ISGC 2019
May 13th - 17th

N°1186 / OC
TOPIC(s) : Chemical engineering

An efficient synthesis of biologically active compounds via one-step synthesis from diverse heterocyclic scaffolds

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PURPOSE OF THE ABSTRACT

Several heterocyclic compound libraries based on Dihydropyridine, dihydropyridiopyrazole, dihydropyridonaphthalene, dihydropyridoindole and dihydropyridopyrimidine scaffolds relates to the parent natural product by exhibiting nanomolar antiproliferative activities against human cancer cells and manifesting potent apoptosis inducing properties. These promising discoveries, as well as the computer modeling results, together indicate that potent activities, but yet unexplored, other heterocyclic skeletons having biological and pharmacological active species. This can be prepared using the diversified MCR process described in this investigation. Importantly, we will synthesis novel dihydropyridopyrazole compounds which will demonstrate in a similar manner to podophyllotoxin. These heterocycles inhibit in vitro tubulin polymerization and disrupt the formation of mitotic spindle in dividing cells at low nanomolar concentrations, thus attesting to their bona fide mimicry of dihydropyridopyrazole compounds. This will further corroborated by separation of a potent racemic dihydropyrido derivatives into individual enantiomers and demonstration of high potency associated only with the enantiomer matching the absolute configuration of podophyllotoxin, an outcome predicted by computer modeling. Altogether, the results of this study present a strong case for the utilization of a mimetic scaffold approach as a useful paradigm in drug discovery.

Moreover, the new classes of drugs like wise: Nucleoside analog reverse-transcriptase inhibitors (NARTIs or NRTIs), Nucleotide analog reverse-transcriptase inhibitors (NtARTIs or NtRTIs), Non-nucleoside reverse-transcriptase inhibitors (NNRTIs) are provided the treatment options for patients infected with viruses already resistant to common therapies, although they are not widely available and not typically accessible in resource-limited settings. The treatment HIV infection with antiretroviral is also called highly active antiretroviral therapy (HAART). Which are very expensive, and the majority of the world's infected individuals do not have access to medications and treatments for HIV and AIDS. Furthermore, combination therapy (Antiretroviral combination therapy or fixed dose combinations) is also using for the treatment of HIV but some adverse effects is created by antiretroviral drugs and it is vary by drug, by ethnicity, by individual, and by interaction with other drugs, including alcohol. The normal adverse effect of using this drug are like Abdominal pain (Ritonavir), Anemia (AZT), Diarrhea (Abacavir), Headache (overdose), Hepatitis, Jaundice, Liver failure, Mental confusion (EVZ), Mitochondrial toxicity, Nausea and Vomiting (AZT) etc. Current research also involved synthesizing novel antiretroviral inhibitors but they are not so effective to reduce the risk of infection if begun as quickly as possible.

Therefore, we must focus on target oriented and decreasing the side effects, simplifying drug agents to improve their adherence and drug resistance. Importantly we will synthesis the novel antiretroviral compounds by inserting existing drug molecules in our configured skeleton.

In continuation of our interest to synthesize novel bioactive heteocycles from Multi-component reaction, having various skeleton like Pyrimidine, pyrimidone, their fused derivatives and/or substituted dihydropyridopyrazole and pyrano-pyrazoles derivatives. Variations in the skeleton will lead to the formation of novel active molecules with low toxicity and fulfill our goal for bioactive compounds may be as anticancer and antiretroviral drugs.

FIGURES

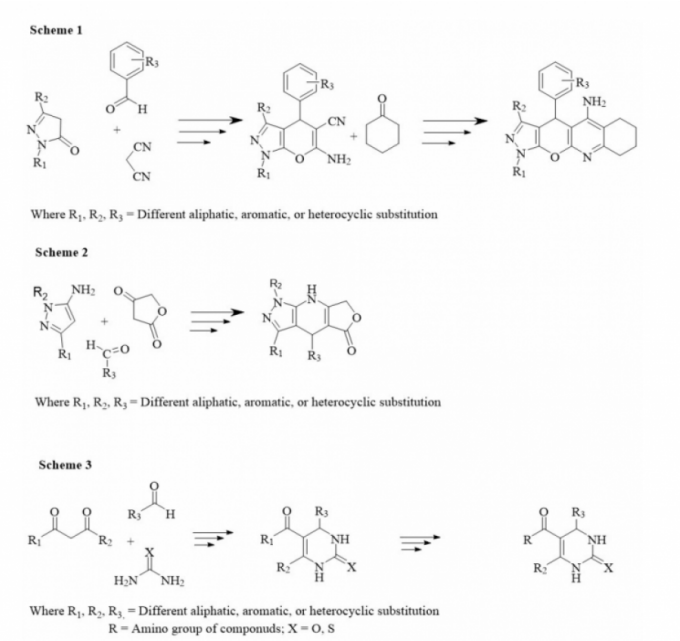

FIGURE 1

FIGURE 2

FIGURE 1.
Novel Heterocyclic Scaffolds

KEYWORDS

BIBLIOGRAPHY