

# Kollicoat® – Designed to be safe

The coating polymer portfolio for today's  
and future formulation challenges

Fabio-Luis Ikuno,  
Philip Butler,  
two enablers in excipients

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 **BASF**  
The Chemical Company

**With Kollicoat® coating polymers, you can be sure of achieving your project target.**



**“Smart design is what makes it possible to incorporate easy handling and superior performance in safe and reliable products.” ...**

... says Philip Butler, Technical Sales Manager at BASF, who has been in the industry for many years. BASF’s decades of experience in and commitment to the pharmaceutical industry are the basis for all our Kollicoat® coating products. And this does not only mean outstanding performance and easy handling – most importantly, it means that you can rely on Kollicoat® in every step of the process – from formulation development and registration to scale-up and production.

## Innovation and expertise you can rely on

### Designed to be safe

BASF is and has always been committed to products that are safe and sustainable. This dedication holds true even more for our pharmaceutical excipients. Today, most of our products are already used in end products around the world. And naturally, all of them have passed every necessary test and study and are certain to fulfil this fundamental need.

### Committed to reliable supply and support

We understand the relationship between our customers and us as a long-term partnership – hence we commit ourselves to being your reliable supplier – from support by our regional teams during your development phase to routine product delivery.

Designed to be safe

Committed to reliable supply and support

Safe and easy handling and processing

Smart design for cutting-edge performance



### Safe and easy handling & processing

Kollicoat® polymers are safe and extremely easy to handle. Imagine redispersing your coating polymer or color system quickly and easily – without lumps, unpleasant smells or organic solvents. Or imagine creating your individual instant release color coating from only a few Kollicoat® base colors – based on a precise recipe that you create by just scanning your target color. And all Kollicoat® polymers are easily dispersible in water. That's how simple it can be – with Kollicoat®.



### Smart designs for cutting-edge performance

The Kollicoat® portfolio is the best-performing coating polymer portfolio in the world. Based on our world-leading polymer expertise, we have designed our products not only to be safe, but at the same time to be the benchmark for effectiveness, efficiency and ease of use. Our commitment is to provide the ideal formulation solutions that industry needs, today and in the future.

## Protective / taste masking

1

Kollicoat® Protect  
Kollicoat® Smartseal 30D

## Instant release

2

Kollicoat® IR  
Kollicoat® IR Coating Systems

## Enteric release

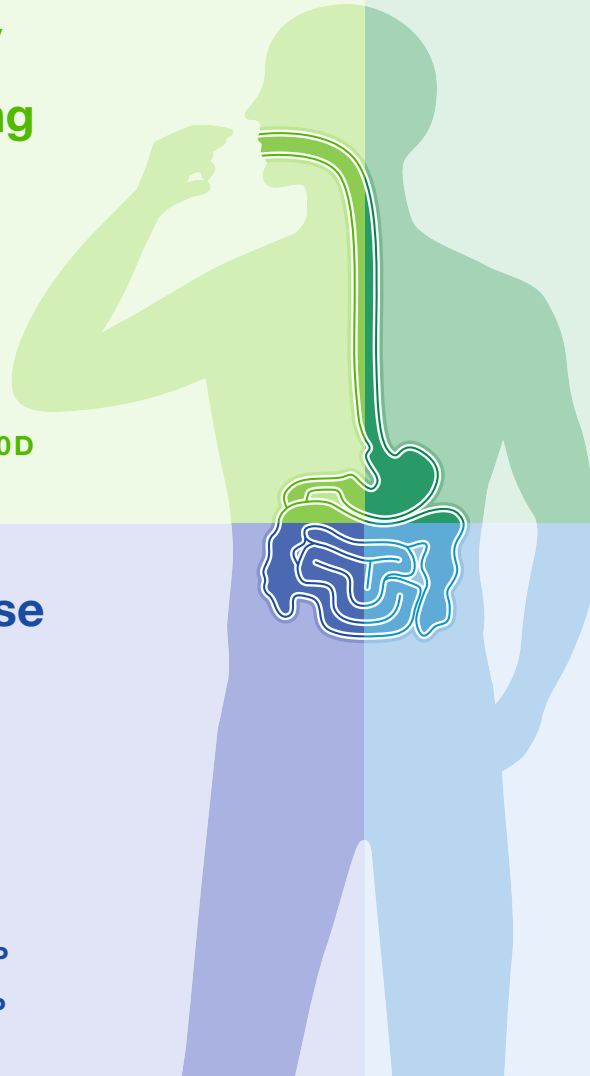
3

Kollicoat® MAE 30DP  
Kollicoat® MAE 100P

## Sustained release

4

Kollicoat® SR 30D



## Product highlights

### Protective / taste masking

#### Kollicoat® Protect

- Water soluble polymer combination for moisture protection
- Easy formulation, no plasticizer required
- Defect rate reduction through robust processability
- Facilitates operations scale-up and smooth transfer

