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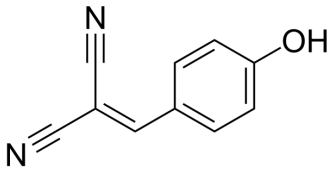
Tyrphostin 8

Cat. No.:	HY-W174279
CAS No.:	3785-90-8
Molecular Formula:	$C_{10}H_6N_2O$
Molecular Weight:	170.17
Target:	EGFR; Ras; Phosphatase
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; GPCR/G Protein; MAPK/ERK Pathway; Metabolic Enzyme/Protease
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



BIOLOGICAL ACTIVITY

Description	Tyrphostin 8 is a tyrosine kinase, with an IC_{50} of 560 μM for EGFR kinase. Tyrphostin 8 is also a GTPase inhibitor. Tyrphostin 8 can inhibit the protein serine/threonine phosphatase calcineurin (IC_{50}=21 μM)[1][2][3].

IC_{50} & Target	EGFR	calcineuin phosphatase
	560 μM (IC_{50})	21 μM (IC_{50})

In Vitro

Tyrphostin 8 (10-100 μM; pretreated for 20 min) blocks the Carbachol-initiated PKCδ tyrosine phosphorylation and ERK1/2 activation in parotid acinar cells[1].
Tyrphostin 8 (10-100 μM) produces a rapid and large increase in the basal O_2 consumption of parotid acinar[1].
Tyrphostin 8 (10-100 μM) reduces the parotid ATP content by -90% at the concentration of 100 μM[1].
Tyrphostin 8 increases apical-to-basolateral transport of insulin-transferrin conjugate by enhancing transferrin receptor-mediated transcytosis in filter-grown Caco-2 cell monolayer[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Parotid acinar cells
Concentration:	10, 100 μM
Incubation Time:	Pretreated for 20 min
Result:	Reduced the increase in tyrosine phosphorylation of PKCδ initiated by carbachol. Reduced the activation of ERK1/2 by carbachol.

In Vivo

Tyrphostin 8 improves the glucose-lowering effect of Insulin-transferrin in Streptozotocin-induced diabetic rats[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Soltoff SP. Evidence that tyrphostins AG10 and AG18 are mitochondrial uncouplers that alter phosphorylation-dependent cell signaling. J Biol Chem. 2004 Mar 19;279(12):10910-8.

[2]. Xia CQ, et, al. Tyrphostin-8 enhances transferrin receptor-mediated transcytosis in Caco-2- cells and inreases hypoglycemic effect of orally administered insulin-transferrin conjugate in diabetic rats. Pharm Res. 2001 Feb;18(2):191-5.

[3]. Martin BL. Inhibition of calcineurin by the tyrphostin class of tyrosine kinase inhibitors. Biochem Pharmacol. 1998 Aug 15;56(4):483-8.

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