英文原版

默克索引

THE MERCK INDEX

★

第 14 版

人民卫生出版社

英文原版

默克索引

THE MERCK INDEX

第 14 版

1stEdition—1889
2ndEdition—1896
3rdEdition—1907
4thEdition—1930
5thEdition—1940
6thEdition—1952
7thEdition—1960
8thEdition—1968
9thEdition—1976
10thEdition—1983
11thEdition—1989
12thEdition—1996
13thEdition—2001
14thEdition—2006

人民卫生出版社

英文原版

默克索引

THE MERCK INDEX

AN ENCYCLOPEDIA OF
CHEMICALS, DRUGS, AND BIOLOGICALS

第14版

Maryadele J. O'Neil, *Editor*
Patricia E. Heckelman, *Senior Associate Editor*
Cherie B. Koch, *Associate Editor*
Kristin J. Roman, *Assistant Editor*

Catherine M. Kenny, *Editorial Assistant*
Maryann R. D'Arecca, *Administrative Associate*

人民卫生出版社

图书在版编目(CIP)数据

默克索引(英文原版)/(美)奥尼尔(O'Neil, M. J.)著.
--北京:人民卫生出版社,2008.1
ISBN 978-7-117-09407-8

Ⅰ.默… Ⅱ.奥… Ⅲ.药物-索引-英文 Ⅳ.Z89:R97

中国版本图书馆 CIP 数据核字(2007)第 172494 号

图字:01-2007-5543

ISBN 978-7-117-09407-8
9 787117 094078 >

默 克 索 引
THE MERCK INDEX

著　　者: Maryadele J. O'Neil 等
出版发行: 人民卫生出版社(中继线 010-67616688)
地　　址: 北京市丰台区方庄芳群园 3 区 3 号楼
邮　　编: 100078
网　　址: http://www.pmph.com
E - mail: pmph @ pmph.com
购书热线: 010-67605754　010-65264830
印　　刷: 北京铭成印刷有限公司
经　　销: 新华书店
开　　本: 787×1092　1/16　**印张:** 157.5
字　　数: 5307 千字
版　　次: 2008 年 1 月第 1 版　2008 年 1 月第 1 版第 1 次印刷
标准书号: ISBN 978-7-117-09407-8/R·9408
定　　价: 398.00 元

OTHER MERCK HANDBOOKS

THE MERCK MANUAL OF DIAGNOSIS AND THERAPY
First Edition, 1899

THE MERCK VETERINARY MANUAL
First Edition, 1955

THE MERCK MANUAL OF GERIATRICS
First Edition, 1990

THE MERCK MANUAL OF MEDICAL INFORMATION—HOME EDITION
First Edition, 1997

THE MERCK MANUAL OF HEALTH & AGING
First Edition, 2004

The Merck Handbooks are published as a not-for-profit service
to the scientific community and the public.

FOREWORD

For more than a century, *The Merck Index*, Chemistry's Constant Companion™, has provided quick and reliable answers to questions arising in the course of the scientist's work. The Fourteenth Edition continues this tradition to publish an authoritative, multidisciplinary reference handbook committed to scientific excellence.

Each edition is reflective of key scientific discoveries of its time period. Once again, significant new compounds have been carefully selected from biomedicine, agriculture, and various disciplines of chemistry. With the particular needs of the research scientist in mind, every effort has been made to include additional physical property information in the monographs. Coverage of important new tools for pharmacological research and organic synthesis has been expanded and includes more than 140 monographs on Name Reagents. The Organic Name Reactions have again been updated and now include 450 entries.

The Supplemental Tables have been extensively revised. More than 70 pages of hard-to-find information complement the material presented in the other sections. New for this edition is a table describing vaccine preparations for use in the prevention of infectious disease, an area of research never before covered in this reference work. Another new table provides the expanded meanings for acronyms encountered in biomedical and pharmaceutical research.

An important new feature introduced for this edition is the companion CD that accompanies the printed volume. This new multimedia reference set allows the scientist to use whichever format is most useful—hardcopy at the lab bench and CD in the laptop. The text searchable CD uses CambridgeSoft's ChemFinder® software to enable quick retrieval of data and provides access to nearly 1000 monographs retired from the 12th and 13th editions that are no longer available in print.

Merck & Co., Inc. is one of the world's largest pharmaceutical companies. Merck is committed to providing trusted medical and scientific information and continues to publish *The Merck Index* and all of the Merck Handbooks as a not-for-profit service to the scientific community and the public. We hope that this edition of *The Merck Index* will be an indispensable resource to all who use it.

