

LETTERS  
TO THE EDITORSynthesis of *N*-Methyl-*N*-phenyl-3-phenoxyphenylamidine

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The *N*-substituted amidines containing diphenyl-oxide fragment are of great practical interest, since they exhibit various biological activities. In particular, *N*-methyl-*N*-phenyl-3-phenoxyphenylamidine may find application in pharmacology owing to the predicted high biological activities such as anti-tumor, anti-cancer and anti-thrombosis with virtually absent toxic and carcinogenic effects. The amidine can also serve as a starting reagent for the synthesis of some pharmacologically active derivatives.

3-Phenoxybenzyl acid ethylimidate hydrochloride **I** derived from 3-phenoxybenzonitrile via the Pinner reaction [1] was used as a precursor for the synthesis of *N*-methyl-*N*-phenyl-3-phenoxyphenylamidine.

The synthesis was performed via the intermediate formation of hydrochloride **III** in the reaction of ethylimidate **I** with *N*-methylaniline **II**.

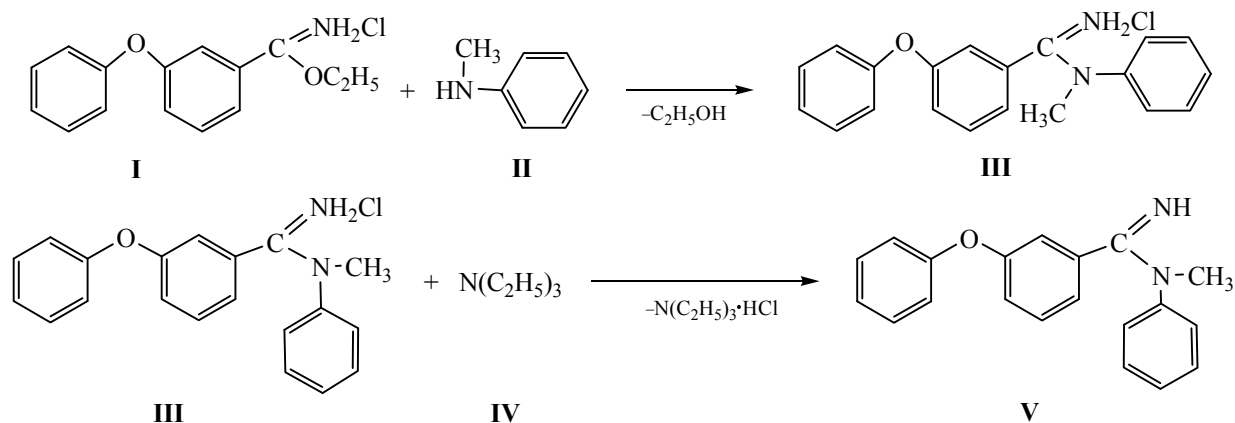
The reaction takes place at 101°C in anhydrous dioxane for 4 h at a molar ratio **I:II** = 1:1.2.

Free *N*-methyl-*N*-phenyl-3-phenoxyphenylamidine **V** was obtained by reacting hydrochloride **III** with triethylamine **IV** as a strong base.

The reaction proceeds at room temperature in anhydrous dioxane for 2 h at a molar ratio amidine hydrochloride – triethylamine of 1:1.

The structure of the synthesized amidine was confirmed by the IR and <sup>1</sup>H NMR spectroscopy.

***N*-Methyl-*N*-phenyl-3-phenoxyphenylamidine (V).** To a solution of 1 g (0.0035 mol) of phenoxybenzoic acid 3-ethylimidate hydrochloride in 15 ml of anhydrous dioxane was slowly added 0.43 ml (0.0042 mol) of *N*-methylaniline in 5 ml of anhydrous dioxane. The reaction mixture was refluxed under stirring for 4 h. After cooling to room temperature, to the reaction mixture was slowly added with the stirring 0.49 ml (0.0035 mol) of triethylamine in 5 ml of anhydrous dioxane. After 2 h the reaction mixture was cooled, and the precipitated triethylamine hydrochloride was filtered off. The solvent was removed in a vacuum (2.4 mm Hg). The amidine was recrystallized from anhydrous CCl<sub>4</sub>. Yield 0.64 g (0.0021 mol, 60%), white crystals, mp 124°C. IR spectrum, ν, cm<sup>-1</sup>: 1290 (C–O–C), 1650 (C=N), 1200 (C–N), 1700 (N–H). <sup>1</sup>H NMR spectrum, δ, ppm: 4.1 s (1H, NH), 6.9–7.22 m



(9H, C<sub>6</sub>H<sub>5</sub>OC<sub>6</sub>H<sub>4</sub>), 6.43–7.04 m (5H, C<sub>6</sub>H<sub>5</sub>N), 2.78 s (3H, CH<sub>3</sub>).

The IR spectrum was recorded on a Specord M-82 spectrometer from the samples as mulls in mineral oil. The <sup>1</sup>H NMR spectrum was registered on a Varian Mercury 300BB instrument relative to internal hexamethyldisiloxane in CDCl<sub>3</sub>.

## REFERENCES

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