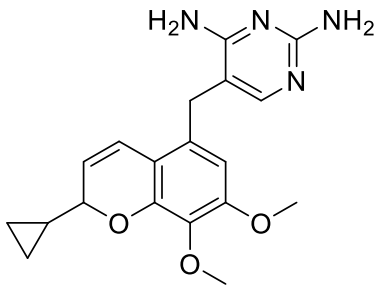
Product data sheet

MedKoo Cat#: 326859 Name: Iclaprim CAS: 192314-93-5 Chemical Formula: $C_{19}H_{22}N_4O_3$ Exact Mass: 354.1692 Molecular Weight: 354.41	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Iclaprim, also known as AR-100; RO-48-2622; AR-100.001; RO-482622, is a diaminopyrimidine dihydrofolate reductase (DHFR)-inhibiting extended-spectrum antibiotic active against gram positive organisms being developed for the treatment of complicated skin and soft tissue infections caused by antibiotic-resistant bacteria. It is structurally related to trimethoprim. In vitro, iclaprim is highly active against MRSA, vancomycin-resistant Staphylococcus aureus (VRSA), strains of Streptococcus pneumoniae resistant to several common antibiotics, and some Gram-negative bacteria.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	30.0	84.65

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.82 mL	14.11 mL	28.22 mL
5 mM	0.56 mL	2.82 mL	5.64 mL
10 mM	0.28 mL	1.41 mL	2.82 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Bryant AE, Gomi S, Katahira E, Huang DB, Stevens DL. The effects of iclaprim on exotoxin production in methicillin-resistant and vancomycin-intermediate Staphylococcus aureus. J Med Microbiol. 2019 Mar;68(3):456-466. doi: 10.1099/jmm.0.000929. Epub 2019 Jan 24. PMID: 30676310; PMCID: PMC6580997.
2. Schneider P, Hawser S, Islam K. Iclaprim, a novel diaminopyrimidine with potent activity on trimethoprim sensitive and resistant bacteria. Bioorg Med Chem Lett. 2003 Dec 1;13(23):4217-21. doi: 10.1016/j.bmcl.2003.07.023. PMID: 14623005.

In vivo study

1. Huang DB, Noviello S, Gemmell CG. Iclaprim reduces the incidence and severity of Staphylococcus aureus-induced septic arthritis in a murine model. Access Microbiol. 2019 Aug 20;1(7):e000052. doi: 10.1099/acmi.0.000052. PMID: 32974543; PMCID: PMC7481738.

7. Bioactivity
Biological target:

Product data sheet

Iclaprim is a new selective bacterial Dihydrofolate inhibitor, which can inhibit the growth of S. aureus (MRSA) with an MIC90 of 0.06 μg/mL.

In vitro activity

Iclaprim, but not trimethoprim, potently increased expression of hla (Fig. 5c). However iclaprim was more effective at suppressing production of alpha haemolysin than the other antibiotics tested (Fig. 5d).

Reference: J Med Microbiol. 2019 Mar;68(3):456-466. https://pubmed.ncbi.nlm.nih.gov/30676310/

In vivo activity

In addition, there was a numerically lower incidence of septic arthritis among mice treated with iclaprim (10–19% in treated mice compared with 29% in the infected control) at day 3.

Reference: Access Microbiol. 2019 Aug 20;1(7):e000052. https://pubmed.ncbi.nlm.nih.gov/32974543/