Drug delivery approaches, routes of administration, prolonged release preparations.

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Drug delivery approaches

1. Drug dosage forms – review.
2. Routes of administration.
3. Innovations in drug delivery.

Drug dosage form

- final form, in which is drug administerd to patient
- inlfuences mainly pharmacokinetic properties of administered drug

Classification with regard to:

- *consistence*
  - solid
  - semi-solid
  - liquid
  - gaseous
- *administration site* (internal/external use)
- *shape* (specific/nonspecific)
- *number of active substances* *(one or more)*

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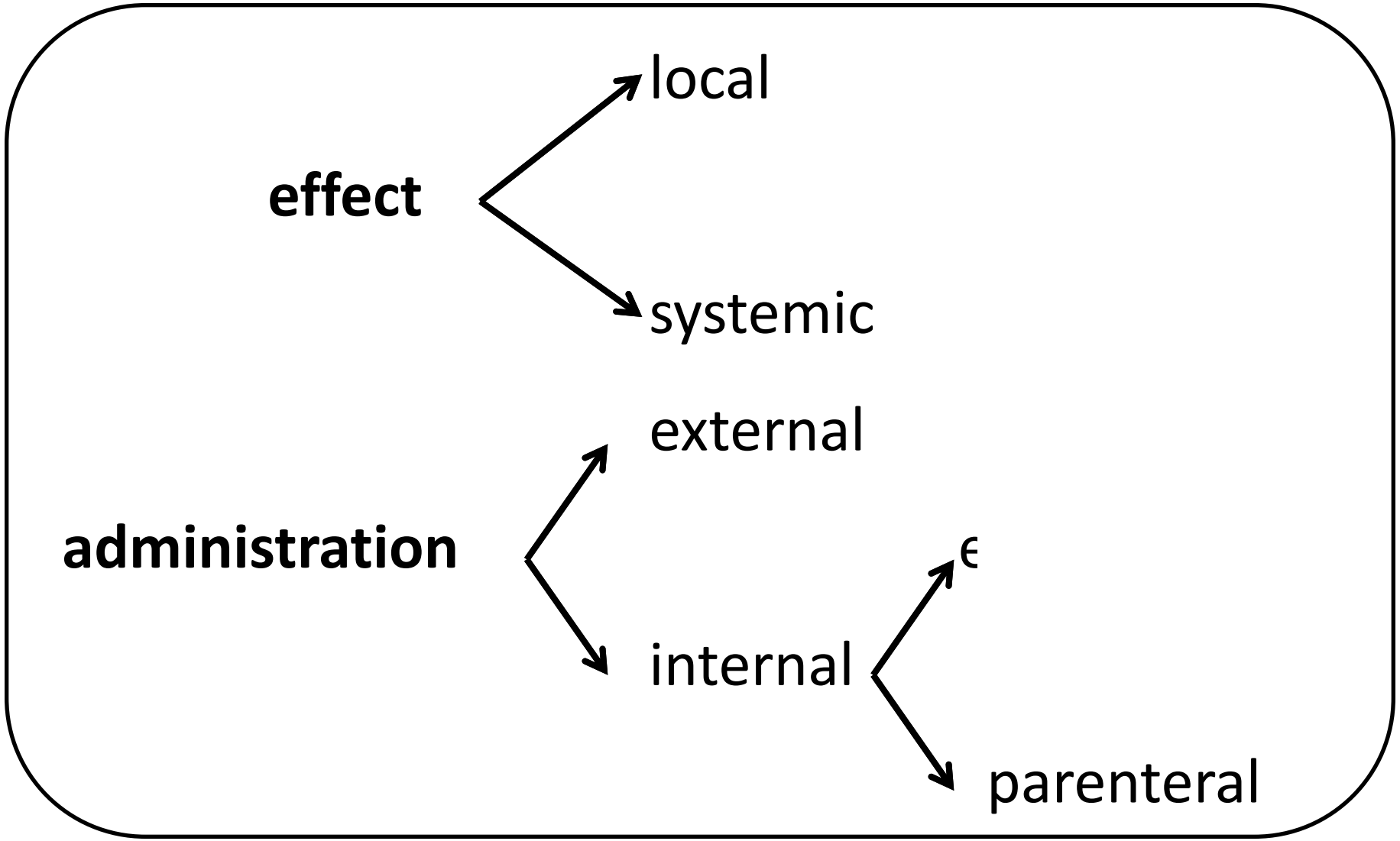
Drug delivery approaches



