

Taste optimization in oral dosage forms: A sensory panel study with the focus on high-intensity sweeteners

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Purpose

For pediatric and geriatric applications in particular, pleasant taste and palatability are crucial and support patients' compliance and the therapeutic benefit. The use of sweeteners is usually the first choice to enhance the taste of a pharmaceutical formulation. From the characteristics of the API to the patient population, there are several sensory, technical and clinical aspects that must be considered to find the most appropriate taste optimizer. Neotame is one attractive option which has advantages over aspartame in terms of stability and effectiveness in use. It offers a high sweetness potency which is 8,000 greater than that of sucrose and 40–60 times sweeter than aspartame.

Objectives

This work covers

- The evaluation of a sensory taste profile of various highintensity sweeteners with the focus on neotame (Neotame EMPROVE® ESSENTIAL NF (MilliporeSigma)).
- The examination of the homogeneity with an excipient-grade Neotame in a solid formulation.

Methods



Powder blend

- Bitterness surrogate Quinine (0.12%)
- Sorbitol (Pardeck® SI 150, ad 100%)
- 5 sweeteners variants (Figure 1), concentration based on sweetness potency¹

Professional taste panel

- Scores – mean of 3 replications
- 12 persons

Homogeneity of Neotame

- Drug representative (9.925% Pardeck® Delta M)
- Neotame EMPROVE® ESSENTIAL NF (0.075%; MilliporeSigma)
- Pardeck® SI 150 (ad 100%)
- n=10

Results

The figures 1 and 2 show the results of the sensory evaluation of high-intensity artificial sweeteners in mixture with sorbitol and quinine as a simulated bitter API.

- Best sum scores were achieved by the sweeteners sucralose, neotame and aspartame.
- Regarding the bitterness (onset, overall and after-taste), sucralose received the best rating by the panel.
- The differences in bitterness between aspartame and neotame were not significant.
- The results were between 1 (“very low”) to 3 (“low to medium”). In comparison, formulations with advantame and sodium saccharin were perceived as significantly more bitter by the panel (figures 2 and 3).
- Homogeneity: According to the results, even small amounts of the sweetener neotame were homogeneously distributed in the powder blend with mannitol and sorbitol.

	Sucralose 1.0%	Aspartame 2.66%	Na-Saccharin 1.33%	Neotame 0.075%	Advantame 0.023%
Onset Sweetness*	4.0	4.4	4.7	4.3	4.8
Onset Bitterness	1.2	1.3	2.0	1.2	2.5
Granularity	2.7	3.1	3.0	2.7	2.9
Melting Behaviour/ Solubility*	2.8	2.9	2.9	2.7	3.1
Lump Formation	1.3	1.4	1.1	1.2	1.6
Overall Sweetness*	2.7	3.6	4.2	3.2	3.9
Overall Bitterness	1.7	2.3	3.8	2.4	4.0
Cooling*	5.4	5.3	5.5	5.4	5.1
Aftertaste of Sweetness*	3.0	4.1	5.1	3.8	4.4
Aftertaste of Bitterness	1.6	2.1	4.1	1.9	4.1
Covering	2.9	2.6	3.3	2.6	3.8
Sum of scores	29.2	33.1	39.8	31.3	40.2

Figure 1.
Sensory evaluation of sweeteners in mixture with sorbitol and quinine as a simulated bitter. API Scale: 0=not perceptible, 7=very strong; *parameters with reverse scale.

