

## Epizyme Inc

### CORTELLIS COMPANY DETAILED PIPELINE REPORT

A comprehensive coverage of the the company's drug pipeline portfolio including detailed product records.

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# ABOUT CORTELLIS COMPANY DETAILED PIPELINE REPORT

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## GLOSSARY

### Number of Drugs in Active Development

Number of drugs associated with the company or subsidiary that are currently in active development, i.e. the development status for the drug(s) is one of the following: Discovery, Clinical, Phase I, Phase II, Phase III, Pre-registration, Registered, Launched, or Suspended.

### Number of Inactive Drugs

Number of drugs associated with the company or subsidiary that are currently classified as inactive, i.e. where the development status for the drug(s) is one of the following: No Development Reported, Discontinued, or Withdrawn.

### Number of Patents as Owner

Number of patents associated with the company where the company is listed as owner; i.e. the relationship type (or way the patent refers to the company) is: Patent Assignee/Owner, Patent owner (not assignee), Licensee for development and marketing, Licensee – marketing only (Distributor), Patent assignee of family member, Inferred assignee.

### Number of Patents as Third Party

Number of patents associated with the company where the company is listed as third party; i.e. the relationship type (or way the patent refers to the company) is: Patent assignee (not owner), Ex-Licensee for development and marketing, Ex-Licensee marketing only (Distributor), Customer of technology, Ex-Customer of technology, Patent opponent or infringer, Affiliate organization of inventor, Owner of underlying technology.

### Patents summary table

This table represents a summary of the core patent coverage for this company covering Therapeutic EP, US and WO patents since 1990 only.

### Number of Deals

A count of deals where the company or one of its subsidiaries is the primary company.

### Key Indications

Displays top ten key indications for the company and its subsidiaries based on frequency (indications occurring with high and identical frequency are always included, and this may result in more than ten Key Indications being listed). Includes both indications associated with patents where the company is patent owner and indications associated with drugs in active development. A drug is classified as 'active' if it features on a row (or rows) in the current development status table where the status is one of the following: Discovery, Clinical, Phase I, Phase II, Phase III, Pre-registration, Registered, Launched, or Suspended.

### Key Target-based Actions

Displays top ten key target-based actions for the company and its subsidiaries based on frequency (actions occurring with high and identical frequency are always included, and this may result in more than ten Key Target-based Actions being listed). Includes both target-based actions associated with patents where the company patent owner and target-based actions associated with drugs in active development. A drug is classified as 'active' if it features on a row (or rows) in the current development status table where the status is one of the following: Discovery, Clinical, Phase I, Phase II, Phase III, Pre-registration, Registered, Launched, or Suspended. A target-based action is one that is associated with a target.

### Key Technologies

Displays top ten key technologies for the company and its subsidiaries based on frequency (technologies occurring with high and identical frequency are always included, and this may result in more than ten Key Technologies being listed). Includes both key technologies associated with patents where the company relationship is patent owner and key technologies associated with drugs in active development. A drug is classified as 'active' if it features on a row (or rows) in the current development status table where the status is one of the following: Discovery, Clinical, Phase I, Phase II, Phase III, Pre-registration, Registered, Launched, or Suspended.

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## COMPANY OVERVIEW

Company Name	Epizyme Inc
Parent Company Name	Epizyme Inc
Website	http://www.epizyme.com/
Country	US
Number of Drugs in Active Development	3
Number of Inactive Drugs	0
Number of Patents as Owner	8
Number of Patents as Third Party	3
Number of Deals	8
Key Indications	Cancer,Leukemia,Neurological disease,Acute lymphoblastic leukemia,Acute myelogenous leukemia,Asthma,Atherosclerosis,Diabetes mellitus,Diffuse large B-cell lymphoma,Follicle center lymphoma,Hematological neoplasm,Hypercholesterolemia,Hyperinsulinemia,Hyperlipidemia,Inflammatory bowel disease,Insulin dependent diabetes,Insulin resistance,Lipid metabolism disorder,Multiple sclerosis,Myocarditis,Obesity,Psoriasis,Rheumatoid arthritis,Syndrome
Key Target-based Actions	Methyltransferase inhibitor,Enhancer of zeste homolog 2 inhibitor,Histone lysine methyltransferase inhibitor,DOT1L gene inhibitor,WHSC1 gene inhibitor,Histone H3 K79 methyltransferase inhibitor,AMP activated protein kinase modulator,Beta adrenoceptor modulator,CLK4 protein kinase inhibitor,Flt3 tyrosine kinase inhibitor,JMJD1A gene modulator,PCSK9 gene inhibitor,PDGF receptor alpha antagonist,PPAR alpha modulator,PPAR gamma coactivator 1-alpha modulator,Proprotein convertase PC9 inhibitor,Ros1 tyrosine kinase receptor inhibitor,TrkA receptor antagonist,TrkC
Key Technologies	Small molecule therapeutic,Systemic formulation unspecified,Drug screening,Antibody,Drug combination,Gene transfer system,Genetic screening,Humanized antibody,Immunodetection,Immunofluorescence,Intravenous formulation,Liposome formulation,Oligonucleotide,PCR based

