LABELING OF RALOXIFENE WITH I-131

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Raloxifene (LY139481 HCl) is a selective estrogen receptor modulator that produces both estrogen-agonistic effects on bone and lipid metabolism and estrogen-antagonistic effects on uterine endometrium and breast tissue. Raloxifene is currently approved by the FDA for the prevention and treatment of osteoporosis in postmenopausal women. SERMs hold the potential to treat and prevent breast cancer, osteoporosis and coronary heart disease.

In the current study, labeling of raloxifene with I-131 and radiolabeling parameters were invastigated. Raloxifene was labeled with I-131 using iodogen method. Radiochemical purity of radiolabeled drug was determined by Thin Layer Radio Chromatography (TLRC) and

electrophoresis. Effects of pH and amount of iodogen on radiolabeling yield were investigated. Raloxifene was labeled with high yield (90±2.0) as determined by radio thin layer chromatography (RTLC).