Sample Entry Pharmaceutical Substances 5th edition

The International Nonproprietary Names (INN) of pharmaceutical substances form the title of each monograph. All the synonyms in use for the compounds are shown in the second line. Factual data listed here include chemical name (written according IUPAC), Anatomical Therapeutic Chemical (ATC) Code, major uses, CAS numbers (RN), molecular formula (Hill System) and weight.

Atorvastatin calcium
(CI-981; YM-548)

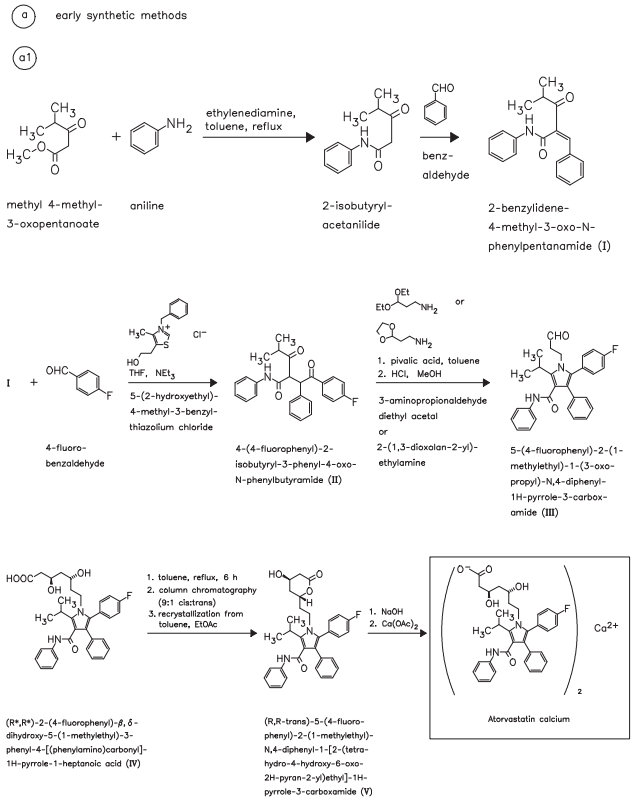
ATC: C10AA05
Use: hypolipidemic, HMG-CoA-reductase inhibitor

RN: 134523-03-8 MF: $C_{66}H_{68}CaF_2N_4O_{10}$ MW: 1155.36
CN: [*R*-(*R**,*R**)]-2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1*H*-pyrrole-1-heptanoic acid calcium salt (2:1)

free acid
RN: 134523-00-5 MF: $C_{33}H_{35}FN_2O_5$ MW: 558.65

Great care is taken by the authors to ascertain the synthetic route(s) used for industrial large-scale production of the drugs listed in **Pharmaceutical Substances**. A detailed description of the preparation of each substance is provided, including the synthesis of intermediates. In many cases, different synthetic routes are described, especially for the most economically important drugs. All substances referenced in the synthetic pathways are listed in the substance index at the end of the book.

Excerpt*



* The content shown has been shortened for demonstration purposes.

The references in **Pharmaceutical Substances** give an overview of the patents that apply to the synthetic route, the dates of issue of the US patents, as well as application and priority dates. When applicable, patent references for alternative syntheses are listed as well as references to non-patent literature.

Excerpt*

Reference(s):
US 4 681 893 (Warner-Lambert; 21.7.1987; appl. 30.5.1986).
US 5 273 995 (Warner-Lambert; 28.12.1993; appl. 26.2.1991; USA-prior. 21.7.1989).
EP 409 281 (Warner-Lambert; appl. 23.1.1991; USA-prior. 21.7.1989, 26.2.1991).
DE 1 061 073 (Warner-Lambert; appl. 20.7.1990; USA-prior. 21.7.1989).

improved process:
WO 2 005 087 723 (Apotex Pharmachem; appl. 11.3.2005; CA-prior. 15.3.2004).
CA 2 460 935 (Apotex Pharmachem; appl. 15.3.2004).
a4 US 4 681 893 (Warner-Lambert; appl. 21.7.1987; USA-prior. 30.5.1986).
bc1 US 5 155 251 (Warner-Lambert; 13.10.1992; appl. 11.10.1991).
c1 US 5 103 024 (Warner-Lambert; 7.4.1992; appl. 17.10.1990).
US 6 433 213 (Warner-Lambert; 13.8.2002; appl. 2.12.1998, 16.6.2000; USA-prior. 19.12.1997).
US 6 596 879 (Warner-Lambert; 22.7.2003; appl. 11.6.2002; USA-prior. 19.12.1997, 2.12.1998).
US 6 962 994 (Warner-Lambert; 8.11.2005; appl. 11.4.2003; USA-prior. 19.12.1997, 11.6.2002).
c2 US 5 248 793 (Warner-Lambert; 28.9.1993; appl. 21.12.1992; USA-prior. 17.10.1990, 27.12.1991).

(S)-3,4-dihydroxybutanoic acid *and (S)*-3-hydroxybutyrolactone *from carbohydrates (e.g. maltose, lactose)*:
d1 US 5 292 939 (Hollingsworth, R.I., Michigan State University; 8.3.1994; appl. 26.10.1992; USA-prior. 13.5.1991).
US 5 319 110 (Hollingsworth, R.I., Michigan State University; 7.6.1994; appl. 26.10.1992; USA-prior. 13.5.1991).
US 5 374 773 (Hollingsworth, R.I., Michigan State University; 20.12.1994; appl. 27.10.1993; USA-prior. 13.5.1991, 26.10.1992).
US 6 239 311 (Hollingsworth, R.I., Michigan State University; 29.5.2001; appl. 24.4.2000).

The most important pharmaceutical dosage forms are provided to enable the user to assess the order of magnitude a compound is needed in and thus helping to estimate its commercial value.

Formulation(s): tabl. 5 mg, 10 mg, 20 mg, 40 mg, 80 mg

For the six most important markets trade names and the names of companies that produce and market the product are given. Years of introduction are added in those cases where they differ from the date the original patent was granted.

Trade Name(s):

D:	Sortis (Parke Davis; Gödecke; Pfizer; Mack, Illert.)		Tahor (Pfizer)		Totalip (Guidotti)
		GB:	Lipitor (Pfizer)	J:	Lipitor (Astellas)
		I:	Lipitor (Warner-Lambert)	USA:	Lipitor (Parke Davis; Pfizer)
F:	Caduet (Pfizer)-comb.		Torvast (Pfizer)		

* The content shown has been shortened for demonstration purposes.