(68)Ga-pentixafor is a promising PET tracer for imaging the expression of the human chemokine receptor 4 (CXCR4) in vivo. The whole-body distribution and radiation dosimetry of (68)Ga-pentixafor were evaluated. Five multiple-myeloma patients were injected intravenously with 90-158 MBq of (68)Ga-pentixafor (mean ± SD, 134 ± 25 MBq), and a series of 3 rapid multiple-bed-position whole-body scans were acquired immediately afterward. Subsequently, 4 static whole-body scans followed at 30 min, 1 h, 2 h, and 4 h after administration of the radiopharmaceutical. Venous blood samples were obtained. Time-integrated activity coefficients were determined from multieponential regression of organ region-of-interest data normalized to the administered activity, for example, the time-dependent percentages of the injected activity per organ. Mean organ-absorbed doses and effective doses were calculated using OLINDA/EXM. The effective dose based on 150 MBq of (68)Ga-pentixafor was 2.3 mSv. The highest organ-absorbed doses (for 150 MBq injected) were found in the urinary bladder wall (12.2 mGy), spleen (8.1 mGy), kidneys (5.3 mGy), and heart wall (4.0 mGy). Other organ mean absorbed doses were as
follows: 2.7 mGy, liver; 2.1 mGy, red marrow; 1.7 mGy, testes; and 1.9 mGy, ovaries. (68)Ga-pentixafor exhibits a favorable dosimetry, delivering absorbed doses to organs that are lower than those delivered by (18)F-FDG- or (68)Ga-labeled somatostatin receptor ligands.