VEPPANU™ (vepdegestrant) Licensing Agreement Presentation

May 12, 2026

Forward-Looking Statements and Transaction Considerations

Forward Looking Statement: This presentation contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995, including statements regarding the expected closing of the transaction, the anticipated benefits of the license agreement, the potential of VEPPANU (vepdegestrant), and Rigel's expectations regarding the commercialization, launch timing, market opportunity and projections, and potential contribution of VEPPANU to its commercial portfolio and future growth, and Rigel's projected financial performance and 2026 financial guidance. Forward-looking statements can be identified by words such as "plan", "potential", "may", "outlook", "anticipates", "expects", "will", "promising" and similar expressions in reference to future periods. Forward-looking statements are neither historical facts nor assurances of future performance. Instead, they are based on Rigel's current beliefs, expectations, and assumptions and hence they inherently involve significant risks, uncertainties and changes in circumstances that are difficult to predict and many of which are outside of Rigel's control. Therefore, you should not rely on any of these forward-looking statements. These risks and uncertainties include, but are not limited to: the ability of the parties to satisfy the closing conditions to the transaction, including receipt of required regulatory approvals; the timing of the closing of the transaction; expected product availability; risks related to the transfer of manufacturing and commercialization responsibilities to Rigel and ongoing development risks including risks associated with integrating newly acquired or licensed assets; Rigel's ability to successfully launch and commercialize VEPPANU, including uncertainties related to physician adoption, patient demand and market acceptance; the availability and adequacy of reimbursement and pricing; competition from other therapies; the extent to which clinical trial results translate into real-world outcomes; regulatory risks, including the risk that regulatory approvals may be subject to limitations or may be withdrawn; risks related to Rigel's dependence on third parties, including Arvinas and Pfizer, for development, manufacturing and supply activities; and Rigel's ability to successfully execute its strategic and commercial plans and Rigel's ability to achieve the projected 2026 financial outlook and future growth objectives. There can be no assurance that VEPPANU will achieve the commercial potential anticipated by Rigel or that the transaction will result in the expected benefits. Additional risks and uncertainties are described in the "Risk Factors" section of Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2026 and in other filings Rigel makes with the Securities and Exchange Commission. All forward-looking statements in this presentation speak only as of the date of this release, and Rigel undertakes no obligation to update or revise any forward-looking statements, whether as a result of new information, future events or otherwise, except as required by law.

Transaction-Related Risks: The completion of the proposed transaction is subject to customary closing conditions, including review under the Hart-Scott-Rodino Antitrust Improvements Act. Regulatory authorities may impose conditions, delay or prevent completion of the transaction, and there can be no assurance regarding the timing or completion of the transaction.

Rigel Participants

Raul Rodriguez

President &
Chief Executive Officer

Ray Furey, J.D.

Executive Vice President,
General Counsel & Corporate Secretary

Dave Santos

Executive Vice President &
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Executive Vice President &
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Executive Vice President &
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Dean Schorno

Executive Vice President &
Chief Financial Officer

Guest Breast Cancer KOL and VERITAC-2 Principal Investigator

Erika Hamilton, M.D.

Chief Development Officer, Late Phase
Director, Breast Cancer Research
Sarah Cannon Research Institute

VERITAC-2 Principal Investigator

KOL, key opinion leader.

Rigel's Transformational Growth Strategy

Grow Commercial Business

In-Licensing / Business Development

Maintain Financial Discipline

Advance Development Pipeline

Rigel's Transformational Growth Strategy

Grow Commercial Business

In-Licensing / Business Development

Maintain Financial Discipline

Advance Development Pipeline

2020

- One commercial product
- Limited development pipeline

2025

- Three commercial products
- Development pipeline opportunity advancement, including R289 in lower-risk MDS
- Profitable since Q3 2024; $100+ million increase in cash through end of 2025[1]

