フロ［3,2-*h*］イソキノリンアルカロイド TMC-120B 及び TMC-120A の改良合成とそれらの IFN-γ 及び IL-4 の抑制活性

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Improved Synthesis of the New Furo[3,2-*h*]isoquinoline Alkaloids TMC-120B and TMC-120A, and Their Inhibitory Activities Against IFN-γ and IL-4 Production

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ABSTRACT: In our synthetic route of TMC-120B, the synthetic intermediate, methyl [7-(methoxycarbonyl)-3-methyl-8-isoquinolyl]acetate was newly synthesized in seven steps along with an improvement of the overall yield. The catalytic hydrogenation of TMC-120B was also improved. In addition, inhibition of interferon-g and interleukin-4 production by TMC-120A, TMC-120B, and their derivative was evaluated. The results indicated that these compounds are selective inhibitors of Th1 cell function.

抄録　フロ [3,2-*h*] イソキノリン構造の TMC-120B 及び TMC-120A の改良合成について、出発原料を変えることにより短工程で達成した。さらに、TMC-120A、TMC-120B 及びそれらの誘導体について、IFN-γ 及び IL-4 の産生抑制を検討したところ、Th1 細胞機能の選択的抑制を示すことが分かった。