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CATALYST-FREE EXPEDITIOUS SYNTHESIS OF 2-(4-TERT-BUTYLPHENYL)-3-SUBSTITUTED QUINAZOLIN-4(3H)-ONE DERIVATIVES

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ABSTRACT

Quinazoline and quinazolinone derivatives are well-known bioactive heterocycles owing to their therapeutic diversity and extensive medicinal application in drug design and pharmaceutics. A series of 2-(4-*tert*-butylphenyl)-3-substituted quinazolin-4(3*H*)-one derivatives, **2a-q** was herein synthesized from benzoylational conversion of anthranilic acid to 2-(4-tertbutylphenyl)-4*H*-3,1-benzoxazin-4-one, **1** which was the first precursor which was subsequently transformed to the targeted 2,3-disubstituted quinazolin-4(3*H*)-one derivatives, **2a-q** by reacting with some cheap and readily accessible amino-containing moieties via an ameliorable pathway. The catalyst-free synthesis was successfully achieved by careful reaction optimization study using solvent choice and reaction temperature variability as key parameters. The chemical structures of the synthesized compounds were confirmed by IR, UV, ¹H-NMR, ¹³C-NMR and DEPT-135 as well as analytical data. The data obtained were consistent with the proposed structures of the compounds. This targeted quinazoline motifs might pave way for new bioactive template from future drug development.