

compatible with breast feeding, but caution is required in the infants mentioned above.

- Kauffman RE, et al. Sulfisoxazole secretion into human milk. *J Pediatr* 1980; **97**: 839-41.
- American Academy of Pediatrics. The transfer of drugs and other chemicals into human milk. *Pediatrics* 2001; **108**: 776-89. Correction. *ibid.*: 1029. Also available at: <http://aappolicy.aappublications.org/cgi/content/full/pediatrics%3b108/3/776> (accessed 28/05/04)

Interactions

As for Sulfamethoxazole, p.341.

Sulfafurazole has been reported to increase the anaesthetic effect of thiopental.

Eye preparations of sulfafurazole diolamine should not be applied with preparations of silver salts.

Antimicrobial Action

As for Sulfamethoxazole, p.341.

Pharmacokinetics

Sulfafurazole is readily absorbed from the gastrointestinal tract with peak plasma concentrations occurring 1 to 4 hours after an oral dose. Acetyl sulfafurazole (the *N*¹-acetyl derivative) is broken down to sulfafurazole in the gastrointestinal tract before absorption, resulting in delayed and somewhat lower peak concentrations. After absorption about 85 to 90% is bound to plasma proteins. Sulfafurazole readily diffuses into extracellular fluid, but very little diffuses into cells. Concentrations in the CSF are about one-third of those in the blood. It crosses the placenta into the fetal circulation and is distributed into breast milk. About 30% of sulfafurazole in the blood and in the urine is in the form of the *N*¹-acetyl derivative.

Sulfafurazole is excreted rapidly in the urine, up to 97% of a single dose being eliminated in 48 hours. The half-life is reported to range from about 5 to 8 hours. Both sulfafurazole and its *N*¹-acetyl derivative are more soluble than many other sulfonamides in urine.

Uses and Administration

Sulfafurazole is a short-acting sulfonamide that is used similarly to sulfamethoxazole (p.341), notably in the treatment of urinary-tract infections, pneumonia due to *Chlamydomydia pneumoniae* (*Chlamydia pneumoniae*), nocardiosis, and trachoma. It is also used, usually with erythromycin, in the treatment of otitis media. For details of these infections and their treatment see Choice of Antibacterial, p.162.

Sulfafurazole is usually given orally. In the treatment of susceptible infections, it has been given in an initial dose of 2 to 4 g, followed by 4 to 8 g daily in divided doses every 4 to 6 hours. For children and infants over 2 months of age, the dose has been 75 mg/kg initially, followed by 150 mg/kg daily in divided doses to a maximum of 6 g daily. Dosage modification may be necessary in patients with renal impairment. Acetyl sulfafurazole is tasteless and is used in liquid oral preparations of the drug; doses are expressed in terms of sulfafurazole. 1.16 g of acetyl sulfafurazole is equivalent to about 1 g of sulfafurazole.

Sulfafurazole diolamine has been used, as an ophthalmic ointment or solution containing the equivalent of 4% of sulfafurazole, in the topical treatment of susceptible eye infections. Sulfafurazole diolamine 1.39 g is equivalent to about 1 g of sulfafurazole.

Sulfafurazole diolamine has also been given parenterally.

Preparations

USP 31: Erythromycin Estolate and Sulfisoxazole Acetyl Oral Suspension; Erythromycin Ethylsuccinate and Sulfisoxazole Acetyl for Oral Suspension; Sulfisoxazole Acetyl Oral Suspension; Sulfisoxazole Tablets.

Proprietary Preparations (details are given in Part 3)

Turk.: Gansol; **USA:** Gantrisin†; **Venez.:** Gantico; Soxacol†.

Multi-ingredient: **Arg.:** Pediazole†; **Canad.:** Pediazole; **Chile:** Bioquin; **Pediazole**; **Fr.:** Pediazole; **Gr.:** Pediazole; **Hong Kong:** Pediazole†; **Israel:** Pediazole; **Mex.:** Pediazole; Urovec; **Turk.:** Azo Gantrisin; **USA:** Eryzole†; **Pediazole**; **Venez.:** Pediazole†.

Sulfaguanidine (BAN, rINN)

Solfaguanidina; Sulfaguanidini; Sulfaguanidin; Sulfaguanidina; Sulfaguanidinas; Sulfaguanidinum; Sulfaguanidyna; Sulfamidinum; Sulginum; Sulphaguanidine; Sulfaguanidin. 1-Sulphanilylguanidine; *N*¹-Amidinosulphanilamide.

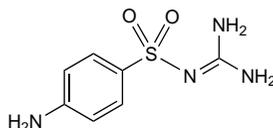
Сульфугуанидин

$C_7H_{10}N_4O_2S = 214.2$.

CAS — 57-67-0 (anhydrous sulfaguanidine); 6190-55-2 (sulfaguanidine monohydrate).

ATC — A07AB03.

ATC Vet — QA07AB03.



Pharmacopoeias. In *Eur.* (see p.vii).

Viet. includes the monohydrate.

Ph. Eur. 6.2 (Sulfaguanidine). A white or almost white, fine crystalline powder. Very slightly soluble in water and in alcohol; slightly soluble in acetone; practically insoluble in dichloromethane. It dissolves in dilute solutions of mineral acids. Protect from light.

Profile

Sulfaguanidine is a sulfonamide with properties similar to those of sulfamethoxazole (p.340). It is absorbed to a limited extent from the gastrointestinal tract and may therefore be more likely to cause systemic effects than less well absorbed drugs such as phthalylsulfathiazole and succinylsulfathiazole. It is used, usually with other drugs, in the treatment of gastrointestinal infections, and has also been applied locally to the skin and throat.

