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pharmaceutics MDPI

Galenical and biopharmaceutical study of Triamcinolone acetonide and lidocaine hydrochloride semisolid formulation

Marta Márquez Valls, Alejandra Martínez Labrador, Lyda Halbaut Bellowa, Doménica Bravo Torres, Paulo César Sarango Granda, David Limón, Ana Calpena-Campmany *

Department of Pharmacy and Pharmaceutical Technology and Physical Chemistry, Faculty of Pharmacy and Food Science, Department of Pharmacology, Toxicology, and Therapeutic Chemistry
University of Barcelona
Av. Joan XXIII 29-31, Barcelona 08028, Spain

UNIVERSITAT DE BARCELONA

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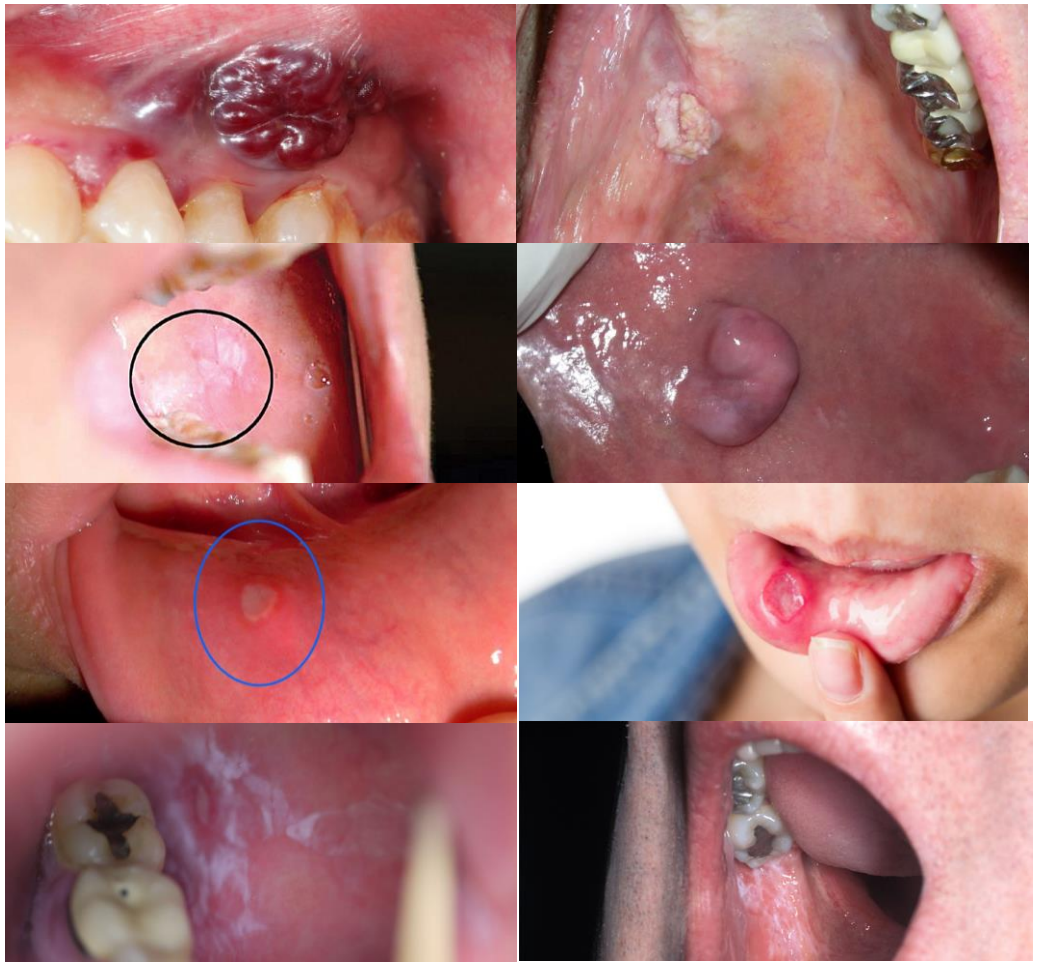
Introduction



