

31-0051 W6-6

抗腫瘍活性を志向した 3H-[1,2,3]triazolo[4,5-d]pyrimidine-5,7(4H,6H)-dione and 7-alkyl/arylamino-3H-[1,2,3]triazolo[4,5-d]pyrimidin-5(4H)-one 類の合成と化学的性質

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3H-[1,2,3]triazolo[4,5-d]pyrimidine-5,7(4H,6H)-diones (**I**), 7-alkyl/arylamino-3H-[1,2,3]triazolo[4,5-d]pyrimidin-5(4H)-ones (**II**) and 7-alkylamino-4-methyl-3H-[1,2,3]triazolo[4,5-d]pyrimidin-5(4H)-ones (**III**) were synthesized with a view to studying their anti-tumor activities as well as studying the methylation of azaxanthine to determine the proper position of hydrogen atom in the triazole ring.

The cyclization of 4,5-diaminopyrimidines with nitrous acid afforded 8-azaxanthines (**I**). Similarly the cyclization of 6-alkyl/arylamino-4,5-diaminopyrimidines with nitrous acid furnished 7-alkyl/aryl-3H-[1,2,3]triazolo[4,5-d]pyrimidin-5(4H)-ones (**II**). Thionation of 4-methyl-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5,7(4H,6H)-dione with P₂S₅ in pyridine gave the corresponding 7-mercapto derivative, which on reaction with different amines in 1-butanol gave the corresponding 7-alkylamino derivatives (**III**).

It was found that the hydrogen atom in the triazole ring of 8-azapurine is on mobile between the 1- and 3- position. Anti-tumor activities of the compounds (**I**) synthesized here were investigated against different cancer cell *in vitro*, but potential inhibitory activities were not found. The compounds **II** and **III** are under investigation.