## COMPANY PROFILE

### SUMMARY

Epizyme Inc was established in 2007 and is focused on the development of drugs based on epigenetics.

### FINANCIAL

In April 2013, Epizyme filed a registration statement on Form S-1 with the US Securities and Exchange Commission relating to the proposed initial public offering of common stock; at that time, the company had not determine the number of shares and the price range for the offering. In May 2013, Epizyme priced its IPO of 5,142,000 common stock shares at \$15 per share. The underwriters were also granted a 30-day overallotment option to purchase up to an additional 771,300 common stock shares. The offering was expected to close on June 5, 2013 and at that time, the shares were scheduled to begin trading on the NASDAQ Global Market on May 31, 2013 under the ticker symbol 'EPZM'.

In February 2008, the company raised \$14 million from a series A financing round.

In October 2009, the company raised \$32 million in a series B financing round. In December 2009, the company raised a further \$8 million from a second closing of the series B financing round, bringing the total raised to \$40 million.

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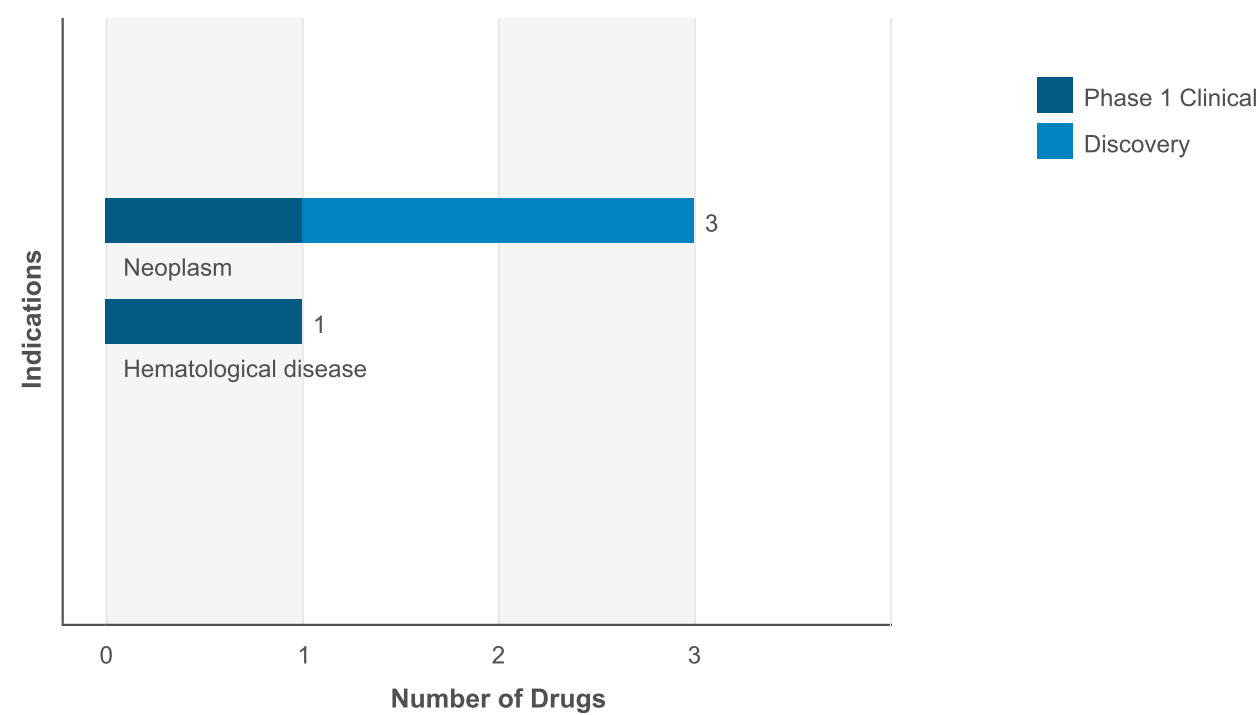


