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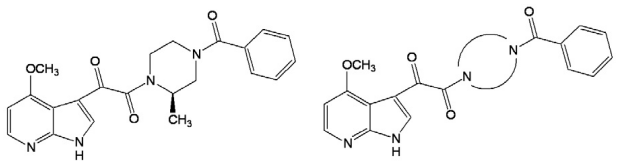
one of the leading global public health threats, the discovery and development of new antiretroviral drugs with reduced toxicity, enhanced potency, different mechanisms of action, and reduced prevalence of adverse drug-drug interactions remain a very high priority. In particular, a promising area of investigation is the identification of agents that inhibit viral attachment and entry into host cells[3] in order to block HIV infection at the early stages. The azaindole derivative BMS-378806 **1**, discovered at Bristol-Myers Squibb,[4] has been shown to interfere with the HIV-1 entry process, inhibiting the interaction between the viral gp120 envelope glycoprotein and its cellular CD4 receptors.[5-7] In this context we have synthesized analogs of compound **1** introducing different changes in the chemical structure instead of the methylpiperazine group. The new compounds of general formula **2** were synthesized and their biological activity evaluated. Finally, in an attempt to correlate the geometrical features of these compounds to their HIV-1 inhibitory activity, a modeling study was carried out to ascertain their conformational preferences. The chemistry, the computational and NMR studies, and biological data will be discussed in the poster.



1 **2**

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POSTER COMMUNICATIONS – **PC.231**

TRICYCLIC PYRIDAZINONE DERIVATIVES: DEVELOPMENT ON NEW STAT3 INHIBITORS

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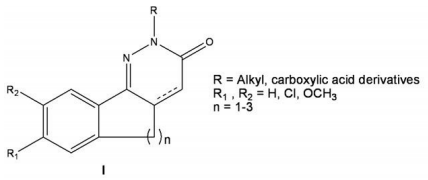
Signal transducer and activator of transcription 3 (Stat3) is a latent cytoplasmic protein that transmits the signals from the cell surface to the nucleus[1] and participates directly in gene regulation by binding to response elements in gene promoters effecting transactivation. Stat3 plays a crucial role in cell growth and survival but the abnormal regulation of its functions is closely linked to tumorigenesis[2]; in fact, its constitutive activation by aberrant upstream tyrosine kinase activities is evident in a broad spectrum of human solid and blood tumours. Moreover the inhibition of Stat3 induces apoptosis in tumour cells selectively[3-4], which validates Stat3 as a promising cancer drug target. During our ongoing researches on non peptidic small molecules that could directly interact with Stat3, we focused our attention on the tricyclic pyridazinone skeleton **I**. In particular, we explored the effects of substituents both at N-2 and at different positions of the aromatic ring as well as the size of the central ring.



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Synthesis, molecular modeling studies, X-ray analysis and biological data will be presented.

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POSTER COMMUNICATIONS – **PC.232**

INTERVENTION ON MUTIPLE TARGETS OF DIABETIC COMPLICATIONS BY CURCUMINOIDS AND CURCUMIN ANALOGUES

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