

(4Z)-1-Benzyl (1,3-Dibenzyl)-4-(2-oxopropylidene)-1,3,4,5-tetrahydro-2H-1,5-benzodiazepin-2-one

Ould Mohamed Sidya Mohamed Said,¹ Bouhfid Rachid,¹ Nicolas Joly,² Vincent Lequart,² Patrick Martin,² M. Massoui¹ and El Mokhtar Essassi^{1*}

¹ Laboratoire de Chimie Organique Hétérocyclique , Faculté des Sciences, Avenue Ibn-Batouta, Rabat, Maroc.

² Blood-Brain Barrier Laboratory (EA 2465), IUT of Béthune, University of Artois, BP 819, F-62408 Béthune

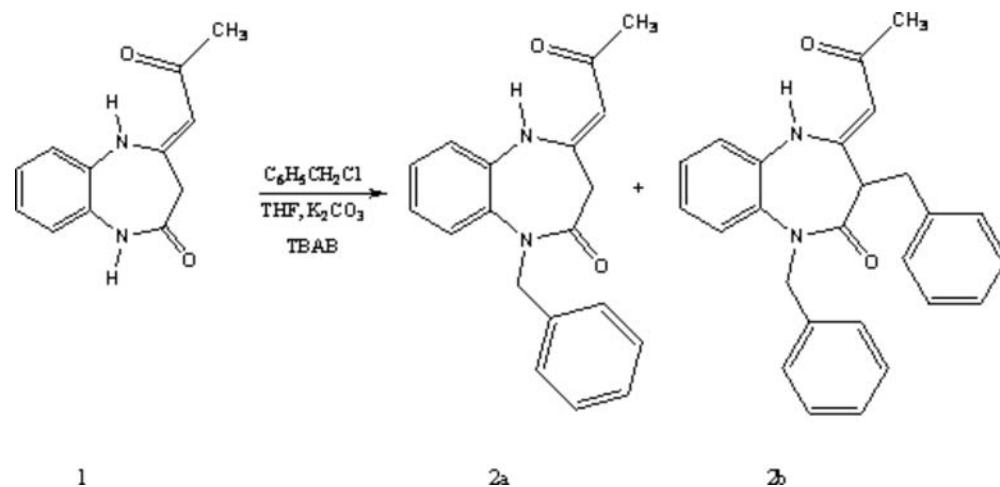
E-mail : emessassi@yahoo.fr

*Author to whom correspondence should be addressed

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We describe in this work the synthesis of new benzodiazepine derivatives susceptible to possess various pharmacological activities.



To a solution of 4Z-2-oxopropylidene)-1,3,4,5-tetrahydro-2H-1,5-benzodiazepin-2-one **1**[1,2] in tetrahydrofuran (60mL), was added K₂CO₃ (0,02 mole, 2,76 gm), benzylchlorid (0,02 mole, 2,52 gm) and n-tetrabutylammonium bromid (0,001 mole, 0,321 gm). The mixture was stirred at room temperature for 48 hours. The soultion was filtered by suction filtration. The solvent was removed under reduced pressure. The residu was chromatographed on silica gel column using hexane and ethyl acetate (80/20) as eluent to afford the products **2a** and **2b** as white solids.

(4Z)-1-benzyl-4-oxopropylidene)-1,3,4,5-tetrahdro-2H-1,5-benzodiazepin-2-one, **2a**

This compound was obtained in 55% yield;

Melting Point: 165-167 °C

MS(IE):M⁺ m/z=306

¹H-NMR (250 MHz, CDCl₃): δ= 2.1 (s,3H,CH₃), 3.13(HAH_B,, ²J=15.47 Hz, CO—CH₂), 5.0 (s,2H, N—CH₂), 5.3 (s,1H, ==CH—), 7.1 -7.3(m, 4H, HArm), 12.2(s,1H,NH).

¹³C-NMR (62.9 MHz, CDCl₃): δ= 29.5, 41.4, 52.2, 96.5, 123.2, 123.4, 125.5, 126.6, 126.7, 127.3, 128.7, 132.9, 134.7, 155.6, 167.2, 198.2.

Elemental analysis : Calculated for C₁₉H₁₈N₂O₂ : C, 74.49 %; H, 5.92 %; N, 9.14 %; Found: C, 74.52 %; H, 5.85 %; N, 9.22 %;

(4Z)-1,3-dibenzyl-4-oxopropylidene)-1,3,4,5-tetrahydro-2H-1,5-benzodiazepin-2-one, 2b

This compound was obtained in 20% yield;

Melting Point: 145-147 °C

¹H-NMR (250 MHz, CDCl₃): δ= 2.2 (s,3H,CH₃), 2.9(m,3H ,CH-CH₂), 4.8(HAHB,, ²J=15.9Hz, N—CH₂), 5,4(s,1H, =CH), 7.1-7.3(m, 4H, HArom), 12.6(s, 1H, NH)

¹³C-NMR (62.9 MHz, CDCl₃): δ= 29.9, 31.8, 47.4, 52.7, 93, 122.8, 123.2, 125.6, 126.2, 126.5, 126.6, 127.1, 128.4, 128.6, 129.3, 132.0, 135.0, 136.8, 138.7, 158.5, 167.3, 198.0.

Elemental analysis: Calculated for C₂₆H₂₄N₂O₂: C, 78.76 %; H, 6.10 %; N, 7.07 %; Found: C, 78.80 %; H, 6.04 %; N, 7.10 %;

References

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