

# Pharmaprojects

## FILE DESCRIPTION

**Pharmaprojects** reports on the progress of new pharmaceutical products, both new chemical entities and biotechnological entities, at all stages of development from early pharmacological studies either to final launch in all major markets or to discontinuation for scientific or commercial reasons.

File 128 is the public file; File 928 is the subscriber file, available to those who subscribe to the print *Pharmaprojects*.

## SUBJECT COVERAGE

**Pharmaprojects** primarily addresses the information needs of the pharmaceutical industry and closely related fields, but is also of interest to those involved in the evaluation of pharmaceutical company performance. Each entry contains the product name, synonyms, originating company and development status, licensees and development status, stage of development in up to 40 countries, rigorously classified therapeutic activity, drug protein target, chemical name (conforming to CAS index name format where possible), CAS® Registry Number, Lipinski "Rule of 5" data, molecular formula, pharmacology and patent/priority data, and descriptive text with key literature references.

## SOURCES

**Pharmaprojects** is compiled from both published and unpublished sources. A considerable amount of information is obtained directly from the companies involved in product development. In addition, Pharmaprojects staff attend international meetings to obtain information directly from research scientists. They also scan conference proceedings and the scientific and medical literature to track new products. Pharmaprojects also has a rigorous programme of contacting the companies in the publication and regularly scanning their websites to ensure that Pharmaprojects is a completely up-to-date source of international pharmaceutical product development information.

## TIPS

### USE FILE 128

to track pharmaceutical products in all stages of development.

### USE /CO OR CO=

to find companies of interest.

### USE /NA OR NA=

to search Drug names.

### USE /TG

to search for Target Data.

### USE HELP NEWS128

for more information on Target Data codes.

## DIALOG FILE DATA

Inclusive Dates:

Products in active development since 1980 to present

Update Frequency: Weekly

File Size: More than 35,000 records as of May 2007

## CONTACT

Pharmaprojects is provided by Informa UK Ltd. Questions concerning file content should be directed to:

Informa UK Ltd.

Pharmaprojects Helpdesk

69-77 Paul Street

London EC2A 4LQ

United Kingdom

Phone: +44 (0) 20 7017 6868 (Helpdesk)

Fax: +44 (0) 20 7017 6905

E-Mail: [pharmaprojects.help@informa.com](mailto:pharmaprojects.help@informa.com)



## SAMPLE RECORD (cont'd)

**/PK** PHARMACOKINETICS

Model (Dose)--Parameter--Values--Unit  
Human (40mg po bid)--Tmax--3 - 1--hr

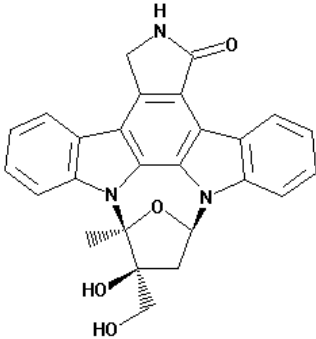
**/OM,OM=** CHEMICAL DATA  
Origin: Code Description  
CH-SY Chemical, synthetic

**NC=** New Entity: Yes  
**RN=** CAS Reg. No: 111358-88-4  
**RB=** Rot. Bonds: 3  
**MF=** Mol. Formula: C<sub>26</sub>H<sub>21</sub>N<sub>3</sub>O<sub>4</sub>

**HD=,HA=** Hydrogen Bond Donors: 3 Hydrogen Bond Acceptors: 4  
**MW=,AL=** Mol. Weight: 439.47 AlogP: 3.07

**/CN,CN=** Chemical Name:  
9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-kl)pyrrolo(3,4-i)(1,6)benzodiazocin-1-one,  
2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (CAS)

STRUCTURE



**/ST,ST=** COUNTRY DATA  
Status: (Active)  
Japan Preclinical/Licensing Availability Unknown  
USA Phase III Clinical Trial/Licensing Availability Unknown  
World Phase III Clinical Trial

