

Open Peer Review on Qeios

Carbon C 13 Idasanutlin

National Cancer Institute

Source

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A radiopharmaceutical agent composed of an orally available, small-molecule antagonist of MDM2 (mouse double minute 2; Mdm2 p53 binding protein homolog), and labeled with the radioisotope carbon C 13, with potential use for evaluating the distribution patterns, metabolism and excretion of idasanutlin using nuclear magnetic resonance (NMR) spectroscopy. Upon administration, idasanutlin binds to MDM2 blocking the interaction between the MDM2 protein and the transcriptional activation domain of the tumor suppressor protein p53. By preventing the MDM2-p53 interaction, p53 is not enzymatically degraded and the transcriptional activity of p53 is restored. This may lead to p53-mediated induction of tumor cell apoptosis. MDM2, a zinc finger nuclear phosphoprotein and negative regulator of the p53 pathway, is often overexpressed in cancer cells and has been implicated in cancer cell proliferation and survival. Labeling of idasanutlin with the radioactive tracer carbon C 13 permits the evaluation of idasanutlin's distribution patterns, including binding to and uptake by tumors, metabolism and excretion. This may aid in the understanding of the bioactivity of this agent.