ACKNOWLEDGMENTS

The successful publication of the Fourteenth Edition of *The Merck Index* would not have been possible without the close collaboration of many dedicated people who have generously contributed their expertise and special talents.

The Merck Index Staff wishes to acknowledge our coworkers whose contributions have been particularly significant: *Beverly Grodzinski* for system support and for organizing and tracking the production process; *John Ho* and *Bob Dougherty* for computer expertise, custom programming and technical guidance; *Sharon O'Brien* for designing the CD artwork; *Debra Shelinsky Greene* and *Hilary Wandall* for advice and counsel on intellectual property and contractual issues; *Pamela Barnes-Paul* for coordinating the advertising and marketing effort; *Margaret Hill, Ann Smith,* and the entire staff of the MRL Library for their ongoing assistance.

We are especially indebted to the many readers who took the time to contact us with comments, additions and corrections and to the generations of Merck scientists who have contributed to this and previous editions of *The Merck Index.*

Finally, special thanks are due to *Dr. John D. Irvin* of Merck Research Laboratories for his personal interest, encouragement and support; and to *Gary Zelko,* our publisher, for his collaboration and expertise in producing this edition.

EXPLANATORY NOTES

The Fourteenth edition of *The Merck Index* contains 10,200 monographs describing significant chemicals, drugs, and biological substances. The entries cover a wide range of compounds which have been selected on the basis of present or historic importance and interest. Since the publication of the Thirteenth Edition in 2001, over 5,000 monographs have been significantly revised and updated. More than five hundred monographs have either been combined or deleted from the manuscript to make room for hundreds of new entries. Nearly 450 of these monographs, as well as 540 monographs archived from the Twelfth Edition, are presented in their original form on the companion CD which accompanies this volume.

Entries are generally limited to single substances and related compounds such as isomers or salts. While multi-component drugs are, for the most part, excluded, there are a number of monographs devoted to families of natural products or biological substances. Monographs vary greatly in length. The length of a monograph, however, is not necessarily indicative of the importance of a compound, but rather may simply be an indication of the amount of relevant published information available for the compound.

For the purpose of illustrating the general monograph format, a typical monograph is depicted and the components are identified. While all possible categories of information in a monograph are described below, it must be emphasized that not all categories are present in every monograph.

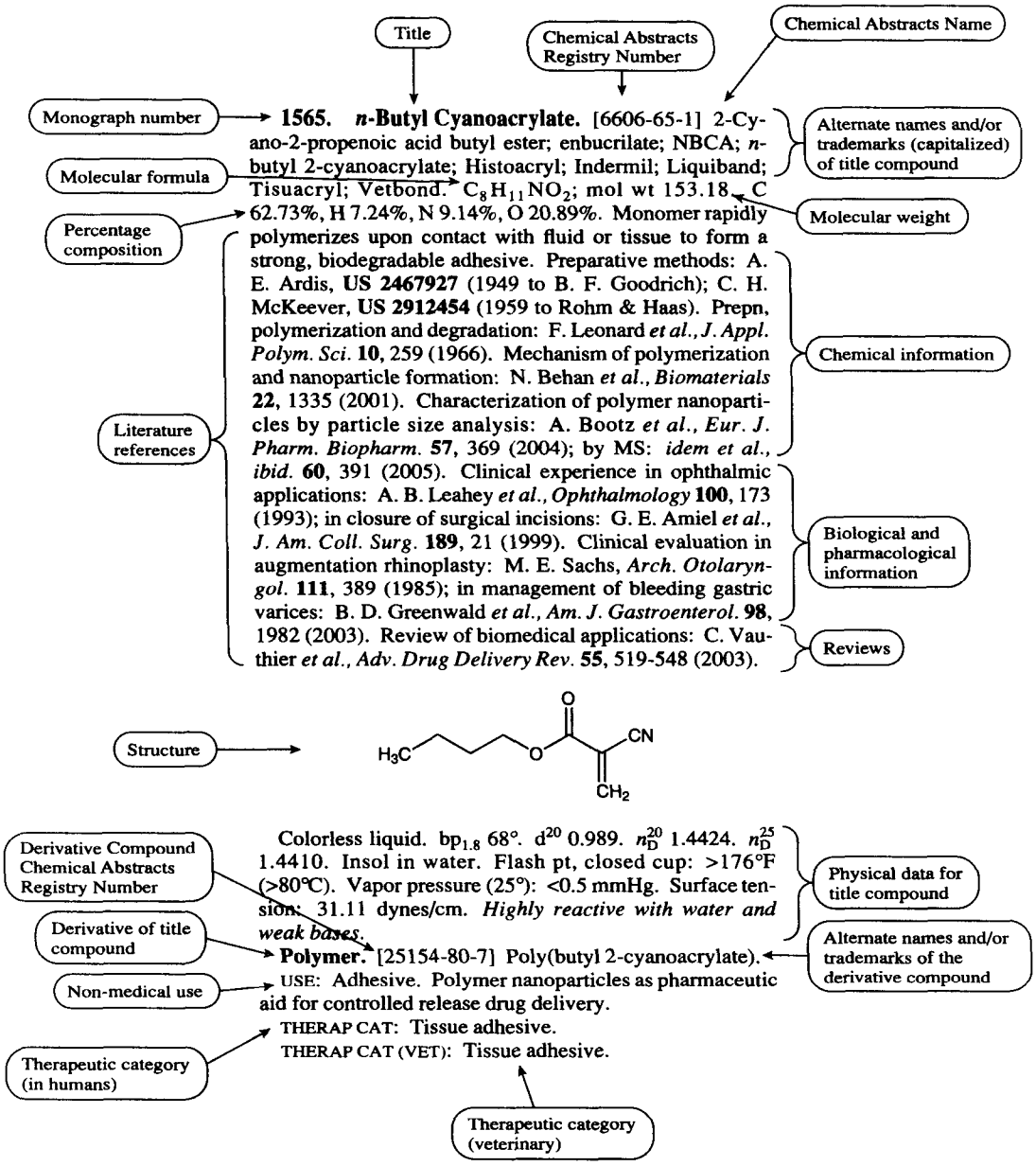
Monograph Number. Sequential accession numbers are assigned to monographs which are alphabetized by title. Entries in the indices are referenced to these accession numbers, not to page numbers. (*Note:* Monograph numbers in the Fourteenth Edition do not correspond to Thirteenth Edition monograph numbers.)

