

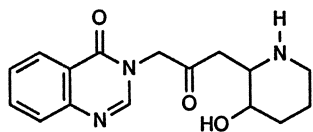
### 58.1 Introduction

Changshan, Radix *Dichroae*, is the dry root of *Dichroa febrifuga* Lour. (Saxifragaceae) collected in the fall. It is officially listed in the Chinese Pharmacopoeia and used as an antimalarial agent [1, 2].

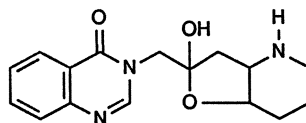
### 58.2 Chemical Constituents

The active principles of the roots of *D. febrifuga* are alkaloids, isolated for the first time by Fu, Jang et al. [3–5], and Koepfli et al. [6]. These alkaloids were named by Jang as dichroine A, B, C [7], which were substituted later by  $\alpha$ -dichroine,  $\beta$ -dichroine, and  $\gamma$ -dichroine, whereas Koepfli called these alkaloids febrifugine and isofebrifugine. Febrifugine is identical with  $\beta$ -dichroine and isofebrifugine with  $\alpha$ -dichroine.

Febrifugine (58-1) and isofebrifugine (58-2) are isomeric quinazolin derivatives [8]. They are readily interconverted under the influence of heat, acid, alkali, and solvents [3], e.g. isofebrifugine isomerizes to febrifugine by heat [5]. The stereochemistry of febrifugine [9, 10] and its absolute configuration [11] has also been determined.



Febrifugine ( $\beta$ -dichroine) (58-1)



Isofebrifugine ( $\alpha$ -dichroine) (58-2)

The total febrifugine and isofebrifugine content in roots was approximately 0.1%, whereas the total content in leaves was found to be 0.7% [12]. Moreover, umbelliferone and 4-quinazolone were also detected in roots of *D. febrifuga* [5]. The synthesis of febrifugine was also reported [13].