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In the course of screening to find a plant material decreasing the activity of triacylglycerol and cholesterol, we identified *Tripterygium regelii* (TR). The methanol extract of TR leaves (TR-LM) was shown to reduce the intracellular lipid contents consisting of triacylglycerol (TG) and cholesterol in HepG2 cells. TR-LM also downregulated the mRNA and protein expression of the lipogenic genes such as SREBP-1 and its target enzymes. Consequently, TR-LM reduced the TG biosynthesis in HepG2 cells. In addition, TR-LM decreased SREBP2 and its target enzyme HMG-CoA reductase, which is involved in cholesterol synthesis. In this study, we evaluated that TR-LM attenuated cellular lipid contents through the suppression of *de novo* TG and cholesterol biosynthesis in HepG2 cells. All these taken together, TR-LM could be beneficial in regulating lipid metabolism and useful preventing the hyperlipidemia and its complications, in that liver is a crucial tissue for the secretion of serum lipids.

The methanol extract of *Tripterygium regelii* leaves reduced intracellular contents of TG and cholesterol in HepG2 cells.

