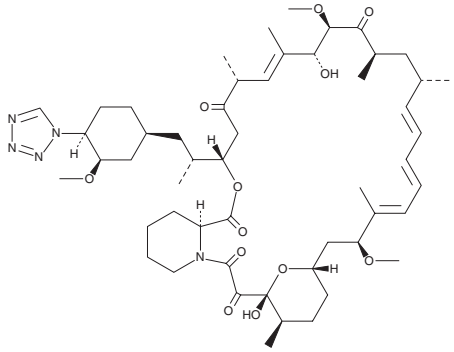
PRODUCT INFORMATION

Zotarolimus

Item No. 29246



CAS Registry No.:	221877-54-9
Formal Name:	(42S)-42-deoxy-42-(1H-tetrazol-1-yl)-rapamycin
Synonyms:	A-179578, ABT-578
MF:	$C_{52}H_{79}N_5O_{12}$
FW:	966.2
Purity:	≥95% (mixture of isomers)
Supplied as:	A 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

Zotarolimus is supplied as a solid. A stock solution may be made by dissolving the zotarolimus in the solvent of choice, which should be purged with an inert gas. Zotarolimus is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of zotarolimus in ethanol is approximately 20 mg/ml and approximately 15 mg/ml in DMSO and DMF.

Zotarolimus is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zotarolimus should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Zotarolimus has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Zotarolimus is a macrocyclic lactone immunosuppressant and a derivative of rapamycin (Item No. 13346).[1,2] It binds to FKBP prolyl isomerase 1A (FKBP12; IC_{50} = 2.57 nM) and inhibits proliferation of human peripheral blood mononuclear cells (PBMCs), rat splenocytes, and human coronary artery smooth muscle cells (IC_{50}s = 7, 1,337, and 0.8 nM, respectively).[2] Zotarolimus has immunosuppressive activity in a one-way mixed lymphocyte reaction using human or rat lymphocytes (IC_{50}s = 1.2 and 1,465 nM, respectively). It also reduces symptom severity in a rat model of experimental autoimmune encephalomyelitis (EAE; ED_{50} = 1.17 mg/kg per day) and delays cardiac allograft rejection in rats (ED_{50} = 3.71 mg/kg per day). Zotarolimus inhibits neointimal formation and reduces stenosis in pig coronary arteries when applied at 10 µg/mm to stainless steel balloon expandable stents with phosphorylcholine in a model of restenosis.[1] Formulations containing zotarolimus have been used in drug-eluting stents in the prevention of restenosis following stent placement.

References

1. Collingwood, R., Gibson, L., Sedlik, S., *et al.* Stent-based delivery of ABT-578 via a phosphorylcholine surface coating reduces neointimal formation in the porcine coronary model. *Catheter Cardiovasc. Interv.* **65(2)**, 227-232 (2005).
2. Chen, Y.-W., Smith, M.L., Sheets, M., *et al.* Zotarolimus, a novel sirolimus analogue with potent anti-proliferative activity on coronary smooth muscle cells and reduced potential for systemic immunosuppression. *J. Cardiovasc. Pharmacol.* **49(4)**, 228-235 (2007).

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