Naturally-occurring glucosinolates, glucoraphanin and glucoerucin, are antagonists to aryl hydrocarbon receptor as their chemopreventive potency

ABSTRACT

As a cytosolic transcription factor, the aryl hydrocarbon (Ah) receptor is involved in several patho- physiological events leading to immunosuppression and cancer; hence antagonists of the Ah receptor may possess chemoprevention properties. It is known to modulate carcinogen-metabolising enzymes, for instance the CYP1 family of cytochromes P450 and quinone reductase, both important in the biotransformation of many chemical carcinogens via regulating phase I and phase II enzyme systems. Utilising chemically-activated luciferase expression (CALUX) assay it was revealed that intact glucosinolates, glucoraphanin and glucoerucin, isolated from Brassica oleracea L. var. acephala sabellica and Eruca sativa ripe seeds, respectively, are such antagonists. Both glucosinolates were poor ligands for the Ah receptor; however, they effectively antagonised activation of the receptor by the avid ligand benzo[a]pyrene. Indeed, intact glucosinolate glucoraphanin was a more potent antagonist to the receptor than glucoerucin. It can be concluded that both glucosinolates effectively act as antagonists for the Ah receptor, and this may contribute to their established chemoprevention potency.

Keyword: Glucoraphanin; Glucoerucin; Aryl hydrocarbon receptor; CALUX; Chemoprevention