

A New Class of Antibiotics

Independent of all Known Mechanisms of Resistance

BKG1428 is the first in a new class of small molecule antibiotics with a novel mechanism of action. BKG1428 has potent antibacterial activity and also exhibits strong synergism with traditional antibiotics. BKG1428 therefore has the potential to be developed as a monotherapy or combination product.

Antibiotic Resistance

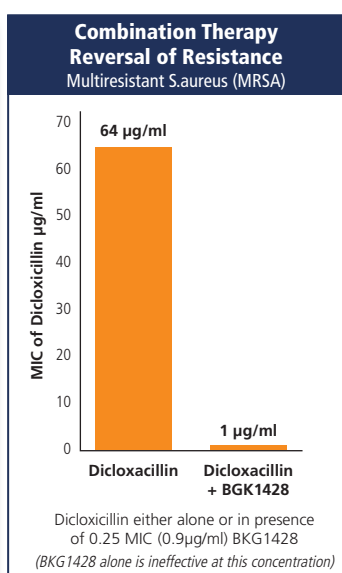
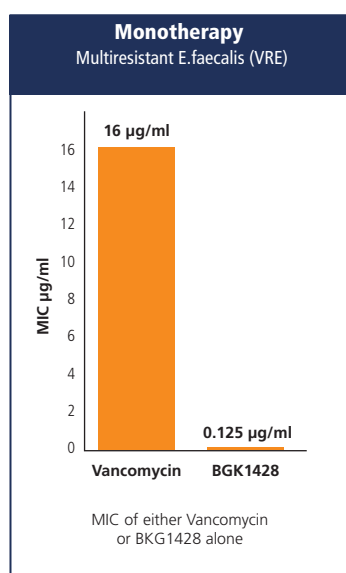
The World Health Organisation has identified infections caused by resistant bacteria as the world's number one disease priority¹. New classes of antibiotic are urgently needed to combat this growing threat.

BKG1428 Compound Highlights

BKG1428 has potent activity against the most clinically relevant strains of multi-resistant gram-positive bacteria, including:

- Enterococci (VRE): MIC 0.3 - 0.6µg/ml
- Streptococcus pneumoniae (PSSP, PRSP): MIC 1.8-3.6µg/ml
- Staphylococcus aureus and coagulase-negative staphylococci (MRSA, GISA, MSS): MIC 2.4-4.8µg/ml

BKG1428 also exhibits strong synergism with traditional antibiotics. Sub-MIC concentrations of BKG1428 re-sensitise highly resistant isolates of MRSA; GISA; MRSE and GISE to the beta-lactam antibiotics, enhancing their activity up to 256 fold and reducing the MIC to therapeutically active values of < 2 µg/ml.



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Efficacy

Testing performed in accordance with current international guidelines (CLSI).

In Vitro

- Broad spectrum activity against both gram-positive bacteria and gram-negative bacteria.
- Potent activity against intracellular bacteria.
- Novel mode-of-action; independent of all known resistance mechanisms.
- Reverses resistance to traditional antibiotics.

In Vivo

- Efficacious in models of lung, skin and peritoneal infection at doses <NOAEL.
- Strong synergistic effect in combination with penicillin or fusidic acid.
- Prevents the development of resistance to traditional antibiotics.

Pharmacokinetics

- Long half-life with a range of 6.5 – 20.5 hr.
- High bioavailability: 31% – 67%.
- Oral/i.v. switch capability.

Safety

7 day toxicology study in 2 species:

- Well tolerated with no signs of acute toxicity.

Patents

Strong patent position covering composition of matter and the use of these compounds alone and in combination with traditional antibiotics.

Additional Information

BKG1428 is the lead compound in this new chemical class of antibiotic. Further compounds are available which also exhibit potent antibacterial activity which could be developed as additional or follow-on compounds.

¹ http://whqlibdoc.who.int/HQ/2004/WHO_EDM_PAR_2004.7.pdf

