5-(2-NITROETHENYL)SALICYLANILIDES, 6-(2-NITROETHENYL)-3-ALKYL-2H-1,3-BENZOXAZINE-2,4-DIONE, AND RELATED COMPOUNDS AND THEIR ANTIMICROBIAL AND MOLLUSCICIDAL ACTIVITY

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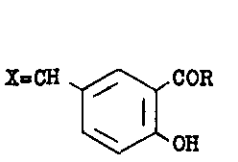
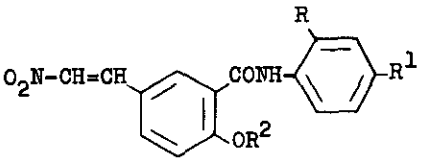
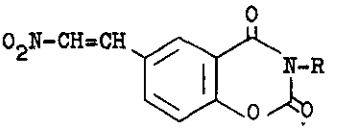
Although the chemistry and biological activity of β-nitroalkenes have been the subject of investigations in our laboratory and elsewhere, the title compounds have not been previously described. It is found that 5-nitroethenylsalicylic acid 1a reacts with anilines through its chloride to give the corresponding anilides 2a-e. 1a or 2a reacts with methyl isocyanate in boiling dioxane to give in both cases the same title dione 3 ($R=CH_3$), whereas at ambient temperature, the carbamate 2f ($R^3=CH_3$) is obtained from 2a. The N-(n-butyl) and N-(isopropyl) analogues are similarly obtained. 3 upon treatment with alkali affords the hitherto unknown 5-formyl-N-methylsalicylamide 1b which would represent a novel series of formyl carboxamides and related substances difficultly accessible by other means, rendering the dione a versatile synthon in this respect. 2a-c react with thionyl chloride in boiling dioxane to give the corresponding 2-chloro-5-nitroethenylbenzanilides (2a-c; $OR^2=Cl$) instead of the expected 4, through a rather unusual facile replacement of the phenolic hydroxyl by chlorine. Reaction mechanisms, UV, IR, NMR and mass spectra of the products, are discussed. The toxicity of the products towards aquatic snails, bacteria and fungi are presented.



1a, R = OH; X=CH-NO_2
b, R = $NHCH_3$; X=O



2a, $R=R^1=R^2=H$
b, $R=R^2=H$; $R^1=Cl$
c, R=Cl; $R^1=NO_2$; $R^2=H$
d, R=Cl; $R^1=R^2=H$
e, $R=R^1=Cl$; $R^2=H$
f, $R=R^1=H$; $R^2=CONHR^3$



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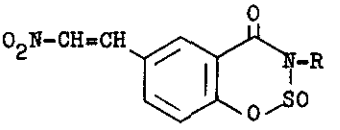

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