Coltsfoot

Species (Family)
Tussilago farfara L. (Asteraceae/Compositae)

Synonym(s)
Farfara

Part(s) Used
Flower, leaf

Pharmacopoeial and Other Monographs
BHC 1992\(^{(G6)}\)
BHP 1983\(^{(G7)}\)
Complete German Commission E\(^{(G3)}\)
Martindale 32nd edition\(^{(G43)}\)
PDR for Herbal Medicines 2nd edition\(^{(G36)}\)

Legal Category (Licensed Products)
GSL\(^{(G37)}\)

Constituents\(^{(G2,G22,G48,G64)}\)

Acids Caffeic acid, caffeoyltartaric acid, ferulic acid, gallic acid, p-hydroxybenzoic acid, and tannic acid (phenolic); malic acid and tartaric acid (alipha-
tic).\(^{(1)}\)

Alkaloids Pyrrolizidine-type. Senkirkine 0.015% and seneconine (minor) (unsaturated)\(^{(2,3)}\) and tussi-
lagine (saturated).\(^{(4)}\)

Carbohydrates Mucilage (water-soluble polysac-
charides) 7–8% yielding various sugars following
hydrolysis (e.g. arabinose, fructose, galactose, glu-
cose, uronic acid and xylose); inulin (polysacchar-
de).\(^{(5)}\)

Flavonoids Flavonols (e.g. kaempferol, quercetin)
and their glycosides.\(^{(1)}\)

Tannins Up to 17% (type unspecified).

Other constituents Bitter (glycoside), choline, para-
fin (fatty acid), phytoesterols (sitosterol, stigmasterol,
taraxasterol), triterpene (amyrin), tussilagone (ses-
quiterpene)\(^{(6)}\) and volatile oil.

Food Use
Coltsfoot is not commonly used as a food but it is
listed by the Council of Europe as a source of natural
food flavouring (category N4). This category indi-
cates that although coltsfoot is permitted for use as a
food flavouring, there are insufficient data available
for an assessment of toxicity to be made.\(^{(G16)}\)

Herbal Use
Coltsfoot is stated to possess expectorant, antitussive,
demulcent and anticatarrhal properties. It has been
used for asthma, bronchitis, laryngitis and pertussis.\(^{(G2,G7,G49,G64)}\)

Dosage
Dried herb 0.6–2.0 g by decoction three times
daily.\(^{(G6)}\)

Liquid extract 0.6–2.0 mL (1:1 in 25% alcohol)
three times daily.\(^{(G7)}\)

Tincture 2–8 mL (1:5 in 45% alcohol) three times
daily.\(^{(G7)}\)

Syrup 2–8 mL (liquid extract 1:4 in syrup) three
times daily.\(^{(G7)}\)

Pharmacological Actions

In vitro and animal studies
Antibacterial activity has been documented for colts-
foot against various Gram-negative bacteria includ-
ing Staphylococcus aureus, Proteus hauseri,
Bordetella pertussis, Pseudomonas aeruginosa and
Proteus vulgaris.\(^{(7-9)}\)

Anti-inflammatory activity comparable to that of
indomethacin, determined in Selye's experimental
chronic inflammation test, has been attributed to
water-soluble polysaccharides in coltsfoot.\(^{(10)}\) Weak
acute anti-inflammatory activity has been reported
for coltsfoot when tested against carrageenan-
induced rat paw oedema.\(^{(11,12)}\)

Platelet-activating factor (PAF) is known to be
involved in various inflammatory, respiratory and
cardiovascular disorders. The aggregating action of
PAF is known to be weaker if intracellular concen-

151
trations of calcium are low. A sesquiterpene, L-652,469, isolated from coltsfoot buds has been reported to be a weak inhibitor of both PAF receptor binding and calcium channel blocker binding to membrane vesicles.\(^\text{(13)}\) This combination of actions was found to effectively block PAF-induced platelet aggregation. L-652,469 was also found to be active orally, inhibiting PAF-induced rat paw oedema.\(^\text{(13)}\)

Interestingly, L-652,469 was reported to interact with the cardiac calcium channel blocker receptor complex (dihydropyridine receptor), but was also found to be a calcium channel blocker.\(^\text{(13)}\)