PRODUCT PORTFOLIO SUMMARY

DRUGS

Drugs by Indication

Active Drugs by Indication Chart



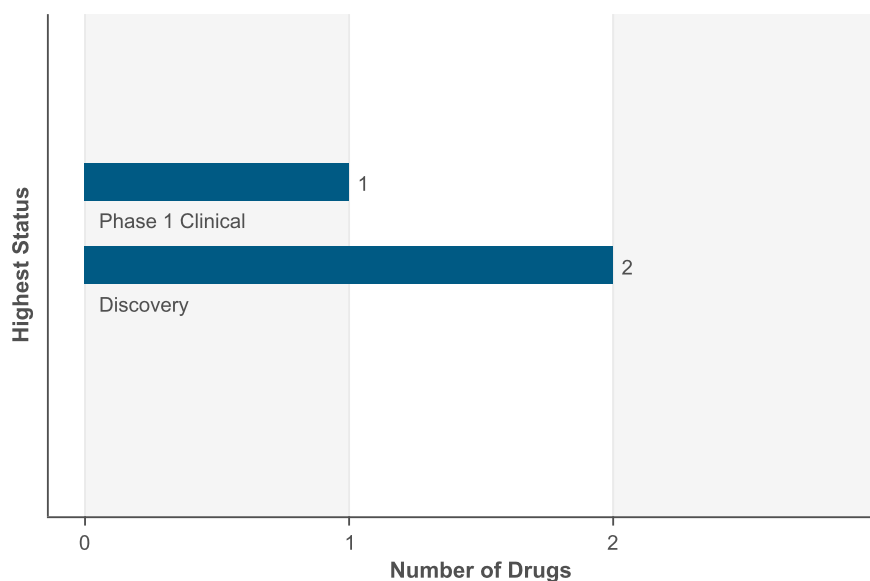
Drugs by Indication Table

Indication	Active	Inactive	Total
Neoplasm	3	0	3
Hematological disease	1	0	1

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## Drugs by Highest Status

Active Drugs by Highest Status Chart



Drugs by Highest Status Table

Development Status	Number of Drugs
Phase 1 Clinical	1
Discovery	2

## DEALS

Deal Type	Principal		Partner		Total
	Active	Inactive	Active	Inactive	
Technology - Other Proprietary	1	0	1	0	2
Drug - Funding	2	0	0	0	2
Drug - Development/Commercialization License	3	0	0	0	3
Drug - Development Services	0	0	1	0	1

## CLINICAL TRIALS

Trials by Condition Studied

Condition Studied	Ongoing	All
Neoplasm	1	1
Hematological disease	1	1

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## Trials by Phase

Phase	Ongoing	All
Phase 1	1	1

### Phase Definitions

#### Phase 3 Clinical

Includes Phase 3, Phase 3b, Phase 3a, Phase 2/3 (where enrolment count is 300 or over)

#### Phase 2 Clinical

Includes Phase 2, Phase 2a, Phase 2b, Phase 1/2 (where enrolment count is 100 or over), Phase 2/3 (where enrolment count is under 300 or not specified)

#### Phase 1 Clinical

Includes Phase 1, Phase 1a, Phase 1, Phase 1/2 (where enrolment count is under 100 or not specified), Phase 0

## PATENTS \*

Indication	As Owner	As Third Party	Total
Cardiovascular disease	0	3	3
Endocrine disease	0	2	2
Gastrointestinal disease	0	2	2
Hematological disease	2	0	2
Immune disorder	1	1	2
Musculoskeletal disease	0	1	1
Neoplasm	8	1	9
Ocular disease	0	1	1
Metabolic disorder	0	3	3
Neurological disease	3	1	4
Nutritional disorder	0	1	1
Respiratory disease	0	1	1
Inflammatory disease	0	1	1
Dermatological disease	0	1	1

\* This table represents a summary of the core patent coverage for this company covering Therapeutic EP, US and WO patents since 1990 only.

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## PRODUCT PORTFOLIO DRUG PIPELINE DETAIL

**PLEASE NOTE:** Highest status refers to highest development of that drug for one of the active companies

### EPZ-5676

#### EPZ-5676 SNAPSHOT

Drug Name	EPZ-5676
Key Synonyms	
Originator Company	Epizyme Inc
Active Companies	Celgene Corp;Epizyme Inc
Inactive Companies	GlaxoSmithKline plc
Highest Status	Phase 1 Clinical
Active Indications	Leukemia
Target-based Actions	Methyltransferase inhibitor;DOT1L gene inhibitor
Other Actions	Anticancer
Technologies	Small molecule therapeutic;Systemic formulation unspecified
Last Change Date	19-Apr-2013

#### EPZ-5676 DEVELOPMENT PROFILE

##### SUMMARY

Epizyme and ex-US licensee Celgene are developing EPZ-5676 (structure shown), a small molecule S-adenosyl methionine competitive DOT1L inhibitor, for the potential treatment of mixed lineage leukemia (MLL). In September 2012, a phase I US trial was initiated.