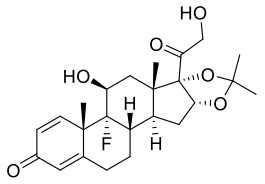
Inflammatory processes cursing pain

Canker sores
Buccal cancer radiotherapy
Oral lichen planus

Prevalence 5 - 25% of the population

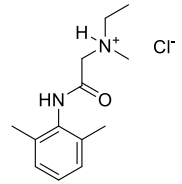
Treatment

Corticoids



triamcinolone acetonide (TA)

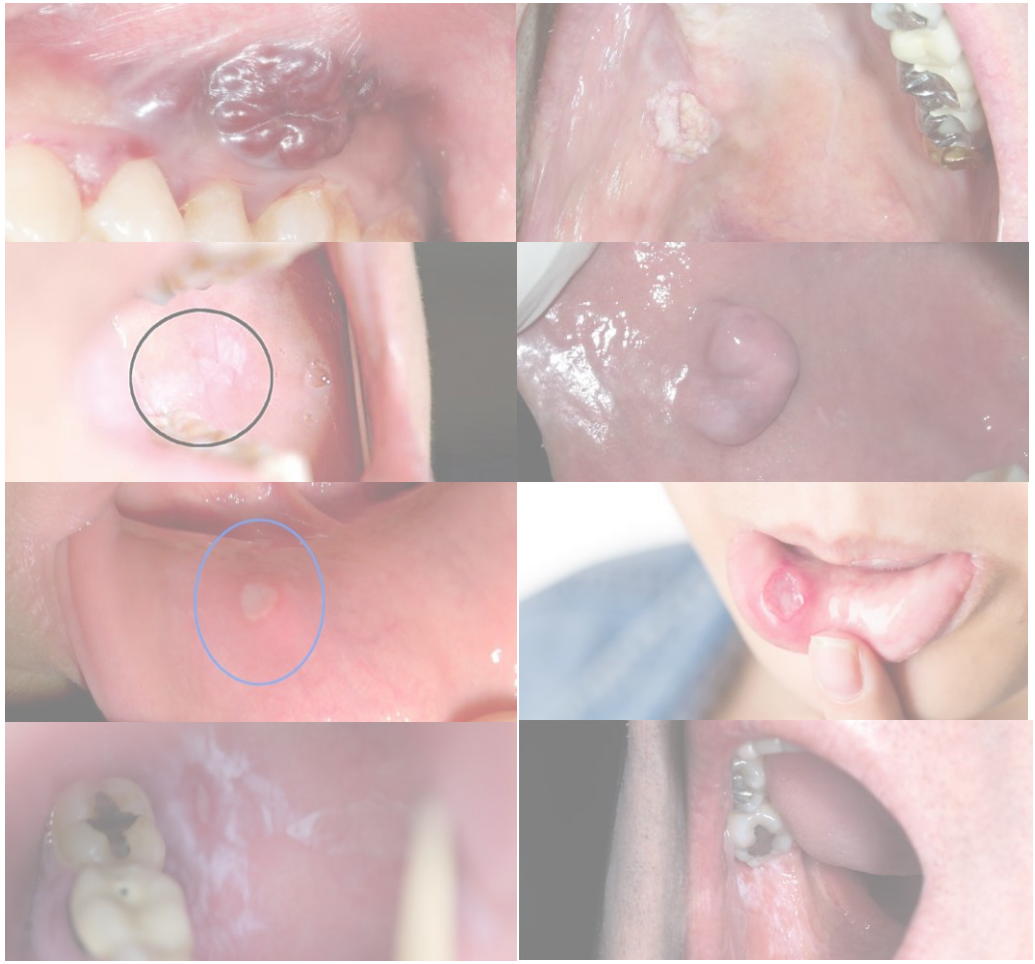
Anesthetics



lidocaine hydrochloride (LIDO)

