R. NORLIN*, G. LINDBERG (SWEDISH DEFENSE RESEARCH AGENCY, NBC-PROTECTION DIVISION, UMEÅ, SWEDEN) Synthesis of [¹⁴C] Sarin

J. Label. Compd. Radiopharm. 2003, 46, 599–604, DOI: 10.1002/jlcr.699.

Sarin and Other G-Series Nerve Agents



Significance: Sarin and the other G-series nerve agents were initially discovered between 1936 and 1949 by German scientists led by Gerhard Schrader while initially researching insecticides. As a nerve agent, sarin inhibits acetylcholinesterase (AChE) from breaking down acetylcholine (Ach) and allows for its build-up in the synaptic cleft, causing nerve impulses to be constantly transmitted. Exposure to sarin leads to paralysis and eventually death by suffocation if not swiftly treated. While not deployed in World War II, sarin was used in several recent tragedies such as the Tokyo subway chemical attack by the Aum Shinrikyo cult in 1995.

Comment: Norlin and Lindberg report the synthesis of ¹⁴C-labeled sarin in five steps. Triethyl phosphite is reacted with [¹⁴C] MeI and the corresponding phosphonate is hydrolyzed and chlorinated. The resulting phosphonic acid dichloride was fluorinated with hydrogen fluoride (HF) and immediately reacted with isopropanol and triethylamine to yield [¹⁴C] sarin as a racemic mixture in 61% overall yield. This method is unsuited for synthesis in conventional labs due to the corrosive and dangerous nature of HF.

Category

Chemistry in Medicine and Biology

Key words

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