

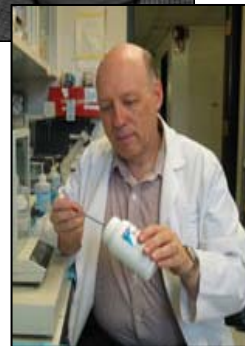
xPharm

Unsurpassed pharmacological e-content

Presented by: Doreen Tan
Title: Product Sales Manager, Life Science
Date: 14 July 2005, Thursday

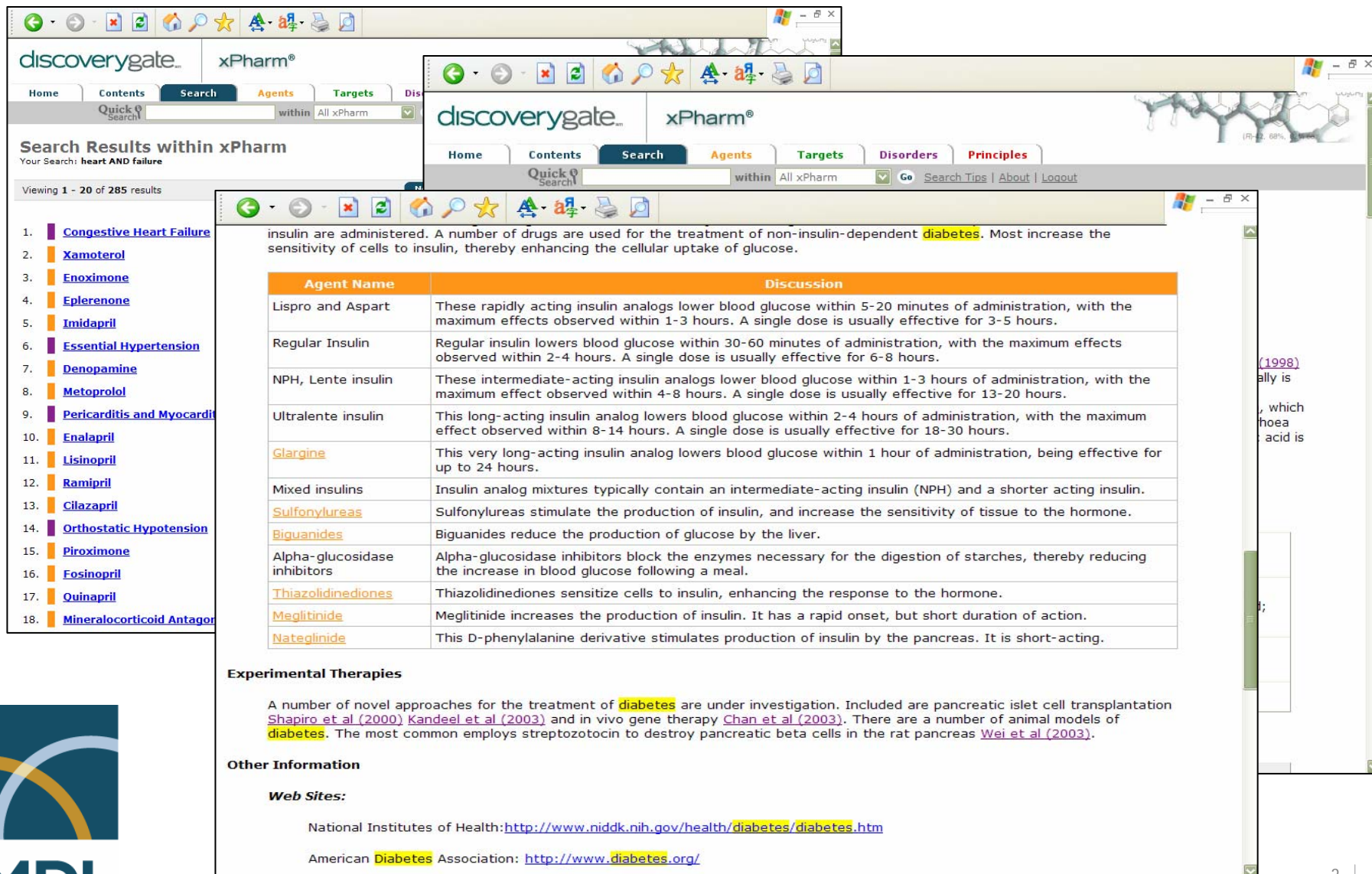
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– for medicinal pharmacology & life science



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1. Congestive Heart Failure
2. Xamoterol
3. Enoximone
4. Eplerenone
5. Imidapril
6. Essential Hypertension
7. Denopamine
8. Metoprolol
9. Pericarditis and Myocardial Infarction
10. Enalapril
11. Lisinopril
12. Ramipril
13. Cilazapril
14. Orthostatic Hypotension
15. Piroximone
16. Fosinopril
17. Quinapril
18. Mineralocorticoid Antagonists

insulin are administered. A number of drugs are used for the treatment of non-insulin-dependent diabetes. Most increase the sensitivity of cells to insulin, thereby enhancing the cellular uptake of glucose.

