The Preparative and Pharmacological Studies of Levo and Dextro 9-Aza-des-N-morphinan (2,3,4,4a-Tetrahydro-1H, 6H-5,10b-propanophenanthridin-9-ol)

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Chemical and Pharmaceutical Bulletin, **11**, 489 (1963)

The analgesic effect was found in levo-isomer (DH-15) of 2,3,4,4a-tetrahydro-1H, 6H-5,10b-propanophenanthridin-9-ol, but not in dextro-isomer (DH-14).

The analgesic effect of DH-15 was somewhat stronger than morphine, and DH-15 acted as synergistic to morphine and antagonized by levallorphane. The acute toxicity of DH-15 was far stronger than that of morphine.

The pharmacological effects of DH-15 on the body temperature of mice and rats, barbiturate anaesthesia in mice, purpil of mice and gastrointestinal propulsion in mice, were similar to those of morphine, but less active except barbiturate anaesthesia. DH-14 showed little effects.

The contraction or increase of tonus in the isolated or *in situ* intestine of rabbits by the low dosis of DH-14 and DH-15 were observed.

Syntheses of Analgesics. (XXX)

Indanamine Derivatives. (1)

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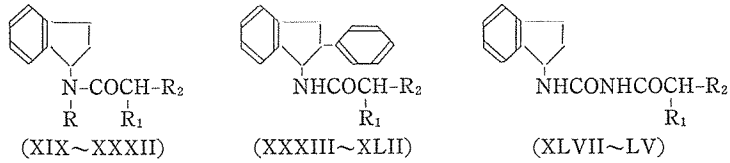
Yakugaku Zasshi (*Journal of the Pharmaceutical Society of Japan*), **82**, 1597 (1962)

For the purpose of obtaining synthesic analgesics, 1-(2-dialkyl-amino- or morpholino-acyl)-1-indamines, their N-methyl and 2-phenyl derivatives (XIX to XLII), and 1-(2-dialkylamino- or morpholino-acyl)-3-(1-indanyl) ureas (XLVII to LV) all having 1-indanamine or 2-phenyl-1-indanamine as the parent ring, were synthesized by the introduction of dialkylaminoacyl and alkylaminoacylurea groups as the substituent at the nitrogen atom. Pharmacological action of these compounds was all found to have better analgesic effect than aminopyrine, with less toxic effect than that in about half of the synthesized compounds.



(XIX～XXXII) (XXXIII～XLII) (XLVII～LV)

R=H or CH_3 R_1=H or CH_3 or C_2H_5 R_2=$N(CH_3)_2$ or $N(C_2H_5)_2$ or $N(CH_2)_4O$