Topical administration
Rich in blood supply
Avoid degradation (first pass metabolism)

Aim



Design
Development
Characterization

Semisolid formulation

Mechanical properties

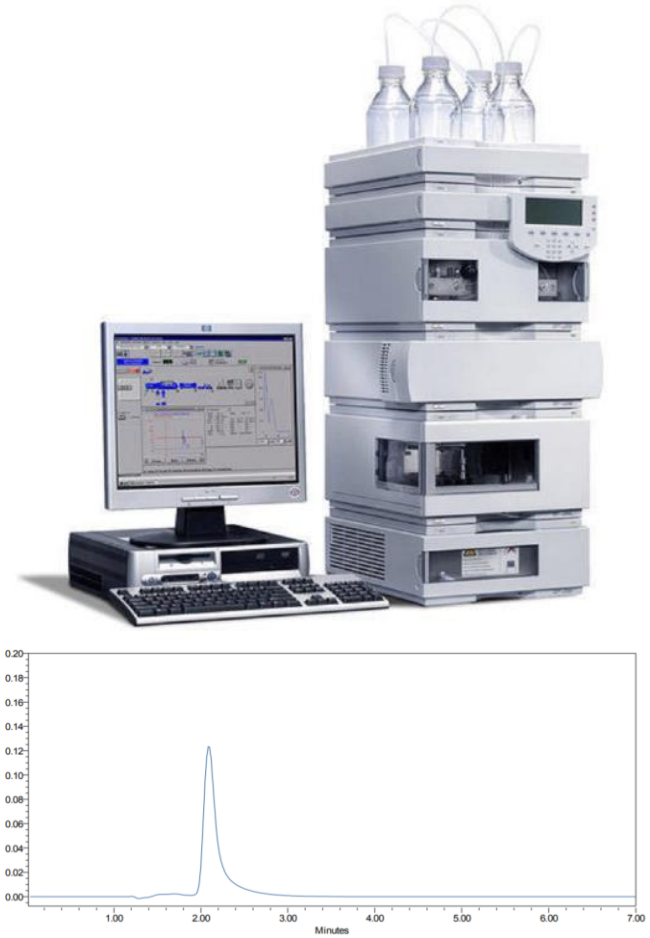
Rheology

Biopharmaceutical properties

Drug release
Buccal permeation
Retention in buccal mucosa
Sublingual permeation

Assess the suitability for the treatment buccal inflammatory processes

Methodology and Results



Validation of analytical method for TA

Linearity	6.26 to 100.20 µg/mL R= 0.9993 – 0.9998
Accuracy	92.49%
Precision	98.23%
LOD	2.63 ± 1.19 µg/mL
LOQ	7.97 ± 3.60 µg/mL

Reliable analytical results in characterization

Methodology and Results

Design and development of formulation

Composition	0.05% TA	0.05% TA + LIDO	0.1% TA	0.1% TA + LIDO
Triamcinolone acetonide	0.05%	0.05%	0.1%	0.1%
Lidocaine hydrochloride	-	2%	-	2%
Liquid paraffin	5%	5%	5%	5%
Orabase ®	q.s	q.s	q.s	q.s

