Chemical derivatisation of α -santonin for the synthesis of triazole derivatives and their evaluation for B and T lymphocyte proliferation for immunosuppressive activity

Nisar A Dangroo¹, P L Sangwan²

¹CSIR, Indian Institute of integrative Medicine,(IIIM), Jammu.

α-Santonin, a bioactive sesquiterpene isolated as a major secondary metabolite from *Artemisia laciniata* was used in the present study. A series of α-santonin derived 1,2,3-triazole derivatives were synthesised using Huisgen 1,3-dipolar cyclo-addition reaction (click chemistry approach) and evaluated for their potential to inhibit concanavalin A (ConA) induced T cell and lipopolysaccharide (LPS) induced B lymphocyte proliferation. Among the synthesized series a good number of compounds displayed immunosuppressive activity, compounds **b, c, d, e-i and s** exhibited significant immunosuppressive effects by inhibiting ConA and LPS stimulated T-cell and B-cell proliferation in a dose dependent manner. More notably **b, c, f, h-j** displayed potent inhibition activity on the mitogen-induced T cell and B cell proliferation compared to the parent compound. Compound **j** was more selective against B cell proliferation and exhibited ~80% at 10 μM and ~69% suppression at 1 μM. The present study led to the identification of several α-santonin analogs exhibiting strong inhibition activity against the B and T cell proliferation.

²Present address: Department of Chemistry, National Institute of Technology (NIT), Srinagar