

# Endocyte

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Endocyte is developing a new generation of receptor-targeted therapeutics or "smart drugs" for the treatment of cancer and autoimmune diseases. Current non-targeted drugs are usually toxic to normal healthy cells causing serious side effects. These side effects can be life-threatening which leads to suboptimal dosing. Receptor-targeted therapeutics can be more effective because they can be targeted with lethal precision to high affinity receptors over-expressed by diseased cells. Our initial focus is on a receptor for the vitamin folic acid, which is often over-expressed on cancer cells. By attaching drugs to folic acid, the vitamin acts like a "Trojan Horse" to target and deliver drugs to cancer cells, which could allow higher and more effective doses to be given with reduced side effects. 1988-1996

Researchers in Dr. Philip Low's laboratory at Purdue University discover a new entry pathway for vitamins into plant cells and demonstrate that proteins can be delivered into plant cells via this pathway if they are first attached to the vitamins (initial discovery published in Plant Physiology).

Researchers in Dr. Low's laboratory observe that proteins can also be delivered into cultured animal cells by piggybacking the proteins on to vitamins. Discovery of folate-targeting was made by Philip Low and Chris Leamon in 1989 (initial discovery published in Proceedings of National Academy of Sciences).

Research from other labs demonstrates that the uptake pathway for folic acid is primarily present in cancer cells, allowing Endocyte's future use of folic acid as a Trojan horse to target folate-linked drugs specifically to cancer cells.

## Recent Publications by Endocyte:



- [Strategy to prevent drug-related hypersensitivity in folate-targeted hapten immunotherapy of cancer.](#) *Cancer vaccine/immunotherapy rarely involves systemic administration of an...* 4th September, 2009 Endocyte Inc, West Lafayette, IN 47906, USA.- AAPS J. 2009 Sep;11(3):628-38. ([DOI Direct Link](#))
- [Properties influencing the relative binding affinity of pterate derivatives and drug conjugates thereof to](#)

[the folate receptor.](#)

*PURPOSE: Using in vitro competition assays, determine salient chemical...* 4th August, 2009  
Endocyte, Inc., 3000 Kent Ave., West Lafayette, IN 47906, USA.- Pharm Res. 2009 Jun;26(6):1315-23.  
Epub 2009 Feb 3. ([DOI Direct Link](#))

- [In vivo structural activity and optimization studies of folate-tubulysin conjugates.](#)  
*Herein we report on the potencies of 4 related folate-conjugated...* 28th July, 2009  
Endocyte, Inc., 3000 Kent Avenue, Suite A1-100, West Lafayette, Indiana- Mol Pharm. 2009  
Sep-Oct;6(5):1518-25. ([DOI Direct Link](#))
- [Folate-targeted drug strategies for the treatment of cancer.](#)  
*Increasing evidence suggests that the folate receptor (FR) can be...* 10th February, 2009  
Endocyte Inc, 3000 Kent Avenue, West Lafayette, IN 47906, USA.- Curr Opin Investig Drugs. 2008  
Dec;9(12):1277-86.
- [Folate targeting enables durable and specific antitumor responses from a therapeutically null tubulysin B analogue.](#)  
*The membrane-bound high-affinity folate receptor (FR) is highly expressed...* 17th January, 2009  
Endocyte, Inc., West Lafayette, Indiana 47906, USA.- Cancer Res. 2008 Dec 1;68(23):9839-44. ([DOI Direct Link](#))
- [Impact of high and low folate diets on tissue folate receptor levels and antitumor responses toward folate-drug conjugates.](#)  
*Herein, we present a detailed analysis on the effects of feeding...* 6th January, 2009  
Endocyte, Inc., West- J Pharmacol Exp Ther. 2008 Dec;327(3):918-25. Epub 2008 Sep 12. ([DOI Direct Link](#))
- [Exploitation of the folate receptor in the management of cancer and inflammatory disease.](#)  
*Over the last 25+ years, the folate receptor (FR) has emerged as an...* 17th December, 2008  
Endocyte, Inc., West Lafayette, Indiana 47906, USA.- Vitam Horm. 2008;79:203-33. ([DOI Direct Link](#))
- [Design and regioselective synthesis of a new generation of targeted chemotherapeutics. Part II: Folic acid conjugates of tubulysins and their hydrazides.](#)  
*Efficient syntheses of folate conjugates of tubulysins and their...* 17th December, 2008  
Endocyte Inc., 3000 Kent Avenue, Suite A1-100, West Lafayette, IN 47906,- Bioorg Med Chem Lett.  
2008 Aug 15;18(16):4558-61. Epub 2008 Jul 15. ([DOI Direct Link](#))
- [Design and synthesis of releasable folate-drug conjugates using a novel heterobifunctional disulfide-containing linker.](#)  
*Cellular uptake of vitamin folic acid occurs via folate-receptor mediated...* 10th July, 2008  
Endocyte Inc., 3000 Kent Avenue, Suite A1-100, West Lafayette, IN 47906,- Bioorg Med Chem Lett.  
2008 Jun 1;18(11):3196-9. Epub 2008 Apr 29. ([DOI Direct Link](#))
- [Preclinical antitumor activity of a novel folate-targeted dual drug conjugate.](#)  
*We have designed a new type of tumor-targeted agent by tethering two...* 13th December, 2007  
Endocyte Inc., 3000 Kent Avenue, West Lafayette, IN 47906, USA.- Mol Pharm. 2007  
Sep-Oct;4(5):659-67. Epub 2007 Sep 18. ([DOI Direct Link](#))
- [Folate-targeted dinitrophenyl hapten immunotherapy: effect of linker chemistry on antitumor activity and allergic potential.](#)  
*Targeting of malignancies with folate-linked therapeutics has proven to be...* 13th December, 2007  
Endocyte Inc., West Lafayette, IN 47906, USA.- Mol Pharm. 2007 Sep-Oct;4(5):695-706. Epub 2007  
Sep 5. ([DOI Direct Link](#))
- [Comparative preclinical activity of the folate-targeted Vinca alkaloid conjugates EC140 and EC145.](#)  
*EC140 is a water soluble folate conjugate of desacetylvinblastine...* 8th November, 2007  
Endocyte, Inc., 3000 Kent Ave., West Lafayette, IN 47906, USA.- Int J Cancer. 2007 Oct  
1;121(7):1585-92. ([DOI Direct Link](#))
- [An assembly concept for the consecutive introduction of unsymmetrical disulfide bonds: synthesis of a releasable multidrug conjugate of folic acid.](#)  
*We describe the development of methodology which allows for the...* 29th September, 2007  
Endocyte Inc., 3000 Kent Avenue, Suite A1-100, West Lafayette, IN 47906,- J Org Chem. 2007 Aug  
3;72(16):5968-72. Epub 2007 Jun 29. ([DOI Direct Link](#))