Anti-inflammatory

Anesthetic

API

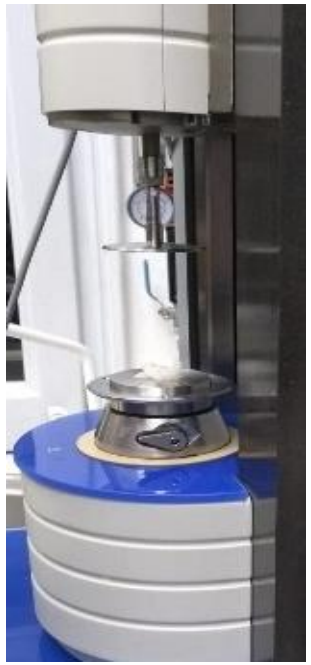
Hydrophobicity
Buccal adhesion

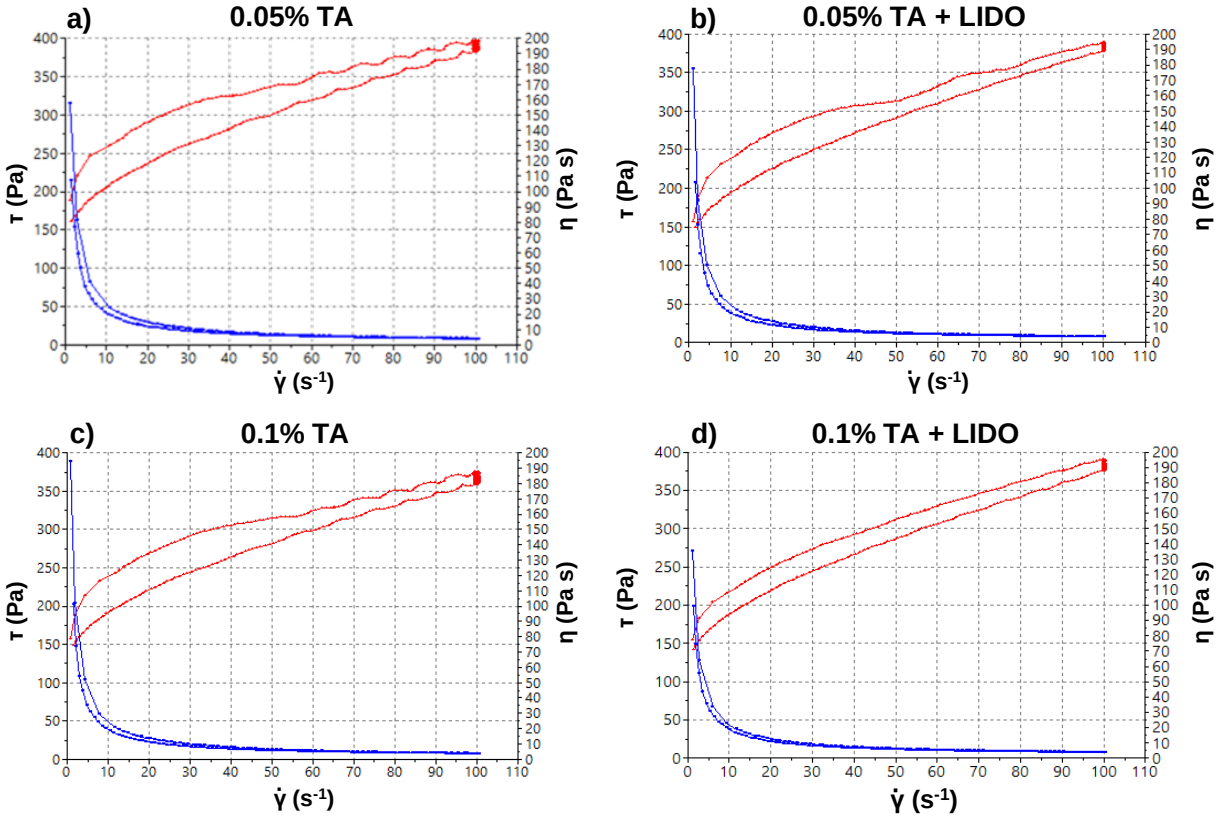
Excipients

Simple formulation
Treatment of pain
Short-term
Long-term

Methodology and Results

Mechanical characterization





Rheology studies

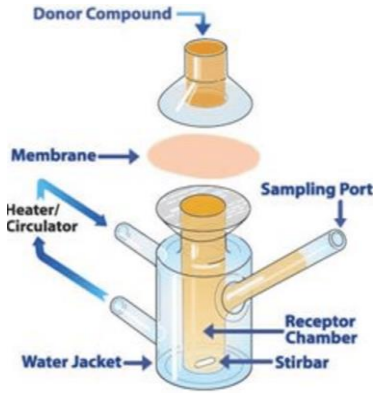
Thixotropic behaviour
Pseudoplastic behaviour

