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## SHORT COMMUNICATIONS

# Synthesis of 2-Phthalimidoethanesulfonic Acid Imidazolides

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2-Aminoethanesulfonic acid (**I**) is known to exhibit a broad spectrum of biological activity [1–3]. Heterocyclic amides derived from organic and inorganic acids (azolides) are widely used in various fields of medicine and industry as antibacterial agents, pesticides, fungicides, herbicides, monoamine oxidase inhibitors, and pharmacophores for antihelminthic agents and analgesics [4].

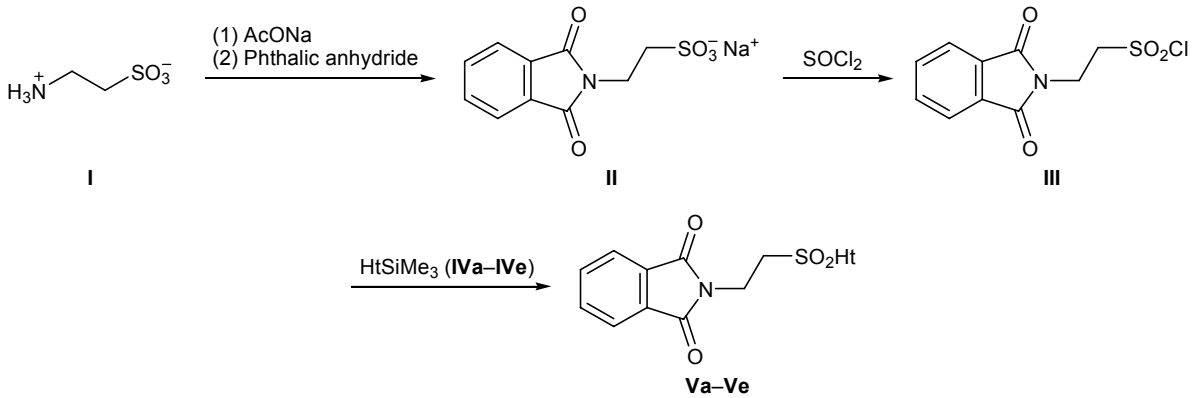
Taking the above stated into account we have synthesized previously unknown 2-phthalimidoethanesulfonic acid imidazolides **Va**–**Ve** and examined their physicochemical characteristics.

Sodium 2-phthalimidoethanesulfonate (**II**), 2-phthalimidoethanesulfonyl chloride (**III**), and *N*-trimethylsilylimidazoles **IVa**–**IVe** were synthesized according to the procedures described in [5–7].

**2-Phthalimidoethanesulfonic acid imidazolides Va–Ve (*general procedure*).** 2-Phthalimidoethanesulfonyl chloride (**III**), 1.0 g (0.0037 mol), was dissolved in 10 ml of chloroform, 0.0037 mol of *N*-trimethylsilylimidazole **IVa**–**IVe** was added, and the mixture was stirred at room temperature, the progress of the reaction being monitored by TLC. Evaporation of the mixture gave compound **Va–Ve** as a colorless or light yellow solid.

**2-[2-(1*H*-Imidazol-1-ylsulfonyl)ethyl]-2,3-dihydro-1*H*-isoindole-1,3-dione (Va).** Reaction time 1.5 h. Yield 1.02 g (91%), mp 128–130°C, $R_f$ 0.25. IR spectrum, ν, $cm^{-1}$: 1783 (C=O), 1333 ($SO_2$), 905 (S–N). $^1H$ NMR spectrum, δ, ppm: 3.40 t (2H, $CH_2SO_2$), 4.55 t (2H, $CH_2N$), 7.26 d (1H, 5′-H), 7.67 d (1H, 4′-H), 8.11 s (1H, 2′-H). Found, %: C 51.20; H 3.58; N 13.69; S 10.51. $C_{13}H_{11}N_3O_4S$. Calculated, %: C 51.15; H 3.61; N 13.77; S 10.49.