This compound may have emerged from a program of histone methyltransferase (HMT) inhibitors on which Epizyme collaborated with GlaxoSmithKline.

Epizyme is using Abbott's FISH technology to develop a DOT1L companion diagnostic for the drug.

#### EPZ-5676 DEVELOPMENT STATUS

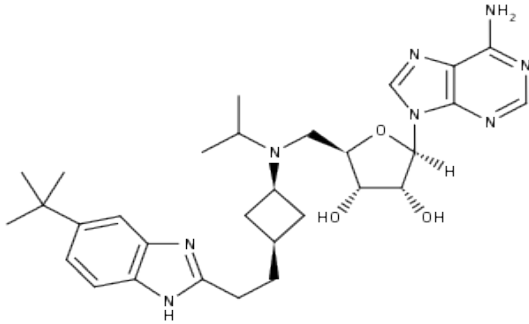
##### CURRENT DEVELOPMENT STATUS

Company	Indication	Country	Development Status	Date
Epizyme Inc	Leukemia	US	Phase 1 Clinical	05-Sep-2012
Celgene Corp	Leukemia	World	Discovery	05-Sep-2012

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## EPZ-5676 CHEMICAL STRUCTURES

CAS Registry Number:	Confidence Level:
	4
	
Name	Type
EPZ-5676	Research Code

## EPZ-5676 DRUG NAMES

Names	Type
DOT1L inhibitors (mixed lineage leukemia), Epizyme/GlaxoSmithKline	
EPZ-5676	Research Code
EPZ-004777	Research Code
DOT1L inhibitors (leukemia), Epizyme/GSK	

## EPZ-5676 CLINICAL TRIALS

### Trials by Phase and Condition Studied

Phase 4 Clinical		Phase 3 Clinical		Phase 2 Clinical		Phase 1 Clinical		Phase Unspecified		Total	
On-going	All	On-going	All	On-going	All	On-going	All	On-going	All	On-going	All
Leukemia											
0	0	0	0	0	0	1	1	0	0	1	1
Hematological neoplasm											
0	0	0	0	0	0	1	1	0	0	1	1

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## Total Trials by Phase and Status

Phase 4 Clinical		Phase 3 Clinical		Phase 2 Clinical		Phase 1 Clinical		Phase Unspecified		Total	
On-going	All	On-going	All	On-going	All	On-going	All	On-going	All	On-going	All
Total by Phase and Status											
0	0	0	0	0	0	1	1	0	0	1	1

### Phase Definitions

#### Phase 3 Clinical

Includes Phase 3, Phase 3b, Phase 3a, Phase 2/3 (where enrolment count is 300 or over)

#### Phase 2 Clinical

Includes Phase 2, Phase 2a, Phase 2b, Phase 1/2 (where enrolment count is 100 or over), Phase 2/3 (where enrolment count is under 300 or not specified)

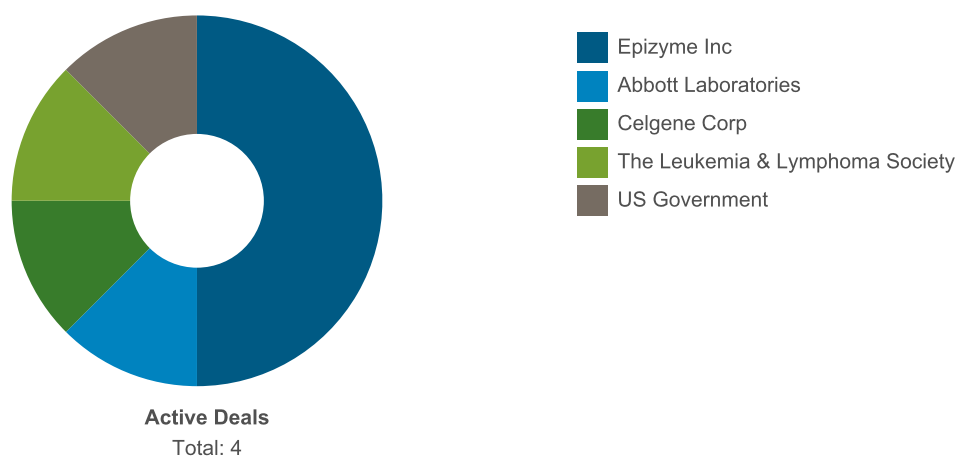
#### Phase 1 Clinical

Includes Phase 1, Phase 1a, Phase 1, Phase 1/2 (where enrolment count is under 100 or not specified), Phase 0