Tussilagone has been reported to be a potent cardiovascular and respiratory stimulant.\(^\text{(6,14)}\) Dose-dependent pressor activity following intravenous injection has been observed in the cat, rat and dog.\(^\text{(14)}\) The pressor effect is stated to be similar to that of dopamine, but without tachyphylaxis. A significant stimulation of respiration was also observed.\(^\text{(6)}\) Cardiovascular and respiratory effects are thought to be mediated by peripheral and central mechanisms, respectively.\(^\text{(6)}\)

### Side-effects, Toxicity

Coltsfoot has been reported to be phototoxic in guinea-pig skin.\(^\text{(15)}\)

Pyrrolizidine alkaloids with an unsaturated pyrrolizidine nucleus are known to be hepatotoxic in both animals and humans (see Comfrey). Of the pyrrolizidine alkaloids documented for coltsfoot, senecionine and senkirkine are unsaturated. Chronic hepatotoxicity has been described in rats following the incorporation of coltsfoot into their diet at concentrations ranging from 4 to 33%.\(^\text{(16)}\) After 600 days, it was found that rats fed more than 4% coltsfoot had developed hepatic tumours (haemangioendothelial sarcoma) while none were observed in the control group. Furthermore, histological changes associated with pyrrolizidine alkaloid toxicity, such as centrilobular necrosis of the liver and cirrhosis, were observed in many of the rats who had ingested coltsfoot but who had not developed tumours.\(^\text{(16)}\)

The hepatotoxicity of coltsfoot was attributed to senkirkine, which is present at a concentration of only 0.015%, thus highlighting the dangers associated with chronic exposure to low concentrations of pyrrolizidine alkaloids.

Newborn rats have been found to be more susceptible than weanlings to the hepatotoxic effects of senkirkine despite lacking the hepatic microsomal enzymes required for the formation of the toxic pyrrolic metabolites.\(^\text{(17)}\) Fatal hepatic veno-occlusive disease has been documented in a newborn infant whose mother had regularly consumed a herbal tea during pregnancy.\(^\text{(18)}\) Analysis of the herbal tea revealed the presence of 10 different plants including coltsfoot and a Senecio species (known source of pyrrolizidine alkaloids, see Lifreut). The mother exhibited no signs of hepatic damage, suggesting an increased sensitivity of the fetal liver to pyrrolizidine alkaloid toxicity.

Pre-blooming coltsfoot flowers are reported to contain the highest concentration of alkaloids.\(^\text{(3)}\) Considerable loss of both senkirkine and senecionine has been observed upon prolonged storage of the dried plant material.\(^\text{(3)}\) Senkirkine and senecionine are both easily extracted into hot water and, therefore, would presumably be ingested in a herbal tea prepared from the fresh plant.\(^\text{(3)}\) A cup of tea prepared from 10 g pre-blooming flowers has been estimated to contain a maximum of 70 μg senecionine and 1.4 mg senkirkine. Tea from the young leaves or mature plant would presumably contain considerably less alkaloids.\(^\text{(3)}\) These concentrations are not considered to represent a health hazard compared to the known hepatotoxicity of senecionine (intravenous LD\(_{50}\) 64 mg/kg body weight, mice).\(^\text{(3)}\) However, prolonged exposure to low concentrations of pyrrolizidine alkaloids has resulted in hepatotoxicity (see Comfrey).

Tussilagine LD\(_{50}\) (mice, intravenous injection) has been determined as 28.9 mg/kg.\(^\text{(14)}\)

### Contra-indications, Warnings

Excessive doses of coltsfoot may interfere with existing antihypertensive or cardiovascular therapy. In view of the known pyrrolizidine alkaloid content, excessive or prolonged ingestion should be avoided. In particular, herbal teas containing coltsfoot should be avoided.

**Pregnancy and lactation** Coltsfoot should not be taken during pregnancy or lactation in view of the toxicity associated with the pyrrolizidine alkaloid constituents. Coltsfoot is reputed to be an abortifacient.\(^\text{(G30)}\)

### Pharmaceutical Comment

The majority of the traditional uses associated with coltsfoot can be attributed to the mucilage content. However, coltsfoot also contains toxic pyrrolizidine alkaloids albeit at a low concentration. The risk of exposure to low concentrations of pyrrolizidine alkaloids is unclear although hepatotoxicity following prolonged exposure has been documented (see Comfrey). The regular or excessive consumption of coltsfoot, especially in the form of herbal teas, should therefore be avoided.
References

See also General References G2, G3, G6, G7, G11, G16, G18, G19, G22, G30, G31, G32, G36, G37, G43, G48, G49 and G64.