Summary

Development of ^{18}F-FDG ([F-18]-2-fluoro-2-deoxy-D-glucose) Injection for Imaging of Tumor Reflecting Glucose Metabolism —Results of Preclinical Studies—

Sento INO, Takayuki SHIMADA, Masaru KANAGAWA, Noriaki SUZUKI, Susumu KONDO, Yoshifumi SHIRAKAMI, Osamu ITO and Makoto KATO-AZUMA

Research Center, Research & Development Division, Nihon Medi-Physics Co., Ltd.

Fluorine-18-2-fluoro-2-deoxy-D-glucose (^{18}F-FDG) injection was prepared by a modification of a method originally developed by Hamacher et al. The dosage form is the injectable solution (2 m*l*) containing 185 MBq of ^{18}F-FDG at a calibration time. Preclinical studies of the agent were performed. Its radiochemical purity is more than 95% and expiration time is 4 hours after the calibration time at ambient temperature. No toxicity was observed with up to 200 mg/kg and 100 mg/kg of non-radioactive FDG intravenously injected to rats and dogs in single-dose toxicity tests, respectively. Biodistribution studies demonstrated that the radioactivity was mainly distributed into brain (3.0 to 3.3%I.D./Organ at 30 minutes) and heart (4.2 to 5.8%I.D./Organ at 1 to 3 hours) after intravenous injection of the agent to normal rats. In a tumor transplanted mouse model (colon 26), tumor uptake was 10.9±3.5%I.D./g at 1 hr after intravenous injection of the agent, the radioactivity was retained until 3 hours. The radiation absorbed dose was estimated according to the MIRD Pamphlet based on the biodistribution data both in humans reported by Mejia et al. and rats described in this report. The radiation absorbed dose was not higher than those of commercially available radiopharmaceuticals. In conclusion, the ^{18}F-FDG injection is expected to be useful for further clinical application.

Key words: ^{18}F-FDG, PET, Preclinical studies, Biodistribution, MIRD.