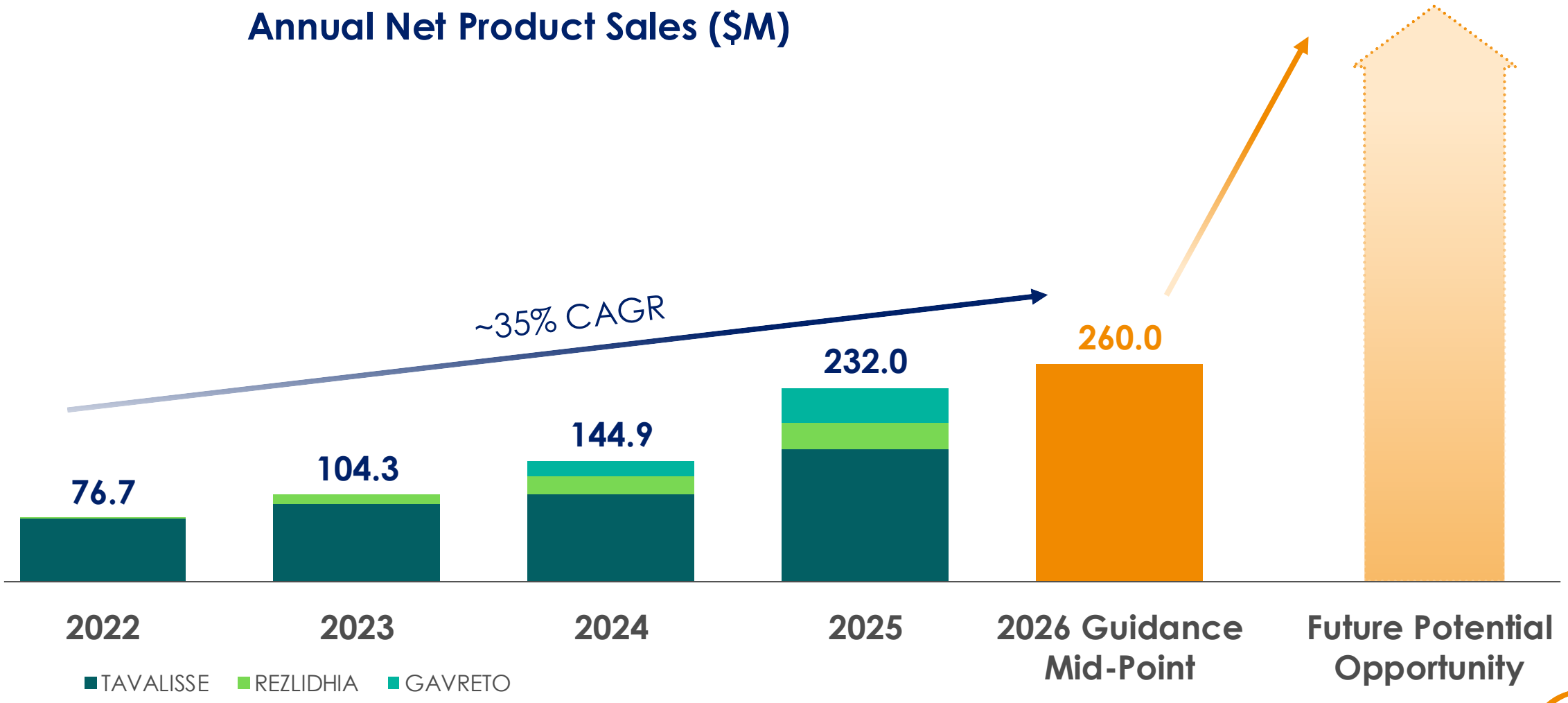
2030s

- Growth of four commercial products
- Growth from potential in-licensing / M&A transactions
- Transformational development pipeline, including R289 portfolio and other potential opportunities[2]

MDS, myelodysplastic syndrome.

1. Cash, cash equivalents & short-term investments balance was $49.1 million as of 6/30/24 and $155.0 million as of 12/31/25. **2.** Investigational compounds in these indications and not approved by the FDA.

