

Pfizerpedia Patents - The Who, what when and why of patents



David Walsh, Andrew Berridge, RDMi, Pfizer, Sandwich



Worldwide Technology
R&D Informatics

We are Pfizer - We like Patents!

- Lipitor patent
- The best selling US prescription medicine



US005273995A

United States Patent [19] [11] **Patent Number:** 5,273,995
Roth [45] **Date of Patent:** Dec. 28, 1993

[54] [R-(R*)]-2-(4-FLUOROPHENYL)- β , δ -DIHYDROXY-5-(1-METHYLETHYL-3-PHENYL-4-(PHENYLAMINO) CARBONYL)-1H-PYRROLE-1-HEPTANOIC ACID, ITS LACTONE FORM AND SALTS THEREOF

[75] Inventor: **Bruce D. Roth**, Ann Arbor, Mich.

[73] Assignee: **Warner-Lambert Company**, Morris Plains, N.J.

[21] Appl. No.: **660,976**

[22] Filed: **Feb. 26, 1991**

Related U.S. Application Data

[63] Continuation of Ser. No. 384,187, Jul. 21, 1989, abandoned.

[51] Int. Cl.⁵ **A61K 31/40; C07D 405/06**

[52] U.S. Cl. **514/422; 514/423; 548/517; 548/537**

[58] Field of Search **514/422, 423; 548/517, 548/537**

[56] **References Cited**
U.S. PATENT DOCUMENTS
 4,681,893 7/1987 Roth 514/223

OTHER PUBLICATIONS
 J. Med. Chem. 1985, 28, 347-358—G. E. Stokker, et al. "3-Hydroxy-3-Methylglutaryl-Coenzyme a Reductase ...".
 Tetrahedron Letters, vol. 28, No. 13, pp. 1385-1388, 1987 "Synthesis of an HMG-COA Reductase Inhibitor, ...".

Primary Examiner—Mary C. Lee
Assistant Examiner—Jacqueline Haley
Attorney, Agent, or Firm—Ronald A. Daignault

[57] **ABSTRACT**
 [R-(R*)]-2-(4-fluorophenyl)- β , δ -dihydroxy-5-((1-methylethyl)-3-phenyl-4-((phenylamino)-carbonyl)-1H-pyrrole-1-heptanoic acid or (2R-trans)-5-(4-fluorophenyl)-2-(1-methylethyl-N,4-diphenyl-1-[2-(tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl)ethyl]-1H-pyrrole-3-carboxamide; and pharmaceutically acceptable salts thereof.

12 Claims, No Drawings



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We are Pfizer - We like to read patents!

Many processes in Research and commercial activities require us to monitor patents

- Serendipity
- Competitor Intelligence
- Target Validation
- Lead Discovery
- Indications Discovery

Serendipity ?

is the effect by which one accidentally discovers something fortunate, especially while looking for something else entirely unrelated.

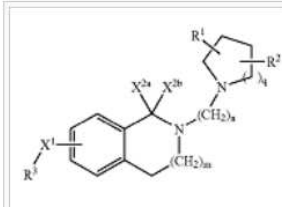
- Alerting in Pfizer
- Requirements
- Problems with Excel
- Semantic MediaWiki
- Future Prospects
- Conclusions

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discussion
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history

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US2009069300

Patent Alert
Research Unit
Indication
Target
Organisation

Patent Title	Isoquinolinyl and Isoindolinyl Derivatives as Histamine-3 Antagonists	 <p style="font-size: x-small; margin-top: 5px;">US2009069300 </p>
Patent Number	US2009069300	
Research Unit	Allergy and Respiratory	
Organisation	Wyeth	
Date	20090312	
Indication		
Target	Antihistamine H3	
Patent Record	US2009069300	
Record Last Revised (in Pfizerpedia Patents):	20090606	
<p style="font-size: x-small; margin-top: 10px;">Source: US2009069300A The present invention provides a compound of formula I and the use thereof for the treatment of a central nervous system disorder related to or affected by the histamine-3 receptor</p>		

- Scifinder
- WebAlerts derived from Derwent WDA +
- Sandwich Patent alerting system