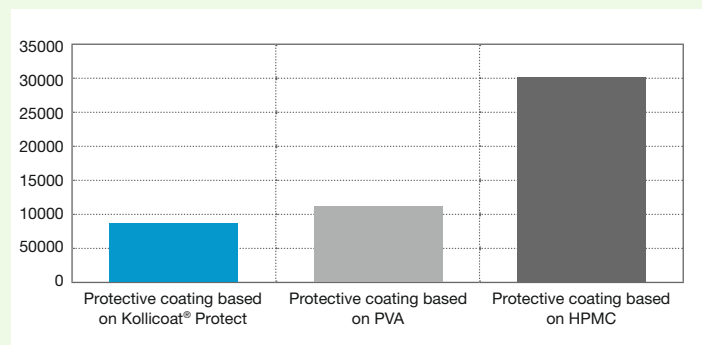
Kollicoat® Protect is a combination of water-soluble Kollicoat® IR and Polyvinyl alcohol. In combination with pigments, it is highly impermeable to water. This means strong, reliable protection for active ingredients. Within production processes, this highly flexible film former requires no plasticizer and is robust during handling. The spraying solution can contain up to 20 % solids, making it exceptionally economical in manufacturing.

#### Registrations

- Ph. Eur – Compendial components
- USP / NF – Compendial components
- JP – under preparation
- IIG\* listing – FDA approved, database listing expected Q3 2012
- Self-affirmed GRAS – expected 2012

\* IIG: US FDA's Inactive Ingredient Search for Approved Drug Products  
<http://www.accessdata.fda.gov/scripts/cder/iig/index.cfm>

#### Water vapor permeation [g $\mu$ m / (m<sup>2</sup> bar day)]

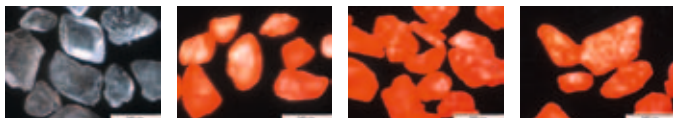


The optimized Kollicoat® Protect formulation has a water vapor permeability that is equally as low as a commercially available PVA-based product.

## Kollicoat® Smartseal 30 D

- Smart protection from unpleasant tastes
- Effective sealing against moisture
- Fast release of active ingredients
- Easy and economical film coating

### Effective coating of particles – i.e. for orally disintegrating tablets



Uncoated

7,5%

15%

30%

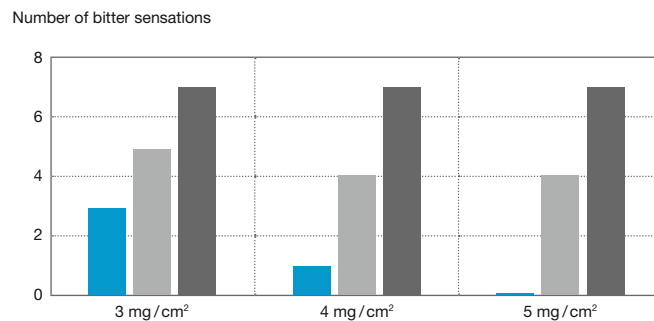
Kollicoat® Smartseal 30D's unique processability allows effective coating of pellets and particles for advanced dosage forms like ODTs. No sticking of particles and no unpleasant smells ensure successful scale-up.

### Registrations

- New polymer – registrations in preparation

Kollicoat® Smartseal 30D is the first aqueous dispersion of a protective polymer, enabling formulators to easily conceal unpleasant tastes or create an effective moisture barrier. It is highly stable in saliva and immediately soluble in gastric juice, ensuring reliable functionality and rapid onset of action of active ingredients. Kollicoat® Smartseal 30D is easy to handle and convenient to apply – and with its high spray concentration capability, it even allows significant cost savings through reduced process times.

### Quinine hydrochloride tablet taste panel



Kollicoat® Smartseal 30 D Methacrylate based formulation HPMC based coating system

Kollicoat® Smartseal 30 D allows effective taste masking, even with low volumes of coating.