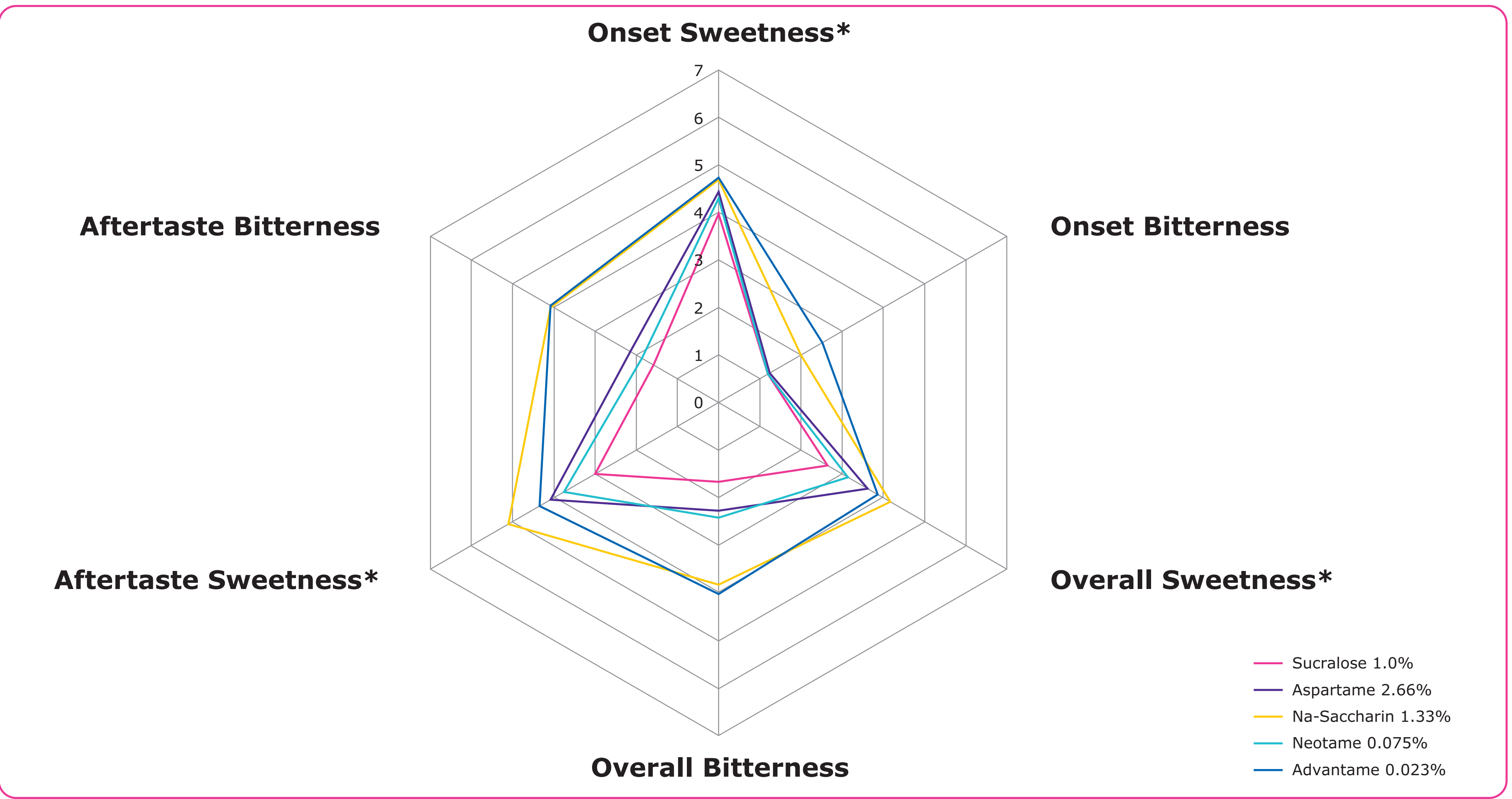


Figure 2.
Sensory evaluation of high-intensity synthetic sweeteners in mixture with sorbitol and quinine as a simulated bitter API.

Conclusions

The examined synthetic high-intensity sweeteners show differentiated taste profiles in the sensory study which can be used as a basis for the selection of a sweetener.

It was demonstrated that

- Neotame and sucralose are potent and effective alternatives to aspartame for taste optimization.
- For a good homogeneity of the high-intensity sweetener neotame, the premix with other excipients of the formulation can be recommended based on the study results.



Figure 3.
Bitter aftertaste of medicine can result in poor patient experience.

References

1. Ullmann's Encyclopedia of Industrial Chemistry / Sweeteners, Prof. G.-W. von Rymon Lipinski (2015).

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