Monograph Title. Titles are usually simple chemical names or in the case of drugs, the commonly used generic name such as the USAN (United States Adopted Name) or INN (International Nonproprietary Name). Registered trademarks, designated by ®, are used for a small number of entry titles, primarily when nonproprietary terms are not available. Plant monographs are titled using a common name rather than the full botanical name.

Chemical Abstracts Registry Number(s). The Chemical Abstracts Service (CAS) Registry Number appears following the title. These unique identifiers are provided for title substances and for selected derivatives. Where appropriate, numbers for isomeric and unspecified forms of the compound are listed. Descriptors are appended to the entry if more than one registry number has been associated with the compound.

Chemical Abstracts Name(s). The uninverted form of the CAS Index Name appears in native font following the CAS Registry Number. When a specific isomer is being discussed, stereochemical descriptors have been included to more clearly delineate that isomer. CAS Registry Numbers and CAS Index Names are provided as aids for further searching of the compound of interest in *Chemical Abstracts* and elsewhere.

Alternate Name(s). Other chemical names, trivial names, experimental drug codes, and trademarks that identify the entry are listed. Listing of trademarks



1565. *n*-Butyl Cyanoacrylate. [6606-65-1] 2-Cyano-2-propenoic acid butyl ester; enbucrilate; NBCA; *n*-butyl 2-cyanoacrylate; Histoacryl; Indermil; Liquiband; Tisuacryl; Vetbond. $C_8H_{11}NO_2$; mol wt 153.18. C 62.73%, H 7.24%, N 9.14%, O 20.89%. Monomer rapidly polymerizes upon contact with fluid or tissue to form a strong, biodegradable adhesive. Preparative methods: A. E. Ardis, **US 2467927** (1949 to B. F. Goodrich); C. H. McKeever, **US 2912454** (1959 to Rohm & Haas). Prepn, polymerization and degradation: F. Leonard *et al.*, *J. Appl. Polym. Sci.* **10**, 259 (1966). Mechanism of polymerization and nanoparticle formation: N. Behan *et al.*, *Biomaterials* **22**, 1335 (2001). Characterization of polymer nanoparticles by particle size analysis: A. Bootz *et al.*, *Eur. J. Pharm. Biopharm.* **57**, 369 (2004); by MS: *idem et al.*, *ibid.* **60**, 391 (2005). Clinical experience in ophthalmic applications: A. B. Leahey *et al.*, *Ophthalmology* **100**, 173 (1993); in closure of surgical incisions: G. E. Amiel *et al.*, *J. Am. Coll. Surg.* **189**, 21 (1999). Clinical evaluation in augmentation rhinoplasty: M. E. Sachs, *Arch. Otolaryngol.* **111**, 389 (1985); in management of bleeding gastric varices: B. D. Greenwald *et al.*, *Am. J. Gastroenterol.* **98**, 1982 (2003). Review of biomedical applications: C. Vauthier *et al.*, *Adv. Drug Delivery Rev.* **55**, 519-548 (2003).