Sandwich Patent Alerting System, 2002 to date

■ Sandwich Patent alerting system

- ◆ Much more intervention and selection; the need to be agile and report only on relevant patents; but have room to identify really new patents on new targets, new processes.
- ◆ Derived originally from USPTO, EP, WO websites,
 - then Micropatent
 - and then Patbase
- ◆ Alerts processed from Patbase export of XML, followed by processing using Pipeline Pilot to remove all but the essential data, and generated as Excel file
- ◆ Structures selected and clipped manually from original patent document pdf; best description of invention





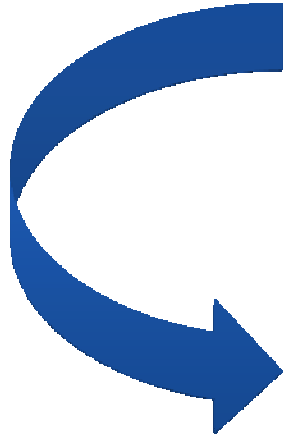
Sandwich Patent Alerting Excel file

Conversion of XML

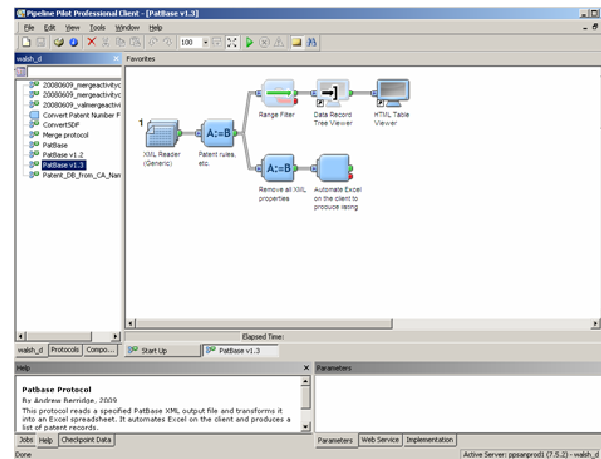
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      <Inventor>VENABLE JENNIFER D</Inventor>
    </Inventors>
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Via Pipeline Pilot



To Excel Sheet: Parsimony Curation



RU	A	B	C	D	E	F	G	H	I	J	K
1	a	Bicyclic heteroaryl-substituted imidazole as modulators of the histamine H4 receptor	ANTHISTAMINE H4	Allergy, Asthma	20090618	<chem>R1C1=NC2=C(N1)N=CN=C2R2</chem>	JANSSEN	Source: US2009156613A1 Bicyclic heteroaryl-substituted imidazole compounds are described which are useful as H4 receptor modulators. Such compounds may be used in pharmaceutical compositions and methods for the modulation of histamine H4 receptor activity and for the treatment of disease states disorders and conditions mediated by H4 receptor activity such as allergy asthma autoimmune diseases, and neurotic	WO09079001	US2009156613	W09079001
2	a	DIPEPTIDYL AMINOPEPTIDASE INHIBITORS	DPP1	Respiratory diseases	20090618	<chem>R1C1=NC2=C(N1)N=CN=C2R2</chem>	ASTRAZENECA	Source: WO09074829A The present invention provides compounds of formula (I) in which n is 1-3; A, B, R1, R2, R3, R4 and R5 are as defined in the specification a process for their preparation pharmaceutical compositions containing them and their use as dipeptidyl peptidase I (DPP1) inhibitors.	WO09074829		W09074829
3	a	SUBSTITUTED 1-3-CYCLOPENTADIENE MULTITARGET PROTEIN KINASE MODULATORS OF CANCER ANGIOGENESIS AND THE INFLAMMATORY SIGNALING PATHWAY	PKC, kinases		20090618	<chem>R1C1=NC2=C(N1)N=CN=C2R2</chem>	METAPROTEOMICS	Source: WO09076428A Compounds and methods for multi-targeted protein kinase modulation for angiogenesis cancer treatment or the inflammatory pathways associated with those conditions are disclosed. The compounds and methods disclosed are based on substituted 1-3-cyclopentadiene compounds.	WO09076428		W09076428
4	a	INFLAMMATORY SIGNALING PATHWAY ANTAGONISTS FOR USE AS MEDICAMENTS	STP		20090618	<chem>R1C1=NC2=C(N1)N=CN=C2R2</chem>	UNIV LAUSANNE	Source: WO09074969A The present invention relates to compounds for treating and/or preventing cardiac and/or vascular symptoms in individuals suffering from Fabry disease. More generally, the present invention relates to compounds treating and/or preventing vascular and/or cardiac hypertrophy and/or hyperplasia in	WO09074969		W09074969