Future Transformational Revenue Growth Opportunities

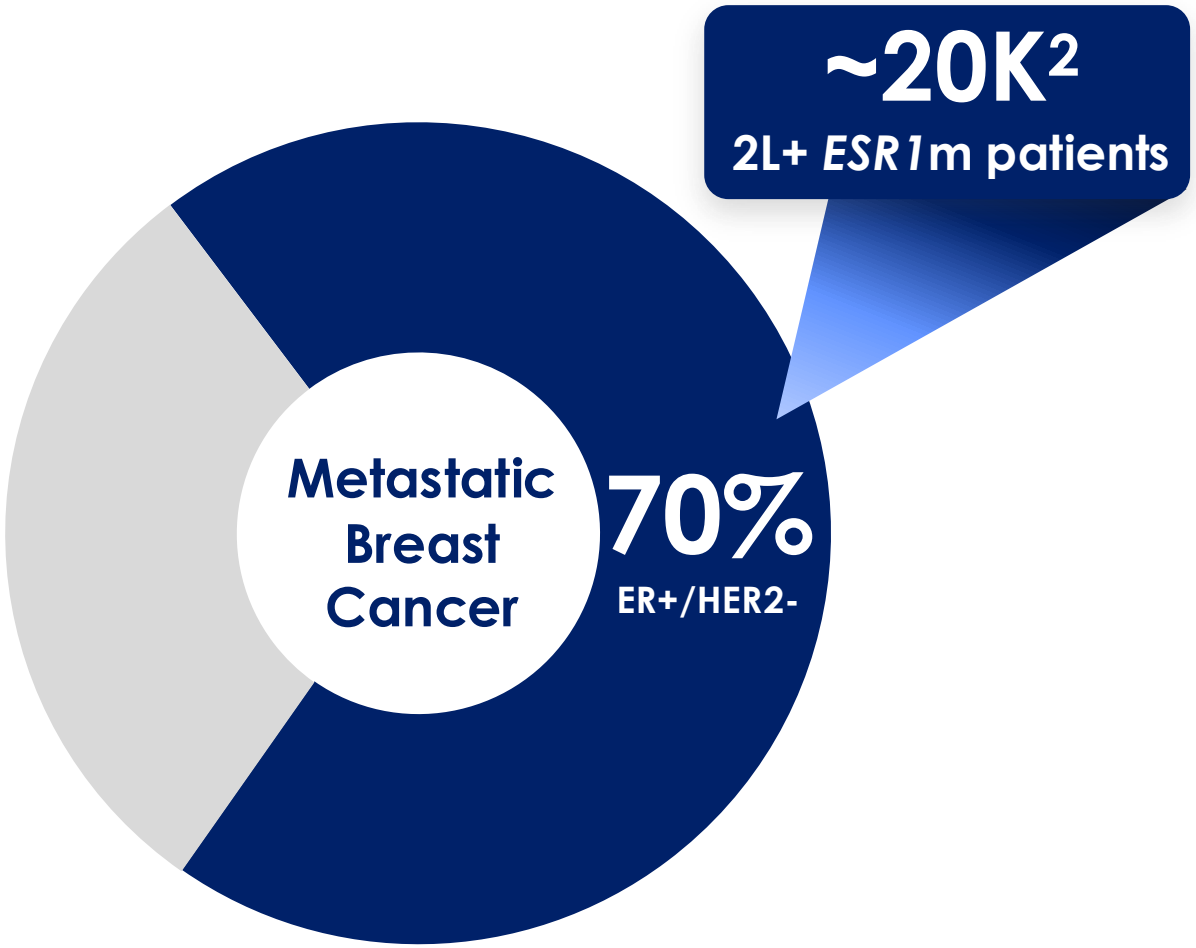


CAGR, compound annual growth rate.

Note: 2026 guidance mid-point is as of May 5, 2026 and does not include vepdegestrant in-licensing transaction.

VEPPANU™ (vepdegestrant) In-License Agreement

Rigel is Entering a Major Oncology Market with a High Unmet Need[1]



$1B+ US Market Opportunity

- **ER+/HER2- patient population represents the majority (70%) of breast cancer**, where treatment with endocrine therapies (AIs) is the SOC
- **Up to 50% of patients acquire an *ESR1* mutation following** exposure to an endocrine therapy
- **This creates a very sizable population of approximately 20,000 2L/3L ER+/HER2-, *ESR1*m mBC patients**, who need new options to treat their disease

ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; SOC, standard of care; ESR1, estrogen receptor 1 gene; ESR1m, ESR1 mutated; 2l, second line; 3L, third line; mBC, metastatic breast cancer.