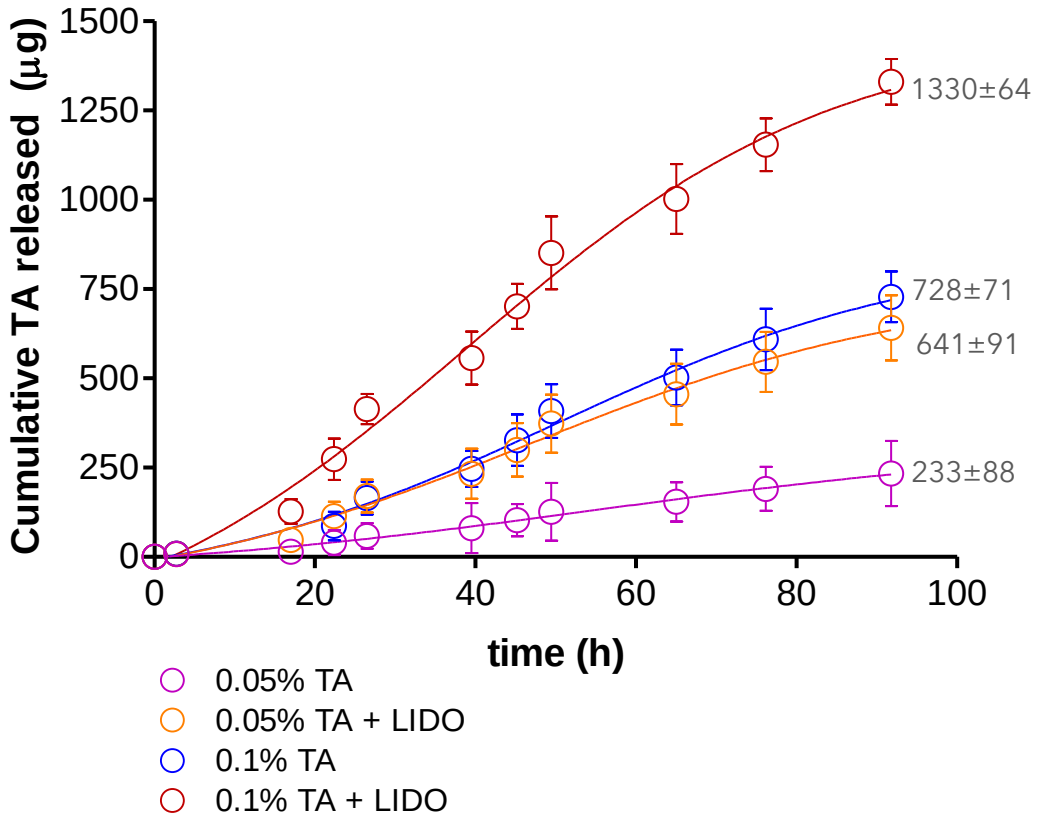
Suitable for topical application

Methodology and Results

Biopharmaceutical characterization

Franz-type cells





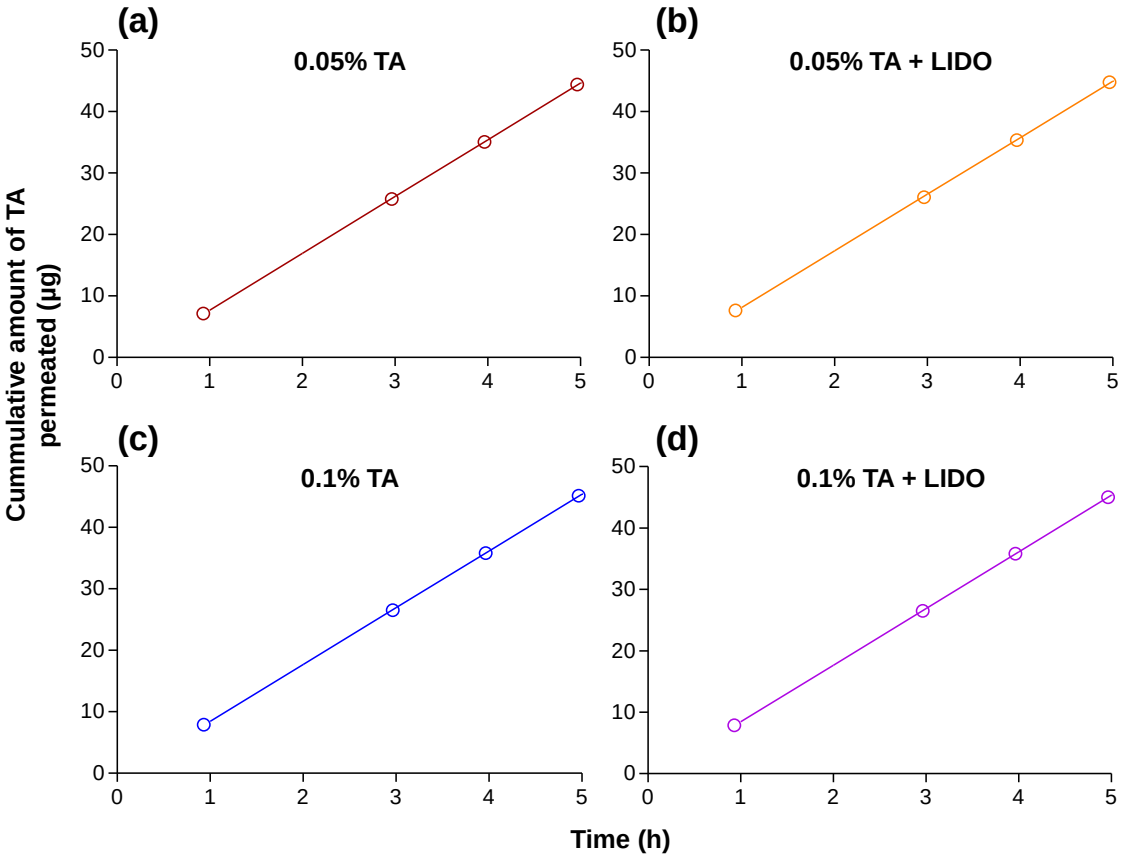
Drug release studies

- TA successfully released
- Boltzman Sigmoidal model
- Concentration enhances release of TA
- LIDO enhances release of TA

Suitable for topical application

Methodology and Results

Biopharmaceutical characterization



Means±SD (n=5)

Permeation in buccal mucosa

Formulation	Flux (µg/h)
0.05% TA	9.24 ± 0.03
0.05% TA + LIDO	9.19 ± 0.06
0.1% TA	9.24 ± 0.03
0.1% TA + LIDO	9.22 ± 0.02

Not influenced by TA concentration

Not influenced by presence LIDO

(P>0.05)