Drug delivery approaches

Administration

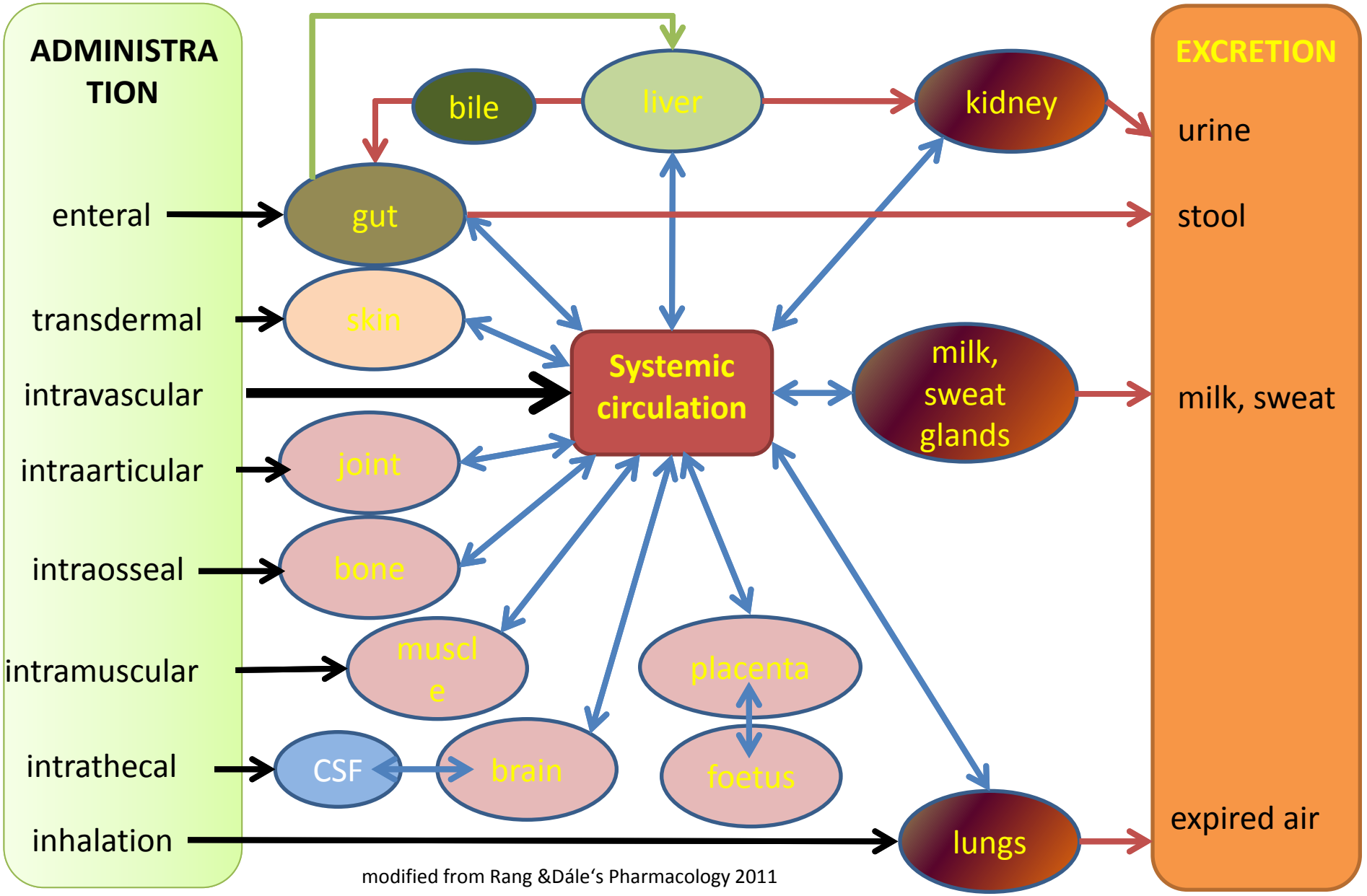
Local

- drug absorption is limited
- effect aimed on target tissue/organ
- low risk of AE

Systemic

- drug is absorbed to systemic circulation
- possible influence on whole body
- higher risk of AE

