3050 Spruce Street
Saint Louis, Missouri 63103 USA
Telephone (800) 325-5832 (314) 771-5765
Fax (314) 286-7828
email: techserv@sial.com
sigma-aldrich.com

ProductInformation

Genistein, synthetic

Product Number **G6649**
Storage Temperature -0 °C

Product Description

Molecular Formula: $C_{15}H_{10}O_5$
Molecular Weight: 270.2
CAS Number: 446-72-0
Synonyms: 5,7-Dihydroxy-3-(4-hydroxyphenyl)-4H-1-benzopyran-4-one; 4',5,7-Trihydroxyisoflavone

Genistein is reported to be a specific inhibitor of tyrosine-specific protein kinases, i.e., the EGF receptor kinase, pp60 v-src kinase from Rous sarcoma virus and pp110 kinase from Gardner-Arnstein feline sarcoma virus. Genistein did not inhibit the activity of serine and threonine-specific kinases such as cAMP-dependent protein kinase, protein kinase C, and phosphorylase kinase.[1]

Genistein is a potent inhibitor of the mammalian facilitative hexose transporter GLUT1. In human HL-60 cells, which express GLUT1, inhibition of transport of dehydroascrobic acid, deoxyglucose, and methylglucose in a dose-dependent manner was observed. Genistein also inhibits the uptake of deoxyglucose in human erythrocytes. It did not change the uptake of leucine by HL-60 cells, which indicated that the inhibitory effect is specific for glucose transporters. The inhibitory effect of genistein was competitive with K_i approximately 12 µM for the inhibition of the transport of both methylglucose and deoxyglucose.[2]

Genistein has also been shown to inhibit the contraction of several types of smooth muscle. It may thus be a regulatory mechanism for smooth muscle contraction.[3] Genistein partially inhibited the Na^+-dependent Ca^{2+} uptake in primary rat cortical neuron culture, suggesting that the exchanger may be modulated by tyrosine phosphorylation. Cells were incubated with 100 µM genistein (in 1% DMSO) for one hour before the assay of Na^+/Ca^{2+} exchange activity.[4]

Several references have been publish describing the isolation, purification, and analysis of genistein.[1,5-8]

Precautions and Disclaimer

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

Preparation Instructions

Genistein is soluble in chlorform:methanol (1:1[v:v], 10 mg/ml), yielding a clear, faint to light yellow solution. Stock solutions of genistein in DMSO (up to a concentration of 100 mM) have been prepared.[9] Genistein is practically insoluble in cold water; slightly soluble in hot water, hot ethanol, and hot methanol and soluble in hot 80% ethanol, hot 80% methanol, hot acetone, and pyridine.[10]

Storage/Stability

Genistein has been dissolved in DMSO and frozen in aliquots until ready for use.[3]

References

1. Akiyama, T., et al., Genistein, a specific inhibitor of tyrosine-specific protein kinases. J. Biol. Chem., **262**, 5592-5 (1987).
2. Vera, J.C., et al., Genisteinis a natural inhibitor of hexos and dehydroascorbic acid transport throughthe glucose transporter, GLUT1. J. Biol. Chem., **271**, 8719-24 (1996).
3. Steusloff, A., et al., Modulation of Ca2+ sensitivity in smooth muscle by genistein and protein tyrosine phosphorylation. Arch. Biochem. Biophys., **320(2)**, 236-242, (1995).
4. Wang, C., et al., Genistein inhibits Na+/Ca2+ exchange activity in primary rat cortical neuron culture. Biochem. Biophys. Res. Commun., **233**, 86-90 (1997).
5. Walter, E. D., J. Am. Chem. Soc., **63**, 3273 (1941).
6. Hasegawa, M., J. Amer. Chem. Soc., **79**, 1738 (1957).
7. Peterson, G., and Barnes, S., Genistein inhibition of the growth of human breast cancer cells: independence from estrogen receptors and the multi-drug resistance gene. Biochem. Biophys. Res. Commun., **179(1)**, 661-667 (1991).

8. Smit, G., et al., Bradyrhizobium japonicum nodD1 can be specifically induced by soybean flavonoids that do not induce the nodYABCSUIJ operon. J. Biol. Chem., **267(1)**, 310-318 (1992).
9. Huang, J., et al., Genistein inhibits protein histidine kinase. J. Biol. Chem., **267(22)**, 15511-15515 (1992).
10. The Merck Index, 11th ed., Entry# 4281.

ALF/NSB/RXR 7/06