Worldwide Technology R&D Informatics

- Need to update a manual patent alert in Excel
 - ◆ Need to generate a Target based patent portfolio database
 - ◆ Minimal disruption to users
 - ◆ Need to have chemical structures
 - ◆ Need to provide RSS feeds
 - ◆ Need to have consistent Target identity, Organisations and indications
 - ◆ Need to provide links to full text of patents
 - ◆ Web based service



Needs requirements - client side

- Need to be informed quickly about new patents
- Require chemical structures to assess relevance
- Needs to be flexible according to changes in research portfolio
- Needs to identify new targets to allow serendipity

- Floating structures
- No sorting facility
- No searching facility
- Alert becomes ephemeral very quickly and is not re-used
- Difficult to generate a database with structure images



Why do patent alerting this way?

- Close relationship between selected patents and project goals
- Flexible to changes in project portfolio
- Allows finding really new targets by inbuilt serendipity based on broad strategies and analysis of competitor companies.

- Generates a “database” without requiring development of a custom software solution
- Little requirement for external programming
- High speed prototyping and development
- Provides hyperlinking of all major fields; very flexible
- Originally considered as a means to present competitor intelligence charts, but as this data may change cell by cell, patent alerting was regarded as a simpler option.
- Can be queried by external applications



■ MediaWiki – as used by Wikipedia.org...



- ...but with “Semantic” extension:
 - ◆ Wiki pages have well-defined “Properties”
 - ◆ Wiki can be queried for values of the properties
 - ◆ Gives “click-through” capability for all properties on a page
- Example – “Patent record page” has properties:
 - ◆ Patent number
 - ◆ Organisation (patentee, or inventor)
 - ◆ Chemical structure
 - ◆ Date
 - ◆ Pfizer research unit
 - ◆ Target (what part of the body system does this patent influence?)
 - ◆ Indication (what disease does this patent treat?)

Semantic?

- Fits requirement – Wiki “knows” about its data. The text knows what it is. It’s not just a load of unstructured information. It begins to have structure and meaning
- I have a dream for the Web [in which computers] become capable of analyzing all the data on the Web – the content, links, and transactions between people and computers. A ‘Semantic Web’, which should make this possible, has yet to emerge, but when it does, the day-to-day mechanisms of trade, bureaucracy and our daily lives will be handled by machines talking to machines. The ‘intelligent agents’ people have touted for ages will finally materialize.
 - ◆ – Tim Berners-Lee, 1999



Tim Berners-Lee (2009)

Photo: Enrique Dans

Pfizerpedia Patents

EP1909102 - Pfizerpedia Patents - Mozilla Firefox

http://pfizerpediapatents/pfizerpediapatents/index.php/EP1909102

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pfizerpedia ^{BETA} patents

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- Permanent link
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Patent Title	Method for Subgroup Analysis in Subjects Having or Being Suspected of Having Inflammatory Disease Use of Anti-p38mapk Antibodies Kits and Their Use
Patent Number	EP1909102
Research Unit	Allergy and Respiratory
Organisation	C a I R Biosciences GmbH
Date	20080420
Indication	
Target	P38 MAP Kinase
Patent Record	EP1909102
Record Last Revised (in Pfizerpedia Patents):	20090606

EP1909102

Source: EP1909102A The present invention relates to a method of determining whether a subject having or suspected of having inflammatory disease may potentially benefit from treatment with a p38 mitogen-activated

