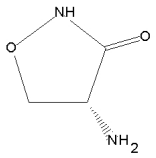

Formula: C3H6N2O2

MW: 102.09

CAS: 68-41-7

MDL: MFCD00599449

TNP: TNP00623

LogP: -2.2

LogS: -1.5

Acceptors: 2

Donors: 3

Rotation Bonds: 0

Chiral Centers: 1

N+O: 4

LIPINSKY: 4

IUPAC: (4R)-4-amino-2,4,5-trihydroisoxazol-3-one

Smiles: C1([C@@H](CON1)N)=O

Physiol Action: Partial agonist at the glycine modulatory site of NMDA glutamatergic receptors; enhances learning and memory in several models of cognitive deficit; anticonvulsant.

THERAPEUTIC CATEGORY: Antibacterial (tuberculostatic). Antibiotic against Gram-negative bacteria that acts by inhibiting the synthesis of bacterial cell walls.

REFERENCE: Nakazato, E., et al., Cholinergic and glutamatergic activation reverses working memory failure by hippocampal histamine H1 receptor blockade in rats. Life Sci. 67, 1139-1147, (2000) Watson, et al., D-Cycloserine acts as a partial agonist at the glycine modulatory site of the NMDA receptor expressed in Xenopus oocytes. Brain Res. 510, 158-160, (1990) Pussinen, R., and Sirvio, J., Effects of D-cycloserine, a positive modulator of N-methyl-D-aspartate receptors, and ST 587, a putative ?-1 adrenergic agonist, individually and in combination, on the non-delayed and delayed foraging behaviour of rats assessed in the radial arm maze. Org. Process Res. Dev. 13, 171, (1999) Wlaz, P., et al., Influence of D-cycloserine on the anticonvulsant activity of phenytoin and carbamazepine against electroconvulsions in mice. Epilepsia 37, 610-617, (1996) Schneider, J.S., et al., Effects of the partial glycine agonist D-cycloserine on cognitive functioning in chronic low dose MPTP-treated monkeys. Brain Res. 860, 190-194, (2000) Merck Merck 13,2780 Beilstein Beil. 27,IV,5549 reference FT-IR 2 (1), 1373:D / FT-IR 1 (1), 810:D / IR-Spectra (3), 480:F / IR-Spectra (2), 425:F / NMR-Reference 2 (1), 678:C / RegBook 1 (1), 951:C / Sax 6, 847 / Sigma FT-IR 1 (1), 118:A / Structure Index 1, 148:D:1

SOURCE: Antibiotic substance produced by Streptomyces Gariphalus sive Orchidaceus.

ACTIVITY: Actions Mode of Action: Inhibits cell wall biosynthesis (D-Ala peptide bond formation). Also prevents conversion of D-Ala to L-Ala. Bacteriostatic. Mode of Resistance: D-Ala transport interference. Partial agonist at the glycine modulatory site of NMDA glutamatergic receptors; antibiotic against Gram-negative bacteria.

Merck 13 Reference: Monograph Number: 0002780

Title: Cycloserine

CAS Registry Number: 68-41-7

CAS Name: D-4-Amino-3-isoxazolidinone

Additional Names: D-4-amino-3-isoxazolidone; orientomycin

Manufacturers' Codes: PA-94; 106-7

Trademarks: Closina; Farmiserina (Farmitalia); Micoserina; Oxamycin (Merck & Co.); Seromycin (Lilly)

Molecular Formula: C3H6N2O2

Molecular Weight: 102.09.

Percent Composition: C 35.29%, H 5.92%, N 27.44%, O 31.34%

Literature References: Antibiotic substance produced by Streptomyces garyphalus sive orchidaceus: Kuehl, Jr., et al., J. Am. Chem. Soc. 77, 2344 (1955); Hidy et al., ibid. 2345; Shull,

Sardinas, Antibiot. Chemother. 5, 398 (1955); Shull et al., US 2773878 (1956 to Pfizer); Harned, US 2789983 (1957 to Commercial Solvents); GB 768007 (1957 to Commercial Solvents), C.A. 51, 10847e (1957); US 3124590 (1964 to Commercial Solvents); Howe, US 2845433 (1958 to Merck & Co.). Synthesis: Stammer et al., J. Am. Chem. Soc. 77, 2346 (1955); Peck, US 2772280 (1956 to Merck & Co.); Plattner et al., Helv. Chim. Acta 40, 1531 (1957); Holly, Stammer, US 2840565 (1958 to Merck & Co.). Prepn of crystalline calcium and magnesium salts: Harris et al., US 2832788 (1958 to Merck & Co.). HPLC determn in plasma and urine: D. G. Musson et al., J. Chromatogr. 414, 121 (1987). Comprehensive description: J. W. Lamb, Anal. Profiles Drug Subs. 1, 53-64 (1972); H. A. El-Obeid, A. A. Al-Badr, ibid. 18, 567-597 (1989).

Properties: Crystals, decomp 155-156. [a]D23 +116 (c = 1.17); [a]25546 +137 (c = 5 in 2N NaOH). uv max: 226 nm (E1%1cm 402). Sol in water, slightly sol in methanol, propylene glycol. Aq solns have a pH around 6. Forms salts with acids and bases. Neutral or acid solns are unstable. Aq solns buffered to pH 10 with sodium carbonate can be stored without loss for one week at refrigerator temps.

Optical Rotation: [a]D23 +116 (c = 1.17); [a]25546 +137 (c = 5 in 2N NaOH)

Absorption maximum: uv max: 226 nm (E1%1cm 402)

Therap-Cat: Antibacterial (tuberculostatic).