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Physicochemical study of mucoadhesive polymers and their interactions with mucin

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INTRODUCTION

Solid drug dosage forms applied directly to the mucous membrane are becoming very popular because they allow to prolong the drug release for several hours and ensure maintainance of the optimal therapeutic level. This effect is possible due to the presence of mucoadhesive polymers such as polycarbophil (*Noveon*), carbomer (*Carbopol*), or cellulose derivatives (*HPMC*) [1-2]. The appropriate ratio of the polymers can extend the drug release, enhance the repeatability of the release profiles, improve the mucoadhesive properties of the material surface, and improve drug transport to the mucosa. Therefore, it is important to look for a correlation between the composition of the mucoadhesive carrier and its surface properties [3]. Consequently, the wettability of polymer matrices, the SFE value, the degree of their swelling, and the mucoadhesion force are crucial for designing oral carriers and predicting their effectiveness *in vivo*.

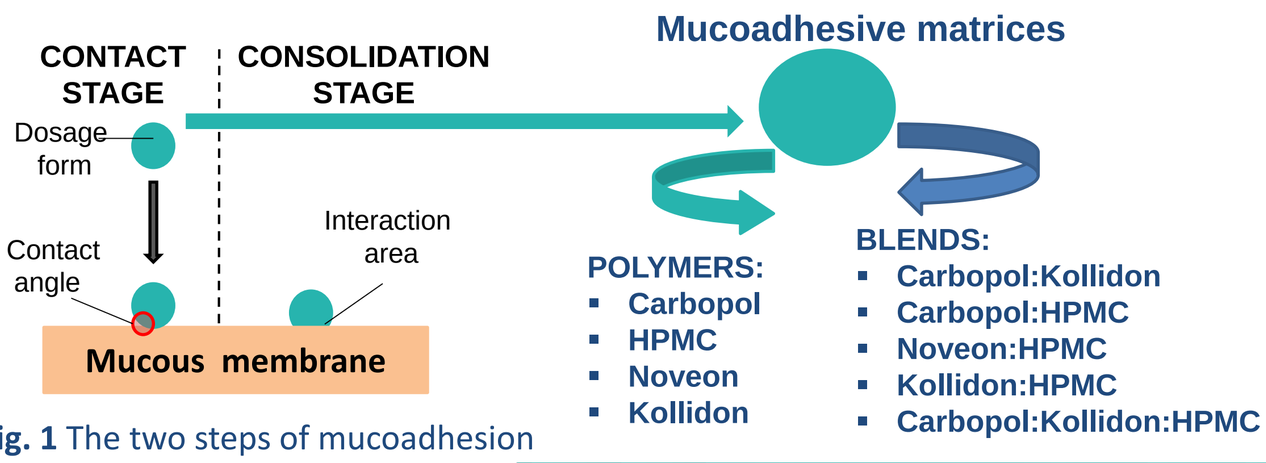
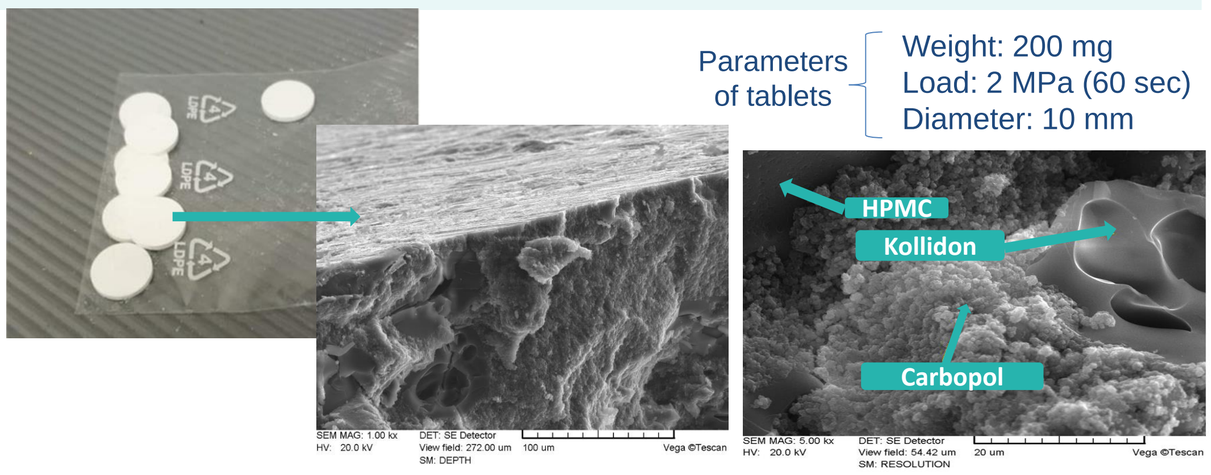


Fig. 1 The two steps of mucoadhesion process.