**/UP,UP=** MAJOR EVENTS

Event Date	A/E	Event-Details
20061023	Est	Change in Status--Phase III Clinical Trial
20061023	Est	New Indication-Psoriasis
20061023	Est	New Therapeutic Activity--Antipsoriasis D5A
20060404	Act	Orphan Drug Status Granted--The US, Cancer, leukaemia, acute myelogenous
20060110	Est	New Indication--Cancer, myeloma
20041101	Est	Names Granted--CEP-701
20040413	Est	New Indication--Cancer, CNS
20030611	Est	Pharmacology Identified--Flt-3 antagonist KI-FLT3-
20020419	Est	New Indication--Cancer, leukaemia, acute myelogenous
20010220	Est	Suspended Products--Phase II Clinical Trial
20000608	Est	New Licensees--Kyowa Hakko
20000308	Est	New Chemical Structure-New
20000120	Est	Change in Licensee Status--TAP Abbott/Takeda, Phase II Clinical Trial
20000111	Est	New Licensees--Schwarz Pharma
19991013	Est	Licensing Opportunities-Europe
19990317	Est	New Product in Pharmaprojects

**NR=,SR=,MR=,TR=** RATING: Novelty: 6 (Leading Compound)

## SAMPLE RECORD (cont'd)

Development Speed: 2 (Slower than Average)  
 Market Size: 3 (US\$ 2001-5000 million)  
 Total Rating: 11 (Total Rating)

/TX TEXT:

Lestaurtinib (CEP-701) is an oral indolocarbazole inhibitor of several tyrosine kinases, including Flt-3 and TrkA, under development by Cephalon for the treatment of acute myelogenous leukaemia (AML), pancreatic cancer and multiple myeloma (MM) (Direct communication, Cephalon, 9 Mar 1999; Ann Rep, 2001, Cephalon; Press release, Cephalon, 4 Apr 2006).

## Marketing

A filing for AML is expected in the 4th qtr of 2007 (Company presentation, Cephalon, 27 Nov 2006). It is licensed to Kyowa Hakko for Japan (as KT-5555) (Scrip Daily Online, 5 Jun 2000, S00667230). It is licensed to Schwarz Pharma (UCB) for development and marketing (as SPM-924) in Europe and certain other territories outside Japan and the US (Scrip Daily Online, 30 Dec 1999; Direct communication, Schwarz Pharma, 9 Sep 2000; Company Web Page, Schwarz Pharma, 6 Feb 2001). It has US orphan drug status for the treatment of AML (Press release, Cephalon, 4 Apr 2006). It was previously put on hold by Schwarz Pharma, as a Phase II study was halted due to side-effects and drop-outs (Direct communication, Schwarz Pharma, 27 Feb 2001).

## Clinical

## Phase III

It is in Phase III trials for AML (Company Web Page, Cephalon, 23 Oct 2006).

## Phase II

It is in Phase II trials for prostate cancer, paediatric neuroblastoma and AML in early-stage patients, and in combination with other therapies (Analyst Day, Cephalon, 13 Apr 2004; Company Web Page, Cephalon, 7 Jun 2005). It is in Phase IIa trials in MM (JP Morgan 24th Ann Healthcare Conf (San Francisco), 2006).  
 (. . .)

## Preclinical

In vitro, lestaurtinib inhibited wild-type JAK2. In human HEL92.1.7 V617F mutated cells, HEL92.1.7 wild-type cells and HEL92.1.7 xenografts in nude mice, lestaurtinib was inhibitory. In CD34+ peripheral blood cells from myeloproliferative disorder patients, lestaurtinib >100nM inhibited growth by >50% in 2/4 polycythaemia cell lines, 10/11 essential thrombocytopenia cell lines, 2/2 agnogenic myeloid metaplasia cell lines and 1 AML cell line. In CD34+ cell cultures from normal bone marrow, lestaurtinib did not inhibit growth. Lestaurtinib 30-100nM strongly inhibited JAK2/STAT5 and AKT signalling, and suppressed expression of BclxL and cyclin D1/D1 (48th Meet Am Soc Hematol (Orlando), 2006, Abs 3594). Lestaurtinib was in preclinical trials in Japan (as KT-5555) for prostate cancer (Ann Rep, Kyowa, 2001; Scrip Daily Online, 5 Jun 2000, S00667230). Updated by SR on 20/3/2007.

