9α-Fluoromedroxyprogesterone Acetate (FMPA)の合成と抗腫瘍活性について

村田奈津子*、藤森志保*、市原叔立*、佐藤義男*、山地健人*、坪井　洋*、
内田勝幸*、鈴木啓仁*、山田昌司*、根本英雄**、延廣順子、
町支臣成、日比野俐

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Synthesis and Anti-tumor Activity of a Fluorinated Analog of Medroxyprogesterone Acetate (MPA), 9α-Fluoromedroxyprogesterone Acetate (FMPA)

Natsuko Murata*, Shiho Fujimori*, Yoshitatu Ichihara*, Yoshio Satō*, Taketo Yamaji*, Hiroshi Tsuboi*, Masayuki Uchida*, Hiroto Suzuki*, Masashi Yamada*, Tsutomu Oikawa*, Hideo Nemoto**, Junko Nobuhiro, Tominari Choshi, and Satoshi Hibino

ABSTRACT : We synthesized 9α-fluoromedroxyprogesterone acetate (FMPA) in order to test whether it is a more potent anti-angiogenic agent than medroxyprogesterone acetate (MPA), which has been widely used as a therapeutic agent for breast and endometrium cancers. FMPA was previously synthesized in 10 steps (total yield: 1%). An efficient synthesis of FMPA has been achieved in 6 steps (total yield: 12%). We examined the anti-tumor effect of FMPA, complexed with dimethyl-β-cyclodextrin (DM-β-Cyd), on rat mammary carcinomas induced by 7,12-dimethylbenz[*a*]anthracene (DMBA). FMPA showed great anti-tumor effect on DMBA-induced rat mammary carcinomas.

抄録　MPAの9α位にフッ素原子を導入した場合に欠陥申請阻害作用が増強されると想定した。FMPAは、工業化も可能な経路を含め、二種の合成経路で得られることが分かった。FMPAの血管新生阻害作用はDMBA誘発ラット乳ガンモデルで評価（経口投与）したところ、MPAと比較して約４倍強い作用を有することが分かった。

* Pharmaceuticals Development Department, Meiji Dairies Corporation
明治乳業株式会社医薬品研究開発部
** Faculty of Health and Social Work, Kanagawa University of Human Services
神奈川県立大学保健福祉学部
*** Graduate School of Pharmaceutical Sciences, Toyama University
富山大学大学院薬学研究科