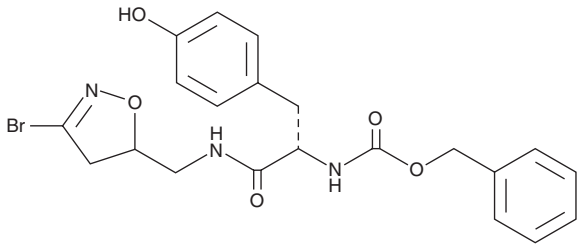
PRODUCT INFORMATION

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:	$C_{21}H_{22}BrN_3O_5$
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 µM.[2] KCC009 sensitizes wild-type H1299 and H1299/M175H-p53 cells to radiation and induces cell cycle arrest at the G_0/G_1 and G_2/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).

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