Preparations

Proprietary Preparations (details are given in Part 3)

Fr.: Enteropathyl.

Multi-ingredient: **Braz.:** Sanadiar†; **Chile:** Carbon Sulfaguanidina; **Mex.:** Neopescul; **Thai:** Biodan†.

Sulfamazone Sodium (rINN)

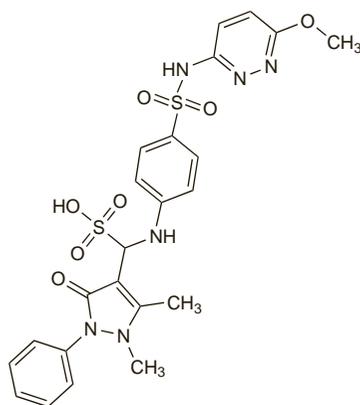
Natrii Sulfamazonium; Sulfamazona sódica; Sulfamazone Sodique; Sulfenazone; Sulphenazone. Sodium α -[*p*-[[6-methoxy-3-pyridazinyl)sulfamoyl]anilino]-2,3-dimethyl-5-oxo-1-phenyl-3-pyrazoline-4-methanesulphonate.

Натрий Сульфамазон

$C_{23}H_{24}N_6O_7S_2Na = 583.6$.

CAS — 65761-24-2 (sulfamazone); 13061-27-3 (sulfamazone sodium).

ATC — J01ED09.



(sulfamazone)

Profile

Sulfamazone is an antibacterial with antipyretic activity that has been given as the sodium salt, orally or rectally, in infections of the upper respiratory tract.

Preparations

Proprietary Preparations (details are given in Part 3)

Ital.: Marespin†.

Sulfamerazine (BAN, rINN)

RP-2632; Solfamerazina; Sulfamerasinum; Sulfameratsiini; Sulfamerazin; Sulfamerazina; Sulfamerazinas; Sulfamerazine; Sulfamerazinum; Sulfamethylidiazine; Sulfamethylpyrimidine; Sulphamerazine; Sulfamerazin. *N*¹-(4-Methylpyrimidin-2-yl)sulphanilamide.

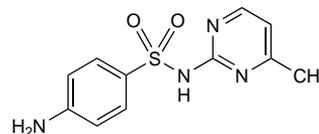
Сульфамеразин

$C_{11}H_{12}N_4O_2S = 264.3$.

CAS — 127-79-7.

ATC — D06BA06; J01ED07.

ATC Vet — QD06BA06.



Pharmacopoeias. In *Eur.* (see p.vii). Also in *BP(Vet)*.

Ph. Eur. 6.2 (Sulfamerazine). White, yellowish-white, or pinkish-white, crystalline powder or crystals. Very slightly soluble in water and in dichloromethane; slightly soluble in alcohol; sparingly soluble in acetone. It dissolves in solutions of alkali hydroxides and in dilute mineral acids. Protect from light.

Sulfamerazine Sodium (BANM, rINN)

Soluble Sulphamerazine; Sulfamerazina de sodio; Sulfamerazina sódica; Sulfamerazine sodique; Sulfamerazinum Natricum; Sulphamerazine Sodium.

Сульфамеразин Натрий

$C_{11}H_{11}N_4NaO_2S = 286.3$.

CAS — 127-58-2.

ATC — D06BA06; J01ED07.

ATC Vet — QD06BA06.

Profile

Sulfamerazine is a short-acting sulfonamide with properties similar to those of sulfamethoxazole (p.340). It has usually been given with other sulfonamides, or with trimethoprim.

Preparations

USP 31: Trisulfapyrimidines Oral Suspension; Trisulfapyrimidines Tablets.

Proprietary Preparations (details are given in Part 3)

Multi-ingredient: **Ger.:** Berlocobin†; **Indon.:** Trisulfal; **Thai:** Sulfatril.

Sulfamethizole (BAN, rINN)

Sulfaméthizol; Sulfamethizol; Sulfamethizolum; Sulfametzitsoli; Sulfametzizol; Sulfametzizol; Sulphamethizole; Sulfametzizol. *N*¹-(5-Methyl-1,3,4-thiadiazol-2-yl)sulphanilamide.

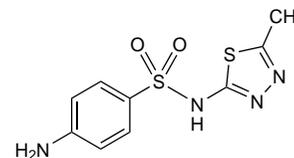
Сульфаметизол

$C_9H_{10}N_4O_2S_2 = 270.3$.

CAS — 144-82-1.

ATC — B05CA04; D06BA04; J01EB02; S01AB01.

ATC Vet — QB05CA04; QD06BA04; QJ01EQ02; QS01AB01.



Pharmacopoeias. In *Eur.* (see p.vii), *Jpn.* and *US*.

Ph. Eur. 6.2 (Sulfamethizole). White or yellowish-white crystalline powder or crystals. Very slightly soluble in water; sparingly soluble in alcohol; soluble in acetone. It dissolves in dilute solutions of alkali hydroxides and in dilute mineral acids. Protect from light.

USP 31 (Sulfamethizole). Practically odourless, white crystals or powder. Soluble 1 in 2000 of water, 1 in 38 of alcohol, 1 in 13 of acetone, and 1 in 1900 of chloroform and of ether; freely soluble in solutions of ammonium, potassium, and sodium hydroxides; soluble in dilute mineral acids; practically insoluble in benzene. Protect from light.

Adverse Effects, Treatment, and Precautions

As for Sulfamethoxazole, p.340.

Sulfamethizole and its acetyl derivative are relatively soluble in urine, and the risk of crystalluria is quite low, but an adequate fluid intake should generally be maintained.