1. Transaction is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act. **2.** Internal market research.

VEPPANU has the Potential to Transform Rigel's Commercial Portfolio[1]

VEPPANU (vepdegestrant) is the **first and only FDA-approved PROTAC**, a new class of targeted agents

Vepdegestrant has a **novel and unique mechanism of action** and has the **potential to be an important new therapy** for 2L and 3L ER+/HER2-, *ESR1*m metastatic breast cancer

Rigel's proven commercial and medical infrastructure and expertise will **enable us to successfully launch** VEPPANU upon closing

VEPPANU has the **potential to become Rigel's largest revenue producer**

FDA, U.S. Food and Drug Administration; PROTAC, PROteolysis TArgeting Chimera degrader; 2L, second line; 3L, third line; ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; ESR1, estrogen receptor 1 gene; ESR1m, ESR1 mutated.

1. Transaction is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act.

Exclusive Global License Agreement to Develop, Manufacture and Commercialize VEPPANU[1]

Key Transaction Terms

Arvinas and Pfizer will receive:

- **$70M** upfront fee
- **$15M** upon the successful completion of certain transition activities
- Additional potential milestone payments: **$320M**
  - Regulatory Milestones: **$60M**
  - Commercial Milestones: **$260M**
- Tiered Royalties: Mid-teens to mid-twenties
- Arvinas and Pfizer remain responsible for current clinical trials
  - Rigel will contribute up to $40 million over the next 4 years

1. Transaction is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act.

Vepdegestrant Clinical Trials[1]

Clinical trial		Phase 1	Phase 2	Phase 3	Description
ARV-471 C4891001	(VERITAC 2)			♦	
ARV-471 C4891004		♦			Hepatic impairment study
ARV-471 C4891016		♦			Japanese bridging study
ARV-471 C4891002	(VERITAC 3)			♦	First line (terminated)
ARV-471 C4891006	(Tactive-U)	♦	♦		Combo with abemaciclib
ARV-471 C4891023	(Tactive-U)	♦	♦		Combo with ribociclib
ARV-471 C4891024	(Tactive-U)	♦	♦		Combo with samuraciclib
ARV-471 C4891026	(Tactive-K)	♦	♦		Combo with atirmociclib