METHODS and RESULTS

CONTACT ANGLE MEASUREMENT

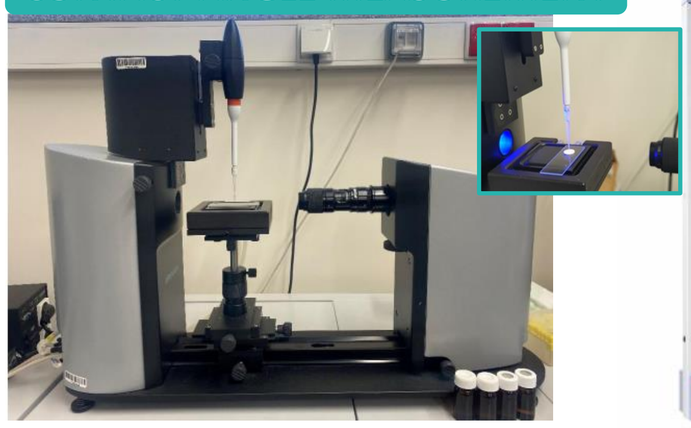


Fig. 2 Optical tensiometer Theta, KSV Nima.

MUCOADHESION STRENGHT

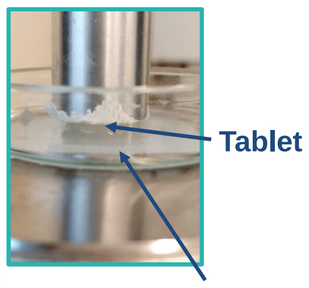
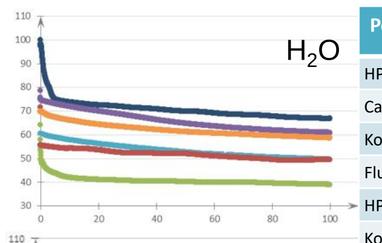


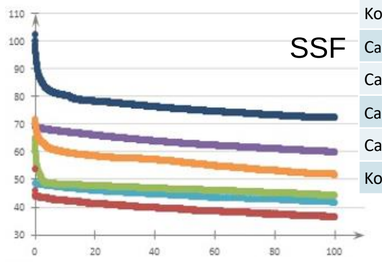
Fig. 3 Texture analyzer , Shimadzu AGS-1kNX.

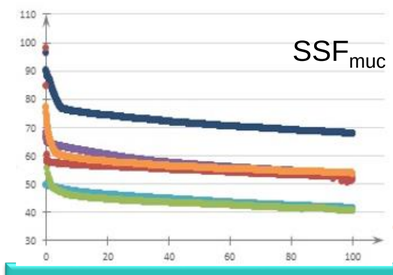
DISSOLUTION TEST

Fig. 4 a) Flow through dissolution system with high resolution, visible, and UV imaging technology PION SDi2, **b)** Flow-through cell dissolution apparatus (CE7smart, SOTAX).



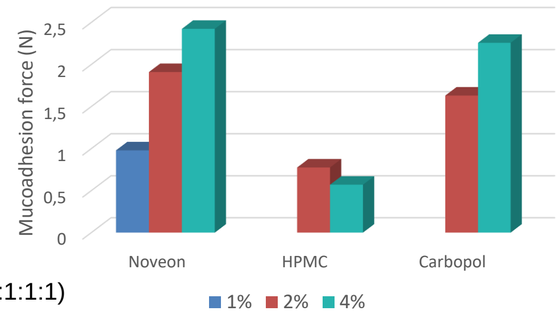
Polymers/Blends	γD [mJ/m²]	γP [mJ/m²]	SFE [mJ/m²]
HPMC	48.3	1.0	49.3
Carbopol	47.4	15.9	63.3
Kollidon	43.2	16.2	59.4
Flukonazol	42.4	11.9	54.3
HPMC:API	33.8	9.5	43.3
Kollidon: HPMC	37.1	9.0	46.1
Carbopol: Kollidon : HPMC	38.0	8.6	46.6
Carbopol:HPMC	36.2	21.0	57.2
Carbopol: Kollidon : HPMC	38.0	8.6	46.6
Carbopol : HPMC : API	38.7	4.2	42.9
Kollidon: HPMC : API	34.0	10.0	44.0

