MerLion's Finafloxacin Shown to be More Efficacious than Ciprofloxacin in the Treatment of Complicated Urinary Tract Infections

Phase 2 data presented at International Congress of Chemotherapy and Infection

Singapore, 20 September 2015 - MerLion Pharmaceuticals ("MerLion") today presented detailed data from its Phase 2 study in patients hospitalized with complicated urinary tract infections (cUTI) and pyelonephritis showing that a five day course of its novel antibacterial, finafloxacin, was more effective than treatment with the current standard of care (ciprofloxacin).

The results were presented at the joint Interscience Conference of Antimicrobial Agents and Chemotherapy (ICAAC) and International Society of Chemotherapy (ISC) International Congress of Chemotherapy and Infection (ICC), currently taking place in San Diego.

Data from the phase 2 study indicate that patients treated with a five day course of finafloxacin had a higher, more rapid and more sustained level of microbiological eradication and improved clinical outcomes than those treated with ciprofloxacin taken twice daily for 10 days. The trial's primary and secondary endpoints were all successfully achieved. Finafloxacin was found to be both safe and tolerable, with only a small number of class-typical adverse events observed.

Safety and efficacy of finafloxacin were investigated in a double-blind, double-dummy phase 2 study at 18 sites in Poland and Germany. In total, 225 adult patients diagnosed with cUTI and acute pyelonephritis were randomized to receive finafloxacin (800 mg once daily intravenously ("IV") or orally) either for a total of five ("FINA Five Days") or 10 days ("FINA 10 Days") or the current standard of care, ciprofloxacin (400 mg IV twice a day or 500 mg orally twice a day) for 10 days ("CIPRO 10 Days"), with a potential switch from initial IV to oral administration on day three or later. The most common pathogens in the study were the Gram-negative *Escherichia coli* and *Klebsiella pneumoniae*.

The study primary endpoint, known as Test of Cure, assessed the combined microbiological eradication and resolution of clinical symptoms at day 17 (the regulatory time-defined endpoint). For finafloxacin, the Test of Cure was 70% when dosed for five days or 68% when dosed for 10 days, compared to 57% for ciprofloxacin dosed for 10 days. In addition, FINA Five Days did not result in an increased rate of relapses on day 24 when compared to either CIPRO 10 Days or FINA 10 Days.

80% of the patients in the study had urine with pH below 7. Finafloxacin activity was not affected by urine pH where, in the mITT population, within three days of starting treatment 88% bacterial eradication was seen in patients with urine pH <7 (n=102) and 92% eradication in those with urine pH ≥ 7 (n=25). In comparison, the antibacterial activity of ciprofloxacin was negatively influenced by acidic urine (73% eradication at pH <7 (n=45) vs. 92 % at pH ≥ 7 (n=12)). Finafloxacin also showed very rapid activity against ciprofloxacin-resistant, as well as ESBL-producing, pathogens.

"These clinical data continue to support finafloxacin's potential as a potent antibiotic to treat cUTI infections," said David Dally, CEO of MerLion. "Given its very rapid activity against a wide variety of bacterial pathogens, including multidrug-resistant Gram-negative bacteria, and its potential as an IV-to-oral switch therapy, we believe that finafloxacin will offer physicians additional options when treating bacterial infections."

Prof. Dr. Kurt Naber (Technical University Munich, Germany) stated: "The data from this trial provides clear differentiation of finafloxacin from existing fluoroquinolones and from other antibiotics including those in development. The safety and tolerability profile will allow high once-daily dosing and it has an excellent PK profile and bioavailability. Importantly, the very rapid and sustained bactericidal effects of the product result in a low propensity for development of resistance which is a key characteristic. I am convinced that finafloxacin could become an important option in modern antibiotic therapy."

- Ends –

Contacts:
MerLion:
David Dally, CEO
Tel: +65 6778 5700
E-mail: enquiry@merlionpharma.com

Instinctif Partners (media relations):
Sue Charles / Gemma Howe
Tel: +44 20 7866 7860
E-mail: merlion@instinctif.com

About MerLion Pharmaceuticals

MerLion Pharmaceuticals Pte Ltd is a biopharmaceutical company focused on developing its antibacterial candidate, finafloxacin. MerLion is a privately held company supported by a group of leading global investors including Aravis Venture Partners, Bio*One Capital (a subsidiary of EDBI), Heidelberg Capital and Nomura Research & Advisory. MerLion is headquartered in Singapore with clinical development operations in Berlin, Germany. For more information please visit www.merlionpharma.com

About Finafloxacin

Finafloxacin is a fluoroquinolone antibiotic that demonstrates a substantially improved therapeutic profile over the existing gold standard and greater utility in treating many severe infections, including those caused by a number of resistant Gram-negative pathogens. This superior profile is a result of finafloxacin's unique chemical structure: in the hostile acidic conditions found at the sites of nearly all infections there is a substantially higher take-up and accumulation of finafloxacin in bacterial cells, as well as superior binding of the molecule to the two fluoroquinolone targets. Most other antibiotics, including other fluoroquinolones, have decreased activity in these acidic conditions where their effectiveness is most needed.

MerLion has developed IV and oral formulations of finafloxacin with equivalent bioavailability, offering physicians the choice of initially treating infections in hospital or at out-patient infusion centres for one to three days with the IV regimen, then allowing patients to complete their treatment at home; reducing the risk of complications and/or secondary infections.

About complicated urinary tract infections

Complicated urinary tract infections are defined as a clinical syndrome characterized by pyuria and a documented microbial pathogen on culture of urine or blood, accompanied by local and systemic signs and symptoms, including fever, chills, malaise, flank pain, back pain, and/or costo-vertebral angle pain or tenderness. Patients with pyelonephritis (inflammation of the kidney tissue, calyces, and renal pelvis) are considered a subset of patients with cUTIs.

In the United States, urinary tract infections account for nearly seven million office visits, a million emergency department visits, and one hundred thousand hospitalizations every year. The cost of these infections is significant both in terms of lost time at work and costs of medical care.

Urinary tract infections are the most frequent bacterial infection in women, with 10% getting an infection yearly and 60% having an infection at some point in their lives. Recurrences are common, with nearly half of people getting a second infection within a year. Urinary tract infections occur four times more frequently in females than males. Urinary tract infections account for approximately 40% of hospital acquired infections.

About ESBL-producing bacteria

ESBL-producing bacteria are strains of various Gram-negative pathogens that produce extended-spectrum ß-lactamase enzymes, a key resistance mechanism that has emerged, making them resistant to the β-lactam class of antibiotics (which includes penicillins, cephalosporins and monobactams).