphorylation is decreased by >95% and cell viability is maintained. AG490 at a dose of 10 μM results in >95% decrease in pStat-3 in EGF-stimulated A431 cells with no effect on Stat-3 mass. AG-490 is a potent inhibitor of the JAK3/STAT, JAK3/AP-1, and JAK3/MAPK pathways and their cellular consequences. AG-490 abolishes IL-2-inducible [3H]thymidine incorporation in a dose-dependent manner, displaying an IC50 of 25 μM. AG-490 potently inhibits IL-2-mediated proliferation in T cells, results distinct from previous studies that showed this agent induced apoptosis in ALL cells while exerting apparently no effects on the growth of mitogen-stimulated normal T cells.(In Vivo):AG490 significantly inhibits the development of type 1 diabetes (T1D) (p?=?0.02, p?=?0.005; at two different time points). Monotherapy of newly diagnosed diabetic NOD mice with AG490 (1 mg/mouse) markedly results in disease remission in treated animals (n=23) in comparision to the absolute inability (0%; 0/10, p=0.003, Log-rank test) of DMSO and sustained eugluycemia is maintained for several months following drug withdrawal. AG490 (1-10 μg) significantly attenuates ?-carrageenan-induced thermal hyperalgesia in a dose-dependent manner. AG490 also reduces mechanical hyperalgesia.

通路 ： Angiogenesis

靶点 ： JAK

受体 ： EGFR|HER2/ErbB2|JAK2

溶解度 ： 10 mM in DMSO

SMILES ： O=C(NCC1=CC=CC=C1)/C(C#N)=C/C2=CC=C(O)C(O)=C2

存储条件 ： (-20℃)

稳定性 ： ≥ 2 years

参考文献 ：

1. Dadi H, et al. Blood. 1994 Sep 1;84(5):1579-86. 2. Nielsen M, et al. Proc Natl Acad Sci U S A. 1997 Jun 24;94(13):6764-9. 3. Meydan N, et al. Nature. 1996 Feb 15;379(6566):645-8. 4. Wang LH, et al. J Immunol. 1999 Apr 1;162(7):3897-904.