Css = 1.54 – 1.57 ng/mL

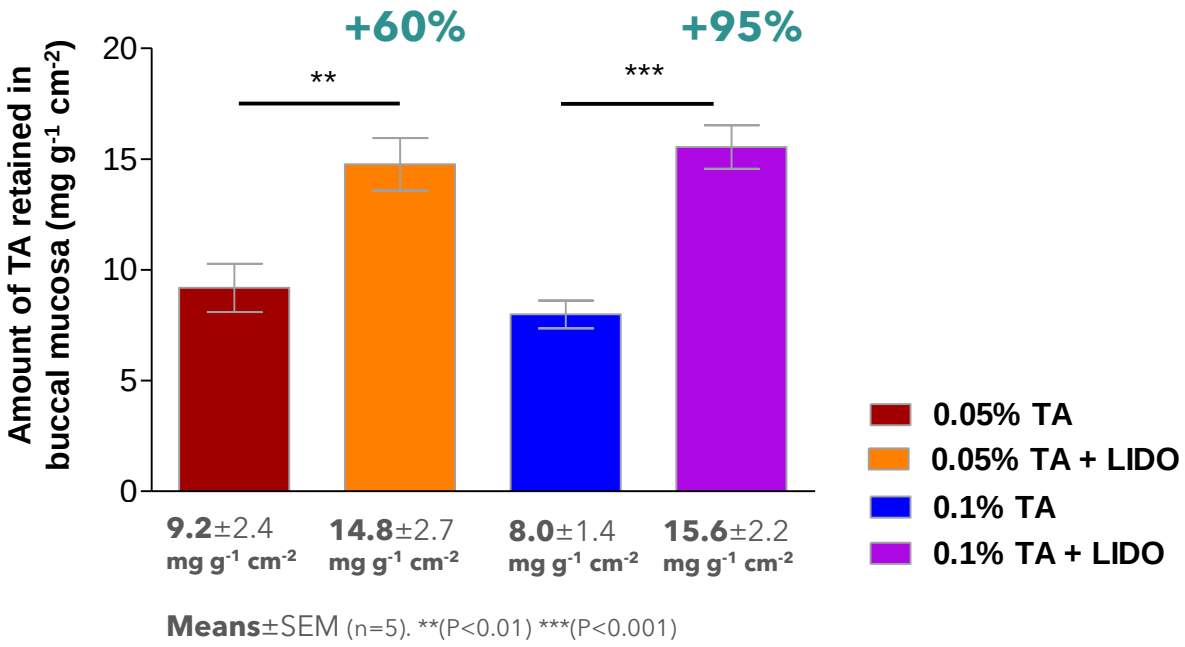
Cmax = 1.83 ng/mL *

* Argenti, D.; Shah, B.; Heald, D. A Study Comparing the Clinical Pharmacokinetics, Pharmacodynamics, and Tolerability of Triamcinolone Acetonide Budesonide Dr
Inhaler following Inhalation Administration. J Clin Pharmacol. 2000, 40 (5), 516- 526.