PD= Published: 20070406  
 RD= Revised: 20070321

## SEARCH OPTIONS

## BASIC INDEX

SEARCH SUFFIX	DISPLAY CODE	FIELD NAME	INDEXING	SELECT EXAMPLES
—	—	All Basic Index Fields	Word	S JOHNSON(2W)JOHNSON
/CN	CN	Chemical Name <sup>1</sup>	Word	S 9(W)12(W)EPOXY(W)1H(S)DIINDOLO/CN
/CO	CO	Company Name and Status <sup>1,2,3</sup>	Word	S CEPHALON/CO S CEPHALON(S)PHASE(W)II/CO
/DN	DN	Drug Name <sup>1</sup>	Word & Phrase	S LESTAURTINIB/DN S ANTI-INFLAMMATORY/DN
/IN	IN	Indications	Word	S PROSTATE(N)CANCER/IN
/LG	LG	Linking <sup>3</sup>	Word	S K6Z(S)PHASE(W)II/LG
/LI	LI	Licensee and Status <sup>1,3</sup>	Word	S TAKEDA/LI S ABBOTT(S)PHASE(W)II/LI
/LO	LO	Originator and Status <sup>1,3</sup>	Word	S CEPHALON/LO S CEPHALON(S)PHASE(W)II/LO
/NA	NA	Drug Name <sup>1,4</sup>	Word & Phrase	S LESTAURTINIB/NA S ANTI-INFLAMMATORY/NA
/OM	OM	Origin of Material <sup>1</sup>	Word	S CHEMICAL(W)SYNTHETIC?/OM
/PH	PH	Pharmacological Code	Word & Phrase	S KI(W)FLT3(W)AN/PH S KI-FLT3-AN/PH
/PK	PK	Pharmacokinetic Data	Word	S HUMAN(W)40MG/PK
/RO	RO	Route of Administration Text	Word	S ALIMENTARY(W)PO/RO
/ST	ST	Country and Status <sup>1,3</sup>	Word	S JAPAN(S)PRECLINICAL/ST
/SY	SY	Synonyms <sup>1,5</sup>	Word & Phrase	S KT(W)5555/SY S KT-5555/SY
/TC	TC	Therapeutic Class Text	Word & Phrase	S ANTICANCER/TC S MULTIPLE SCLEROSIS?/TC
/TF	TF	Target Families	Word	S 2322/TF
/TG	TG	Target Data <sup>6</sup>	Word	S FMS(W)RELATED(W)TYROSINE?/TG
/TX	TX	Text	Word	S PANCREATIC(W)CANCER/TX
/UP	UP	Update Information	Word	S NEW(W)PRODUCT/UP

<sup>1</sup> Searchable in the Basic Index and in the Additional Indexes.

<sup>2</sup> Includes Originator and Licensee.

<sup>3</sup> Use the (S) operator to link with Status.

<sup>4</sup> Includes Chemical Name, Laboratory Code, Brand Name, and Generic Name.

<sup>5</sup> Includes Laboratory Code, Brand Name, and Generic Name.

<sup>6</sup> Present for about 40% of records. Includes Target Data Codes, Terms, and Families. Records that do not have Target Data display: "99999999: Unspecified..."

## ADDITIONAL INDEXES

SEARCH PREFIX	DISPLAY CODE	FIELD NAME	INDEXING	SELECT EXAMPLES
AC=	AC	Patent Application Country	Phrase	S AC=GB
AD=	AD	Patent Application Date	Phrase	S AD=20050425
AL=	AL	ALogP	Numeric	S AL=3.07
AY=	AY	Patent Application Year	Phrase	S AY=2006
—	AZ	DIALOG Accession Number		
CN=	CN	Chemical Name <sup>1</sup>	Phrase	S CN=9,12-EPOXY-1H-DIINDOLO?
CO=	CO	Company Name <sup>1,2</sup>	Phrase	S CO=CEPHALON
DN=	DN	Drug Name <sup>1</sup>	Phrase	S DN=LESTAURTINIB
HA=	HA	Hydrogen Bond Acceptors	Numeric	S HA=4
HD=	HD	Hydrogen Bond Donors	Numeric	S HD=3
—	IM	Image		
LI=	LI	Licensee <sup>1</sup>	Phrase	S LI=SCHWARZ PHARMA
LO=	LO	Originator <sup>1</sup>	Phrase	S LO=CEPHALON(S)USA
LU=	LU	Latest Update and Information <sup>8</sup>	Phrase	S LU=20061128 S LU=EXPECTED TIMING OF?
MF=	MF	Molecular Formula	Phrase	S MF=C26H21N3O4
MR=	MR	Rating: Market Size	Phrase	S MR=3
MW=	MW	Molecular Weight	Numeric	S MW=439.47
NA=	NA	Drug Name <sup>1,4</sup>	Phrase	S NA=LESTAURTINIB
NC=	NC	New Chemical Entity	Phrase	S NC=YES
NR=	NR	Rating: Novelty	Phrase	S NR=6
OM=	OM	Origin of Material <sup>1</sup>	Phrase	S OM=CH-SY
PC=	PC	Patent Country	Phrase	S PC=EP
PD=	PD	Revision Date	Phrase	S PD=20070406
PH=	PH	Pharmacological Code <sup>7</sup>	Phrase	S PH=KI-FLT3-AN?
PN=	PN	Patent Number	Phrase	S PN=EP 184206
PY=	PY	Revision Year	Phrase	S PY=2006
RB=	RB	Rotatable Bonds	Numeric	S RB=3
RD=	RD	Revision Date	Phrase	S RD=20070321

