Estrogenic Activity Assessment of Alkylphenolic chemicals using in vitro assays : II. Competitive Receptor Binding Assay

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Over the last few years, an increased awareness of endocrine disrupting chemicals (EDCs) and their potential to affect wildlife and humans has produced a demand for practical screening methods to identify endocrine activity in a wild range of environmental and industrial chemicals. It is clear that in vivo methods will be required to identify adverse effects produced by these chemicals. Traditional competitive estrogen binding assay is useful for characterizing a potential as an estrogen-acting endocrine disrupting chemical (EDC). Alkylphenolic compounds have been reported to be weakly estrogenic. The actions of alkylphenols is mediated by the estrogen receptor, as their effects depended on its presence and was blocked by an estrogen antagonist. 17β-Estradiol and diethylstilbesterol appear to possess estrogen activity, because they compete for binding to the estrogen receptor. Some of the tested compounds, such as 4-butylphenol, cyclohexanol, 4-4′-isopropylidenediphenol, p-nonylphenol and 4-tert- octylphenol exhibited weak competitive binding activity based on their IC_{50} and relative binding affinity (RBA) values. 4-Butylphenol and cyclohexanol showed a weak relative binding affinity of 0.0013% and 0.0073% respectively. In contrast, 4-tert-octylphenol (0.074%) and p-nonyl phenol (0.196%) showed a higher relative binding affinity than 4,-4′-isopropylidenediphenol (0.0143%) which are used as surfactants in many commercial products (all RBAs were determined compared to estradiol, which was equal to 100%). Potency of chemicals is in order of p-nitrophenol> 4-tert-octylphenol> 4-4′- isopropylidenediphenol > cyclohexanol> 4-butylphenol. And the rest of test chemicals was not shown remarkable relative binding affinity.

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