

Co-crystal improves the water-solubility of active ingredient of aspirin

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The active ingredient in aspirin, ASA, is poorly soluble in water. A co-crystal changes that. Credit: RUB, Marquard

For decades, researchers have been looking for ways to make the active ingredient acetylsalicylic acid (ASA)—the active ingredient of

aspirin—more water-soluble. It's used not only as pain relief, but also to prevent the formation of life-threatening blood clots in heart attacks and strokes. The faster the drug works, the better.

A team from the Inorganic Chemistry Department at Ruhr University Bochum, Germany, headed by Dr. Klaus Merz has now succeeded in combining the active ingredient with an ineffective excipient to form a co-crystal that makes it more water-soluble and thus more effective. Ruhr University Bochum has applied for a European patent for this novel process.

Alongside the development of new active pharmaceutical ingredients, improving the properties of established [pharmaceutical products](#) is a specialist area of research. One way to improve properties is through the clever design of crystalline substances known as co-crystals. In addition to the active ingredient, they contain molecules of other substances, so-called co-formers, which are arranged in a well-structured manner in the crystalline material.

"Picture these two substances like a married couple: they're always next to each other, but they aren't firmly connected," says Klaus Merz. "If the co-crystal comes into contact with water, the bond dissolves and the active ingredient is released, while the excipient is degraded or excreted."

When the water solubility of ASA is improved in this way, the active ingredient is of particular interest, because it's used as a platelet aggregation inhibitor: It promotes [blood flow](#) and reduces the risk of thrombosis. In patients with suspected [myocardial infarction](#), ASA is used for immediate treatment. Early administration of ASA reduces mortality by a quarter.

"The substance patented here, which contains the active ingredient ASA,

is significantly more water-soluble than [conventional drugs](#) and ensures that the [active ingredient](#) is absorbed more quickly into the bloodstream and can consequently take effect more quickly," says Klaus Merz.

Provided by Ruhr-Universitaet-Bochum

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