Methodology and Results

Biopharmaceutical characterization

Retention in buccal mucosa



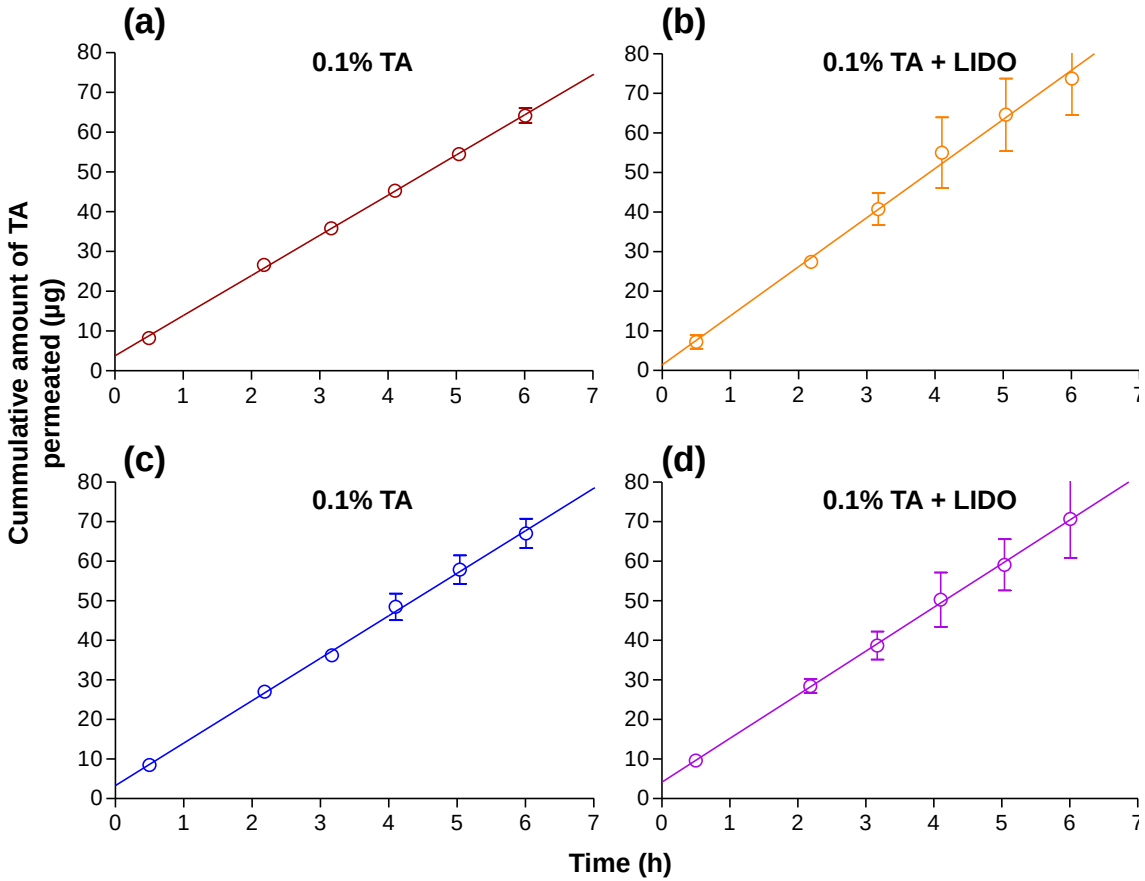
Means±SEM (n=5). **(P<0.01) ***(P<0.001)

Not influenced by TA concentration

Presence of LIDO significantly enhances retention in tissue

Methodology and Results

Biopharmaceutical characterization



Means±SD (n=5)

Permeation in sublingual mucosa

Formulation	Flux (µg/h)
0.05% TA	10.10 ± 0.12
0.05% TA + LIDO	12.40 ± 0.42 ***
0.1% TA	10.74 ± 0.20
0.1% TA + LIDO	11.04 ± 0.14 *

Means±SD (n=5) *(P<0.05) ***(P<0.001)

- 0.05% TA
- 0.05% TA + LIDO
- 0.1% TA
- 0.1% TA + LIDO

Not influenced by TA concentration

Presence LIDO significantly enhances permeation

Css = 1.67 – 2.06 ng/mL

Cmax = 1.83 ng/mL *

* Argenti, D.; Shah, B.; Heald, D. A Study Comparing the Clinical Pharmacokinetics, Pharmacodynamics, and Tolerability of Triamcinolone Acetonide Budesonide Dr
Inhaler following Inhalation Administration. J Clin Pharmacol. 2000, 40 (5), 516- 526.

Conclusions

Semisolid formulation designed, developed, and characterized

	TA concentration	Presence of LIDO
Suitable mechanical properties Pseudoplastic and thixotropic	Not influenced	Not influenced
TA successfully released 1330±64 µg at 96 h	Promoted	Promoted
TA permeates buccal mucosa ~9.2 ± 0.1 µg h^{-1}	Not influenced	Not influenced
TA is retained in buccal mucosa 8.0 to 15.6 µg g^{-1} cm^{-2}	Not influenced	Promoted
TA permeates sublingual mucosa 9.24 ± 0.03 µg h^{-1}	Not influenced	Promoted