Colorless liquid. $bp_{1.8}$ 68°. d^{20} 0.989. n_D^{20} 1.4424. n_D^{25} 1.4410. Insol in water. Flash pt, closed cup: >176°F (>80°C). Vapor pressure (25°): <0.5 mmHg. Surface tension: 31.11 dynes/cm. *Highly reactive with water and weak bases.*

Polymer. [25154-80-7] Poly(butyl 2-cyanoacrylate).

USE: Adhesive. Polymer nanoparticles as pharmaceutic aid for controlled release drug delivery.

THERAP CAT: Tissue adhesive.

THERAP CAT (VET): Tissue adhesive.

is for information purposes only and it should not be assumed that the trademarks are in current use. The first letter of each trademark is capitalized; absence of capitalization, however, does not preclude that a name may either currently be a proprietary name, or may once have been the subject of proprietary rights. If known, the company associated with a particular trademark (as a manufacturer, distributor or trademark owner) is listed alongside the trademark in the Name Index.

Molecular Formula, Molecular Weight, % Composition. Elements in the molecular formula are listed according to the Hill convention (C, H, then other elements in alphabetical order). Formula and molecular weight are provided for title compounds having a specific known structure. All molecular weights have been recalculated using the 2005 IUPAC Table of Standard Atomic Weights.

Literature References. A concise reference history of each compound is provided. Frequently, there is a brief description or capsule statement to summarize the significance of the compound. References to isolation, preparation or synthesis, patent information, and structural studies are cited. While reference is made to various methods of synthesis, the intent is to give a representative, but by no means exhaustive list. Patent numbers are provided merely as a source of preparative information; however, whenever possible, the product patent has been cited in monographs. Patents are cited using the two letter international country code followed by the number in boldface print; the year of publication and the assignee are included if known. References to pharmacology or biological activity, clinical trials, and toxicity studies may be included, where appropriate. Review articles are usually cited at the end of the references. Reviews pertaining to a group of closely related compounds or to a family of natural substances are generally listed only in the monograph for the parent element or compound. Literature references are cited in the conventional manner; journal abbreviations generally correspond to those in CASSI (CAS Source Index®) or in the *List of Journals Indexed in Index Medicus*. The number of the first page of the reference is given; first and last page numbers are listed for reviews.

Structure. For this edition, all structures have been drawn according to current conventions using CambridgeSoft's ChemDraw® software package. Structural depictions, including stereochemistry, if relevant, are included in nearly 6,700 monographs. Structures that do not correspond precisely to the monograph title have been labeled to identify the specific form depicted. Standard conventions of heavy wedges and dotted lines to show bonds directed above or below the plane of the paper are used where appropriate. Whenever possible, double bond geometry has been defined within the structure. Amino acid residues are assumed to be L unless specified otherwise. In addition, 1,850 monographs contain line formulae showing molecular arrangements.

Physical Data. Data are cited as found in the literature. When several alternate data values appear in the literature, the data is evaluated and representative selections are made. The values are then reported with the corresponding source. Whenever possible, the color of a substance is stated, but the absence of color (white or colorless) is often omitted. Temperatures are given in degrees Celsius, unless otherwise noted. When solubilities are determined at room temperature (about 25°C), the temperature is generally omitted. When optical ro-

tations are measured in water, the solvent is usually not specified. For ultraviolet absorption measurements, the solvent is given within parentheses.

An effort has been made to provide toxicity data (e.g. LD_{50}, LC_{50}) and to identify the source of this information. ***Caution*** and/or ***Note*** statements are also provided in a number of monographs. Specific statements are given for compounds on the U.S. Government's Schedules of Controlled Substances in Title 21 of the Code of Federal Regulations (CFR), for compounds listed as suspected or confirmed carcinogens in the *Eleventh Report on Carcinogens* issued in 2004 by the U.S. Department of Health and Human Services (USDHHS), and for chemicals considered potential occupational hazards as described in sources such as the *NIOSH Pocket Guide to Chemical Hazards (USDHHS). Note:* Absence of toxicity data or specific cautions does not imply that toxic effects do not exist.

Derivatives. When derivatives (isomers, salts, etc.) of the title compound are described in a monograph, the information appears in the paragraph(s) directly following the physical data. These paragraphs may also be used to describe specific members of a large family of natural substances. Derivative data presentation mirrors that of the title compound and may include registry numbers, chemical and alternate names, molecular weights, percentage composition, literature references, and physical properties.

Use. Descriptions of specific uses, which are not medical or veterinary therapeutic applications, are summarized under this heading.