Agent Name	Discussion
Lispro and Aspart	These rapidly acting insulin analogs lower blood glucose within 5-20 minutes of administration, with the maximum effects observed within 1-3 hours. A single dose is usually effective for 3-5 hours.
Regular Insulin	Regular insulin lowers blood glucose within 30-60 minutes of administration, with the maximum effects observed within 2-4 hours. A single dose is usually effective for 6-8 hours.
NPH, Lente insulin	These intermediate-acting insulin analogs lower blood glucose within 1-3 hours of administration, with the maximum effect observed within 4-8 hours. A single dose is usually effective for 13-20 hours.
Ultralente insulin	This long-acting insulin analog lowers blood glucose within 2-4 hours of administration, with the maximum effect observed within 8-14 hours. A single dose is usually effective for 18-30 hours.
Glargine	This very long-acting insulin analog lowers blood glucose within 1 hour of administration, being effective for up to 24 hours.
Mixed insulins	Insulin analog mixtures typically contain an intermediate-acting insulin (NPH) and a shorter acting insulin.
Sulfonylureas	Sulfonylureas stimulate the production of insulin, and increase the sensitivity of tissue to the hormone.
Biguanides	Biguanides reduce the production of glucose by the liver.
Alpha-glucosidase inhibitors	Alpha-glucosidase inhibitors block the enzymes necessary for the digestion of starches, thereby reducing the increase in blood glucose following a meal.
Thiazolidinediones	Thiazolidinediones sensitize cells to insulin, enhancing the response to the hormone.
Meglitinide	Meglitinide increases the production of insulin. It has a rapid onset, but short duration of action.
Nateglinide	This D-phenylalanine derivative stimulates production of insulin by the pancreas. It is short-acting.

Experimental Therapies

A number of novel approaches for the treatment of diabetes are under investigation. Included are pancreatic islet cell transplantation Shapiro et al (2000) Kandeel et al (2003) and in vivo gene therapy Chan et al (2003). There are a number of animal models of diabetes. The most common employs streptozotocin to destroy pancreatic beta cells in the rat pancreas Wei et al (2003).

Other Information

Web Sites:

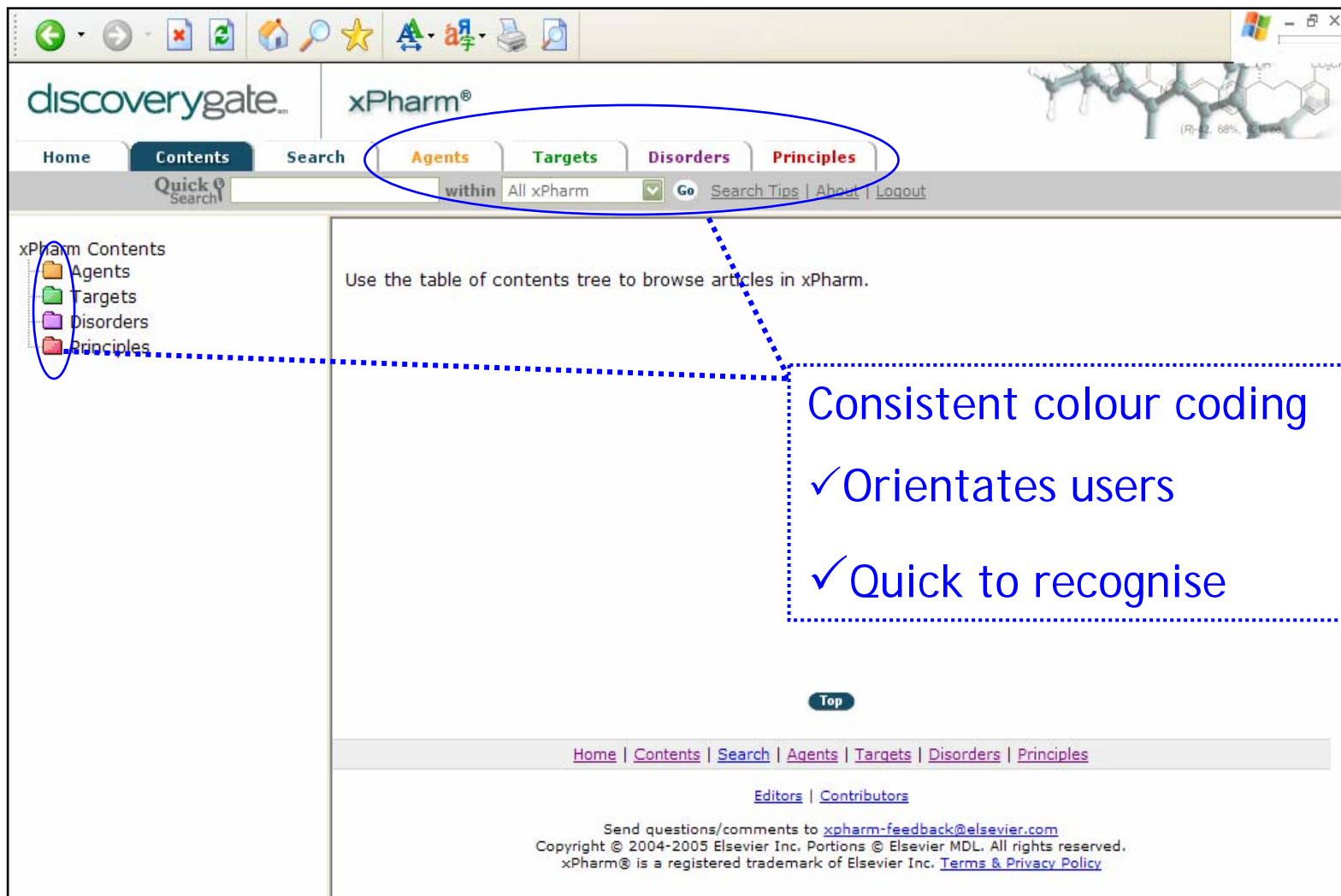
National Institutes of Health: <http://www.niddk.nih.gov/health/diabetes/diabetes.htm>

American Diabetes Association: <http://www.diabetes.org/>

(1998) ally is , which hoes acid is



EASY to VIEW



The screenshot displays the xPharm website interface. At the top, there is a navigation bar with tabs for Home, Contents, Search, Agents, Targets, Disorders, and Principles. The 'Agents' tab is highlighted with a blue oval. Below the navigation bar is a search bar with a 'Quick Search' button and a 'Go' button. The main content area features a table of contents tree on the left, with 'Agents', 'Targets', 'Disorders', and 'Principles' listed. The 'Agents' folder is highlighted with a blue oval. A blue dotted line connects the 'Agents' folder in the tree to a callout box on the right. The callout box contains the text: 'Consistent colour coding', '✓ Orientates users', and '✓ Quick to recognise'. At the bottom of the page, there is a footer with a 'Top' button, a navigation bar with links for Home, Contents, Search, Agents, Targets, Disorders, and Principles, and a copyright notice: 'Copyright © 2004-2005 Elsevier Inc. Portions © Elsevier MDL. All rights reserved. xPharm® is a registered trademark of Elsevier Inc. Terms & Privacy Policy'.

