

**TECHNOLOGY STRENGTHS**
**Oxa Acids**

Unique properties for high-tech applications

Oxa acids are uniquely structured high-tech materials with several advantages that make them most effective in a series of applications in pharmaceuticals, nano-technology, cosmetics and chemistry. Their properties can be exactly tailored for a given application. Due to their biodegradability Oxa acids are a new generation of environmentally friendly products.

Archimica's Oxa acids may be used in the design of pro-drugs with tailored bioavailability, as unique reagents, or as speciality products in cosmetics, nano-technology and other high-tech applications. Customers may choose from a portfolio of Oxa acids with one or two acid functions and a wide variety of different chain lengths for exactly tailored properties.

The most remarkable characteristics of Oxa acids are:

- Very broad liquid range due to low melting and high boiling points
- Unique combination of lipophilic and hydrophilic functions
- Water soluble
- Biologically degradable
- Non-toxic and non-irritating
- Excellent heat stability
- Outstanding complexing properties

The unique combination of lipophilic  $[(CH_2CH_2O)_n]$  and hydrophilic  $[COOH]$  functions makes these water-

clear substances "multicombatants" with many strengths in various chemical and physical applications:

**Pharmaceutical applications**

- PEGylations of pharmaceuticals
- Enhanced pro-drug delivery system to increase the bioavailability of the API
- Lipid emulsion systems
- X-ray contrast media
- Linker for chemical fixing of APIs and enzymes on solid support

**PEGylation technology for APIs**

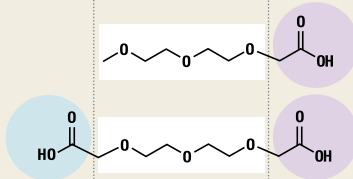
PEGylation is an established drug delivery technology achieved by covalent coupling of polyethylene glycol (PEG) units to active pharma ingredients. Oxa acids offer a new powerful "Oxa-PEGylation strategy". Archimica offers a wide range of high quality Oxa acids, which consist of uniquely tailored Oxa-PEG chains as well as one or two suitably positioned carboxylic acid units. These acid functions allow to attach Oxa-PEG chains to a wide range of APIs via chemical reaction with appropriate functional groups.

PEGylation has been successfully applied to several marketed drugs, for instance in proteins and peptides. PEGylating a drug substance provides multiple benefits, like:

- Optimized pharmacokinetics
- Increased bioavailability

**Finetuning of properties**

acid-function + PEG chain + acid-function



- Increased potency
- Decreased immunogenicity
- Reduced dosing frequency

## Applications in the cosmetics sector

- Skin care (exfoliating, moisturizing and anti-aging properties)
- Conditioner in shampoos
- Emulsifier for creams, lotions, hair dyes etc.
- Dental care and medicine (e.g. toothpaste, composites)

**Skin exfoliating agent.** Oxa acids show strong benefits as skin exfoliating agents. Compared to the commonly used carbon backbone  $\alpha$ -hydroxyacids, Oxa acids offer significant advantages: They combine a comparable or even higher efficiency with superior properties, such as liquid state and water solubility. Both are ensuring aesthetically demanding lotions and creams.

Furthermore, Oxa acids are non-toxic and do not cause any skin irritations.

## High-tech applications

- Nanotechnology
- Dispersion agents for solids
- Scale inhibition (e.g. complexing of alkaline earth metals)
- Lubricants with a wide temperature range
- High temperature cooling agents

**Nanotechnology.** Due to their extremely small particle size, nanotechnological products often have a high tendency to agglomerate, which may result in instability of dispersions and/or process constraints. As a result, production processes usually need agglomeration inhibitors.

Oxa acids stabilize particles by steric and electronic repulsion and therefore guarantee an excellent long-term stability of dispersions at low concentrations. As described above, their properties

can be tailored for each given application to control the effective particle size.

Oxa acids can be used in water and solvent based dispersions. Again, their environmentally friendly properties are an additional benefit.

## Chemical/process applications

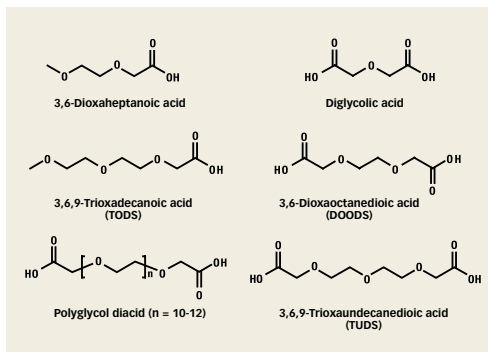
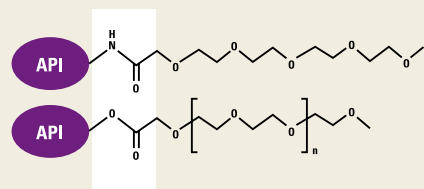
- Complexing agent in organometallic chemistry (extremely water soluble complexes)
- Phase transfer catalysis (“open crown ethers”)
- Polar high boiling solvents and distillation additives
- Galvanotechnics

## Archimica's services on Oxa acids

Archimica is able to deliver Oxa acids in commercial multiton quantities from our DIN ISO 9001:2000 certified production facilities in Frankfurt. While typical pilot plant batch sizes are about 300-500 kg, on request we also provide laboratory samples of certain Oxa acids for your in-house testing (TODS, TUDS and DOODS available ex stock).

Our know-how and our laboratory and small scale (5-50 kg) equipment enables us to provide all kinds of customized developments, e.g. high purity Oxa acids.

### Enhanced pro-drug delivery system using Oxa acid technology



## Our Oxa acid portfolio | Technical information

|   | 3,6-Dioxaheptanoic acid                       | 3,6,9-Trioxadecanoic acid                     | Diglycolic acid<br>35% solution<br>in water  | 3,6,9-Trioxaundecanedioic acid                | Polyglycol diacid | 3,6-Dioxaoctanedioic acid                     |
|---|---|---|--|---|-------------------|---|
| <b>CAS No.</b>                            | 16024-56-9                                    | 16024-58-1                                    | 110-99-6                                     | 13887-98-4                                    | 39927-08-7        | 23243-68-7                                    |
| <b>Empirical formula</b>                  | C <sub>5</sub> H <sub>10</sub> O <sub>4</sub> | C <sub>7</sub> H <sub>14</sub> O <sub>5</sub> | C <sub>4</sub> H <sub>6</sub> O <sub>5</sub> | C <sub>8</sub> H <sub>14</sub> O <sub>7</sub> | –                 | C <sub>6</sub> H <sub>10</sub> O <sub>6</sub> |
| <b>Molecular weight [g/mol]</b>           | 134.13  | 178.19  | 134.09                                       | 222.19  | ca. 619 (n=11)    | 178.1   |
| <b>Density at 20°C [g/cm<sup>3</sup>]</b> | 1.16  | 1.16  | 1.17   | 1.30  | 1.19              | –   |
| <b>Viscosity at 20°C [mPas]</b>           | 35  | 73  | 4  | 8945  | 1524              | –   |
| <b>Acid number [mg KOH/g]</b>             | ca. 410                                       | ca. 310                                       | ca. 350                                      | ca. 440                                       | ca. 180           | ca. 586                                       |
| <b>Biological degradability</b>           | >95%/22d                                      | >95%/23d                                      | >70%/10d                                     | >95%/13d                                      | >95%/13d          | >95%/7d                                       |