## Product highlights

### Instant release

#### Kollicoat® IR

- Highly flexible film through integrated plasticiser
- High pigment loading capacity
- Easy to formulate & process
- Significant process time reduction --> cost saving

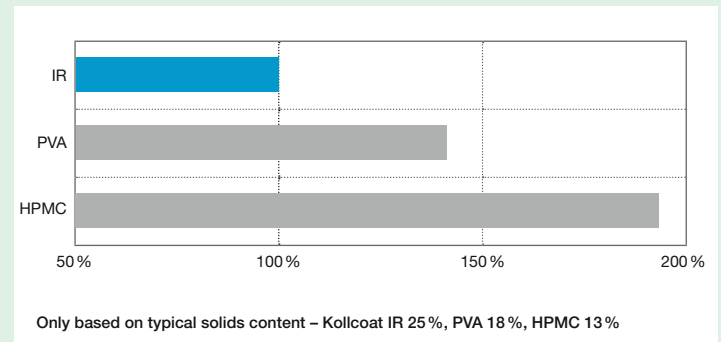
1st generation	Cellulose-based coatings	(-) High viscosity (-) Plasticizer needed (-) Easy formulation
2nd generation	PVA-based coatings	(+) Low viscosity (-) Plasticizer needed (o) Easy formulation
3rd generation	Kollicoat® IR	(+) Low viscosity (+) No plasticizer needed (+) Easy formulation

#### Registrations

- Ph. Eur – Macrogol poly(vinyl alcohol) grafted copolymer
- USP / NF – Ethylene glycol and vinylalcohol graft copolymer
- JPE – listing announced (with next update)
- TGA (Australia) – approved
- IIG listing – FDA approved, database listing expected Q3 2012
- Self-affirmed GRAS – expected 2012

Kollicoat® IR is a polyvinyl alcohol-polyethylene glycol graft copolymer. It is the water-soluble, film-forming agent ideal for manufacturing instant-release coatings for solid dosage forms, as well as for other applications like drug-layering and binding. It is robust yet flexible and its high pigment binding capacity means quick and easy spraying. The result is a highly reliable, cost-effective process that produces coatings of excellent appearance every time.

#### Significant process time reduction with Kollicoat® IR (Kollicoat® IR = 100 %)



Significantly accelerated coating process through low viscosity and consequent high solids content in spraying suspension.



## Kollicoat® IR Coating Systems

- Easy color creation based on only seven colors
- Higher production efficiency and reduced complexity
- Fast re-dispersion and easy product handling
- Immediate availability of color samples

Create your own colors – any color shade you can imagine from only seven base colors – and benefit from instant and accurate on-site color matching. With Kollicoat® IR Coating Systems, you can create different colors easily while achieving perfect color matches with existing tablet colors.

Kollicoat® IR Coating Systems are a solid dispersion of pigments in the Kollicoat® IR polymer matrix and can thus be re-dispersed easily. It is also simple to change colors in production, saving time and improving processes.

## Registrations

- Ph. Eur – Kollicoat® IR, Kollidon VA 64, Kaolin, Iron oxides, SLS\*
- USP – Kollicoat® IR, Kollidon VA 64, Kaolin, SLS\*
- TGA (Australia) – approved
- IIG listing – FDA approved, database listing expected Q3 2012 (Kollicoat® IR)
- Self-affirmed GRAS – expected 2012 (Kollicoat® IR)

\* Sodium Lauryl Sulfate

## Kollicoat® IR Coating Systems Redispersion (example: Brilliant Blue)



Among other benefits, the simple and fast redispersion of Kollicoat® IR Coating Systems allows a very easy preparation process.

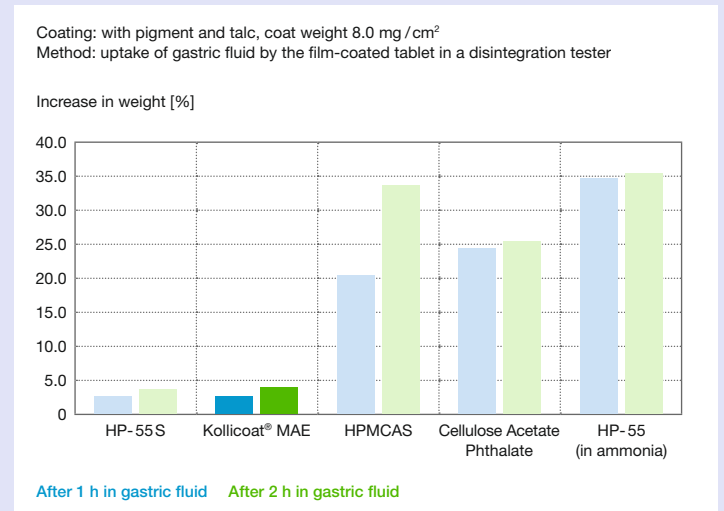
## Product highlights

### Enteric release

#### Kollicoat® MAE 30DP & 100P

- Excellent gastric resistance
- Efficient and easy processability
- Fast release at pH values >5.5
- Applicable for tablets, capsules, pellets and granules

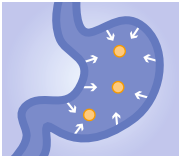
Kollicoat® MAE 30DP and 100P are based on methacrylic acid-ethyl acrylate copolymer (1:1), and effectively shield sensitive active ingredients from aggressive gastric juices – making sure that they are released in the right place in the intestine. Both grades are superior film-forming agents and offer extremely high quality and reliability.