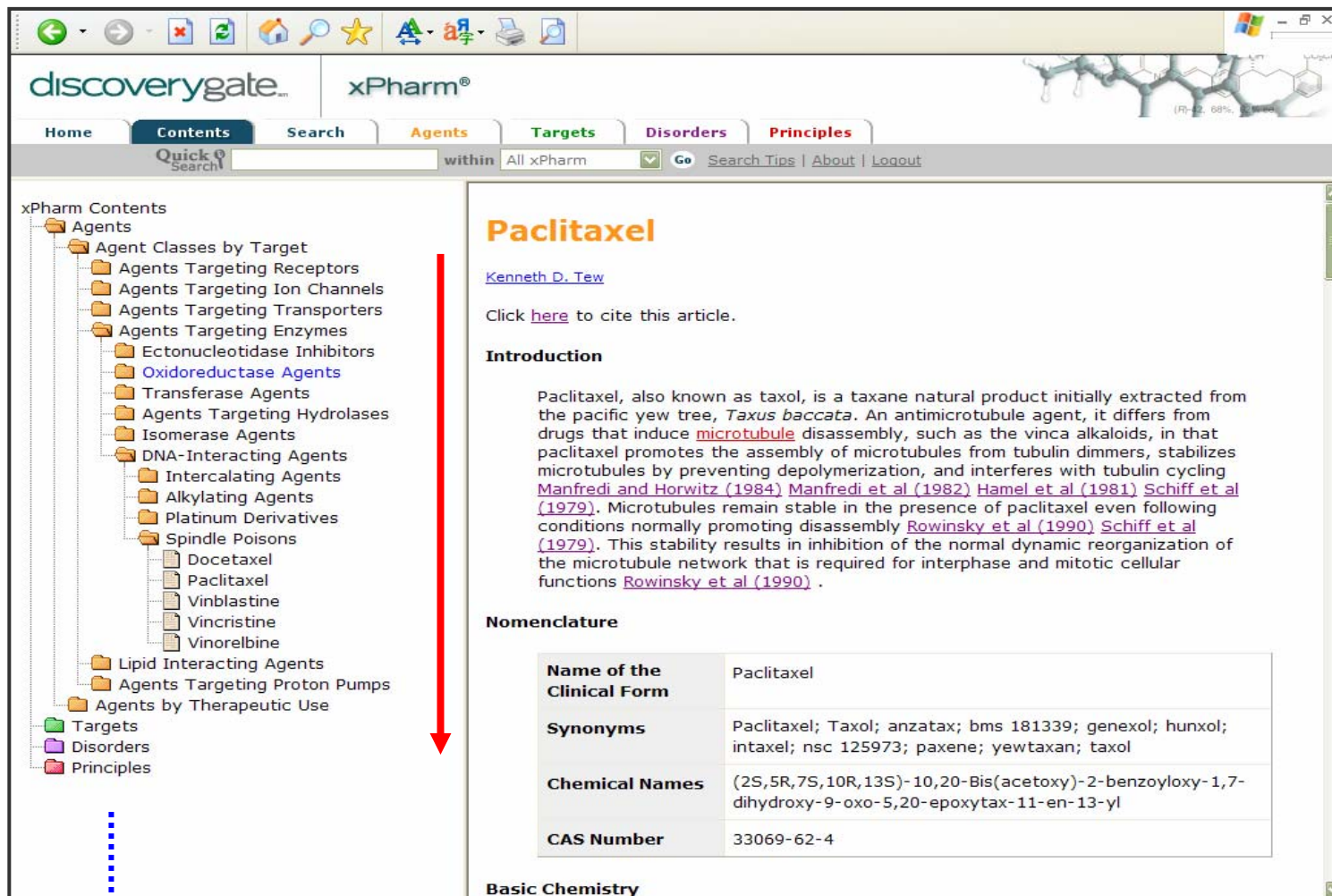
Consistent colour coding

✓ Orientates users

✓ Quick to recognise



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 - Vinblastine
 - Vincristine
 - Vinorelbine
 - Lipid Interacting Agents
 - Agents Targeting Proton Pumps
 - Agents by Therapeutic Use
 - Targets
 - Disorders
 - Principles

Paclitaxel

[Kenneth D. Tew](#)

Click [here](#) to cite this article.

Introduction

Paclitaxel, also known as taxol, is a taxane natural product initially extracted from the pacific yew tree, *Taxus baccata*. An antimicrotubule agent, it differs from drugs that induce [microtubule](#) disassembly, such as the vinca alkaloids, in that paclitaxel promotes the assembly of microtubules from tubulin dimmers, stabilizes microtubules by preventing depolymerization, and interferes with tubulin cycling [Manfredi and Horwitz \(1984\)](#) [Manfredi et al \(1982\)](#) [Hamel et al \(1981\)](#) [Schiff et al \(1979\)](#). Microtubules remain stable in the presence of paclitaxel even following conditions normally promoting disassembly [Rowinsky et al \(1990\)](#) [Schiff et al \(1979\)](#). This stability results in inhibition of the normal dynamic reorganization of the microtubule network that is required for interphase and mitotic cellular functions [Rowinsky et al \(1990\)](#).

