Chapter 1 Introduction

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1.1 Nomenclature of Heterocycles

What's in a name? That which we call rose by any other name would smell as sweet. [William Shakespeare, *Romeo and Juliet* (II, ii, 1–2)].

Contrary to Shakespeare's exclamation, *naming heterocycles* is an integral part of our learning of heterocyclic chemistry. They are the professional jargon that we routinely use to communicate with our peers.

Heterocycles, as the name suggests, are cyclic compounds containing one or more heteroatoms such as N, O, S, P, Si, B, Se, and Se. They may be further divided into aromatic heterocycles and saturated heterocycles. This book will focus largely on aromatic heterocycles. Saturated heterocycles represent a smaller portion of drugs. Another way of naming heterocycles is using the size of the heterocyclic rings. Therefore, they may be classified as three-, four-, five-, six-, and seven-membered heterocycles, and so on.

Three-membered heterocycles are important reaction intermediates in organic chemistry and in preparing medicines. But they usually do not exist in final drugs because they are reactive in physiological environments. Exceptions are found in cancer drugs such as epothilone A and mitomycin C (see Section 1.4, page 9), where their reactivities are taken advantage of for therapeutic purposes.

The most frequently encountered three-membered heterocycles are oxirane, thiirane, aziridine, and azirine.

oxirane thiirane aziridine azirine

Four-membered heterocycles include oxetane, 2*H*-oxete, thietane, 2*H*-thiete, azetidine, and azete. In the field of drug discovery, oxetanes and azetidines are more and more incorporated into drugs for modulating biological and physical properties as well as for expanding intellectual properties space.

oxetane 2*H*-oxete thietane 2*H*-thiete azetidine azete