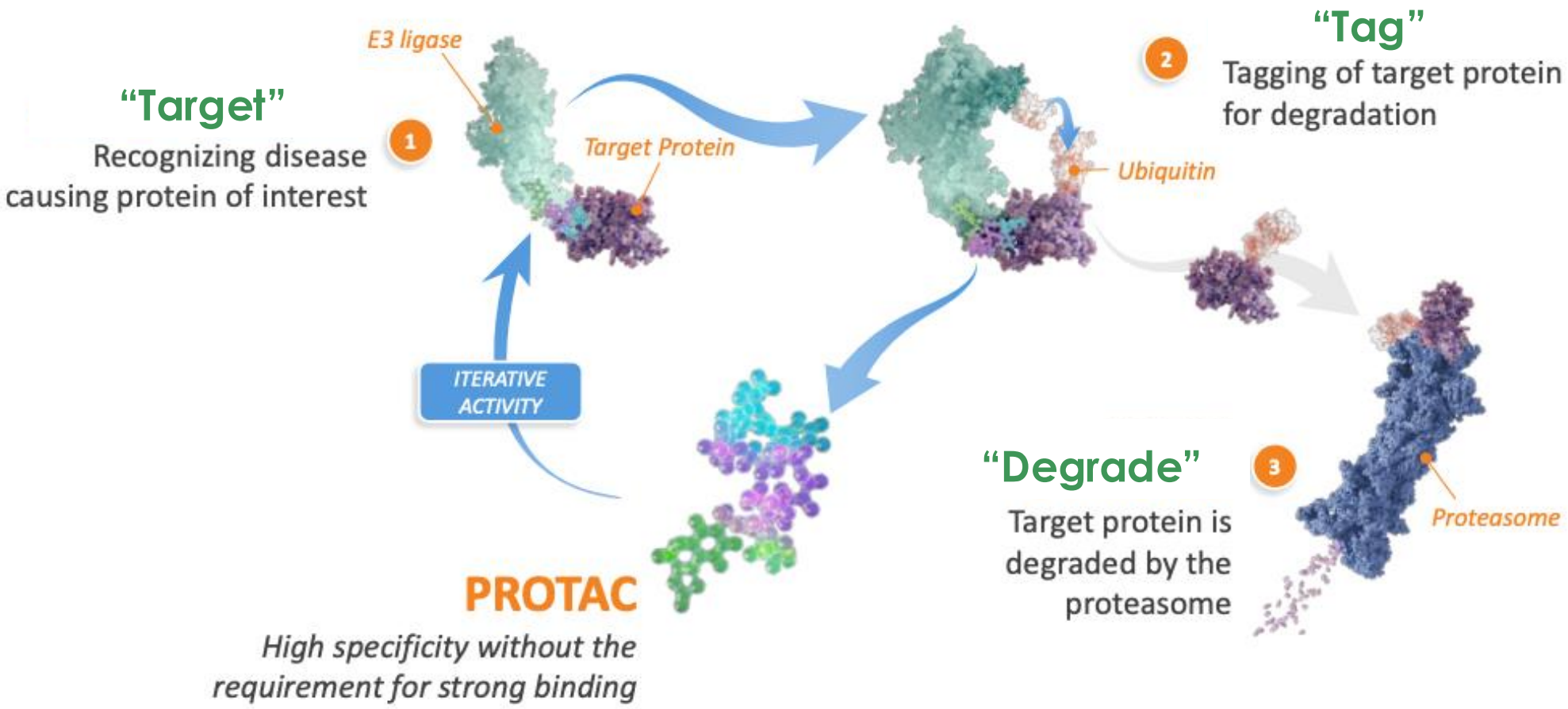
Note: These trials are active, not enrolling, except for the hepatic impairment study.

1. Transaction is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act.

Vepdegestrant MOA and Differentiation

PROteolysis TArgeting Chimera (PROTAC):

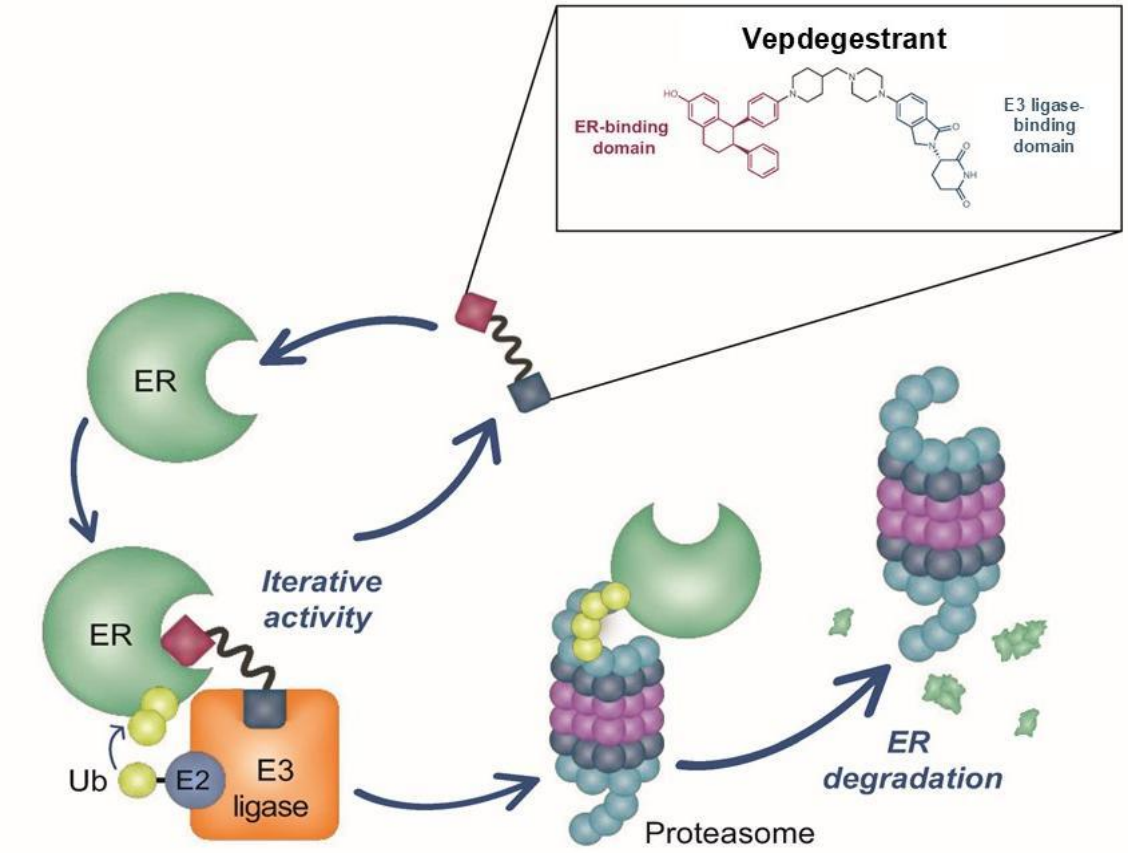
Targeting disease-causing proteins for degradation and disposal



