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Anti-*Helicobacter pylori* Activity of the Berberine Analogs

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The crude extracts and fractions of the rhizomes of *Coptis japonica* Makino, its main alkaloid component berberine and some synthetic berberine derivatives have been evaluated for their inhibitory activities on the growth of *Helicobacter pylori*. Final concentration of each compound was $62.5 \mu\text{g}/\text{disk}$ and the clear zone (mm) was calculated. The effects of the water- and ethanol extracts were stronger than those of the fractions. Among the components of this plant, berberine showed better activity than palmatine. So, 15 derivatives of berberine were synthesized and estimated for their anti-*Helicobacter pylori*. Among the tested compounds, 12-bromo-8-butylberberine chloride showed the most potent activity. 8-Butylberberine chloride, 12-bromo-8-phenylberberine chloride and dihydroberberine chloride were much more active than berberine chloride. 8-hexylberberine chloride and 13-methylberberine chloride also exhibited better activity than berberine chloride. However, the activities of another compounds were weaker than that of berberine. Above result suggests that 8-alkyl-substituted berberine analogs are more effective than 13-alkyl-substituted- or 13-oxygen-containing compounds.