Throughout human history, natural products have been used as remedies to cure or treat illnesses including diabetes mellitus. Lupeol is noteworthy compound to be focused. The present study was aimed to isolate lupeol from the shade dried leaves of *Elephantopus scaber* using bioassay guided fractionation. Petroleum ether, Hexane, ethyl acetate, methanol and aqueous solvents were used for the sequential preparation of the extracts. 250 mg/kg.b.wt of the extracts were administered orally to Streptozotocin (60 mg/kg.b.wt)-induced diabetic male albino Wister rats aged 9 weeks for 90 days to assess their effect on fasting plasma glucose level. Petroleum ether extract was identified as the best extract to isolate lupeol. The structure was elucidated using NMR studies. Lupeol was also administered orally at different doses (5,10,15,20 mg/kg.b.wt) for 60 days. It was found that plasma glucose was significantly (p<0.05) reduced in a dose dependent manner when compared to the normal. In addition, oral administration of extracts (250 mg/kg.b.wt) and lupeol (20 mg/kg.b.wt) significantly decreased serum glucose, HbA1c, triglycerides and total cholesterol at the same time markedly increased hemoglobin, tissue glycogen, HDL cholesterol, plasma insulin, C-peptide, serum protein and the expression of GLUT-4 mRNA and protein expression. Also the compounds restored the altered plasma enzyme, carbohydrate metabolizing enzymes, glycoproteins, 14C-glucose oxidation levels to near normal. Lupeol administration could result in the regeneration of β-cells in the pancreatic cells. The 3D structure of lupeol docked with the insulin receptor by Discovery Studio 2.1 version (An Accelerey’s product). The results show that lupeol can activate the receptor. These results confirm that lupeol possesses hypoglycemic activity. Hence, it could be considered for the development of potent antidiabetic drugs.

In addition lupeol was loaded in Chitosan-Alginate(CS-ALG) nanoparticles to assess the possibility of CS-ALG nanoparticles as carriers for lupeol. The in-vitro release study shows a sustained and continuous release pattern of lupeol-loaded nanoparticles. Hence CS-ALG nanoparticle could be a better oral drug delivery system for lupeol.