Vepdegestrant is the First and Only FDA-Approved PROTAC

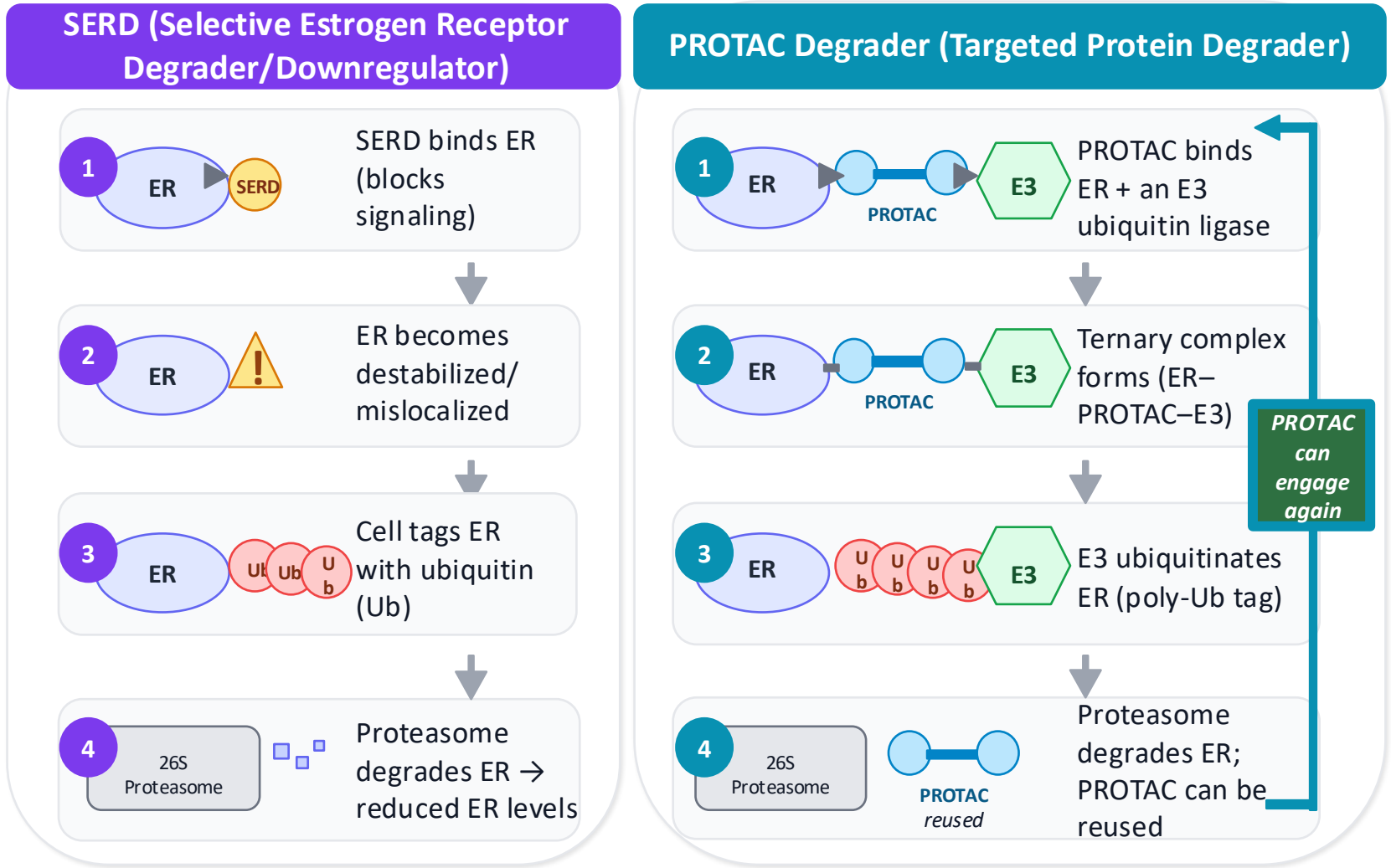
Selective targeting of ER for degradation

