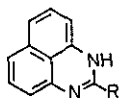


SYNTHESIS AND BIOACTIVITIES OF FUSED PERIMIDINE DERIVATIVES

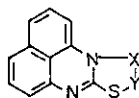
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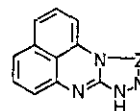
As a part of continuing study of bridgehead nitrogen heterocycles, a series of ring-fused perimidine derivatives were synthesized. The synthetic reactions were performed starting from 2-mercaptoperimidine (Ia), which was obtained from 1,8-diaminonaphthalene by treating with carbon disulfide under the action of alkali¹⁾. Cyclocondensation of Ia with some bifunctional electrophiles, such as dimethyl acetylenedicarboxylate, ethyl chloroacetate, oxalyl chloride, α,ω -dihaloalkanes or dihaloalkenes afforded the expected fused perimidine derivatives IIa-f. Hydrolysis of Ia or its S-methylated derivative Ib with hydrazine hydrate gave another key intermediate, 2-hydrazinoperimidine (Ic). Ic was then condensed with some orthoesters, benzoyl chloride, carbon disulfide in alkaline solution or diazotized to the corresponding 1,2,4-triazolo- or 1,2,4-tetrazolo-(4,3-a)perimidines (IIIa-f).



I	R
a	SH
b	SCH ₃
c	NH-NH ₂



II	X	Y
a	C=O	C=CHCO ₂ CH ₃
b	C=O	C=O
c	C=O	CH ₂
d	-CH=CH-	
e	-CH ₂ -CH ₂ -	
f	-CH ₂ CH ₂ CH ₂ -	



III	Z
a	CH
b	C-CH ₃
c	C-C ₂ H ₅
d	C-C ₆ H ₅
e	C-SH
f	N

A preliminary pharmacological investigation on animals showed that all synthetic products possess no central nervous system depressant effects in mice and no distinct hypotensive action in normotensive rats. However, at a p.o. dose of 50 mg/kg, most compounds exhibited the moderate anorectic activity in mice with the T/C values of 31-85% in comparison with the psychotonic standard, D-amphetamine (100%).

1) K.C. Liu, J.Y. Tuan and B.J. Shih, Arch. Pharm. (Weinheim), 309, 928 (1976).