By Research Unit


search

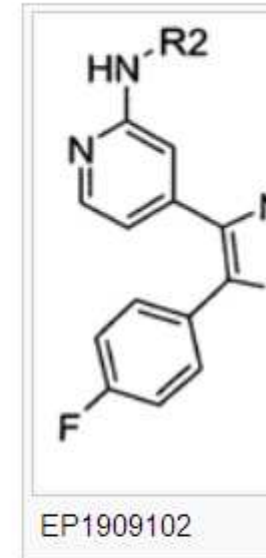
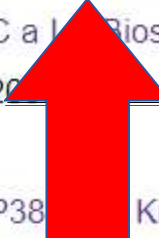
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Patent Title	Method for Subgroup Analysis in Subjects Having or Being Suspected of Having Inflammatory Disease Use of Anti-p38mapk Antibodies Kits and Their Use
Patent Number	EP1909102
Research Unit	Allergy and Respiratory
Organisation	C a l Biosciences GmbH
Date	20090606
Indication	
Target	P38 Kinase
Patent Record	EP1909102 
Record Last Revised (in Pfizerpedia Patents):	20090606

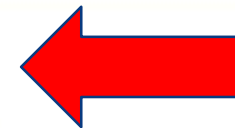


Source: EP1909102A The present invention relates to a method of determining whether a subject is susceptible of having inflammatory disease, more particularly, how to find a subject with the following characteristics:

By Research Unit (2)

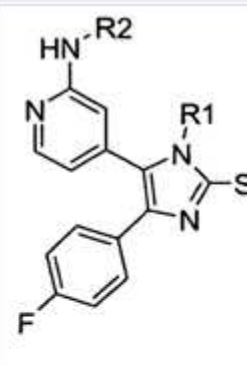

RSS Feed: Allergy and Respiratory published in last 6 months 

RSS Feed: Allergy and Respiratory uploaded or revised in last 6 months 



LOOK! RSS

Patent Alerts:

Patent Number	Research Unit	Organisation	Title	Date	Target	Indication	Structure Clipping
CN101332204	Allergy and Respiratory	Junan Shuaihua Medicine	Anticancer Composition Containing Phosphoinositide 3-kinase Inhibitor and Topology Enzyme Inhibitor	31 December 2008	PI3K		Image:CN101332204
EP1909102	Allergy and Respiratory	CaIR Biosciences GmbH	Method for Subgroup Analysis in Subjects Having or Being Suspected of Having Inflammatory Disease Use of Anti-p38mapk Antibodies Kits and Their Use	20 April 2008	P38 MAP Kinase		
EP2011490	Allergy and Respiratory	Sanofi Aventis	Beta Adrenergic Receptor Ligand Derivatives for Modulating Apoptosis		Beta 3		Image:EP2011490.pn
EP2020412	Allergy and Respiratory	Cellzome	Sulphur Containing Amino Pyrimidine	4 February 2009	Antihistamine H4		





By Target

Search	Organisation	Title	Date	Target	Indication
and atory	Junan Shuaihua Medicine	Anticancer Composition Containing Phosphoinositide 3-kinase Inhibitor and Topology Enzyme Inhibitor	31 December 2008	PI3K	
and atory	C a I R Biosciences GmbH	Method for Subgroup Analysis in Subjects Having or Being Suspected of Having Inflammatory Disease Use of Anti-p38mapk Antibodies Kits and Their Use	20 April 2008	P38 MAP Kinase	



By Target (2)

 RSS Feed: PI3K published in last 6 months 

 RSS Feed: PI3K uploaded or revised in last 6 months 



Patent Alerts:







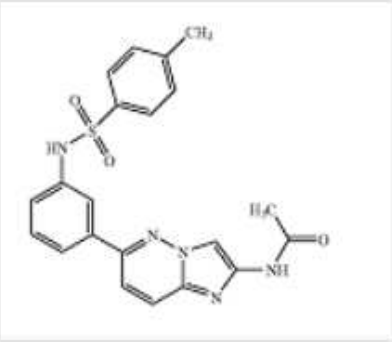


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CN101332204	Junan Shuaihua Medicine	PI3K	Anticancer Composition Containing Phosphoinositide 3-kinase Inhibitor and Topology Enzyme Inhibitor	31 December 2008		Allergy and Respiratory	lr
IN01572MU2007	Nycomed	PI3K	Novel Fused Pyrimidines	29 May 2009		Allergy and Respiratory	lr
US2008085271	Univ Wisconsin	PI3K	Methods of Preventing or Treating Cell-migration Mediated Conditions or Diseases	30 December 2004		Allergy and Respiratory	lr
US2009098086	Wyeth	PI3K	Thienopyrimidine and Pyrazolopyrimidine Compounds and Their	16 April 2009		Allergy and Respiratory	



How is this Driven?

