Chalcones And Their Derivatives

From Synthesis To Applications

Edited by
Mohmmad Younus Wani, Salman Ahmad Khan,
Hao Shao, and Anish Khan

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CHAPTER

1

Chalcone as a versatile scaffold: An overview

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1.1 Introduction

Chalcones are organic compounds containing aromatic ketones and are characterized by their (ketoethylenic moiety: –CO–CH=CH–) α, β-unsaturated ketone structure (Elkanzi et al., 2022). These compounds hold importance owing to their capacity to act as precursors in the synthesis of a wide range of biologically active compounds (Thanigaimani et al., 2015). Chalcones are naturally occurring secondary metabolites that are abundant in plants, vegetables, and fruits (Orlikova et al., 2011). Interestingly, chalcones can be found in all parts of the plant, i.e., roots, leaves, stems, fruits, and not specified only in flowers. *Glycyrrhiza inflata, Piper methysticum, Angelica keiskel, Helichrysum maracandicum, Cleistocalyx operculatus,* and *Lonchocarpus neuroscapha* are examples of plants that produce a variety of chalcones (shown in Fig. 1.1) (Roman et al., 2013). Chalcone from the kava–kava plant of *P. methysticum* is predictable via physical appearance, i.e., yellow and flavokawains (Abu et al., 2013; Zhuang et al., 2017).

Generally, naturally occurring chalcones are solid and crystalline with different colors, such as yellow, brown, and orange, due to different substituents present in the molecular structure. Naturally occurring chalcones commonly have methyls, hydroxyls, and prenylation substitutions. The chalcone can also be present as dimers (bichalcones), dihydrochalcones, and glycosides (Roman et al., 2013). The chalcone scaffold comprises two aromatic rings linked by three carbon atoms,

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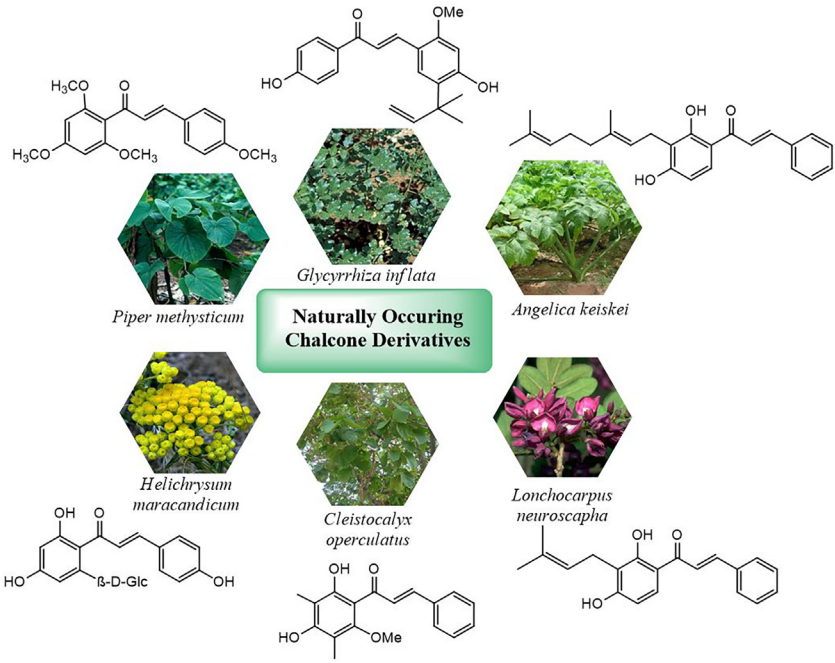

FIGURE 1.1 Naturally occurring chalcones.

forming α, β-unsaturated carbonyls, exemplified by derivatives such as 1,3-diphenyl-2-propen-1-one (Farooq and Ngaini, 2019). Chalcones are structurally open-chain models in which one of the most diverse groups cyclize easily to form azachalcones (Liu et al., 2021), flavone (Yonna et al., 2018), pyrazoles (Farooq and Ngaini, 2020a), pyrazolines (Farooq and Ngaini, 2020c), isoxazoles (Farooq and Ngaini, 2022), benzodiazepines (Farooq and Ngaini, 2021a), epoxides (Farooq and Ngaini, 2020b) chalcones. Chalcone derivatives displayed numerous therapeutic activities, such as antiprotozoal, antiulcer, antioxidant, anticancer, antimalarial, anti-inflammatory, antihypertensive, antiviral, and cardiovascular activities (Orlikova et al., 2011; Ahmad et al., 2017; Khan et al., 2019). Moreover it also shows dye-sensitized solar cells (DSSC) (Anizaim et al., 2020, 2021), chemosensors (Shan et al., 2015), liquid crystals (Farooq and Ngaini, 2021b), and electronic applications (Cheng et al., 2018).

Chalcone, also referred to as phenyl styryl ketone, is commonly named 1,3-diphenyl-2-propen-1-one (Ngaini and Abdul Rahman, 2010). The aryl rings are assigned to rings A and B. Ring A is labeled with prime numbers, while ring B is labeled with nonprime numbers, as depicted in Fig. 1.2 (Rammohan et al., 2020). Stereo-chemically the chalcone exhibited *trans* and *cis* two isomers. The t*rans* isomer is more stable compared to the

sectors, and agricultural and cosmetic properties, to name a few. Chalcones and their derivatives stand out as highly potent drug molecules in treating various diseases such as breast cancer, lung cancer, Alzheimer's, and fungal infections. Numerous synthetic techniques have been reported, with Claisen–Schmidt condensation being the most prominent approach in chalcone synthesis. Chalcone is a highly effective scaffold that facilitates the formation of many derivatives, including heterocyclic compounds, through straightforward chemical reactions with high yield and cost-effectiveness. This characteristic greatly benefits future drug development for pharmaceutical, agricultural, and electronic industries.

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