Kollicoat® MAE grades reliably protect the dosage from release in the gastric fluid.

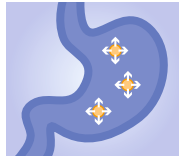
## Application examples

### Protection of gastro-sensitive active ingredients



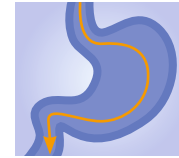
e. g. proton-pump inhibitors, enzymes, peptides, antibiotics

### Protection of gastric mucosa from aggressive actives



e. g. COX-1-inhibitors, iron compounds, acetylsalicylic acid, diclofenac-sodium

### Gastrointestinal targeting



e. g. budesonide, clarithromycin, doxazosin, pancreatic enzymes

## Registrations

### Kollicoat® MAE 30DP

- Ph. Eur – Methacrylic acid – ethyl acrylate copolymer (1:1) dispersion (30%)
- USP/NF – Methacrylic acid copolymer dispersion
- JP – Methacrylic acid copolymer LD
- IIG listing – Methacrylic Acid – Ethyl Acrylate Copolymer (1:1) Type A and Methacrylic Acid Copolymer Type C

### Kollicoat® MAE 100P

- Ph. Eur – Methacrylic acid – ethyl acrylate copolymer (1:1) type B
- USP/NF – Partially neutralized methacrylic acid and ethyl acrylate copolymer

## Product highlights

### Sustained release

#### Kollocoat® SR 30D

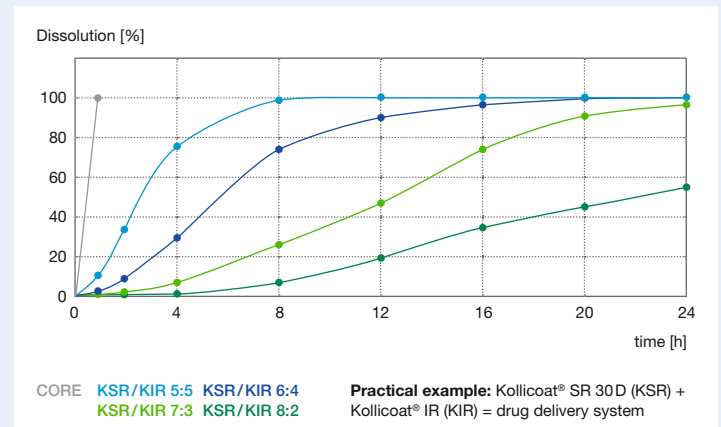
- **Reliable and pH-independent sustained release effect**
- **First aqueous-based polyvinyl acetate dispersion**
- **High resistance to mechanical stress**
- **New possibilities in life cycle management**

Kollocoat® SR 30D is an aqueous dispersion of polyvinyl acetate. No matter what the dosage form, Kollocoat® SR 30D enables pH-independent release of the active ingredient, with precisely the delay required. Furthermore, it has high binding capacity and excellent film forming properties. The dispersion is of low viscosity and not sticky. Kollocoat® SR 30D simplifies production and ensures an exceptionally flexible coating of high reproducibility.

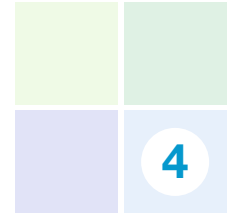
#### Registrations

- Ph. Eur – Poly(vinyl acetate) dispersion (30 %)
- USP/NF – Polyvinyl acetate dispersion
- IIG listing – Polyvinyl acetate
- PMDA (Japan) – approved

#### Dissolution graph

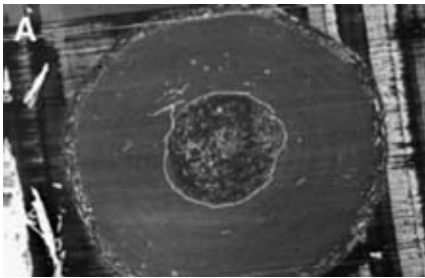


Kollocoat® SR 30 D allows tuning of the desired release profile.