Nomenclature

Name of the Clinical Form	Paclitaxel
Synonyms	Paclitaxel; Taxol; anzatax; bms 181339; genexol; hunxol; intaxel; nsc 125973; paxene; yewtaxan; taxol
Chemical Names	(2S,5R,7S,10R,13S)-10,20-Bis(acetoxy)-2-benzoyloxy-1,7-dihydroxy-9-oxo-5,20-epoxytax-11-en-13-yl
CAS Number	33069-62-4

Basic Chemistry

Simply point and click to drill to details.

Detailed

Pharmacological Regulation

As noted above, the binding of agonists to the D3 receptor is not regulated by guanine nucleotides. For the binding affinities of additional ligands at the D3 dopamine receptor, other than those given below visit <http://kidd.bioc.cwru.edu/pdsp.php> and search on "dopamine D3".

Agonist / Activator / Substrate

Mutant Targets

The tGRAP mutant receptor database (<http://tgrap.uit.no/queryform10.html>) lists a number of mutants of the D3 dopamine receptor.

Assays

Molecular / Cellular	Reliable in vitro biochemical assays of D3 receptor function have been difficult to develop. As noted above, the D3 receptor is not robustly coupled to the inhibition of cyclic AMP accumulation unless expressed in a cell that either endogenously expresses, or has been transfected with type V adenylate cyclase Robinson and Caron (1997) . Enhancement of [³⁵ S]GTP-gamma-S binding to membrane preparations can be employed to assess G protein-coupling. Cellular-based assays have included induction of mitogenesis and c-fos production, although these responses are downstream of initial second messenger generation. Electrophysiological methods that involve assessment of potassium or calcium channel activity in cells or tissue slice preparations can also be employed.
Genetically Engineered Organisms	D3 receptor knockout mice have been produced by three separate groups, reviewed in Sibley (1999) Glickstein and Schmauss (2001) . In some cases, the D3 receptor-deficient mice have been crossed with other dopamine receptor-deficient mice, such as the D2 knockout mouse, to create mice lacking multiple dopamine receptors.

Disorders

Like the D2 receptor, the D3 receptor exhibits high affinity for most antipsychotic drugs suggesting that it may be involved in psychotic disorders. However, numerous neuropathological and genetic studies have failed to provide a conclusive association between D3 receptors and schizophrenia. Nonetheless, blockade of the D3 receptor may contribute to the efficacy of some antipsychotic drugs, reviewed in [Schwartz et al \(2000\)](#).

Other Information

Web Sites:

<http://www.biotrend.com/pdf/dopa.pdf>

Molecule page of the Alliance for Cellular Signaling. PID for the dopamine D3 receptor is A000782: <http://www.afcs.org/>

Extensive & Comprehensive

Protein Sequence Information

Number or

NCBI Nucleotide

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Range: from begin to end Reverse complemented strand Features: SNP

1: NM_033659. Reports Homo sapiens dopa... [gi:16445397]

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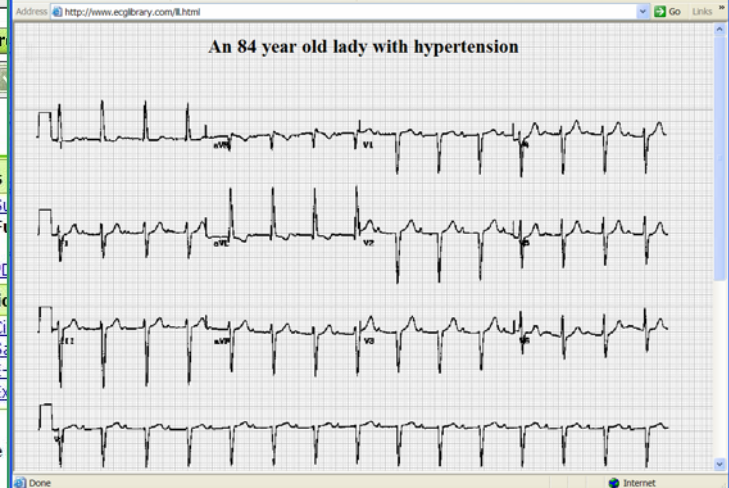
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Molecular Brain Research
 Volume 117, Issue 1, 10 September 2003, Pages 47-57

doi:10.1016/S0169-328X(03)00283-3 Cite or Link Using DOI
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LOCUS NM_033659 1353 bp mRNA linear PRI 11-JUN-2005

DEFINITION Homo sapiens dopamine receptor D3 (DRD3), transcript variant c, mRNA.

ACCESSION NM_033659

VERSION NM_033659.1 GI:16445397

KEYWORDS

SOURCE Homo sapiens (human)

taxonomy: Eukaryota; Metazoa; Chordata; Craniata; Vertebrata; Euteleostomi; Mammalia; Eutheria; Euarchontoglires; Primates; Catarrhini; Hominidae; Homo.

Research report

CLIC6, a member of the intracellular chloride channel family, interacts with dopamine D₂-like receptors

Nathalie Griffon^a, Freddy Jeanneteau^a, Fanny Prieur^a, Jorge

^a Unité de Neurobiologie et Pharmacologie Moléculaire, INSERM U-573, Centre Paul Broca, 2ter Rue d'Alésia, 75014, Paris, France

^b Laboratoire de Physiologie, Université René Descartes, 4 Avenue de l'Observatoire, 75006, Paris, France

Accepted 25 June 2003. Available online 8 August 2003.

