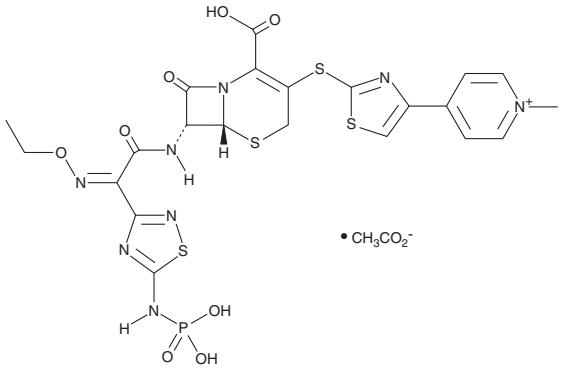
PRODUCT INFORMATION

TAK-599

Item No. 23696

CAS Registry No.:	400827-46-5
Formal Name:	4-[2-[[(6R,7R)-2-carboxy-7-[[(2Z)-2-(ethoxyimino)-2-[5-(phosphonoamino)-1,2,4-thiadiazol-3-yl]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]thio]-4-thiazolyl]-1-methyl-pyridinium, monoacetate
MF:	$C_{22}H_{22}N_8O_8PS_4 \bullet C_2H_3O_2$
FW:	744.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 246 nm
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

TAK-599 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-599 in the solvent of choice, which should be purged with an inert gas. TAK-599 is soluble in the organic solvent DMSO at a concentration of approximately 5 mg/ml.

TAK-599 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-599 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAK-599 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TAK-599 is a prodrug of T-91825, a cephalosporin antibiotic.[1] *In vitro*, TAK-599 inhibits growth of *S. pneumoniae*, *S. aureus*, methicillin-resistant *S. aureus* (MRSA), *E. coli*, and *K. pneumoniae* (MICs = 0.008-2 mg/L).[2] It is rapidly converted to T-91825 in rats and monkeys.[1] TAK-599 has bacteriostatic effects in mice infected with *S. pneumoniae*, *S. aureus*, MRSA, *E. coli*, or *K. pneumoniae* when administered at doses ranging from 0.2-234 mg/kg per day and also decreases the number of CFUs in a mouse model of thigh infection.[2] It exhibits a protective effect against systemic infection by clinical MRSA isolates in mice (ED_{50}s = 1.08-4.81 mg/kg).[3]

References

1. Ishikawa, T., Matsunaga, N., Tawada, H., *et al.* TAK-599, a novel *N*-phosphono type prodrug of anti-MRSA cephalosporin T-91825: Synthesis, physicochemical and pharmacological properties. *Bioorg. Med. Chem.* **11(11)**, 2427-2437 (2003).
2. Andes, D. and Craig, W.A. Pharmacodynamics of a new cephalosporin, PPI-0903 (TAK-599), active against methicillin-resistant *Staphylococcus aureus* in murine thigh and lung infection models: Identification of an in vivo pharmacokinetic-pharmacodynamic target. *Antimicrob. Agents Chemother.* **50(4)**, 1376-1383 (2006).
3. Iizawa, Y., Nagai, J., Ishikawa, T., *et al.* In vitro antimicrobial activity of T-91825, a novel anti-MRSA cephalosporin, and in vivo anti-MRSA activity of its prodrug, TAK-599. *J. Infect. Chemother.* **10(3)**, 146-156 (2004).

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