**2-[2-(2-Methyl-1*H*-imidazol-1-ylsulfonyl)ethyl]-2,3-dihydro-1*H*-isoindole-1,3-dione (Vb).** Reaction time 6 h. Yield 0.87 g (76%), mp 119–120°C, $R_f$ 0.20. IR spectrum, ν, $cm^{-1}$: 1779 (C=O), 1330 ($SO_2$), 902 (S–N). $^1H$ NMR spectrum, δ, ppm: 2.45 s (3H, 2′-$CH_3$), 3.68 t (2H, $CH_2SO_2$), 4.42 t (2H, $CH_2N$),




Ht = 1*H*-imidazol-1-yl (**a**), 2-methyl-1*H*-imidazol-1-yl (**b**), 2-isopropyl-1*H*-imidazol-1-yl (**c**), 4-methyl-1*H*-imidazol-1-yl (**d**), 1*H*-benzimidazol-1-yl (**e**).

7.18 d (1H, 5′-H), 7.76 d (1H, 4′-H). Found, %: C 52.58; H 3.97; N 13.23; S 9.97. $C_{14}H_{13}N_3O_4S$. Calculated, %: C 52.66; H 4.08; N 13.17; S 10.03.

**2-[2-(2-Isopropyl-1*H*-imidazol-1-ylsulfonyl)-ethyl]-2,3-dihydro-1*H*-isoindole-1,3-dione (Vc).** Reaction time 8 h. Yield 0.93 g (73%), mp 113–115°C, $R_f$ 0.18. IR spectrum, ν, $cm^{-1}$: 1781 (C=O), 1335 ($SO_2$), 907 (S–N). $^1H$ NMR spectrum, δ, ppm: 1.33 d (6H, $CH_3$), 3.56 m (1H, 2′-CH), 4.07 t (2H, $CH_2SO_2$), 4.36 t (2H, $CH_2N$), 7.08 d (1H, 5′-H), 7.69 d (1H, 4′-H). Found, %: C 55.38; H 4.92; N 12.26; S 9.10. $C_{16}H_{17}N_3O_4S$. Calculated, %: C 55.33; H 4.90; N 12.11; S 9.22.

**2-[2-(4-Methyl-1*H*-imidazol-1-ylsulfonyl)ethyl]-2,3-dihydro-1*H*-isoindole-1,3-dione (Vd).** Reaction time 3 h. Yield 0.98 g (84%), mp 123–125°C, $R_f$ 0.23. IR spectrum, ν, $cm^{-1}$: 1784 (C=O), 1338 ($SO_2$), 909 (S–N). $^1H$ NMR spectrum, δ, ppm: 2.26 s (3H, 4′-$CH_3$), 3.95 t (2H, $CH_2SO_2$), 4.39 t (2H, $CH_2N$), 6.97 s (1H, 5′-H), 7.20 s (1H, 2′-H). Found, %: C 52.60; H 4.12; N 13.21; S 10.05. $C_{14}H_{13}N_3O_4S$. Calculated, %: C 52.66; H 4.08; N 13.17; S 10.03.

**2-[2-(1*H*-Benzimidazol-1-ylsulfonyl)ethyl]-2,3-dihydro-1*H*-isoindole-1,3-dione (Ve).** Reaction time 5 h. Yield 1.13 g (87%), mp 133–135°C, $R_f$ 0.23. IR spectrum, ν, $cm^{-1}$: 1785 (C=O), 1337 ($SO_2$), 908 (S–N). $^1H$ NMR spectrum, δ, ppm: 3.30 t (2H, $CH_2SO_2$), 4.45 t (2H, $CH_2N$), 7.26 m (1H, 5′-H), 7.65 m (1H, 6′-H), 7.90 d (1H, 4′-H), 8.26 d (1H, 7′-H), 8.47 s (1H, 2′-H). Found, %: C 57.38; H 3.59; N 12.07; S 9.12. $C_{17}H_{13}N_3O_4S$. Calculated, %: C 57.46; H 3.66; N 11.83; S 9.02.

Thin-layer chromatography was performed on Kieselgel 60 F254 plates (Merck, Germany) using methylene chloride–acetone (10 : 1) as eluent; spots were visualized under UV light (using a Khromatoskop M ultrachemiscope, λ 254 nm) and by treatment with iodine vapor.

The IR spectra were recorded in KBr on a Perkin Elmer Spectrum 100 spectrometer (USA) with Fourier transform. The $^1H$ NMR spectra were measured on a Bruker AM 300 instrument (FRG) at 300 MHz using DMSO-$d_6$ as solvent.

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