00290

Protein name D(3) dopamine receptor

Synonyms None

Gene name Name: DRD3

From Homo sapiens (Human) [TaxID: 9606]

Taxonomy Eukaryota; Metazoa; Chordata; Craniata; Vertebrata; Euteleostomi; Mammalia; Eutheria; Euarchontoglires; Primates; Catarrhini; Hominidae; Homo.

References

[1] NUCLEOTIDE SEQUENCE (D3).
 PubMed=2129115 [NCBI, ExPASy, EBI, Israel, Japan]
 Giros B., Martres M.-P., Sokoloff P., Schwartz J.-C.;
 "Gene cloning of human dopaminergic D3 receptor and identification of its chromosome.";
 C. R. Acad. Sci. III, Sci. Vie 311:501-508(1990).

[2] NUCLEOTIDE SEQUENCE (D3).
 Fishburn C.S., Park B.-H., Fuchs S.;
 Submitted (JUL-1995) to the EMBL/GenBank/DBJ databases.



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Quick Search alzheimer

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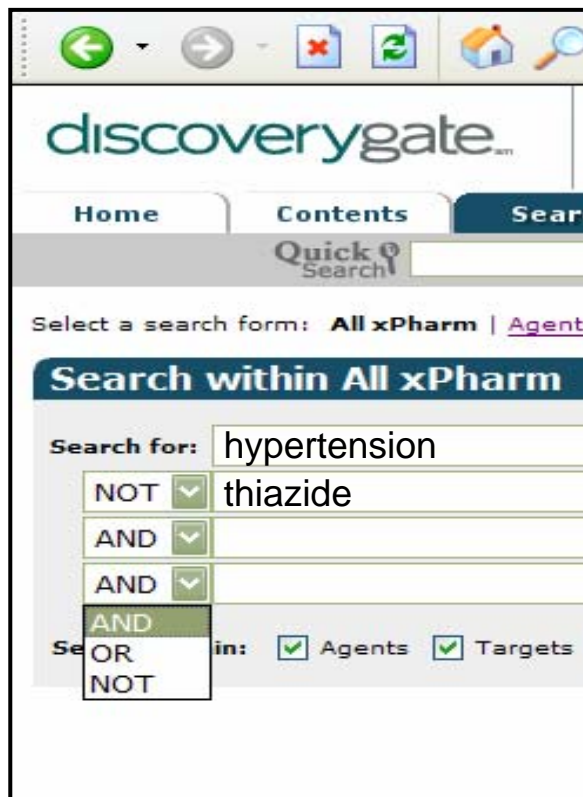
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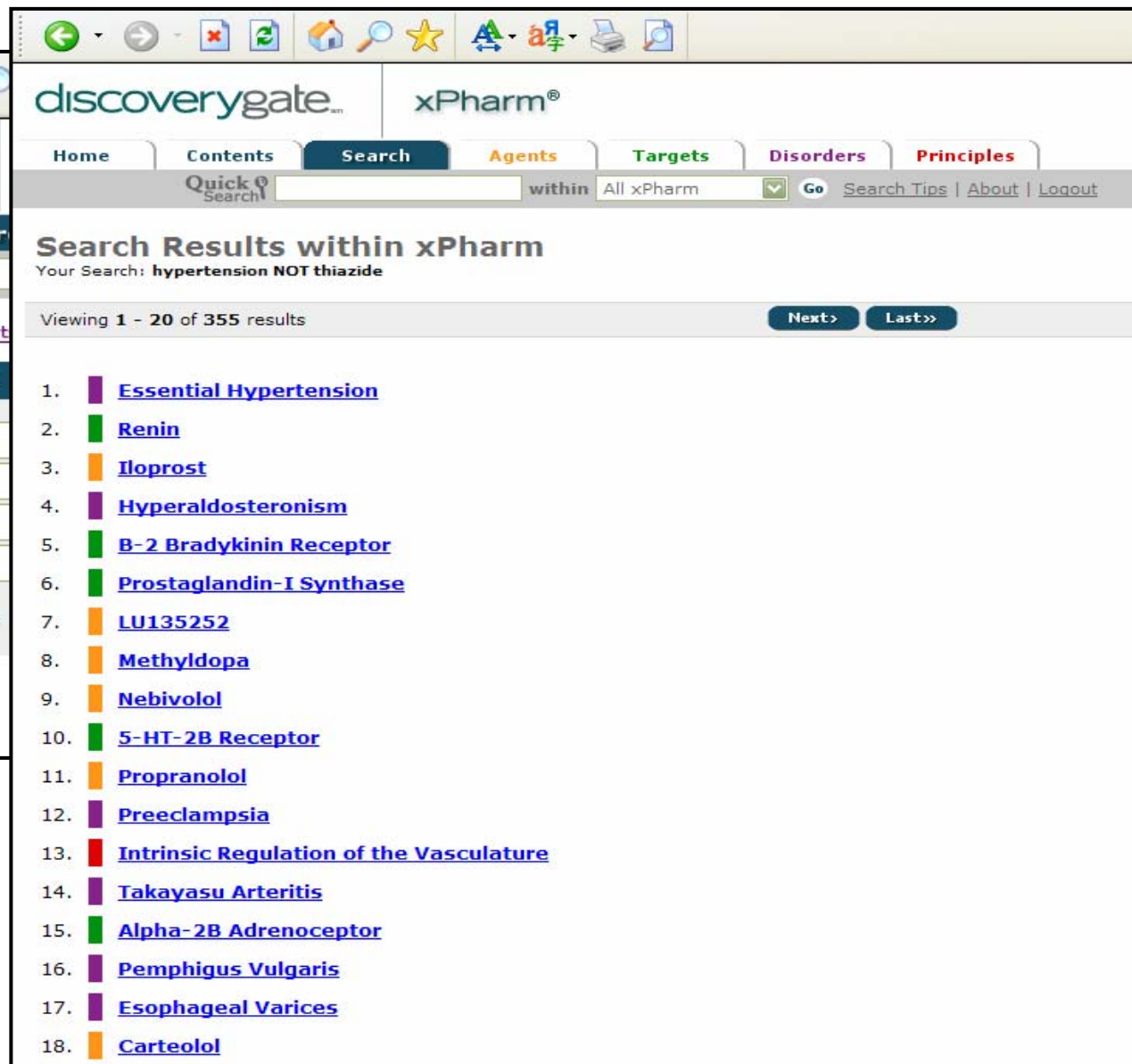
AND