## EPZ-5676 DEALS AND PATENTS

### DEALS

#### Deals by Parent Company Chart



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Deals by Parent Company Table

Company Name	Principal		Partner		Total
	Active	Inactive	Active	Inactive	
Epizyme Inc	2	0	2	0	4
Celgene Corp	0	0	1	0	1
Abbott Laboratories	1	0	0	0	1
US Government	0	0	1	0	1
The Leukemia & Lymphoma Society	1	0	0	0	1

Deals by Type Chart



Deals by Type Table

Deal Type	Active	Inactive	Total
Drug - Funding	1	0	1
Drug - Development/Commercialization License	1	0	1
Technology - Other Proprietary	1	0	1
Drug - Development Services	1	0	1

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## histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline

### histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline SNAPSHOT

Drug Name	histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline
Key Synonyms	
Originator Company	Epizyme Inc
Active Companies	GlaxoSmithKline plc;Epizyme Inc
Inactive Companies	
Highest Status	Discovery
Active Indications	Cancer
Target-based Actions	WHSC1 gene inhibitor;Methyltransferase inhibitor
Other Actions	Anticancer
Technologies	Small molecule therapeutic
Last Change Date	28-Apr-2012

### histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline DEVELOPMENT PROFILE

#### SUMMARY

Epizyme and licensee GlaxoSmithKline (GSK) are investigating a program of small molecule histone methyltransferase (HMT) inhibitors, presumably including WHSC1 inhibitors, for the potential treatment of cancer and other diseases,. In October 2009, the company was planning proof-of-concept studies. In November 2010, development of the program was ongoing ; in April 2012, this was still the case.

### histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline DEVELOPMENT STATUS

#### CURRENT DEVELOPMENT STATUS

Company	Indication	Country	Development Status	Date
Epizyme Inc	Cancer	US	Discovery	08-Oct-2009
GlaxoSmithKline plc	Cancer	UK	Discovery	10-Jan-2011

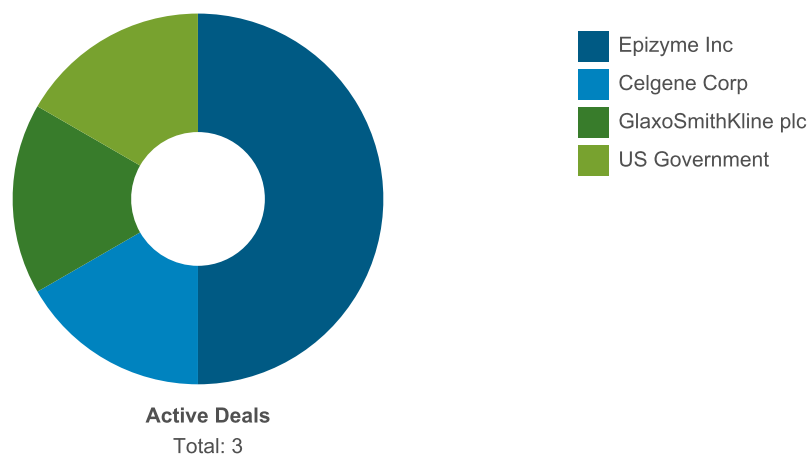
### histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline DRUG NAMES

Names	Type
WHSC1 inhibitors (cancer), Epizyme/GSK	
histone methyltransferase inhibitors (cancer), Epizyme/GlaxoSmithKline	

