

PRODUCT INFORMATION

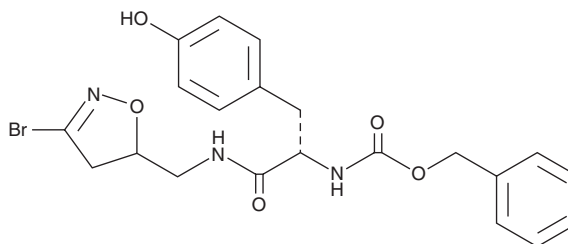


KCC009

Item No. 42453

CAS Registry No.: 744198-19-4
Formal Name: N-[(1S)-2-[[[(3-bromo-4,5-dihydro-5-isoxazolyl)methyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-carbamic acid, phenylmethyl ester

Synonym: ERW1095B
MF: C₂₁H₂₂BrN₃O₅
FW: 476.3
Purity: ≥98%
Supplied as: A 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

KCC009 is supplied as a solid. A stock solution may be made by dissolving the KCC009 in the solvent of choice, which should be purged with an inert gas. KCC009 is slightly soluble (0.1-1 mg/ml) in ethanol.

Description

KCC009 is an inhibitor of transglutaminase 2 (TG2; $K_i = 0.068$ mM).¹ It reduces radiation-induced increases in the expression of TGM2, the gene encoding TG2, in wild-type H1299 and p53-mutant H1299 (H1299/M175H-p53) cells when used at a concentration of 3.91 μ M.² KCC009 sensitizes wild-type H1299 and H1299/M175H-p53 cells to radiation and induces cell cycle arrest at the G₀/G₁ and G₂/M phases, respectively. It inhibits fibronectin remodeling in U87MG glioblastoma cells when used at a concentration of 1 mM.³ KCC009 (50 mg/kg) sensitizes tumors to N,N'-bis(2-chloroethyl)-N-nitrosourea (carmustine; Item No. 15775) and increases survival in an orthotopic mouse model of DBT-FG glioblastoma when administered in combination with N,N'-bis(2-chloroethyl)-N-nitrosourea. It also reverses warfarin-induced arterial calcification in rats and prevents relapse in a rat model of experimental autoimmune encephalomyelitis (EAE).^{4,5}

References

1. Watts, R.E., Siegel, M., and Khosla, C. Structure-activity relationship analysis of the selective inhibition of transglutaminase 2 by dihydroisoxazoles. *J. Med. Chem.* **49(25)**, 7493-7501 (2006).
2. Huaying, S., Dong, Y., Chihong, Z., et al. Transglutaminase 2 inhibitor KCC009 induces p53-independent radiosensitization in lung adenocarcinoma cells. *Med. Sci. Monit.* **22**, 5041-5048 (2016).
3. Yuan, L., Siegel, M., Choi, K., et al. Transglutaminase 2 inhibitor, KCC009, disrupts fibronectin assembly in the extracellular matrix and sensitizes orthotopic glioblastomas to chemotherapy. *Oncogene* **26(18)**, 2563-2573 (2007).
4. Beazley, K.E., Banyard, D., Lima, F., et al. Transglutaminase inhibitors attenuate vascular calcification in a preclinical model. *Arterioscler. Thromb. Vasc. Biol.* **33(1)**, 43-51 (2012).
5. van Strien, M.E., de Vries, H.E., Chrobok, N.L., et al. Tissue transglutaminase contributes to experimental multiple sclerosis pathogenesis and clinical outcome by promoting macrophage migration. *Brain Behav. Immun.* **50**, 141-154 (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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