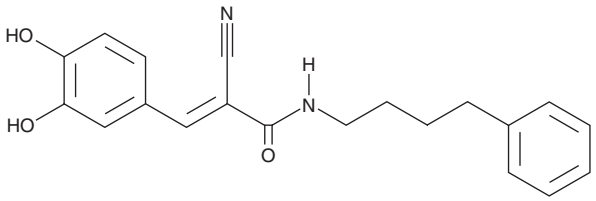
PRODUCT INFORMATION

AG-556

Item No. 33335

CAS Registry No.:	133550-41-1
Formal Name:	(2E)-2-cyano-3-(3,4-dihydroxyphenyl)-N-(4-phenylbutyl)-2-propenamide
Synonyms:	Tyrphostin 56, Tyrphostin AG-556
MF:	$C_{20}H_{20}N_2O_3$
FW:	336.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 256, 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-556 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-556 in the solvent of choice, which should be purged with an inert gas. AG-556 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of AG-556 in these solvents is approximately 30 mg/ml.

Description

AG-556 is a tyrphostin inhibitor of EGFR (IC_{50} = 5 µM).[1] It is selective for EGFR over HER2 (IC_{50} = >500 µM). AG-556 inhibits EGF-induced growth of HER14 cells (IC_{50} = 3 µM). It inhibits hydrogen peroxide-induced increases in intracellular calcium in HEK293 cells expressing human transient receptor potential melastatin 2 (TRPM2; IC_{50} = 0.94 µM), as well as HEK293 cells expressing human TRP ankyrin 1 (TRPA1) when used at a concentration of 3 µM.[2] AG-556 (200 µg/animal per day) reduces the incidence, severity, and duration of disease in a mouse model of experimental autoimmune encephalomyelitis (EAE).[3] It reduces edema, demyelination, and myeloperoxidase (MPO) activity, a marker of neutrophil infiltration, in spinal cord tissue, as well as improves motor function in a mouse model of extradural compression-induced spinal cord injury when administered at a dose of 10 mg/kg.[4]

References

1. Gazit, A., Osherov, N., Posner, I., *et al.* Tyrphostins. 2. Heterocyclic and α-substituted benzylidenemalononitrile tyrphostins as potent inhibitors of EGF receptor and ErbB2/neu tyrosine kinases. *J. Med. Chem.* **34(6)**, 1896-1907 (1991).
2. Toda, T., Yamamoto, S., Yonezawa, R., *et al.* Inhibitory effects of Tyrphostin AG-related compounds on oxidative stress-sensitive transient receptor potential channel activation. *Eur. J. Pharmacol.* **786**, 19-28 (2016).
3. Brenner, T., Poradosu, E., Soffer, D., *et al.* Suppression of experimental autoimmune encephalomyelitis by tyrphostin AG-556. *Exp. Neurol.* **154(2)**, 489-498 (1998).
4. Genovese, T., Mazzon, E., Esposito, E., *et al.* Inhibition of tyrosine kinase-mediated cellular signalling by Tyrphostins AG126 and AG556 modulates secondary damage in experimental spinal cord trauma. *Neuropharmacology* **52(7)**, 1454-1471 (2007).

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