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Quantitative Evaluation of Bitterness of H_1-Receptor Antagonists and Masking Effect of Acesulfame Potassium, an Artificial Sweetener, Using a Taste Sensor

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The objective of this study was to evaluate quantitatively the bitterness of eight H_1-receptor antagonists and to predict the bitterness-masking effect of adding acesulfame potassium, an artificial sweetener, to epinastine hydrochloride and cetirizine dihydrochloride, as representative H_1-receptor antagonists, using a taste sensor. The bitterness of eight H_1-receptor antagonists was evaluated using a highly sensitive sensor, BT0. On the basis of multiple regression analysis with three variables, relative value (*R*), change in membrane potential caused by adsorption (*CPA*), and adsorption ability (*CPA*/*R*), a good correlation was found between the estimated bitterness scores measured using the taste sensor and the actual bitterness scores obtained by human sensory testing with only one exception. The bitterness-masking effect of epinastine hydrochloride with acesulfame potassium could be predicted using a different taste sensor, C00, which is sensitive to acesulfame potassium. Good predictability was not observed for cetirizine dihydrochloride with the same sweetener. Using sensor CA0, which is sensitive to acidic taste, cetirizine dihydrochloride was predicted to have a sour taste, which may be derived from its dihydrochloride salt. Finally, principal component analysis using data from sensors BT0 and CA0 for all the drugs enabled the eight H_1-receptor antagonists to be classified into three groups on the basis of their taste characteristics. This grouping may be used to characterize basic bitter drugs and provide a useful guide for the selection of appropriate taste-masking approaches.

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