Therapeutic Category and Therapeutic Category (Veterinary). In most cases, therapeutic categories reflect the accepted terminology in the medical literature. When available, mode of action information is included in the literature references section of the monograph. Monographs for human drugs have been indexed by both therapeutic category and biological activity beginning on page THER-1.

The Merck Index is not intended as an official therapeutic guide. Inclusion of a drug or any other compound in this book is not an endorsement, but merely a statement of the fact that such a substance exists. THERAPEUTIC CATEGORY and THERAPEUTIC CATEGORY (VETERINARY) paragraphs are intended only as summary statements of major pharmacological properties or indications for the individual compounds. For additional information on uses, dosage, side effects, and adverse reactions, readers are directed to consult pertinent scientific and professional publications, product circulars, information sheets or material safety data sheets prepared or published by the respective manufacturers.

Indices. Four indices—Name, Formula, CAS Registry Number and Therapeutic Category—are included; each entry directs the reader to the number of the monograph in which the substance of interest is described. More than 60,000 synonyms, including titles, CAS names, alternate names, trademarks, and derivative names are contained in the **Name Index.** If known, trademarks have been matched with an associated company. An abbreviated form of the company name appears in brackets following the trademark. The complete company name and location is listed in an unpdated and expanded Company Register in the TABLES section of the book. Company names are provided as a source of additional information and do not necessarily imply trademark ownership. Due

to reorganizations or mergers, some company names may have changed since the original matching process was completed.

More than 7,500 entries appear in the **Formula Index.** This index contains the molecular formula for the title compounds and derivatives other than acid addition salts, hydrates or isomers.

The **CAS Registry Number Index** of more than 12,000 entries arranged in ascending Registry Number order. Descriptors are appended to the entry if more than one registry number may be associated with the compound.

In the **Therapeutic Category and Biological Activity Index,** monographs describing human drugs have been listed by one or more therapeutic indications and/or mechanisms of action. Cross references to closely related categories and mechanisms have been included. Whenever appropriate, subclassifications have been developed by grouping compounds according to chemical or pharmacological similarities.

Organic Name Reactions. This section is comprised of 450 named reactions and an index. A concise reference history and associated reaction schema are provided for each reaction or subreaction.

Tables. A compilation of over 70 pages of tables is provided to supplement the material presented in the monographs. This section has been extensively revised for this edition and now includes tables of Acronyms, Vaccines, and Physical Constants.

Great care has been taken to assure the accuracy of the information contained in *The Merck Index.* However, the Editorial Staff and the Publisher cannot be responsible for errors incurred in publication or for any consequences arising from use of the information published in *The Merck Index.* Accordingly, reference to original sources is strongly encouraged, as is reporting of errors and omissions in order to assure that appropriate changes may be made in the next edition.

Abbreviations

Included are abbreviations commonly used in *The Merck Index*. Please consult the Acronyms and Glossary (Suppl. Tables Section) for additional definitions.