- Each patent record has a series of “Properties” or “Facts”

Facts about US2009163489  RDF feed 

Date	25 June 2009 + 
Last Revised	16 July 2009 + 
Organisation	Amgen + 
Patent Number	US2009163489 + 
Patent Title	Inhibitors of PI3 Kinase + 
Research Unit	Allergy and Respiratory + 
Structure Clipping	 + 
Target	PI3K + 

Category: Patent Alert










- These facts are specified in a template

page discussion edit with form edit history delete move unprotect watch refresh

Report a Problem ?

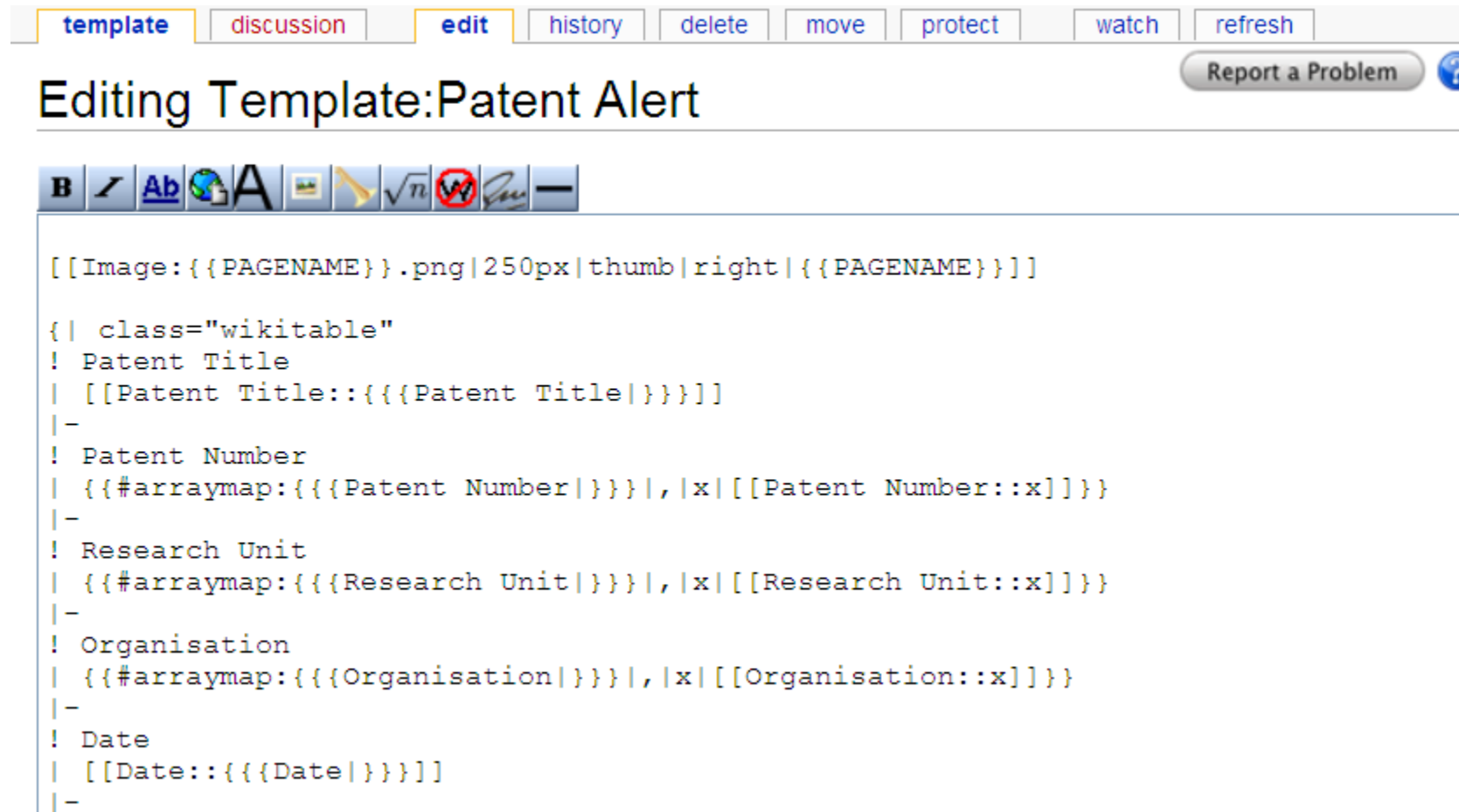
Editing US2009163489

WARNING: This page has been locked so that only users with sysop privileges can edit it.

