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Short Note

Acetic Acid 3-(2-Chloro-benzoylamino)-8-methyl-8-aza-bicyclo[3.2.1]oct-6-yl Ester

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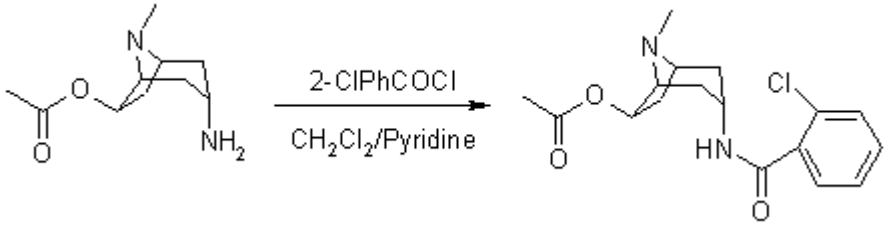
Acetic acid 3-(2-chloro-benzoylamino)-8-methyl-8-aza-bicyclo[3.2.1]oct-6-yl ester is a candidate for the study of muscarinic cholinergic agonist and the structure-activity relationship [1].



To a solution of acetic acid 3-amino-8-methyl-8-aza-bicyclo[3.2.1]oct-6-yl ester [2] (0.214 g, 1.08 mmol) in dichloromethane (1.5 mL) with pyridine (0.1 mL) was added dropwise 2-chlorobenzoyl chloride (0.27 mL, 2.0 mmol). The mixture was stirred for 18 hours at room temperature, then evaporated in vacuo to dryness. The residue was dissolved in water (5 mL) and basified with a saturated aqueous solution of sodium hydrogen carbonate. The aqueous solution was extracted with chloroform (5×4 mL). The combined organic layers were dried over anhydrous sodium sulfate, filtered, evaporated in vacuo. The resulting residue was chromatographed over silica gel column using CH_2Cl_2 : CH_3OH (20:1 v/v) to give acetic acid 3-(2-chloro-benzoylamino)-8-methyl-8-aza-bicyclo[3.2.1]oct-6-yl ester (0.29 g, 80%) as a pale yellow oil.

IR (KBr): 3304, 2935, 2856, 1735, 1595.

MS: m/z(%) : 336 (M^+, 5.77), 182(3.46), 139(25.44), 122(20.10), 94(100), 82(13.08), 43(7.77).

^{1}H NMR (400 MHz, $CDCl_3$): 1.68 (d, J=15.2 Hz, 1H), 1.90 (d, J=15.9 Hz, 1H), 2.04 (s, 3H), 2.17-2.46 (m, 3H), 2.52 (dd, J=8.6, 14.5 Hz, 1H), 2.55 (s, 3H), 3.25 (s, 1H), 3.42 (m, 1H), 4.20 (dd, J=6.6, 13.1 Hz, 1H), 5.45 (dd, J=3.3, 7.7 Hz, 1H), 6.83 (d, J=5.6 Hz, 1H), 7.27-7.38 (m, 3H), 7.55-7.65 (m, 1H).

Acknowledgement

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References and Notes

1. Lu, Y.; Chen, Z. N. *Acta Universitatis Medicinalis Secondae Shanghai* **1996**, *16*, 1.

2. Chu, G. H.; Zhou, Q. T. *Acta Pharmaceutica Sinica* **1994**, *29*, 185.

Sample Availability: Available from the authors and from MDPI.