AND

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NOT

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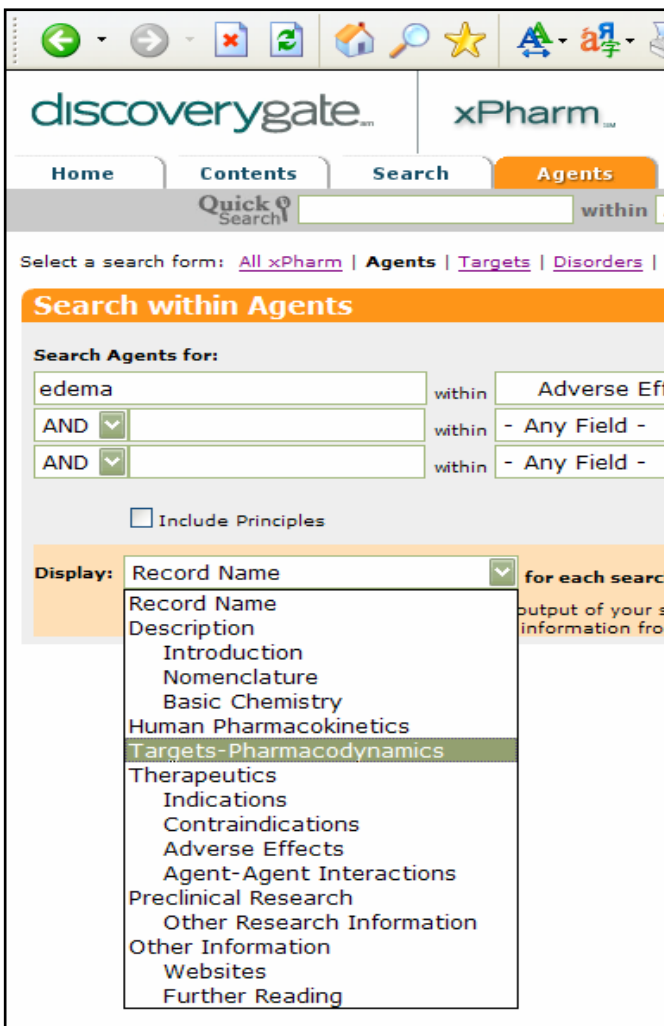
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Your Search: **hypertension NOT thiazide**

Viewing 1 - 20 of 355 results Next> Last>>

- Essential Hypertension**
- Renin**
- Iloprost**
- Hyperaldosteronism**
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- Prostaglandin-I Synthase**
- LU135252**
- Methyldopa**
- Nebivolol**
- 5-HT-2B Receptor**
- Propranolol**
- Preeclampsia**
- Intrinsic Regulation of the Vasculature**
- Takayasu Arteritis**
- Alpha-2B Adrenoceptor**
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- Carteolol**

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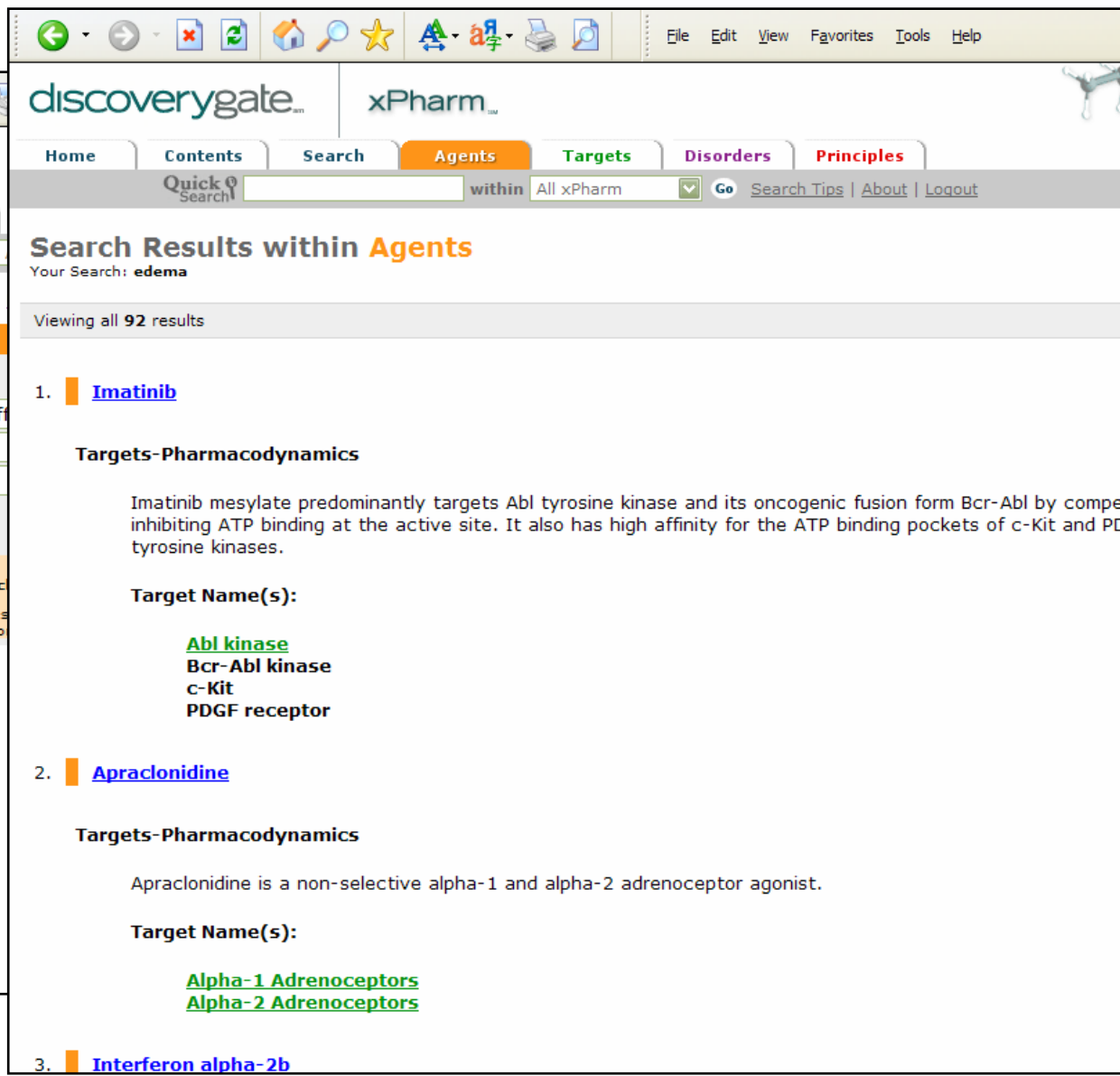
AND within - Any Field -