## ADDITIONAL INDEXES (cont'd)

SEARCH PREFIX	DISPLAY CODE	FIELD NAME	INDEXING	SELECT EXAMPLES
RN=	RN	CAS(R) Registry Number	Phrase	S RN=111358-88-4
RO=	RO	Route of Administration Code	Phrase	S RO=A-PO
RT=	—	Record Type	Phrase	S RT=IMAGE
SR=	SR	Rating: Development Speed	Phrase	S SR=2
ST=	ST	Country and Status <sup>1</sup>	Phrase	S ST=JAPAN PRECLINICAL
SY=	SY	Synonyms <sup>1,5</sup>	Phrase	S SY=KT-5555
TC=	TC	Therapeutic Class Code	Phrase	S TC=K6Z
TG=	TG	Target Data Code	Phrase	S TG=2322
				S TG=99999997
TR=	TR	Rating: Total	Phrase	S TR=11
UD=	—	Update	Phrase	S UD=9999
UP=	UP	Update Information	Phrase	S UP=CHANGE IN STATUS?

<sup>7</sup> Most of these codes are searchable only as PH= but not as /PH.

<sup>8</sup> For records starting 2001.

## SPECIAL FEATURES

For command descriptions, enter HELP LIMIT, HELP SORT, HELP RANK, HELP MAP online.

<b>LIMIT</b>	/ACTIVE -- Drugs in Development /CEASED -- Discontinued Drugs /IMAGE -- Image Present in Record /LAUNCHED -- Fully Developed Drugs /YYYY -- Publication Year	S PHASE(W)III/ACTIVE S S2/CEASED S UD=9999/IMAGE S SULINDAC/LAUNCHED S TC=D5A/2006
<b>SORT</b>	CO, DN, LO, NA, PD, PY	SORT S1/ALL/LO PRINT S5/5/1-24/NA
<b>RANK</b>	All phrase- and numeric-indexed fields in the Additional Indexes can be ranked. Other RANK codes include: SYRN	RANK CO S3
<b>MAP</b>	DN, NA, PN, RN, SY, SYRN	MAP NA TEMP S2 MAP SYRN TEMP S1

## PREDEFINED FORMAT OPTIONS

NO.	DIALOGWEB FORMAT	RECORD CONTENT
1	--	DIALOG Accession Number
2	--	Full Record
3	Medium	Full Record
4	--	Full Record with Tagged Fields
5	--	Full Record
6	Free	DIALOG Accession Number, Drug Name, and Revision Date
7	Long	Full Record
8	Short	DIALOG Accession Number, Drug Name, and Revision Date
9	Full	Full Record
14	--	Full Record with Tagged Fields and with Image
19	--	Full Record with Image
K	--	KWIC (Key Word In Context) displays a window of text; may be used alone or with other formats

## OTHER OUTPUT OPTIONS

For an explanation, enter HELP TYPE, HELP UDF, HELP TAG online.

<b>USER DEFINED FORMATS</b>	The full record price will be charged for any field displayed with codes. User-defined formats can be specified using the display codes indicated in the Search Options tables.	TYPE S3/CO,NA/1-5
<b>TAG</b>	Output can be displayed with tags identifying each display field..	TYPE S3/3/1-5 TAG
<b>DIRECT RECORD ACCESS</b>	DIALOG Accession Number	TYPE 0010364/5 DISPLAY 023143/CO,NA PRINT 100015/9

## FOR ONLINE HELP:

See HELP FIELDS 128 for searchable fields; HELP FORMAT 128 for output formats; HELP LIMIT 128 for limits; HELP RATES 128 for cost information; HELP SORT 128 for sorts.