B / **Ab**  **A**      

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|Research Unit=Allergy and Respiratory
|Organisation=Amgen
|Date=20090625
|Target=PI3K
|Indication=
}}
Source: US2009163489A The present invention relates to compounds of Formula I or
a pharmaceutically acceptable salt thereof that inhibit phosphoinositide
3-kinase; methods of treating diseases or conditions such as cancer using the
compounds; and pharmaceutical compositions containing the compounds.
[[Category:Patent Alert]]
```

- The template transforms these into properties



The screenshot shows a Wikipedia editing interface. At the top, there is a navigation bar with buttons for 'template', 'discussion', 'edit', 'history', 'delete', 'move', 'protect', 'watch', and 'refresh'. A 'Report a Problem' button is also visible. The main heading is 'Editing Template:Patent Alert'. Below the heading is a rich text editor toolbar with icons for bold, italic, link, unlink, image, table, list, indent, outdent, undo, redo, and help. The main content area contains the following template code:

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! Date
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■ The “#ask” query:

- ◆ Tell me all the pages in the Patent Alert category that have this research unit as a property:

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{#{ask: [[Category:Patent Alert]] [[Research Unit:: {{PAGENAME}}]]}
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- ◆ Now tell me all these things about the patents found:

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| ?Organisation=Organisation  
| ?Patent Title=Title  
| ?Date=Date  
| ?Target=Target  
| ?Indication=Indication  
| ?Structure Clipping=Structure Clipping  
}}
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- MediaWiki is best hosted in Apache/MySQL/PHP environment
- MediaWiki
- Import of data from Excel spreadsheets:
 - ◆ Excel Macro extracts images from spreadsheet
 - ◆ Python script on MediaWiki server reads spreadsheets (in .csv format) and creates the patent record pages in the wiki
 - ◆ Structures converted, en masse, using Microsoft Office Picture Manager
- Business Analysis
 - ◆ “Flexible indexing of Target”
 - ◆ No control over XML data - ?
 - ◆ Lots of data requires normalisation; lot of rules; inconsistency in legacy data

- Scientists like it – provides a flexible environment for viewing alerts
- I like it – easy to work with – to see the entire collection of patent alerts
- Uses new technology that is quickly prototyped
- Database is flexible, indexing is simple, does not include additional “toxic information”
- Allows linking to full text patent documents
- Copyright neutral
- Integrates processing into a single process for alerts and retrospective searches.

- Addition of structure data files to provide live structures
- Backfile already available for two years, but data available for six years
- Patent Project databases for important targets can be backfilled using the same processes as the alerting system
- XML -> Pipeline Pilot -> curation of targets and structures -> MediaWiki processing -> project database
- Concordance of Pfizer ontologies to make compatible with literature based databases
- Standardisation of data within the wiki – constructing an ontology based on standard “preferred terms”
- Going global – entire Pfizer patent alerting using the same process.

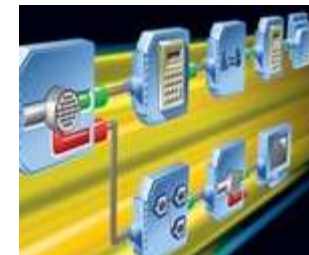


Acknowledgements

- Pfizerpedia Patents
- Semantic Media Wiki software available from:
http://semantic-mediawiki.org/wiki/Semantic_MediaWiki



- Scitegic Accelrys Pipeline Pilot



- Patbase (RWS Group, Minesoft)





And Finally!

**just because I don't know the meaning of my art,
does not mean it has no meaning..." *Salvador Dali***