AND within - Any Field -

Include Principles

Display: Record Name for each search

- Record Name
- Description
- Introduction
- Nomenclature
- Basic Chemistry
- Human Pharmacokinetics
- Targets-Pharmacodynamics**
- Therapeutics
- Indications
- Contraindications
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Search Results within Agents

Your Search: edema

Viewing all 92 results

- Imatinib**

Targets-Pharmacodynamics

Imatinib mesylate predominantly targets Abl tyrosine kinase and its oncogenic fusion form Bcr-Abl by competitively inhibiting ATP binding at the active site. It also has high affinity for the ATP binding pockets of c-Kit and PDGFR tyrosine kinases.

Target Name(s):

 - Abl kinase
 - Bcr-Abl kinase
 - c-Kit
 - PDGF receptor
- Apraclonidine**

Targets-Pharmacodynamics

Apraclonidine is a non-selective alpha-1 and alpha-2 adrenoceptor agonist.

Target Name(s):

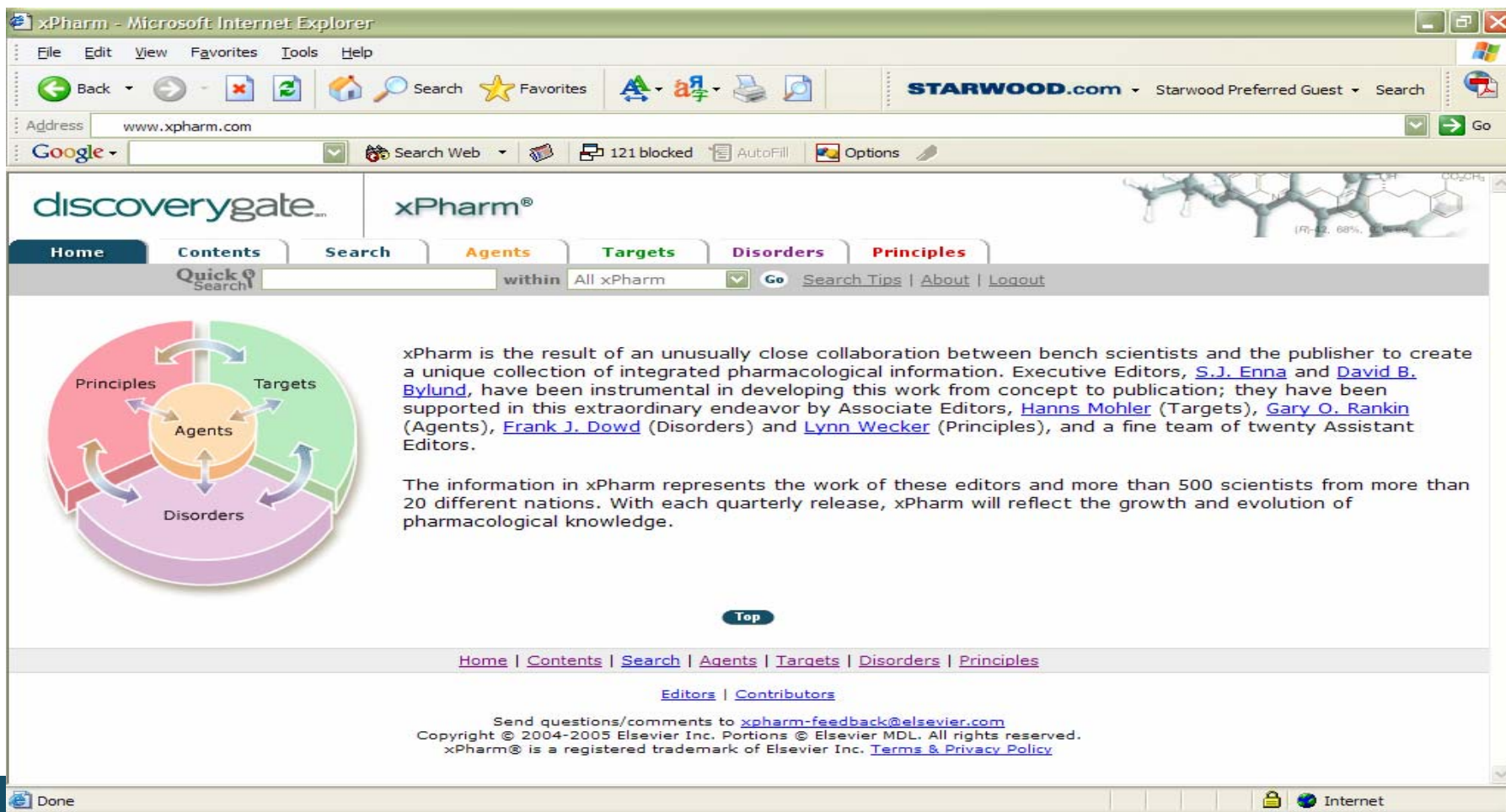
 - Alpha-1 Adrenoceptors
 - Alpha-2 Adrenoceptors
- Interferon alpha-2b**