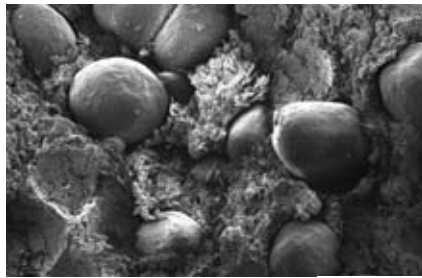
**Kollocoat® SR 30 D's mechanical properties allow application and reliable performance in multiple formulation types:**

**Film coating for extruded or drug layered pellets**



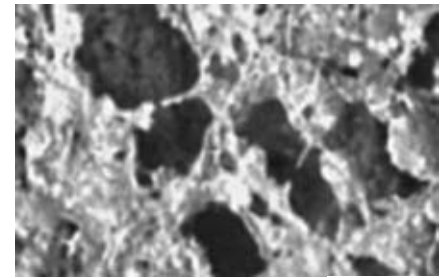
New insight into modified release pellets – Internal structure and drug release mechanism; Ensslin et al.: J Controlled Rel. 128 (2008), 149-156

**Coating and compression of multi particulate single units into tablets**



Compression of pellets coated with various aqueous polymer dispersions; Dashevsky et al. (Freie Universität Berlin, BASF), 2004

**Granulation and compression of non erodible sustained release matrix tablets**



Evaluation of Kollocoat® SR 30 D as a sustained release polymer dispersion; Bordaweka et al. (University of Rhode Island, BASF), 2006

The mechanical properties of Kollocoat® SR 30D allow coating, granulation and subsequent compression. It can be used as film or matrix former.

## Kollicoat® – safe and economical coating, making your daily life easier



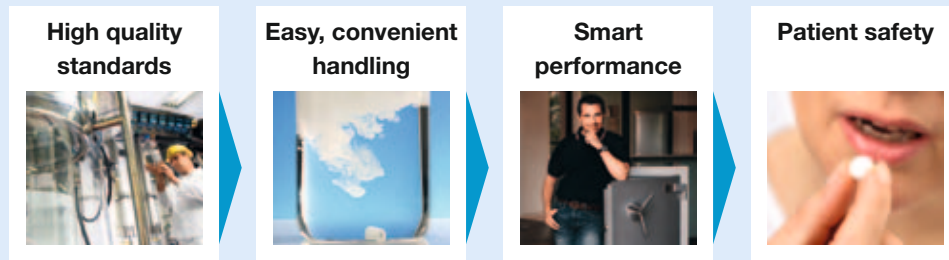
The key ingredient in any new drug is patient safety. The success and reputation of all pharmaceutical companies rests on the ability of the products they produce to perform exactly as required: **reliably, safely and consistently.**

BASF has always known that safety and reliability are paramount to our pharmaceutical customers. But innovation is needed too. The innovative Kollicoat® portfolio provides pharmaceutical companies with the freedom to formulate drugs in both classical and innovative dosage forms, such as orally disintegrating tablets (ODT), safe in the knowledge that they are working with a reliable and flexible excipient that is also easy, efficient and economical to use.

Because we never forget – next to achieving a formulation project target, our customers have growing commercial and environmental challenges to meet. The pressure is to make efficiency gains, reduce environmental impacts and increase the quality, effectiveness and value of products.

## Meeting your pharmaceutical and commercial needs in each step of the process

### Kollicoat® benefits along the value chain



At BASF, our commitment is to provide the best product at the highest quality. Our decades of experience partnering with the pharmaceutical industry are all invested in the way Kollicoat® performs. It is designed from the outset to be both innovative in the effects it achieves but also safe to handle and easy to use. This makes it robust and consistent in performance and – above all – safe for patients to use.

### The production of our Kollicoat® products is done in state-of-the-art facilities compliant to:

- Good Manufacturing Practice (GMP)
- ISO 9001
- RX-360
- and in many cases even ICH Q7

**In following the ICH Q7 standards, we actually exceeded the requirements for pharmaceutical excipient production to meet the standard for active ingredients.**

With Kollicoat®, we are fully prepared to meet your pharmaceutical coating needs, today and in the future.

## Kollicoat® – the coating polymer portfolio for today's and future formulation challenges

- Designed to be safe
- Committed to reliable supply and support
- Safe and easy handling
- Smart design for cutting-edge performance

With Kollicoat®, you can be sure to achieve your formulation target – today and in the future. The comprehensive portfolio of coating polymers covers all your needs, from instant release and colored coating to enteric coating.

**Contact us to find out how Phil Butler, Fabio-Luis Ikuno and their colleagues can help you tackle your formulation challenges with Kollicoat® to give you a competitive edge.**

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