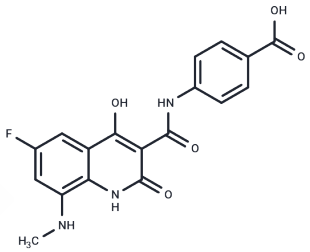
Data Sheet (Cat.No.T61481)

TargetMol

TP0480066

Chemical Properties



CAS No. : 2245693-15-4

Formula: C18H14FN3O5

Molecular Weight: 371.32

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TP0480066, a selective topoisomerase II inhibitor, demonstrates excellent inhibitory activity against DNA gyrase (IC50 = 1.10 nM) and topo IV (IC50 = 62.89 nM). This compound exhibits potent efficacy against multiple bacterial species, including drug-resistant strains. Moreover, TP0480066 displays significant inhibitory activity against N. gonorrhoeae, making it suitable for research on gonorrhea [1] [2].
Targets(IC50)	Others,Antibacterial,Topoisomerase
In vitro	TP0480066 (compound 32) has demonstrated notable antimicrobial efficacy within concentrations of 0-2048 μg/mL over 18-24 hours, showcasing robust activity against a variety of bacterial species, including drug-resistant strains like MRSA (n=24), gPRSP (n=30), and VRE (n=34), as well as against Clostridioides difficile. Moreover, within a 24-48 hour timeframe, TP0480066 has shown potent activity against N. gonorrhoeae, including those strains exhibiting decreased susceptibility or resistance to existing antimicrobial agents. Specifically, at concentrations of 1.25×10^-4, 5×10^-4, and 2×10^-3 μg/mL over 24 hours, it displayed effective time-kill activity against N. gonorrhoeae ATCC 49226, significantly reducing its viable counts. Cell viability assays further confirmed TP0480066's broad-spectrum antimicrobial potential, illustrating favorable activities against clinically isolated methicillin-resistant S. aureus, vancomycin-resistant enterococci (including E. faecium and E. faecalis), and genotype penicillin-resistant S. pneumonia with minimum inhibitory concentration (MIC) ranges indicative of high efficacy. Additionally, against various N. gonorrhoeae strains, including ATCC and NCTC lines, TP0480066 exhibited significant antimicrobial activities at exceptionally low MIC values, highlighting its potential as a formidable agent against both standard and drug-resistant bacterial pathogens.
In vivo	Administered subcutaneously at a dose of 100 mg/kg, TP0480066 demonstrates significant pharmacokinetic parameters in female Slc:ICR mice, achieving a peak concentration (C max) of 12400 ng/mL at 0.250 hours (T max), with a half-life (t 1/2) of 6.79 hours, and an area under the concentration-time curve (AUC) from 0 to 24 hours of 16000 ng×h/mL. Additionally, in a dose-dependent manner, TP0480066, at dosages of 1, 3, 10, 30, and 100 mg/kg, markedly inhibits the growth of N. gonorrhoeae ATCC 49226 and NCTC 13479 in female BALB/c mice with genital tract infections, showing significant effectiveness at 30 and 100 mg/kg doses. These outcomes are drawn from studies employing subcutaneous injections in single doses across different concentrations, highlighting TP0480066's potential against N. gonorrhoeae infections.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6931 mL	13.4655 mL	26.9309 mL
5 mM	0.5386 mL	2.6931 mL	5.3862 mL
10 mM	0.2693 mL	1.3465 mL	2.6931 mL
50 mM	0.0539 mL	0.2693 mL	0.5386 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.