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ProductInformation

Tyrphostin 1

Product Number **T 7040**
Storage Temperature 2-8 C
Replacement for Product Number 14,735-4

Product Description

Molecular Formula: $C_{11}H_8N_2O$
Molecular Weight: 184.2
CAS Number: 2826-26-8
Melting Point: 115 C[1]
IC_{50}: > 1,250 µM[1]
Synonym: (4-methoxybenzylidene)malononitrile

Tyrphostin 1 is one of a series of small molecular weight inhibitors of epidermal growth factor (EGF) receptor kinase activity which were designed to bind to the substrate subsite of the protein tyrosine kinase (PTK) domain.[1,2] These compounds also effectively block the EGF-dependent autophosphorylation of the receptor. Within this group of compounds, tyrphostin 1 acts as a negative control because of its high IC_{50} (1,250 µM). The synthesis and characterization of tyrphostin 1 and the related family of compounds has been described.[1] The use of tyrphostin 1 as a successful negative control in studies of tyrosine kinase activity has been demonstrated in several reports. These include investigations of intact and saponin-permeabilized platelets, mechanical strain-treated fetal rat lung cells, and bovine aortic endothelial (BAE-1) cells.[3-5]

One study has indicated that tyrphostin 1 can inhibit voltage-operated Ca^{2+}-channel currents in vascular smooth muscle cells isolated from rabbit ear artery, which may indicate a endogenous tyrosine kinase(s) with different sensitivity compared to the EGF receptor.[6] Tyrphostin 1 has also been shown to cause partial blockage of rat vascular smooth muscle cell growth as induced by chronic stretching.[7] Tyrphostin has been utilized at 500 µM to enhance recombinant adeno-associated virus transgene expression in IB3 lung epithelial cells.[8]

Precautions and Disclaimer

For Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

This product is soluble in chloroform (50 mg/ml), yielding a clear, light yellow solution. It is also soluble in DMSO (50 mM).[8]

Storage/Stability

Tyrphostins should be stable for months in DMSO stored frozen. The presence of water in the solution may accelerate hydrolysis. Stock solutions of this product in DMSO should be protected from light.[8]

References

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4. Liu, M., et al., Antisense oligonucleotides for PDGF-B and its receptor inhibit mechanical strain-induced fetal lung cell growth. Am. J. Physiol., **269(2 Pt 1)**, L178-184 (1995).
5. Munaron, L., and Fiorio Pla, A., Calcium influx induced by activation of tyrosine kinase receptors in cultured bovine aortic endothelial cells. J. Cell. Physiol., **185(3)**, 454-463 (2000).
6. Wijetunge, S., et al., Tyrosine kinase inhibitors block calcium channel currents in vascular smooth muscle cells. Biochem. Biophys. Res. Commun., **189(3)**, 1620-1623 (1992).

7. Standley, P. R., et al., Cyclic stretch regulates autocrine IGF-I in vascular smooth muscle cells: implications in vascular hyperplasia. Am. J. Physiol., **276(4 Pt 1)**, E697-705 (1999).

8. Smith, A. D., et al., Enhancement of recombinant adeno-associated virus type 2-mediated transgene expression in a lung epithelial cell line by inhibition of the epidermal growth factor receptor. J. Virol., **77(11)**, 6394-6404 (2003).

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