

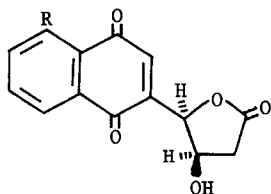
MODELS FOR THE SYNTHESSES OF THE NAPHTHOQUINONOID ANTIBIOTICS, THE JUGLOMYCINS A AND B.

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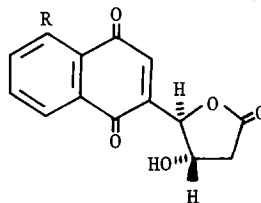
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The juglomycins A and B have recently been assigned the structures (1) and (2), the positions of the phenolic substituents being proposed on the basis of a comparison with the known structure of kalafungin.<sup>1</sup> We report here syntheses of the model compounds (3) and (4), as their racemates.



1. R = OH

3. R = H



2. R = OH

4. R = H

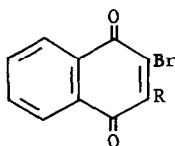
Alkylation of 2-bromonaphthoquinone (5)<sup>2</sup> with monomethyl glutarate in the presence of ammonium peroxodisulphonate and silver nitrate afforded the quinone (6)<sup>3</sup> (70%), m.p. 104-105°. Reductive methylation gave the naphthalene dimethyl ether (7)<sup>3</sup> (96%), m.p. 80-81°, which was catalytically hydrogenolysed to the oily debrominated material (8)<sup>3</sup> (100%). N-Bromosuccinimide bromination followed by dehydrobromination with lutidine provided only the trans olefin (9)<sup>3</sup> (90%), m.p. 61.5-62°, which, with aqueous potassium hydroxide underwent smooth hydrolysis to the acid (10)<sup>3</sup> (92%), m.p. 139-140°. Epoxidation of the olefinic acid (10) with *m*-chlorperbenzoic acid in the presence of sodium bicarbonate afforded a single oily lactone (11)<sup>3</sup> (50%), presumably by ring opening of the intermediate epoxide (12).

Treatment of the olefinic ester (9) with osmium tetroxide gave the oily diol (13) (73%), which was cyclised (THF/HCl) to the pure lactone (14)<sup>3</sup> (85%), m.p. 145.5-146.5°, diastereomeric

with lactone (11).

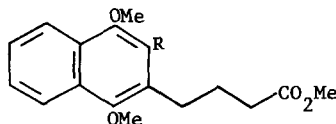
Oxidative demethylation of lactone (11) with silver (II) oxide<sup>4</sup> afforded the required quinone (4)<sup>3</sup> (80%), m.p. 166-168° (dec.), while similar treatment of the lactone (14) gave rise to the isomeric quinone (3)<sup>3</sup> (72%), m.p. 201-203° (dec.).

The syntheses of the natural products from 3-bromo-5-hydroxy-1,4-naphthoquinone<sup>5</sup> are underway.



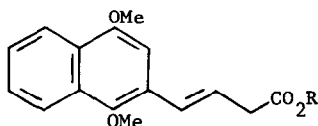
5. R = H

6. R = (CH<sub>2</sub>)<sub>3</sub>CO<sub>2</sub>Me



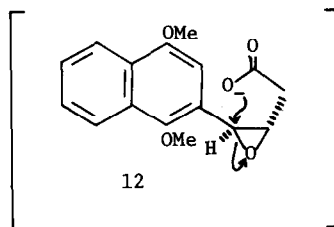
7. R = Br

8. R = H

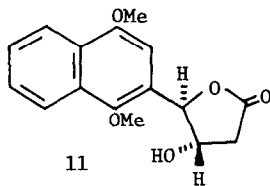


9. R = Me

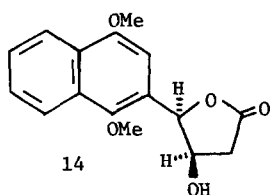
10. R = H



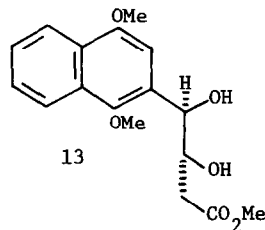
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### References

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