α_D^{25}	specific optical rotation at 25° C for D (sodium) line; absence of brackets indicates optical rotation of a liquid in a 1 decimeter cell, neat
Å	angstrom
A	absorbance
Ab	antibody
abs	absolute; absorption
abs config	absolute configuration
Ag	antigen
alc	alcohol; ethanol; ethyl alcohol
alk	alkali(ne)
a_M	molar absorptivity
amps	ampules; amperes
anhydr	anhydrous
Ann.	*Justus Liebig's Annalen der Chemie*
approx; ~	approximate(ly)
aq	aqueous
as-; asym-	asymmetrical; unsymmetrical
at.	atomic
at. no.	atomic number
at. wt.	atomic weight
atm	atmosphere(s)
B.P.C.	British Pharmaceutical Codex
Bé	Baumé (a specific gravity scale)
Beilstein	*Beilstein's Handbuch der Organischen Chemie*
Ber.	*Chemische Berichte* (Berichte der Deutschen Chemischen Gesellschaft)
bp	basepair; boiling point
°C	Celsius degrees
c	concentration
ca.	(*circa*) about
cal	calorie(s)
calc(d)	calculate; calculated
cc	cubic centimeter(s) (milliliter)
cf.	(*confer*) compare
Ci	curie
coll. vol.	collective volume
compd	compound
compn	composition
concd	concentrated
concn	concentration
config	configuration
constit	constituent(s)
contd	continued
contg	containing
corr	corrected
corresp	corresponding; corresponds
C_p	heat capacity (constant pressure)
crit press	critical pressure
crit temp	critical temperature
cryst	crystalline; crystals
crystn	crystallization
Δ (delta)	indicates the locant of the double bond
d	density; specific gravity (d_4^{19} specific gravity at 19° referred to water at 4°)
d-	*dextro* (rotatory); the opposite of *l*
D-	*Dextro* configuration; opposite of L
Da	daltons
dec; decomp; decompn	decompose(s); decomposition
deg	degree
deliquesc	deliquescent
deriv	derivative
determn	determination
dil	dilute; diluted; dilution
distln	distillation
dl-	racemic
DL-	optically inactive by external compensation as contrasted with *meso-*
dyn	dynes
ε (epsilon)	molar extinction coefficient; dielectric constant
η (eta)	viscosity
E.C. No.	Enzyme Commission Number
e.g.	(*exempli gratia*) for example
$E_{1cm}^{1\%}$	the absorbance of a solution containing one gram per 100 ml contained in a cell having an absorption path of one cm
Ed(s).	editor(s)
ed.	edition
eidem	the same (authors); plural of *idem*
E_M	molar extinction coefficient (concn in g-moles/l)
equiv	equivalent
et al.	(*et alii*) and others
etc.	(*et cetera*) and so forth
eV	electron volt
evac	evacuated
evapn	evaporation
exptl	experimental(ly)
ext(d)	extract; extracted
extern	externally
°F	Fahrenheit degrees
fp	freezing point
Frdl.	*P. Friedlander Fortschritte der Teerfarbenfabrikation*, a collection of patents
g	gram(s)
Gmelin's	*Gmelin's Handbuch der Anorganischen Chemie*
habit.	habitat
Houben	a German collection of medicinal patents
Houben Weyl	*Houben-Weyl Methoden der Organischen Chemie*
hr	hour
i.e.	(*id est*) that is
i.g.	intragastric
i.m.	intramuscular
i.p.	intraperitoneal
I.U.	international unit
i.v.	intravenous

Abbreviations (Continued)

ibid.	(*ibidem*) at the same place
idem	the same (author); plural: *eidem*, the same (authors)
incl	including
incompat	incompatibility
inorg	inorganic
insol	insoluble
Intl	International
isoln	isolation
K	dissociation constant; equilibrium constant; Kelvin temperature
λ (lambda)	wavelength; microliter
l	liter
l-	*levo*(rotatory); the opposite of *d*
L-	*Levo* configuration; opposite of D
LC_{50}	median lethal concentration; the concn of a chemical that is estimated to be fatal to 50% of the organism tested
LD_{50}	median lethal dose; the quantity of a chemical that is estimated to be fatal to 50% of the organisms tested
loc. cit.	(*loco citato*) in the place cited
log	logarithm (common)
log *P*	logarithm of the partition coefficient
M	molar (concentration; moles/liter)
m-	*meta* chemical locant for ring substituents
Mab; mAb	monoclonal antibody
mass spec	mass spectrometry
MD	molecular rotation $\frac{[\alpha]_D \times \text{mol wt}}{100}$
Mellor's	*Mellor's Comprehensive Treatise on Inorganic and Theoretical Chemistry*
Mfg; manuf	manufacturing
mfr	manufacturer
misc	miscible
mixt	mixture
mol wt	molecular weight
Monatsh.	*Monatshefte für Chemie*
mp	melting point
M_r	relative molecular mass
N	normal concentration or nitrogen as a locant
n	index of refraction (n_D^{20} for 20° and sodium light); normal, as *n*-propyl
o-	*ortho* chemical locant for ring substituents
op. cit.	(*opere citato*) in the work cited
org	organic
OsM	osmolar; osmole
ψ (psi)	pseudo
P	poise
p-	*para* chemical locant for ring substituents
p; pp	page(s)
Pa	pascal
passim	here and there; scattered
pat.	patent
petr	petroleum
pH	acid-base scale; log of reciprocal of hydrogen ion concentration
pI	isoelectric point
pK	log of the reciprocal of the dissociation constant
ppm	parts per million
ppt; pptd	precipitate; precipitated
prepd; prepn	prepared; preparation
press.	pressure
pt	point
q.q.v.	(*quae vide*) which see, plural
q.v.	(*quod vide*) which see
r-	racemic
recryst(n)	recrystallize; recrystallization
ref	reference
rep [REP]	"roentgen equivalent physical" means a dose of ionizing radiation capable of producing energy absorption of 93 ergs per gram of tissue
resp	respectively
s.c.	subcutaneous
sapon(if)	saponification
satd	saturated
sec	second(s)
sepn	separation
sol	soluble
soly	solubility
solidif	solidifies; solidification
soln	solution
sp gr	specific gravity
sp.	species
spec	spectroscopy; spectrum; spectral
spp.	species (plural)
sqq	(*sequentia*) and following
subl	sublimes
suppl	supplement
sym-	symmetrical
$t_{1/2}$	half-life
tabl	tablet(s)
tech	technical
temp	temperature
uncor(r)	uncorrected
unsym-	unsymmetrical; asymmetrical
UV; uv	ultraviolet
v	volt(s)
v-	(*vicinal*) adjacent
v/v	percent "volume in volume" expresses the number of milliliters of an active constituent in 100 milliliters of solution
var	variety
viz.	(*videlicet*) that is to say; namely
vol	volume
vs	versus
w/v	percent "weight in volume" expresses the number of grams of an active constituent in 100 milliliters of solution, and is used regardless of whether water or another liquid is the solvent
w/w	percent "weight in weight" expresses the number of grams of an active constituent in 100 grams of solution or mixture
wt	weight

