

[54] ALPHA-HALOMETHYL DERIVATIVES OF AMINES

[75] Inventors: Philippe Bey, Strasbourg; Michel Jung, Illkirch Graffenstaden, both of France

[73] Assignee: Merrell Toraude et Compagnie, Strasbourg, France

[21] Appl. No.: 830,998

[22] Filed: Sep. 6, 1977

[51] Int. Cl.² C07C 87/22; C07C 69/02; C07C 103/10; C01B 25/26

[52] U.S. Cl. 260/583 GG; 260/558 A; 260/558 S; 260/559 T; 260/559 A; 260/561 R; 260/561 A; 260/561 S; 260/564 A; 260/570.5 S; 260/583 EE; 260/583 G; 536/26; 560/29; 560/30; 560/148; 560/158; 560/159; 560/161; 424/244; 424/300; 424/316; 424/320; 424/325; 424/330

[58] Field of Search 260/583 EE, 583 G, 583 GG, 260/, 583 P, 564 A, 570.5 S, 561 R, 561 A, , 558 A, 559 A; 536/26; 560/30, 159, 161; 424/300, 320, 325

[56] References Cited

U.S. PATENT DOCUMENTS

2,515,246 7/1950 McBee et al. 260/583 G
2,769,839 11/1956 Fincke 260/583 EE X

FOREIGN PATENT DOCUMENTS

677908 1/1964 Canada 260/583 EE
2018461 11/1971 Fed. Rep. of Germany 260/583 EE

OTHER PUBLICATIONS

Sidgwick, "The Organic Chemistry of Nitrogen", Third Edition, p. 100 (1966).

Patai, "The Chemistry of the Amino Group", pp. 670-672 (1968).

Westland et al, "Index Chemicus", 30, 100145 (1968).
Maynard, "Chem. Ab.", vol. 57, Ab. No. 4520 d (1962).

Primary Examiner—Winston A. Douglas

Assistant Examiner—John Doll

Attorney, Agent, or Firm—L. Ruth Hattan; Eugene O. Retter; George W. Rauchfuss, Jr.

[57] ABSTRACT

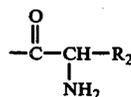
Novel halomethyl derivatives of amines of the following general structure:



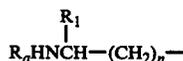
wherein Y is FCH₂—, F₂CH— or F₃C—; Z is β-methylthioethyl, β-benzylthioethyl, S-(5'-desoxyadenosin-5'-yl)-S-methylthioethyl, γ-guanidinopropyl, or



wherein n is 2 or 3 and R₁ is hydrogen or lower alkyl of from 1 to 4 carbon atoms with the proviso that when R₁ is other than hydrogen, n is 2; and each of R_a and R_b is hydrogen, alkylcarbonyl wherein the alkyl moiety has from 1 to 4 carbon atoms and is straight or branched, alkoxy carbonyl wherein the alkoxy moiety has from 1 to 4 carbon atoms and is straight or branched, or



wherein R₂ is hydrogen, a straight or branched lower alkyl group of from 1 to 4 carbon atoms, benzyl or p-hydroxybenzyl; with the provisos that when Z is β-benzylthioethyl or S-(5'-desoxyadenosin-5'-yl)-S-methylthioethyl, R_b is hydrogen, when Z is β-methylthioethyl, Y is other than F₃C—, and when Z is



each of R_a and R_b can be the same or different; and pharmaceutically acceptable salts and individual optical isomers thereof.

10 Claims, No Drawings