

## AG 538

α-Cyano-(3,4-dihydroxy)cinnamoyl-(3',4'dihyroxyphenyl)ketone

## **PRODUCT ANALYSIS SHEET**

Catalog Number:	PHZ1244
Lot Number:	See product label
Quantity:	5 mg
Appearance:	Solid. Packaged under an inert gas.
Molecular Formula:	C <sub>16</sub> H <sub>11</sub> NO <sub>5</sub>
Molecular Weight:	297.3
Purity:	$\geq$ 95%, as determined by HPLC
Summary:	AG 538, known alternatively as Tyrphostin AG 538, is an inhibitor of insulin-like growth factor-1 receptor (IGF-1R) autophosphorylation and kinase activity. This compound is a valuable tool for elucidating signaling events that arise through stimulation of the receptor by IGF-1, as well as transactivation of the receptor by other stimuli, such as angiotensin II and epidermal growth factor. At relatively high concentrations, AG 538 is also observed to inhibit the phosphorylation of poly (Glu, Tyr) by IR, EGFR, and Src. Inhibition is competitive with respect to substrate binding.
<b>Biological Activity:</b>	IGF-1R autophosphorylation: $IC_{50} = 400 \text{ nM}$
	IGF-1R kinase: $IC_{50} = 60 \text{ nM}$
	IR kinase: $IC_{50} = 120 \text{ nM}$
	Src kinase: $IC_{50} = 2 \ \mu M$
	PKB: $IC_{50} = 76 \ \mu M$
Solubility:	Soluble in DMSO at a concentration of 5 mg/mL.
Sterility:	This product is not sterile.
Storage:	Store, as supplied, at $-20^{\circ}$ C, protected from light. Upon solubilization, apportion into working aliquots and store at $-20^{\circ}$ C. Avoid repeated freeze/thaw cycles. Solutions are stable at $-20^{\circ}$ C for up to three months.
Expiration Date:	Expires one year from date of receipt when stored as instructed.
<b>Related Products:</b>	IR/IGF-1R [pY1158] antibody, Cat. # 44-802G
	IR/IGF-1R [pYpY1162/1163] antibody, Cat. # 44-804G
	IR/IGF-1R [pYpYpY1158/1162/1163] antibody, Cat. # 44-806G
Caution:	Avoid contact with eyes, skin, and mucous membranes. Wear protective clothing when handling this product. Not for human use.
	This product is for research use only. Not for use in diagnostic procedures.

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Manufactured under ISO 13485 Quality Standard

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(Rev 1.1 ) (DCC-08-1232)

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## **References:** Blum, G., et al. (2000) Substrate competitive inhibitors of IGF-1 receptor kinase. Biochemistry 39(51):15705-15712.

Hallak, H., et al. (2002) Epidermal growth factor-induced activation of the insulin-like growth factor I receptor in rat hepatocytes. Hepatology 36(6):1509-1518.

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Zahradka, P., et al. (2004) Transactivation of the insulin-like growth factor-I receptor by angiotensin II mediates downstream signaling from the angiotensin II type 1 receptor to phosphatidylinositol 3-kinase. Endocrinology 145(6):2978-2987.

Espinosa, A., et al. (2004) IGF-I and insulin induce different intracellular calcium signals in skeletal muscle cells. J. Endocrinol. 182(2):339-352.

Nemoto, E., et al. (2004) The involvement of platelet-derived growth factor receptors and insulinlike growth factor-I receptors signaling during mineralized nodule formation by human periodontal ligament cells. J. Periodontal Res. 39(6):388-397.

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