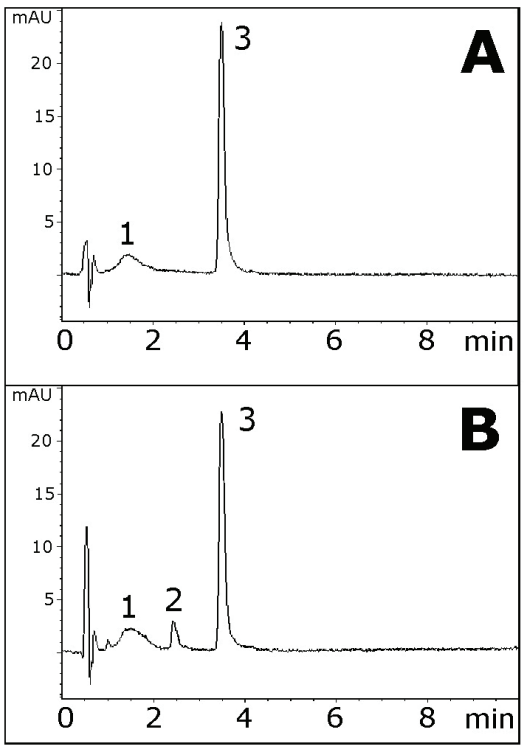
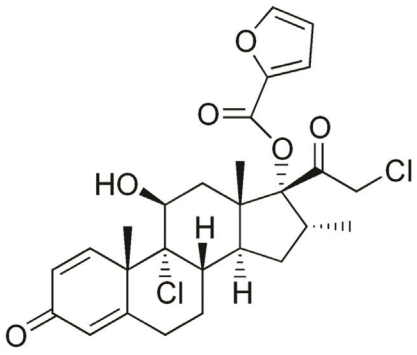
Mometasone Furoate in Topical Cream

Separation of Prodrug from Acid Hydrolysis Product





Mometasone Furoate

Note: Mometasone furoate is a prodrug where the API is formed by hydrolysis of the ester group. It is used to reduce inflammation due a variety of ailments and is available under trade names such as Elocon®, Novasone®, and Asmanex®. In addition to a topical ointment, the drug is also available as a dry powder inhaler or a nasal spray depending on the application.

Method Conditions

Column: Cogent Bidentate C8 2.ō™, 2.2µm, 120Å

Catalog No.: 40208-05P-2

Dimensions: 2.1 x 50 mm

Mobile Phase: 50% DI H_2O / 50% acetonitrile (v/v)

Injection vol.: 2µL

Flow rate: 0.3mL/min

Detection: UV 248nm

Samples: 5.0 g of a 0.1% Mometasone Furoate USP topical cream was weighed in a 250 mL Erlenmeyer flask with a stirbar. 50 mL methanol was added and the flask was stirred for 1 hour with a stopper covering the flask. Then a portion was filtered with a 0.45 µm nylon syringe filter (MicroSolv Tech Corp.). Next, one portion of the filtrate was diluted 1:5 with methanol (Fig. A) and a second portion was diluted 1:5 with a diluent of 90/10 methanol/1N HCl and heated in a dry bath at 80°C for 10 min. (Fig. B).

Peaks: 1. Excipient
2. Degradant (possibly Mometasone)
3. Mometasone furoate (prodrug)

Discussion

This method shows the separation of an ester prodrug, mometasone furoate, from another compound formed during acid hydrolysis. This peak is quite possibly the active form, mometasone. The two chromatograms show the non-degraded extract (Fig. A) and an acid hydrolysis extract (Fig. B). Hydrolysis of the ester group would make the resulting mometasone API less hydrophobic, and therefore earlier elution is predicted. Indeed, this is what is observed in the data. An excipient peak from the cream matrix is also observed just after the solvent front and does not interfere with either the prodrug peak or the API.

MANUFACTURED BY:
MICROSOLV TECHNOLOGY CORPORATION
9158 Industrial Blvd NE
Leland, NC 28451
p: 1.732.380.8900
f: 1.910.769.9435
customers@mtc-usa.com
www.Cogent-HPLC.com