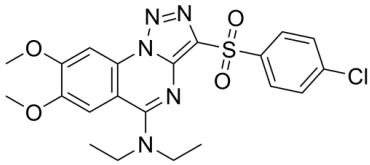
Data Sheet

Product Name:	Targocil
Cat. No.:	CS-8115
CAS No.:	1200443-21-5
Molecular Formula:	$C_{21}H_{22}ClN_5O_4S$
Molecular Weight:	475.95
Target:	Bacterial
Pathway:	Anti-infection
Solubility:	DMSO : 33.33 mg/mL (70.03 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Targocil functions as a bacteriostatic inhibitor of wall teichoic acid (WTA) biosynthesis which can inhibit the growth of methicillin-susceptible ***S. aureus*** (**MSSA**) and methicillin-resistant ***S. aureus*** (**MRSA**) with **MIC_{90}**s of 2 μg/ mL for both MRSA and MSSA. IC50 & Target: MIC90: 2 μg/mL (MSSA), 2 μg/mL (MRSA)[1] ***In Vitro:*** MICs of Targocil against ***S. aureus*** strains Newman, MW2, MG2375, and MG2389 are 1 μg/mL for all strains. Targocil shows excellent activity against ***S. aureus*** isolates from suspected cases of bacterial keratitis, including both MSSA and MRSA isolates, with MICs that range from 1 to 2 μg/ mL. Targocil, a derivative of 1835F03, exhibits better activity against all keratitis isolates than the original lead compound, 1835F03. Bovine serum exhibits a detectable but moderate inhibitory effect on the ***in vitro*** antimicrobial activities of both 1835F03 and Targocil, increasing the MICs of both by 4- to 8-fold. Compare to the vehicle alone, Targocil at 5 μg/mL exhibits little toxicity for HCECs, even after 24 h of exposure. However, 40 μg/mL Targocil shows toxicity at all time points tested. Targocil at levels equal to 10×MIC ***in vitro*** readily inhibits growth of Newman and MG2375 in the presence of HCECs[1]

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: Compounds 1835F03 and Targocil are dissolved in 100% dimethyl sulfoxide (DMSO) and stored at -20°C. Final concentrations of DMSO are adjusted to 1% in all assays (vehicle controls consist of 1% DMSO in the appropriate medium).[1]Log-phase strains MG2375, MG2389, Newman, and MW2 are collected and adjusted to a concentration of 2×10^8 CFU/mL. After the treatment of bacterial cultures with Targocil at 10×MIC for 1 h, the cells are diluted 1:1,000 in fresh medium and then incubated and plated at the appropriate time points for viability determination. The postantibiotic effect (PAE) is calculated by the standard equation ***T-C***, where ***T*** is the time required for the CFU count in the test culture to increase 10-fold above the count observed immediately after drug removal, and ***C*** is the time required for the count of the untreated control to increase 10-fold under the same conditions[1].

References:

[1]. Suzuki T, et al. In vitro antimicrobial activity of wall teichoic acid biosynthesis inhibitors against Staphylococcus aureus isolates. Antimicrob Agents Chemother. 2011 Feb;55(2):767-74.

CAIndexNames:

[1,2,3]Triazolo[1,5-a]quinazolin-5-amine, 3-[(4-chlorophenyl)sulfonyl]-N,N-diethyl-7,8-dimethoxy-

SMILES:

COC1=CC2=C(C=C1OC)C(N(CC)CC)=NC3=C(S(=O)(C4=CC=C(Cl)C=C4)=O)N=NN32

Caution: Product has not been fully validated for medical applications. For research use only.

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