

80 Webster Street Worcester, MA 01603 (USA)

TEL: 508-770-1190

Web Site: BioPAL.com e-mail: cs@BioPAL.com

Product Data Sheet

Product Description: This cold kit formulation is optimized for the preparation of the small peptide radiopharmaceutical, ^{99m}Tc---duramycin. The active ingredient in the kit is HYNIC-duramycin (Figure 1) in which the HYNIC chelator is attached to amino groups of duramycin. The conjugate contains 1 HYNIC molecule per duramycin molecule. Duramycin binds phosphatidylethanolamine (PE) at a 1:1 ratio with high affinity (K_d o 4-6 nM) and exclusive specificity.

Applications: ^{99m}Tc---duramycin has been shown to be useful for *in vivo* SPECT imaging in animal models of sites where PE is exposed such as during apoptosis [*Zhao, 2008*]. In the cardiovascular area, ^{99m}Tc---duramycin has been shown to be taken up in experimental models of myocardial infraction (MI) [*Wang, 2015; Liu, 2016; Kawai, 2017*], areas of artheroscleroti plaque [*Liu, 2016; Hu, 2017; Kawai, 2017*] and for imaging cardiotoxicty injury [*Nakahara, 2017*]. In the oncology area, it has been shown to allow early prediction of tumor response to therapy [*Elvas, 2015 & 2016; Luo, 2016*] therefore may be used as a screening tool for in vivo evaluation of new cancer drugs. It has also been used for whole body imaging to detect tissue injury from ionizing irradiation [*Johnson, 2013*] and may be useful to detect organ toxicity from known and experimental drug treatments [*Kawai,2017*].

Product Formulation Procedure: For radiolabeling, ~30 mCi of ^{99m}Tc--pertechnetate in 0.5mL saline is added to the vial and excess vial pressure vented. The vial is then heated at 80°C in a lead-lined heating block for 30 mins and the radiolabel incorporation and radiochemical purity is assessed either by ITLC or radio-HPLC analysis [*Elvas*, 2015; Luo, 2016; Zhao, 2012]. Typical radiopurity of ^{99m}Tc-duramycin is >95%. Typical doses per rat and mouse are ~3--5 mCi and 1 mCi, respectively.

Blood Clearance Kinetics: The half-life for the fast clearance α-phase is \sim 3.6-4.1 mins whereas that of slow clearance β-phase is \sim 171-180 mins [*Wang*, 2015; Luo, 2016].

Size: 15 μ g (~4-5 rat doses)

References:

Zhao M et al., <u>J. Nucl. Med.</u> 49 (8), 1345-1352 (2008) Wang L. et al., <u>Nucl. Med. Biol.</u> 42, 198-204 (2015) Liu Z. et al. <u>Nucl. Med. Biol.</u>, 43, 496-505 (2016) Kawai H et al. in press (2017) Hu Y et al. in press (2017) Nakahara T et al. in press (2017) Elvas F. et al., <u>Mol. Imag. Biol.</u> 17:838-847 (2015) Elvas F et al., <u>J. Nucl. Med.</u> 57:805-811 (2016) Luo R et al., <u>Mol. Imaging</u>, 15, 1-10 (2016) Johnson SE et al. <u>J. Nucl. Med.</u> 54: 1397-1403 (2013) Zhao M et al., <u>Nucl. Med. Biol.</u> 39:1006-1011 (2012)

Fig 1: Chemical structure of HYNIC-duramycin

