

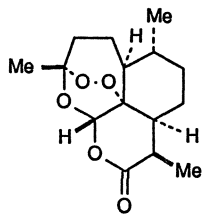
22.1 Introduction

Qinghao, *Herba Artemisiae annuae*, is the dry aboveground part of *Artemisia annua* L. (Asteraceae) collected in fall after the flowers are in full bloom. This officially listed herbal medicine is used mainly as an antimalarial agent.

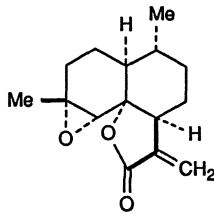
22.2 Chemical Constituents

In 1977 a new type of sesquiterpene lactone was isolated as the active principle of *A. annua* and named Qinghaosu (22-1) [1]. This substance became known later by the names artemisinin and arteannuin [2]. The name artemisinin will be used here.

The chemical structure of artemisinin was ascertained by mass spectrometry, IR spectroscopy, ¹H NMR, chemical reactions [1, 2], and circular dichroism [3]. It is a new sesquiterpene lactone with a peroxy function in the ring. The absolute configuration of artemisinin was determined by X-ray crystallography and was established to be 3,6,9-trimethyl-octahydro-3,12-epoxy-pyrano[4,3-*j*]-1,2-benzodioxepin-10-one [4, 5]. The *trans* configuration of the lactone ring was confirmed by comparison of its optical rotation dispersion spectrum [2] with that of arteannuin B (22-2), a structurally related compound isolated from the same plant some years ago [6].



Artemisinin (Qinghaosu, Arteannuin) (22-1)



Arteannuin B (Qinghaosu II) (22-2)

The content of artemisinin in dry leaves of *A. annua* was 0.5%–0.6% determined after chromatographic separation on silica gel plates by spectrophotometry (580 nm) of the reaction product with *p*-dimethylaminobenzaldehyde [7]. Artemisinin was not detectable in plant callus tissue, but was detected in the regenerated shoot carrying callus and regenerated plantlets or plants. The artemisinin content in the regenerated plant and the original field plant was 0.9% and 0.6% (dry weight), respectively, indicating that plants regenerated from callus culture could provide a source with high artemisinin content [8].