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DEALS

Deals by Parent Company Chart

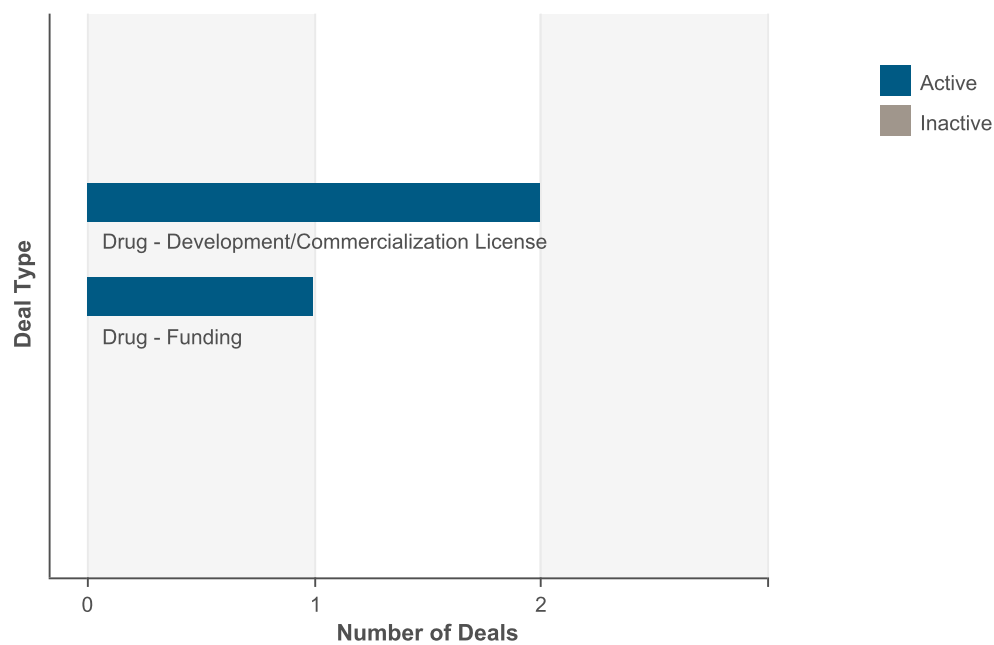


Deals by Parent Company Table

Company Name	Principal		Partner		Total
	Active	Inactive	Active	Inactive	
Epizyme Inc	3	0	0	0	3
GlaxoSmithKline plc	0	0	1	0	1
Celgene Corp	0	0	1	0	1
US Government	0	0	1	0	1

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Deals by Type Chart



Deals by Type Table

Deal Type	Active	Inactive	Total
Drug - Development/Commercialization License	2	0	2
Drug - Funding	1	0	1

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## E-7438

### E-7438 SNAPSHOT

Drug Name	E-7438
Key Synonyms	
Originator Company	Epizyme Inc
Active Companies	Eisai Co Ltd;Epizyme Inc
Inactive Companies	
Highest Status	Discovery
Active Indications	Cancer
Target-based Actions	Enhancer of zeste homolog 2 inhibitor;Histone lysine methyltransferase inhibitor
Other Actions	Anticancer
Technologies	Small molecule therapeutic
Last Change Date	23-Apr-2013

### E-7438 DEVELOPMENT PROFILE

#### SUMMARY

Epizyme and licensee Eisai are investigating E-7438 (EPZ-6438; structure shown), presumed to be the lead from small molecule EZH2 (enhancer of zeste homolog 2) inhibitors, including EPZ-5687 (EPZ-005687), from a program of histone methyltransferase inhibitors, for the potential treatment of cancers, including non-Hodgkin's lymphoma and breast cancer,.. In February 2011, the program was listed as being in preclinical development. In November 2012, Epizyme planned to initiate a phase I trial for E-7438 in the 'near future' and expected to report data within 12 to 18 months.

### E-7438 DEVELOPMENT STATUS

#### CURRENT DEVELOPMENT STATUS

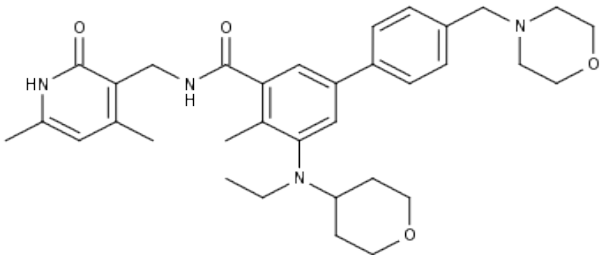
Company	Indication	Country	Development Status	Date
Eisai Co Ltd	Cancer	Japan	Discovery	10-Mar-2011
Epizyme Inc	Cancer	US	Discovery	15-Nov-2010

### E-7438 CHEMICAL STRUCTURES

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CAS Registry Number:	Confidence Level:
	5
	
