ISOMERIC METHOXY DERIVATIVES OF 6-NITRO-9-(p-ANISYLAMINO)ACRIDINE

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1-, 2-, 3-, and 4-methoxy derivatives of 6-nitro-9-(p-anisylamino) acridine are synthesized.

6-nitro-9-chloroacridine was dissolved in 9 g phenol at 70°, and with stirring 1.48 g (0.012 mole) powdered p-anisidine added. Then stirring

Methoxy Derivatives of 6-Nitro-9-(p-anisylamino)acridine

$$\mathbf{O_{2}N} = \underbrace{\begin{array}{c} \mathbf{HNC_{6}H_{4}OCH_{3}} \\ \mathbf{O_{2}N} \end{array}}_{\mathbf{N}} \underbrace{\phantom{\begin{array}{c} \mathbf{OCH_{3}} \\ \mathbf{OCH_{3}} \end{array}}}_{\mathbf{C}\mathbf{C}\mathbf{H_{3}}}$$

4						
Position of methoxyl group	Mp, °C*	Color and shape of crystals	λ _{max} ••, mμ	lg g	Found,	Yield,
i	202—203	Reddish-violet prisms	277 328 (hump) 390 474	4.52 3.93 3.65 3.72	11.44***	75
2	220—222	Red needles	237 261 334 397 475	4.49 4.52 4.19 3.71 3.80	11.28 11.35	90
3	215—216	Reddish-brown needles	264 333 464	4.58 4.13 3.75	11.43 11,20	87
4	232—233	Brown needles	278 320 (hump) 397 468	4.50 4.08 3.70 3.82	11.00	82

^{*}Solvent used for recrystallization, aqueous dimethylformamide.

Among acridine derivatives with aromatic groups at the C-9 amino group, are compounds with antimalarial [1] and antitumor [2] activity. With a view to discovering new biologically active compounds, we synthesized 1-, 2-, 3-, and 4-methoxy derivatives of 6-nitro-9-(p-anisylamino)-acridine. The starting compounds were the corresponding methoxy-substituted 6-nitro-9-chloroacridines [3], which were condensed with p-anisidine in phenol.

The table gives the properties of the compounds prepared.

EXPERIMENTAL

1-, 2-, 3-, 4-Methoxy derivatives of 6-nitro-9-(p-anisylamino)-acridine. 2.9 g (0.01 mole) of the appropriate methoxy-substituted

was continued for 1 hr more, at 100°. After cooling the products were treated with 10% NaOH solution, and the precipitate dissolved in boiling 5% AcOH. The acetic acid solution was made alkaline, the precipitate filtered off, dried, and recrystallized.

REFERENCES

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- 2. R. M. Acheson, Acridines, New York, 384, 1956.
- 3. A. K. Sukhomlinov and P. V. Maksimets, KhGS [Chemistry of Heterocyclic Compounds], 99, 1965.

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^{**}UV spectra determined in EtOH solution, using an SF-4 instrument.

^{***} Formulas of all compounds $C_{21}H_{17}N_3O_4$. Calculated N 11.20%.