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Title	Optically active trans-diethylstilbestrol oxide monomethyl ether
Sub Title	
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Publisher	共立薬科大学
Publication year	1993
Jtitle	共立薬科大学研究年報 (The annual report of the Kyoritsu College of Pharmacy). No.38 (1993.) ,p.60- 60
JaLC DOI	
Abstract	
Notes	抄録
Genre	Technical Report
URL	https://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000038-0060

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Optically Active trans–Diethylstilbestrol Oxide Monomethyl Ether

Taiko ODA, Mitsuru WATANUKI, Yoshihiro SATO and Tadashi HATA**

小田泰子，綿貫　充，佐藤良博，畠　　忠**

Diethylstilbestrol (**1**) is one of the few substances for which a clear association with carcinogenicity has been established in man. However, **1**, in contrast to most other carcinogens, fails to induce mutations in the *Salmonella*/microsome test or malignant transformation of eukaryotic cells in culture. Recently, we reported the inhibition of microtubule polymerization and the effects on cell culture of **1** and its metabolic analogues.

Diethylstilbestrol oxide is a metabolic intermediate of diethylstilbestrol. In order to elucidate the effects of optically active diethylstilbestrol oxides on microtubule assembly and cell culture, we synthesized (±)–diethylstilbestrol oxide (**2**). Since **2** was not stable under moderately acidic and basic conditions, the monomethyl ether (**3**) of diethylstilbestrol oxide, which was more stable than **2**, was separated by high–pressure liquid chromatography using a chiral column. The mono (4–bromobenzoate) of (−)–**3** was analyzed by X–ray crystallography and its absolute structure was determined as C(1R, 1'R).

* 本報告は *Chem. Pharm. Bull.*, **41** (5), 810—815 (1993) に発表.

** 三共・分析代謝研