Schema of systemic administration



modified from Rang &Dále's Pharmacology 2011

Drug delivery approaches

Administration

EXTERNAL

- administration on skin, mucosas or to body cavities

- effect local/systemic

INTERNAL

- administration other than on skin, mucosas or to body cavities

- effect local/systemic

External administration

Epicutaneous

Conjunctival

Intranasal

Inhalation

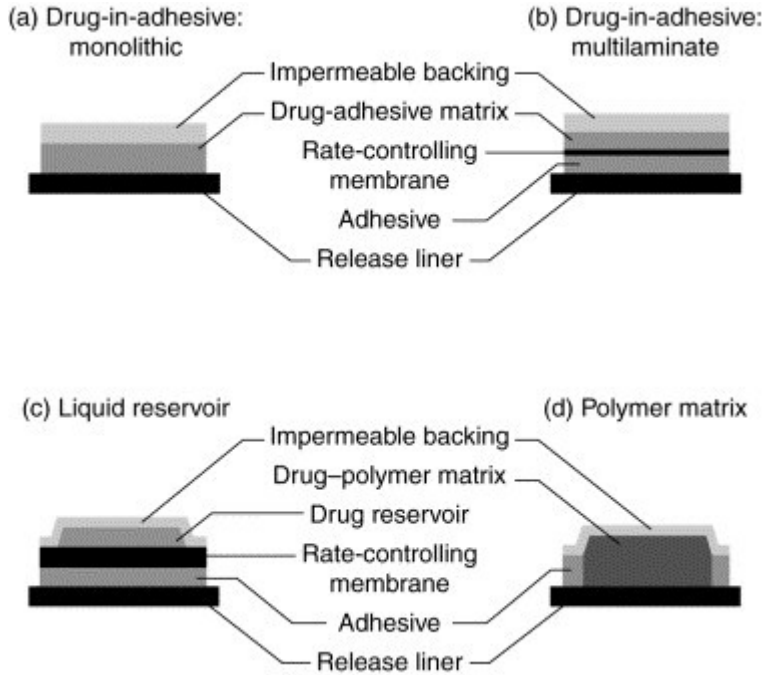
Rectal

Vaginal

sublingual, intraurethral, dental, gingival, oral, endotracheopulmonal, intraaural….

Epicutaneous administration

Local effect



převzato z: Hock & Pfister, Pharm Sci Tech Tod, 2, 1999

Systemic effect

- transdermal administration
- mainly patches
- continuous release
- local+systemic AE
- high compliance
- easy discontinuation

Conjunctival administration

- usually eye drops and ointments
- local effect
- risk of systemic AE
- specific quality requirememnts

Intranasal administration

- drops, sprays, ointment
- local effect - antiseptics, ATB
  - antihistamines, decongestants
  - antiflogistics
- systemic effect - analgesics, antivirotics
  - hormones (ADH, gonadotropin, insulin)

Inhalation

- gases, aerosols
- systemic effect – general anesthetics
- local effect – antiasthmatics
- fast onset of effect
- minimal presystemic elimination
- administration from spray cans or other instruments (turbohaler, dischaler, nebulizator)

Rectal administration

- suppositories, capsules, tablets, foams, tampones
- alternative for peroral administration
- variable absorption

Internal administration

Enteral-peroral

1. for local effect

- minimal AE
- risk of interaction with coadministered drugs

Internal administration

Enteral-peroral

2. for systemic effect

- drug absorbed from different parts of GIT
    - can be influenced by DDF
- „slow“ effect onset
- the effect depends on patients „compliance“

Enterální LF s řízeným uvolněním

- systems of controlled release: matrix
  reservoirs
  particles
  nanoparticles
- controlled release: continuous
  pulsatile
- 1952 Spansules™

Internal administration

Parenteral

1. local effect

- *i.v.* or *e.v.*
- injections or implantation
- restriction of absorption = effect prolongation

+ decrease of AE risk

Internal administration

Parenteral

2. systemic effect

- *i.v.* x *e.v.*
- pharmacokinetic differences
- specific qualitative requirements
- implants

Internal administration

Parenteral

2. sytsemic effect

- intravenous/intraarterial
- subcutaneous
- intramuscular
- intradermal
- intrathecal
- intraarticular, intraoccular, intraosseous

Internal administration

- **intrathecal**

Internal administration

- **intraarticular**
- **intraocular**
- **intraosseous**

Internal administration

Implants

- degradable/nondegradable
- usually s.c. or intraocular
- systemic/local effect
- continuous/pulsatile release
- compliance
- complicated discontinuation

Drug delivery approaches

Factors influencing the drug delivery approach:

- drug physicochemical properties
- therapeutic indication + disease phase
- benefit:risk ratio
- co-morbidities, co-medications

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Transdermal delivery

3. generation of passive patches

- drug in the adhesive layer
- decreased irritation
- decreased drug concentration
- size decrease

Active transdermal preparations

- in the phase of clinical trials
- physical principles enhancing or controling drug release

Transdermal administration

Patches with microneedles

- even macromolecular substances can be delivered
- immunization, vaccination
- rather intradermal than transdermal

Liposomes

- particle systems
- both lipophilic and hydrophilic substances
- biocompatible, degradable
- cen be used for drug targeting

Nanoparticles

- size 1-1000 nm
- structure: nanospheres x nanocapsules
- degradable, nontoxic
- highly variable
- smart nanoparticles

Thank you for your attention.