

Title	Activation of 5'-deoxy-5-fluorouridine by thymidine phosphorylase in human tumors
Sub Title	
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Publisher	共立薬科大学
Publication year	1983
Jtitle	共立薬科大学研究年報 (The annual report of the Kyoritsu College of Pharmacy). No.28 (1983. ) ,p.87- 87
JaLC DOI	
Abstract	
Notes	抄録
Genre	Technical Report
URL	<a href="https://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000028-0087">https://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000028-0087</a>

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## Activation of 5'-Deoxy-5-fluorouridine by Thymidine Phosphorylase in Human Tumors\*

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Activities of pyrimidine nucleoside phosphorylases were assayed in extracts of human tumors, normal tissues of the same organs and tumors of mice (Sarcoma-180) and guinea pigs (Line-10), with thymidine (dThd), uridine (Urd), and 5'-deoxy-5-fluorouridine (5'-DFUR) as substrates. The nucleoside cleaving activities were higher in extracts of human tumor tissues than in those of normal tissues of the same organs. In human tissues, phosphorolytic activity towards dThd was high, while that towards Urd was low. In animal tumors, Urd was the best substrate. 1-(2-Deoxy- $\beta$ -D-glucopyranosyl)-thymine (GPT), a specific inhibitor of uridine phosphorylase, inhibited the phosphorolysis of Urd and 5'-DFUR in extracts of animal tumors, but not that of dThd and 5'-DFUR in extracts of human tumors. A thymidine phosphorylase preparation was partially purified from human lung cancer.  $K_m$  values of the preparation were  $2.43 \times 10^{-4}M$  and  $1.69 \times 10^{-3}M$  for dThd and 5'-DFUR, respectively. We conclude that in human tumors a thymidine phosphorylase activity converts 5'-DFUR to 5-fluorouracil, an activated form.

\* 本報告は *Chem. Pharm. Bull.*, **31**, 175—178 (1983) に発表

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