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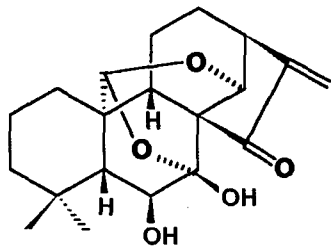
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Results of chemistry and biological activity of many years indicate that plants belonging to the *Isodon* genus are rich in *ent*-kaurane diterpenoids, which have been revealed to possess biological activities such as antitumor, antibacterial and antiinflammatory effects.

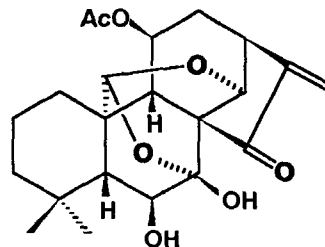
In continuation of our research on diterpenoids in medicinal plants of this genus, the acetone extract from the leaves of *I. xerophilus*, which is a plant native to Yunnan province of China, showed potent antitumor activity against K562. After partition, the most active EtOAc part was studied. Four new diterpenoids named xerophilusin A(1), B(2), C(3), D(4), and eight known compounds including macrocalin B(5) and rabdorosthornin A(6) were isolated, whose structures were elucidated through a series of one- and two-dimensional NMR techniques (DEPT, COSY, HMQC, HMBC and ROESY experiments). Among them, compound 1, 2 and 5 had two unique epoxy units formed by two ether bridges from C-20 to C-7, C-14. Up to now, there are four compounds having such a peculiar structure besides these three compounds. Compound 3 and 4 were two of the few examples possessing 1β substituents. All the diterpenoid compounds were subjected to the antitumor screening. It is interesting that only xerophilusin A(1), B(2) and macrocalin(5) exhibited significant antitumor activity against K562 by the method of MTT (IC_{50} were listed in Table 1.). The results inspired us to infer that the unique ether bridges from C-20 to C-7, C-14 possibly played an important role in the antitumor activity.

Table 1 Inhibitory Effects of Diterpenoids On K562

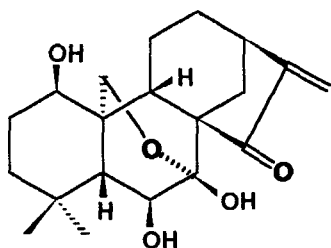
| Compounds | Molecular weight | $IC_{50}(\mu\text{g/ml})$ |
|-------------------|------------------|---------------------------|
| Xerophilusin A(1) | 346 | 0.73 |
| Xerophilusin B(2) | 404 | 2.22 |
| Xerophilusin C(3) | 348 | 7970 |
| Xerophilusin D(4) | 422 | 178 |
| Macrocalin B(5) | 362 | 8.07 |



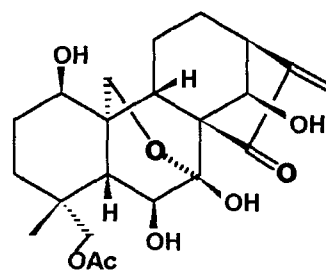
Xerophilusin A(1)



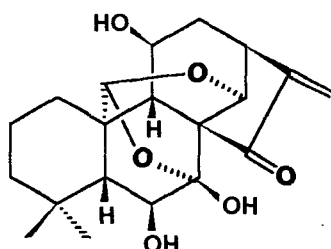
Xerophilusin B(2)



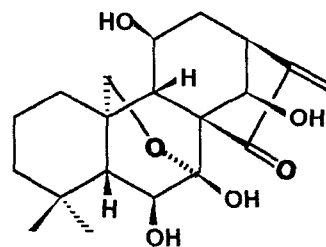
Xerophilusin C(3)



Xerophilusin D(4)



Macrocalin B(5)



Rabdorsthornin A(6)