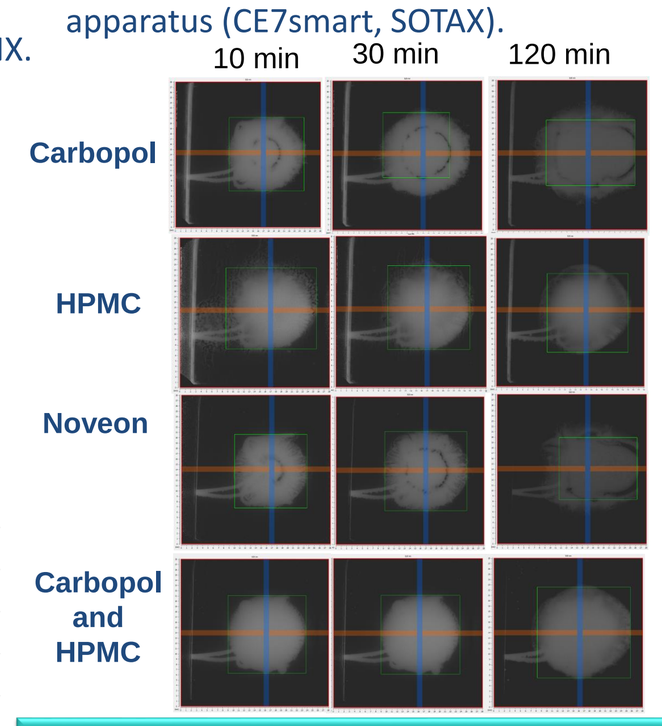




- Carbopol
- API
- HPMC
- Carbopol:API (1:1)
- Carbopol:HPMC:API (1:1:1)
- Carbopol:HPMC:Kollidon:API (1:1:1:1)

Polymer/Blends	Mucoadhesive force (N)
HPMC	0.78
Carbopol	1.63
Noveon	1.91
HPMC:Kollidon	0.59
HPMC:Carbopol	1.35
HPMC:Noveon	1.70





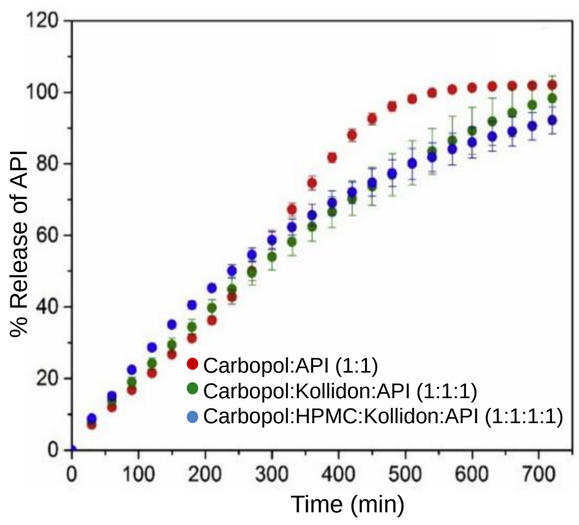


Fig. 5 API release profiles from the mucoadhesive tablets (n = 6) in SSF (pH = 6.8).

CONCLUSION

Our study confirmed that the key role in the design of carriers and prediction of their effectiveness *in vivo* is played by their surface properties, i.e. the wettability, the SEP value or the mucoadhesion strength. The results obtained show that the profile and kinetics of API release depend on the composition of mucoadhesive polymer carriers and their physicochemical nature. In fact, it is difficult to find simple correlations between the surface properties of formulations and the kinetics of API release, which is related to the complexity of the mucoadhesion phenomena.

REFERENCES

[1] Alawdi S., Solanki A.B., Journal of Scientific Research in Medical and Biological Sciences, 2 (1), 2021, 50-64.
[2] Saurí J., Suñé-Negre J.M., Díaz-Marcos J., Vilana J., Millán D., Ticó J.R., Miñarro M., Pérez-Lozano P., García-Montoya E., International Journal of Pharmaceutic, 478, 2015, 328-340.
[3]. Bartkowiak A., Lewandowicz J., Rojewska M., Kruger K., Lulek J., Prochaska K., J. Mol. Liquid 361, 2022,119623.