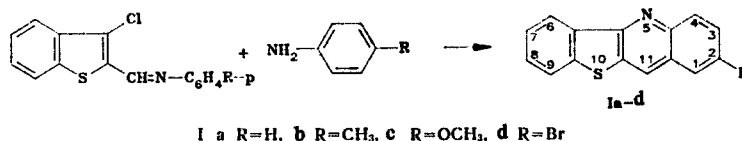


The main procedures for building up the thioquindoline system are the reduction of the condensation product of 3-hydroxybenzo[b]thiophene with o-nitrobenzaldehyde [1], the Pfitzinger reaction by interaction of 3-hydroxybenzo[b]thiophene with isatins and subsequent decarboxylation of the corresponding cinchoninic acids [2], and also the acylation of 3-arylamino benzo[b]thiophene with subsequent intramolecular cyclization of the resulting amino carbonyl compounds [2]. All these methods are fairly laborious and give low yields of thioquindolines.

It was shown by us that (Ia) and some of its derivatives may be readily obtained in good yield from arylimines of the available 3-chlorobenzo[b]thiophen-2-aldehyde [3] and the appropriate aromatic amines.



Reaction was carried out in the presence of a twofold molar excess of amine without a solvent at 140–170°C for 2 h. The purity and homogeneity of thioquindolines (Ia–d) were checked by TLC on aluminum oxide, eluent was a 1:1 mixture of chloroform–hexane, and visualization was with conc. H<sub>2</sub>SO<sub>4</sub>. The structures of the obtained compounds were confirmed by an alternative synthesis (using thioquinoline Ia as example), and by IR and PMR spectra. The elemental analysis and molecular weights (mass spectroscopically) of the synthesized substances corresponded with those calculated.

Compound (Ia). Yield was 90%, mp 172°C (from 2-propanol) [1]. PMR spectrum (CCl<sub>4</sub>),  $\delta$ : 8.85–8.60 (m, 1 H, 6-H), 8.50 (s, 1 H, 11-H), 8.40–8.15 (m, 1 H, 4-H), 8.00–7.10 ppm (m, 6 H, 1-H–3-H, 7-H–9-H).

Compound (Ib). Yield was 82%, mp 161°C (from 2-propanol) [2]. PMR spectrum (CCl<sub>4</sub>),  $\delta$ : 8.95–8.68 (m, 1 H, 6-H), 8.48 (s, 1 H, 11-H), 8.38–8.08 (m, 1 H, 4-H), 7.98–7.38 (m, 5 H, 1-H, 3-H, 7-H–9-H), 2.55 ppm (s, 3 H, CH<sub>3</sub>).

Compound (Ic). Yield was 78%, mp 161°C (from 2-propanol). PMR spectrum (CCl<sub>4</sub>),  $\delta$ : 8.83–8.50 (m, 1 H, 6-H), 8.35 (s, 1 H, 11-H), 8.25–8.00 (m, 1 H, 4-H), 7.85–6.96 (m, 5 H, 1-H, 3-H, 7-H–9-H), 3.85 ppm (s, 3 H, OCH<sub>3</sub>).

Compound (Id). Yield was 77% mp 204–205°C (from 2-propanol).

#### LITERATURE CITED

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