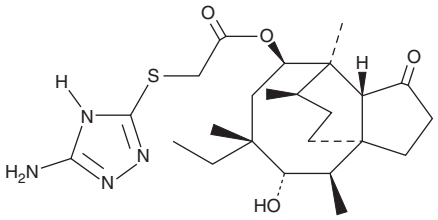
PRODUCT INFORMATION

Azamulin

Item No. 18748

CAS Registry No.:	76530-44-4
Formal Name:	(3aS,4R,5S,6R,8R,9R,9aR,10R)-6-ethyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester 2-[(3-amino-1H-1,2,4-triazol-5-yl)thio]-acetic acid
Synonyms:	Antibiotic TDM 85-530, SA 85530b
MF:	$C_{24}H_{38}N_4O_4S$
FW:	478.7
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Azamulin is supplied as a crystalline solid. A stock solution may be made by dissolving the azamulin in the solvent of choice, which should be purged with an inert gas. Azamulin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of azamulin in these solvents is approximately 30 mg/ml.

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

Description

Azamulin is a selective, irreversible inhibitor of cytochrome P450 (CYP) 3A isoforms (IC_{50} values range from 26 to 240 nM for CYP3A4 and CYP3A4/5 from different sources).[1] It is at least 50-fold less potent against CYP2J2 and 100-fold less effective against all other CYP isoforms.[1] Azamulin potently blocks the hydroxylation of testosterone and midazolam by CYP3A4.[1,2]

References

1. Stresser, D.M., Broudy, M.I., Ho, T., *et al*. Highly selective inhibition of human CYP3A *in vitro* by azamulin and evidence that inhibition is irreversible. *Drug Metab. Dispos.* **32(1)**, 105-112 (2004).
2. Lim, H.-K., Duczak, N.Jr., Brougham, K., *et al*. Automated screening with confirmation of mechanism-based inactivation of CYP3A4, CYP2C9, CYP2C19, CYP2D6, and CYP1A2 in pooled human liver microsomes. *Drug Metab. Dispos.* **33(8)**, 1211-1219 (2005).

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