MONOGRAPHS

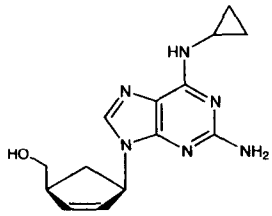
TABLE OF CONTENTS

THE MERCK INDEX

OF CHEMICALS, DRUGS, AND BIOLOGICALS

A

1. Abacavir. [136470-78-5] (1*S*,4*R*)-4-[2-Amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol; (−)-*cis*-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol; 1592U89. $C_{14}H_{18}N_6O$; mol wt 286.33. C 58.73%, H 6.34%, N 29.35%, O 5.59%. Nucleoside reverse transcriptase inhibitor (NRTI). Prepn: S. M. Daluge, **EP 349242** (1990 to Wellcome Found.); *idem*, **US 5034394** (1991 to Burroughs Wellcome). Asymmetric synthesis: M. T. Crimmins, B. W. King, *J. Org. Chem.* **61,** 4192 (1996). Pharmacology and biological profile: S. M. Daluge *et al., Antimicrob. Agents Chemother.* **41,** 1082 (1997). Review of antiviral activity and clinical evaluations: R. H. Foster, D. Faulds, *Drugs* **55,** 729-736 (1998). Clinical trial of triple nucleoside regimen in HIV patients: S. Staszewski *et al., J. Am. Med. Assoc.* **285,** 1155 (2001).

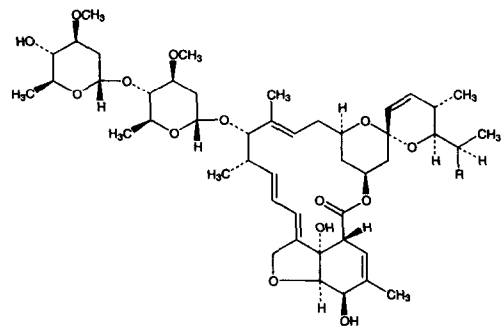


White solid foam from acetonitrile, mp 165°. uv max (pH 1): 296, 255 nm (ε 14000, 10700); uv max (pH 7): 284, 259 nm (ε 15900, 9200); uv max (pH 13): 284, 259 nm (ε 15800, 9100). $[\alpha]_D^{20}$ −59.7°; $[\alpha]_{436}^{20}$ −127.8°; $[\alpha]_{365}^{20}$ −218.1° (c = 0.15 in methanol). Log P (1-octanol/0.1*M* sodium phosphate): 1.22 ±0.03 (pH 7.4). pKa 5.01. Soly in water (25°): >80 mM (pH 7).

Sulfate. [188062-50-2] Ziagen. $(C_{14}H_{18}N_6O)_2.H_2SO_4$; mol wt 670.74.

THERAP CAT: Antiviral.

