Research Journal of Pharmacy and Technology

ISSN

0974-360X (Online)
0974-3618 (Print)

Search for New Antimicrobials among Arylsulfonyl Fluoroquinolone Derivatives (AbstractView.aspx?PID=2026-19-6-35) (https://scholar.google.co.in/scholar?q=Search for New Antimicrobials among Arylsulfonyl Fluoroquinolone Derivatives)

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DOI: 10.52711/0974-360X.2026.00378 (https://doi.org/10.52711/0974-360X.2026.00378) (https://scholar.google.co.in/scholar?q=10.52711/0974-360X.2026.00378)

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Published In: **Volume** - 19, **Issue** - 6, **Year** - 2026 **(Issues.aspx?VID=19&IID=6)**

(https://badge.dimensions.ai/details/doi/10.52711/0974-360X.2026.00378?domain=https://www.rjptonline.org)

ABSTRACT:

In the purposeful search of novel active antimicrobials to combat the problem of resistance to antibiotics, a series of 1-substituted 7-(R2',R2''-amino)-6-fluoro-3-(arylsulfonyl)quinolin-4(1H)-ones was synthesized. Arylsulfonyl moiety was chosen as a potent pharmacophore that can be introduced via convenient synthetic procedures into the fluoroquinolone scaffold. The latter is promising in terms of chemical modifications and our previous successful experience was based on the utilization of norfloxacin and ciprofloxacin as basic units. The novel compounds were obtained with moderate yields and their structure was confirmed by modern instrumental methods. Furthermore, the preliminary docking studies with such biotargets as PDB ID: 2XCR, 4KPF revealed promising molecules against Gram-positive microorganisms. The presence of a Fluorine atom in the 6th position, the introduction of aromatic or heterocyclic substituents in the 3rd position and modification of the molecule with a phenylsulfonyl residue in the 4th position of the quinoline skeleton, as well as saturation of the molecules with both donor and acceptor substituents in the phenylsulfonyl fragment were considered promising according to the docking results. The antibacterial activity was also investigated in vitro by a microdilution broth method on a panel of four Gram-positive and four Gram-negative bacterial strains. Lower solubility of the substances decreased the results compared to the previous investigations.

Keywords: Antibacterial activity () Docking () Fluoroquinolones () Synthesis () Resistant strains. ()

Cite this article:
Halyna Hryhoriv, Sergiy M. Kovalenko, Lyudmila Sidorenko, Lina Perekhoda, Michaela Hympanova, Jan Marek, Volodymyr Fetyukhin, Victoriya Georgiyants. Search for New Antimicrobials among Arylsulfonyl Fluoroquinolone Derivatives. Research Journal Pharmacy and Technology. 2026;19(6):2643-1. doi: 10.52711/0974-360X.2026.00378

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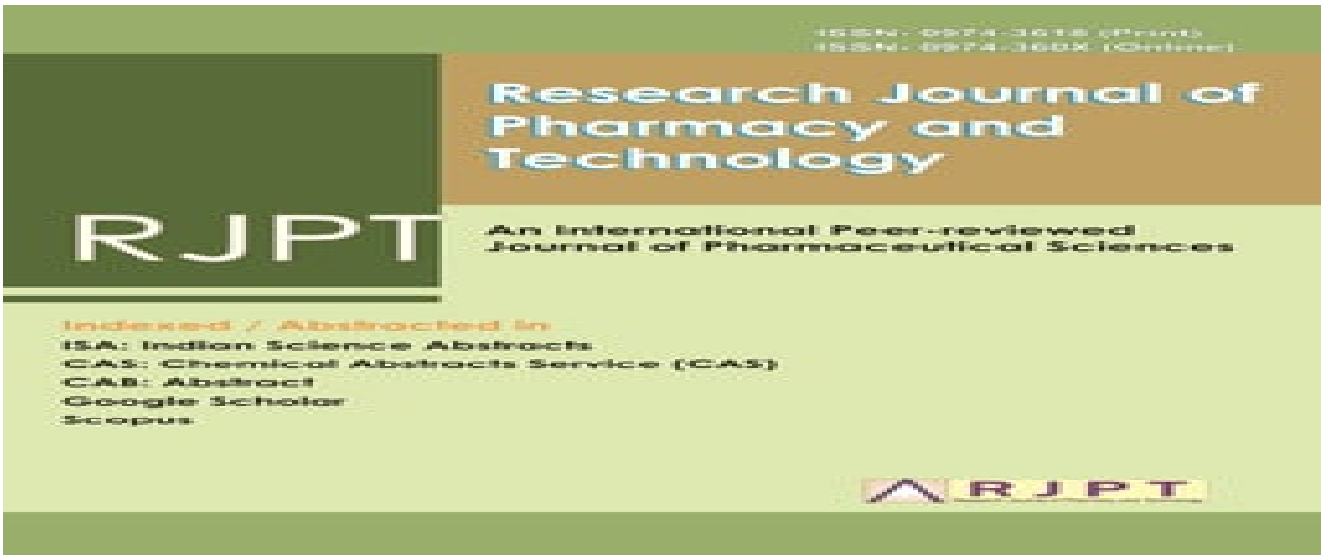

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