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- Paralens (panadol)
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Principles Agents Targets Disorders

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The information in xPharm represents the work of these editors and more than 500 scientists from more than 20 different nations. With each quarterly release, xPharm will reflect the growth and evolution of pharmacological knowledge.

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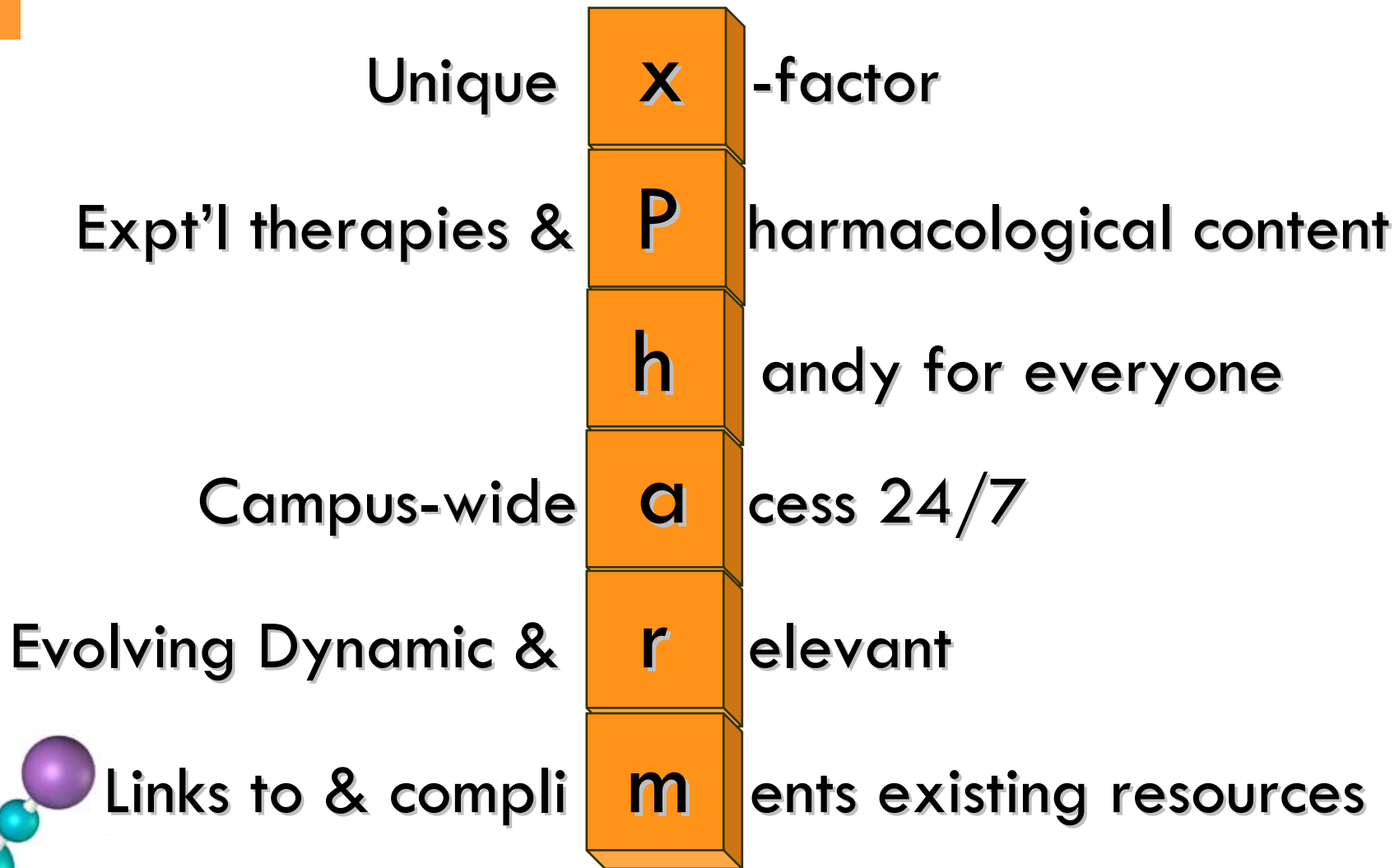
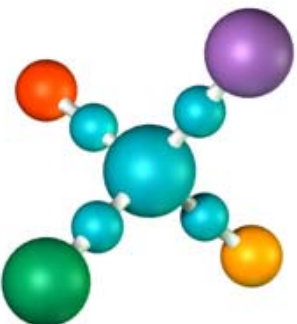
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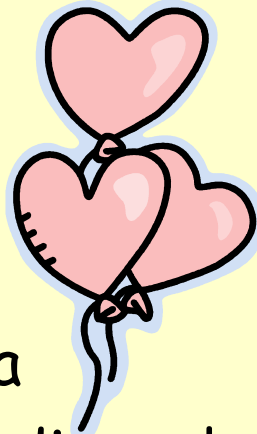
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