Name	Type
E-7438	Research Code
EPZ-6438	Research Code

### E-7438 DRUG NAMES

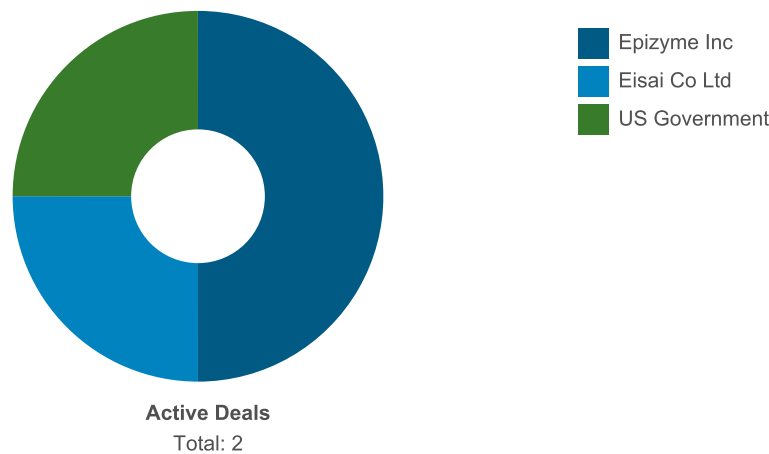
Names	Type
E-7438	Research Code
EPZ-6438	Research Code
EZH2 inhibitors (cancer), EpiZyme/Eisai	
EPZ-5687	Research Code
EPZ-005687	Research Code

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E-7438 DEALS AND PATENTS

DEALS

Deals by Parent Company Chart

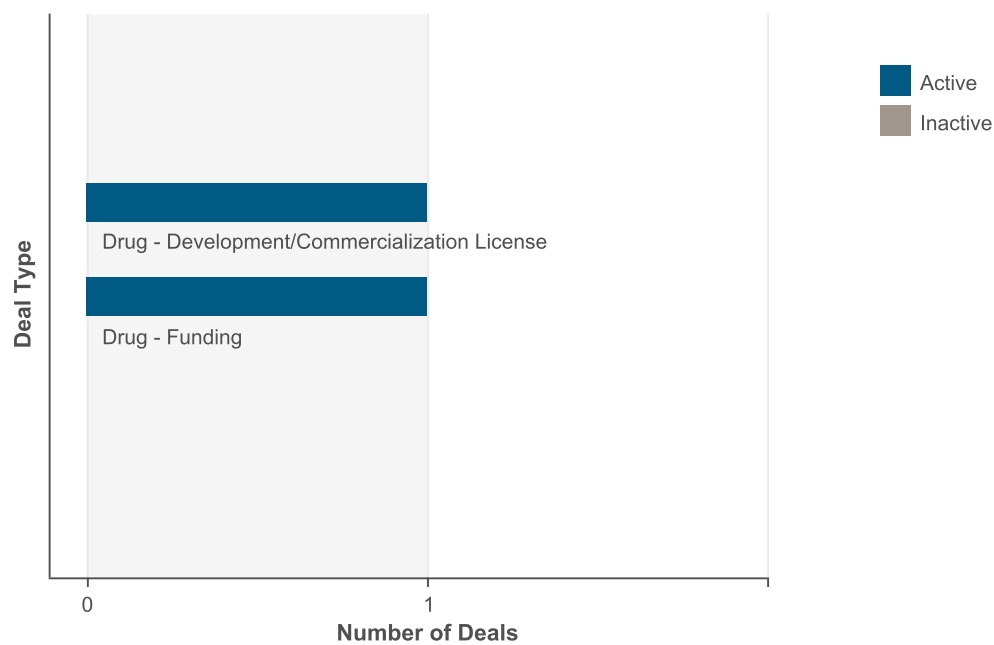


Deals by Parent Company Table

Company Name	Principal		Partner		Total
	Active	Inactive	Active	Inactive	
Epizyme Inc	2	0	0	0	2
US Government	0	0	1	0	1
Eisai Co Ltd	0	0	1	0	1

