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Structural requirements of hydroxylated coumarins for *in vitro* anti-*Helicobacter pylori* activity.

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We have previously found that a 7-hydroxycoumarin derivative has potent anti-*Helicobacter pylori* (*H. pylori*) activity, comparable with metronidazole. In this report, we describe the structural requirement for the anti-*H. pylori* activity of several hydroxylated coumarins ***(1-23)***. It was found that 7-hydroxy-4-methylcoumarin ***(6)***, 6,7-dihydroxy-4-methylcoumarin ***(8),*** 6-hydroxy-7-methoxy-4-methylcoumarin ***(10)*** and 5,7-dihydroxycyclopentanocoumarin ***(21)*** showed comparable anti-H. pylori activity with metronidazole. The presence of 7- and/or 6-hydroxyl groups seems to be essential to display higher anti-*H. pylori* activity. Their activities depended on the number and position of the hydroxyl group on the benzenoid ring of the coumarin system. Methylation of the hydroxy group generally diminished the activity. In hydroxylated coumarins, the methyl group at C-4 position enhanced the activity. The inhibitory activity of coumarins ***(1-23)*** against jack bean urease was examined, but no coumarins showed any inhibition at 160 micrograms/mL.