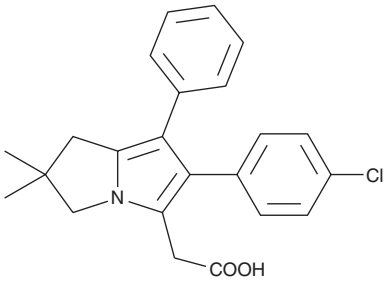
PRODUCT INFORMATION

Licofelone

Item No. 10007692

CAS No.: 156897-06-2
Formal Name: 6-(4-chlorophenyl)-2,3-dihydro-2,2-dimethyl-7-phenyl-1H-pyrrolizine-5-acetic acid
Synonym: ML 3000
MF: $C_{23}H_{22}ClNO_2$
FW: 379.9
Purity: ≥98%
UV/Vis.: λ_{max}: 248, 278 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Licofelone is supplied as a crystalline solid. A stock solution may be made by dissolving the licofelone in the solvent of choice. Licofelone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of licofelone in ethanol is approximately 5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Licofelone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, licofelone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Licofelone has a solubility of approximately 0.5 mg/ml in a 1:8 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cross-talk between lipoxygenase (LO) and cyclooxygenase (COX) pathways has been observed in human osteoarthritic synovial explants which creates an arachidonic acid shunting phenomenon, stimulating interleukin-1β (IL-1β) synthesis. Licofelone is a dual inhibitor of COX and LO pathways, that decreases levels of prostaglandin E_2, leukotriene B_4, and lipoxins and prevents lipopolysaccharide-stimulated IL-1β expression.[1] The IC_{50} values for inhibition of human thrombocyte COX and human 5-LO are 0.16 µM and 0.23 µM, respectively.[2] Unlike other non-steroidal anti-inflammatory drugs, licofelone causes little or no damage to the gastric mucosa in rabbit parietal cells. This is presumably the result of licofelone's affects on acid-secretory mechanisms, mediated by the inhibition of 5-LO activity.[3]

References

1. Marcouiller, P., Pelletier, J.-P., Guévremont, M., *et al.* Leukotriene and prostaglandin synthesis pathways in osteoarthritic synovial membranes: Regulating factors for interleukin 1β synthesis. *J. Rheumatol.* **32(4)**, 704-712 (2005).
2. Laufer, S.A., Augustin, J., Dannhardt, G., *et al.* (6,7-Diaryldihydropyrrolizin-5-yl)acetic acids, a novel class of potent dual inhibitors of both cyclooxygenase and 5-lipoxygenase. *J. Med. Chem.* **37**, 1894-1897 (1994).
3. Smolka, A.J., Goldenring, J.R., Gupta, S., *et al.* Inhibition of gastric H,K-ATPase activity and gastric epithelial cell IL-8 secretion by the pyrrolizine derivative ML 3000. *BMC Gastroenterology* **4(4)**, 1-11 (2004).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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