Efficient ibuprofen delivery from silicone-cellulose topical formulation

Combining the characteristics of silicone and cellulose to find commercially viable hydro-alcoholic topical gel platform to deliver drugs efficiently

Synopsis

A commercially viable hydro-alcoholic topical gel formulation, incorporated with DuPont's silicone and cellulose technologies, containing 5% ibuprofen delivered ~7X drug compared to commercial benchmark. Formulation was physically stable, showed no drug crystal formation and showed 97% drug recovery after exposed to 60°C/30% RH for 4 weeks. Formulation is nearly clear and aesthetically pleasant.

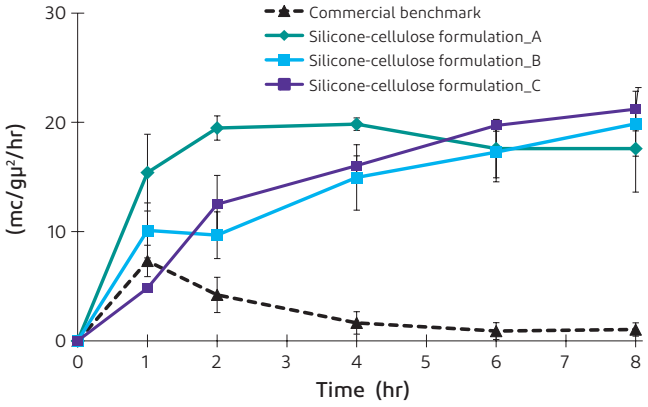
Formulation

Made with polydimethylsiloxane (PDMS, 12,500 cSt), hydroxypropylmethylcellulose (HPMC, Methocel™ K4100), propylene glycol, oleyl alcohol, isopropyl alcohol (IPA), and water; No physical separation when centrifuged; Nearly clear and aesthetically pleasant.

Formulation features

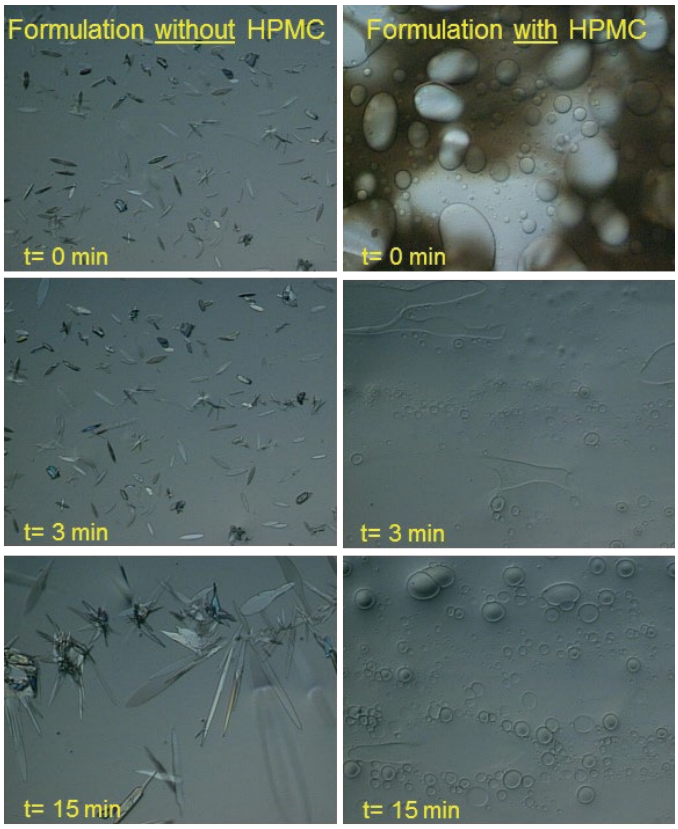
- All FDA-IID listed ingredients
- Hydro-alcoholic gel
- 30% or more water
- Commercial viability
- 7X drug delivery vs commercial benchmark
- Drug delivery for 8 hr or more
- 97% drug recovery after 4 weeks at 60°C/30% RH
- Nearly clear and aesthetically pleasant

Drug delivery profile



In-vitro permeability experiments at 32°C for 8 hr; cadaver epidermis as membrane; phosphate buffered saline (pH 7.4) as receptor fluid; formulations (A,B&C) differ by solvent amount; formulations and commercial benchmark contain 5% ibuprofen.

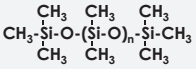
Inhibition of drug crystal formation



Formulation prepared only with PDMS, HPMC, IPA, water and ibuprofen to demonstrate the effect of HPMC on crystal inhibition; images captured at 100X with plane polarized light.

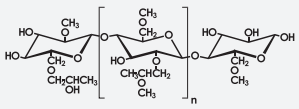
Technology synergy & benefits

- Assists for efficient drug delivery via concentration gradient
- Provides aesthetics



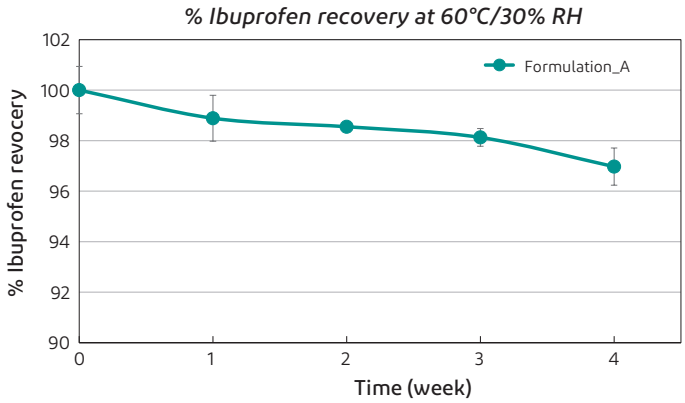
Polydimethylsiloxane (PDMS)

- Thickens formulation
- Inhibits drug crystallization
- Supports to add high level of liquid ingredients



Hydroxypropylmethylcellulose (HPMC)

Drug stability at 60°C/30% RH



Normalized % ibuprofen recovery when formulation_A was exposed to accelerated thermal conditions for 4 weeks.

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