- [Folate receptor-specific antitumor activity of EC131, a folate-maytansinoid conjugate.](#)  
*EC131, a new folate receptor (FR)-targeted drug conjugate, was prepared by...*28th July, 2007  
Endocyte, Inc., West Lafayette, Indiana 47906, USA.- Cancer Res. 2007 Jul 1;67(13):6376-82. ([DOI Direct Link](#))
- [Preclinical evaluation of EC145, a folate-vinca alkaloid conjugate.](#)  
*We recently developed a new group of folate-conjugated Vinca alkaloids,...*19th June, 2007  
Endocyte, Inc., West Lafayette, Indiana 47906, USA.- Cancer Res. 2007 May 1;67(9):4434-42. ([DOI Direct Link](#))

#### Press Releases on Endocyte:

[Cancer Treatment](#)

[Centers of America\(R\)](#)

[Studies Treatment That](#)

[Aims Chemotherapy](#)

[Directly at Ovarian Cancer](#)

[Cells](#)

17th June, 2009

Cancer Treatment  
Centers of America

*Therapy targets folate receptors found on cancer cells otherwise resistant to standard chemo ZION, Ill., June 17 /PRNewswire-USNewswire/ -- Cancer Treatment Centers of America (CTCA) at Midwestern*

#### BioNews Results for Endocyte



- [Endocyte presents data on EC145 in treatment of ovarian cancer at the 16th annual meeting of the European Soci](#)  
*Pharmacy Choice: Nov 14 2009 11:15AM Matching: endocyte*
- [U.S. PTO awards Endocyte patent for vitamin receptor binding anti-cancer agents](#)  
*News-Medical.Net: Oct 28 2009 8:51AM Matching: endocyte*
- [Endocyte Awarded Patent for Vitamin Receptor Binding Drug Delivery Conjugates](#)  
*SmartBrief: Oct 28 2009 8:12AM Matching: endocyte*
- [Endocyte Awarded Patent For Anticancer Agents](#)  
*Inside INdiana Business: Oct 28 2009 2:17AM Matching: endocyte*
- [Endocyte Awarded Patent for Vitamin Receptor Binding Drug Delivery Conjugates](#)  
*Pharmacy Choice: Oct 28 2009 1:58AM Matching: endocyte*
- [Endocyte Awarded Patent for Vitamin Receptor Binding Drug Delivery Conjugates](#)  
*Pharma Live: Oct 28 2009 1:45AM Matching: endocyte*
- [Endocyte receives patent for anti-cancer technology](#)  
*SmartBrief: Oct 28 2009 3:53PM Matching: endocyte*
- [Endocyte Awarded Patent for Vitamin Receptor Binding Drug Delivery Conjugates](#)  
*Individual.com: Oct 28 2009 4:34AM Matching: endocyte*
- [Endocyte Awarded Patent for Vitamin Receptor Binding Drug Delivery Conjugates](#)  
*Genetic Engineering News: Oct 27 2009 7:53PM Matching: endocyte*
- [Trial yields promising results for ovarian cancer treatment EC145](#)  
*SmartBrief: Oct 26 2009 4:23PM Matching: endocyte*
- [Endocyte Presents Data On EC145 In Treatment Of Ovarian Cancer Before The European Society Of Gynaecologic Onc](#)  
*Medical News Today: Oct 23 2009 4:47AM Matching: endocyte*
- [Medical News: Endocyte Presents Data On EC145 In Treatment Of Ovarian Cancer Before The European Society Of Gynaecologic Oncology](#)

Medical News Today: Oct 23 2009 7:36AM Matching: endocyte

- [Endocyte Presents Data on EC145 in Treatment of Ovarian Cancer Before the European Society of Gynaecologic Onc](#)

Pharmacy Choice: Oct 22 2009 3:15AM Matching: endocyte

- [Endocyte Presents Data on EC145 in Treatment of Ovarian Cancer Before the European Society of Gynaecologic Onc](#)

Genetic Engineering News: Oct 21 2009 9:43PM Matching: endocyte

- [Endocyte Presents Data on EC145 in Treatment of Ovarian Cancer Before the European Society of Gynaecologic Onc](#)

Freshnews.com: Oct 21 2009 8:12PM Matching: endocyte

## Endocyte Clinical Trials:



- [Extension Study of EC145 for Subjects Enrolled in a Previous Study With EC145](#)

## Endocyte Patents:



- 7601332- [Vitamin receptor binding drug delivery conjugates](#)
- 7128893- [Vitamin-targeted imaging agents](#)

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