SYNTHESIS OF 3,4-DIHYDRO-3-(3,5-DIMETHYLISOXAZOL-4-YL)BENZO[e][1,3]OXAZIN-2-ONES

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The Chemistry of isoxazole derivatives has received considerable attention due to their wide range of biological activities, such as anticancer, anticonvulsant, analgesic, antibacterial and antifungal activity. A large number of 1,3-benzoxazines derivatives exhibited anticancer, antimicrobial antidiabetic, hypolipidamic, antidepressant and antiplatelet aggregation activity. Considering pharmacological activities of 1,3-benzoxazine and isoxazole, we have designed and synthesized new compounds consisting of these two units.

New series of novel 3,4-dihydro-3-(3,5-dimethylisoxazol-4-yl)benzo[e][1,3]oxazin-2-ones were synthesized from 4-amino-3,5-dimethylisoxazole and different salicylaldehydes in refluxing methanol to get the isoxazolyl Schiff's bases, which on reduction with sodium borohydride produced isoxazolyl amino phenols within 2h. The amino phenols which underwent smooth ring closure with triphosgene in dichloromethane, presences of triethyl amine, to give 3,4-dihydro-3-(3,5-dimethylisoxazol-4-yl)benzo[e][1,3]oxazin-2-ones. The structure of all the newly synthesized compounds were confirmed by their spectroscopic (IR, ¹H NMR, ¹³C NMR and mass) data.

 $R=R_1=H$, $R=R_1=C1$, $R=R_1=Br$, $R=R_1=NO_2$