2. Abamectin. [71751-41-2] Avermectin B_1; 5-*O*-demethylavermectin A_{1a} and 5-*O*-demethyl-25-de(1-methylpropyl)-25-(1-methylethyl)avermectin A_{1a} (4:1); avermectin $B_{1a/b}$; MK-936; Agri-Mek; Avid; Zephyr. Mixture of avermectins, *q.v.*, containing at least 80% of avermectin B_{1a} ($C_{48}H_{72}O_{14}$) and not more than 20% of avermectin B_{1b} ($C_{47}H_{70}O_{14}$). Isoln from *Streptomyces avermitilis:* G. Albers-Schönberg *et al.*, **DE 2717040**; *eidem*, **US 4310519** (1977, 1982 both to Merck & Co.). Separation of components: T. W. Miller *et al., Antimicrob. Agents Chemother.* **15,** 368 (1979); by semi-preparative HPLC: C. C. Ku *et al., J. Liq. Chromatogr.* **7,** 2905 (1984). Structure determn: G. Albers-Schönberg *et al., J. Am. Chem. Soc.* **103,** 4216 (1981). Absolute configuration: J. P. Springer *et al., ibid.* 4221. Partial synthesis of B_{1a}: K. C. Nicolaou *et al., ibid.* **106,** 4189 (1984). Total synthesis: S. Hanessian *et al., ibid.* **108,** 2776 (1986). Anthelmintic activity: L. S. Blair, W. C. Campbell, *J. Parasitol.* **64,** 1032 (1978); J. R. Egerton *et al., Antimicrob. Agents Chemother.* **15,** 372 (1979); K. S. Todd *et al., Am. J. Vet. Res.* **45,** 976 (1984). Pesticidal activity: I. Putter *et al., Experientia* **37,** 963 (1981); R. A. Dybas, A. St. J. Green, *Proc. Br. Crop Prot. Conf. - Pests Dis.* **1984,** 947. Control of red imported fire ants: J. A. Greenblatt *et al., J. Agric. Entomol.* **3,** 233 (1986). Interaction with GABA receptors: S. S. Pong *et al., J. Neurochem.* **34,** 351 (1980); T. N. Mellin *et al., Neuropharmacology* **22,** 89 (1983). Receptor binding studies: S. S. Pong, C. C. Wang, *ibid.* **19,** 311 (1980); G. Drexler, W. Sieghart, *Eur. J. Pharmacol.* **99,** 269 (1984). Fate in soil and plants: D. L. Bull *et al., J. Agric. Food Chem.* **32,** 94 (1984); H. A. Moye *et al., ibid.* **35,** 859 (1987); M. S. Maynard *et al., ibid.* **37,** 178, 184 (1989). *Review:* J. R. Babu, *ACS Symp. Ser.* **380,** 91-108 (1988). Reviews of modes of action: C. C. Wang, S. S. Pong, *Prog. Clin. Biol. Res.* **97,** 373-395 (1982); D. J. Wright, *Biochem. Soc. Trans.* **15,** 65-67 (1987); of insecticidal activities and use: L. Strong, T. A. Brown, *Bull. Entomol. Res.* **77,** 357-389 (1987). *Book: Ivermectin and Abamectin*, W. C. Campbell, Ed. (Springer-Verlag, New York, 1989) 363 pp.



Odorless, off-white to yellow crystals from methanol, mp 150-155° (dec). $[\alpha]_D$ +55.7 ±2° (c = 0.87 in $CHCl_3$). uv max (methanol): 237, 245, 253 nm (log ε 4.48, 4.53, 4.34). Vapor pressure: 1.5×10^{-9} torr. Soly at 21° (μg/l): water 10; (mg/ml): acetone 100; *n*-butanol 10; chloroform 25; cyclohexane 6; ethanol 20; isopropanol 70; kerosene 0.5; methanol 19.5; toluene 350. Hydrolysis does not occur in aq soln at pH 3, 5, 7. LD_{50} (technical grade) orally in sesame oil in mouse, rat: 13.5, 10.0 mg/kg; dermally in rabbit: >2000 mg/kg. LD_{50} in mallard duck, bobwhite quail: 84.6, >2000 mg/kg. LC_{50} (96 hr) in rainbow trout, bluegill: 3.6, 9.6 μg/l; LC_{50} (48 hr) in *Daphnia magna*: 0.34 μg/l (Merck Technical Data Sheet).

USE: Acaricide; insecticide.

THERAP CAT (VET): Anthelmintic.

3. Abarelix. [183552-38-7] *N*-Acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-*N*-methyl-L-tyrosyl-D-asparaginyl-L-leucyl-N^6-(1-methylethyl)-L-lysyl-L-prolyl-D-alaninamide; Ac-D-Nal^1-4-Cl-D-Phe^2-D-Pal^3-*N*-Me-Tyr^5-D-Asn^6-Lys(iPr)^8-D-Ala^{10}-LH-RH; PPI-149; Plenaxis. $C_{72}H_{95}ClN_{14}O_{14}$; mol wt 1416.06. C 61.07%, H 6.76%, Cl 2.50%, N 13.85%, O 15.82%. Decapeptide LH-RH antagonist. Prepn: R. W. Roeske, **WO 9640757** (1996 to Indiana Univ. Found.); *idem*, **US 5843901** (1998 to Adv. Res. Technol. Inst.). Pharmacology and suppression of plasma gonadotropins: C. J. Molineaux *et al., Mol. Urol.* **2,** 265 (1998). Clinical comparison with leuprolide in prostate cancer: J. Trachtenberg *et al., J. Urol.* **167,** 1670 (2002). Clinical pharmacology: S. L. Wong *et al., Clin.*