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Deals by Type Chart

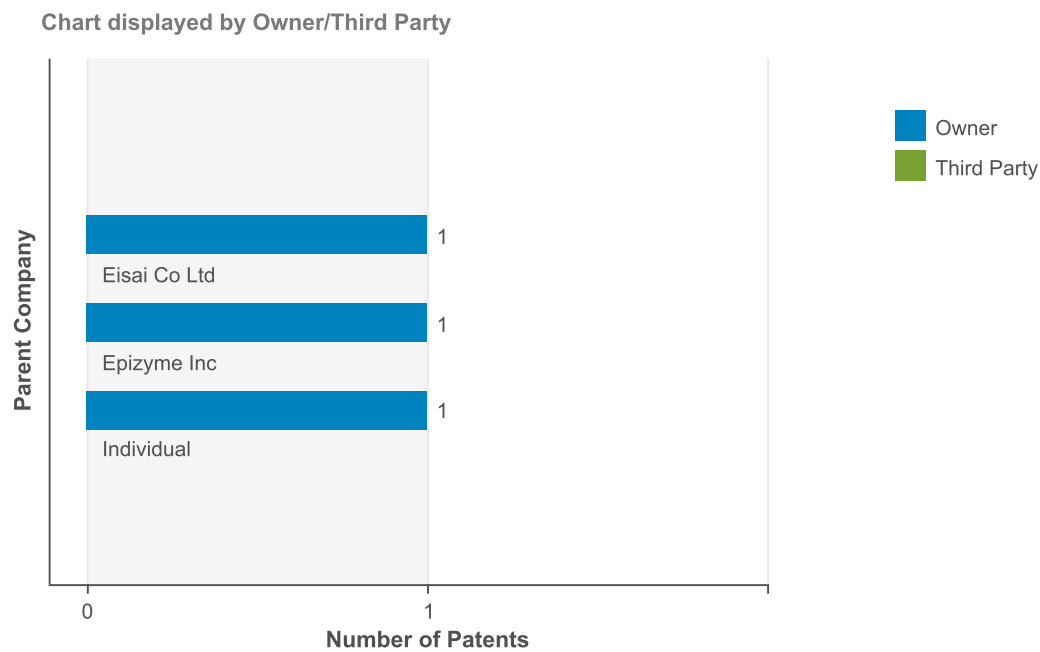


Deals by Type Table

Deal Type	Active	Inactive	Total
Drug - Funding	1	0	1
Drug - Development/Commercialization License	1	0	1

PATENTS

Patents by Parent Company Chart

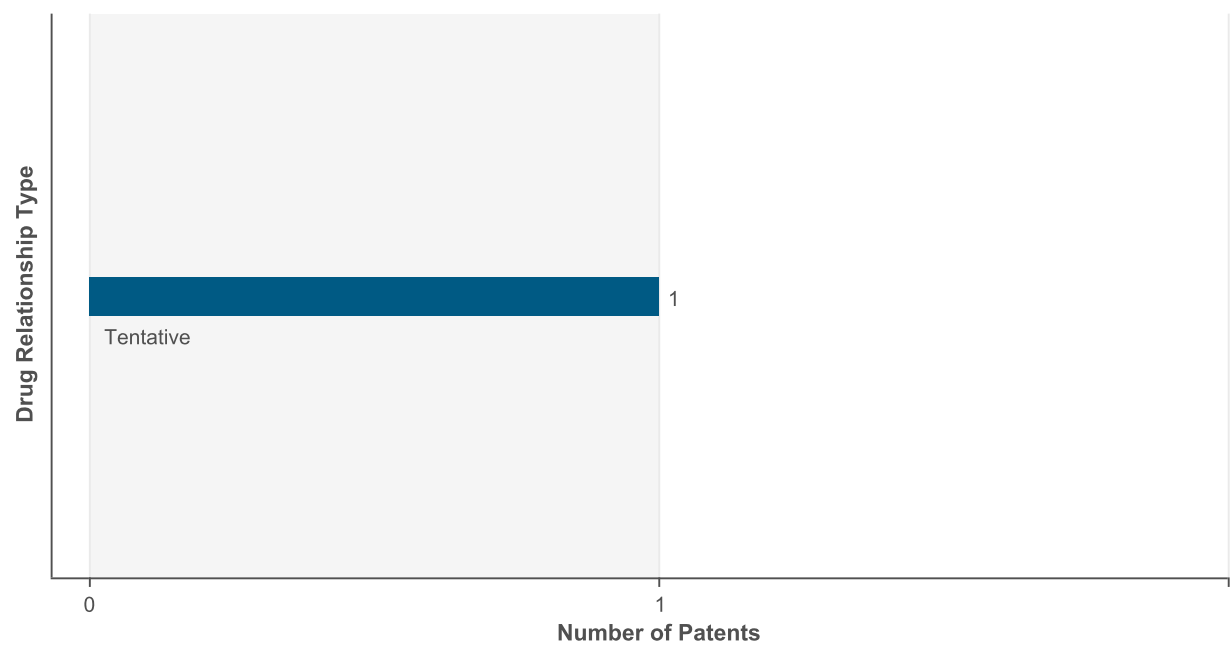


Patents by Parent Company Table

Company Name	As Owner	As Third Party	Total
Eisai Co Ltd	1	0	1
Epizyme Inc	1	0	1
Individual	1	0	1

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Patents by Drug Relationship Type Chart



Patents by Drug Relationship Type Table

Drug Relationship	Total
Tentative	1

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