- Heterobifunctional molecule with a ligand for the estrogen receptor (ER) and a ligand which serves as a substrate for an E3 ubiquitin ligase complex
- Upon binding to the ER, vepdegestrant recruits an E3 ubiquitin ligase complex, which marks the ER with ubiquitin tags for proteasomal degradation
- The proteosome degrades the ER and the PROTAC can be re-used



PROTAC Degraders Are Differentiated From SERDs

- SERDs bind to the estrogen receptor (ER), destabilizing the ER, making it more prone to degradation
- PROTACS specifically target the estrogen receptor, marking it for selective destruction by the cell
  - PROTAC is released for further ER targeting
- While 1 SERD molecule binds one ER, 1 PROTAC molecule can destroy many receptors



Legend: Ub = ubiquitin tag • E3 = ubiquitin ligase • 26S proteasome = protein degradation machine

Clinical Perspective: Phase 3 VERITAC-2 Clinical Data

Erika Hamilton, M.D.
Sarah Cannon Research Institute and VERITAC-2 Principal Investigator

Vepdegestrant, a PROTAC ER Degrader, vs Fulvestrant in ER+/HER2- Advanced Breast Cancer: Results of the Global, Randomized, Phase 3 VERITAC-2 Study

Erika P Hamilton[1], Michelino De Laurentiis[2], Komal Jhaveri[3], Xichun Hu[4], Sylvain Ladoire[5], Anne Patsouris[6], Claudio Zamagni[7], Jiuwei Cui[8], Marina Cazzaniga[9], Timucin Cil[10], Katarzyna Jerzak[11], Christian Fuentes[12], Tetsuhiro Yoshinami[13], Alvaro Rodriguez-Lescure[14], Olga Valota[15], Dongrui R Lu[16], Marcella Martignoni[15], Janaki Parameswaran[17], Xin Zhi[17], Mario Campone[18]

[1]Breast Cancer Research Program, Sarah Cannon Research Institute, Nashville, TN, USA; [2]Istituto Nazionale Tumori IRCCS "Fondazione G. Pascale", Naples, Italy; [3]Memorial Sloan Kettering Cancer Center, New York, NY, USA; [4]Shanghai Cancer Center, Fudan University, Shanghai, China; [5]Centre Georges Francois Leclerc, Dijon, France; [6]Institut de Cancérologie de l'Ouest, Angers, France; [7]IRCCS Azienda Ospedaliero Universitaria di Bologna, Bologna, Italy; [8]The First Hospital of Jilin University, Changchun, China; [9]Fondazione IRCCS San Gerardo dei Tintori, Monza, Italy; [10]Health and Science University, Adana City Hospital, Adana, Turkey; [11]Odette Cancer Centre, Sunnybrook Health Sciences Centre, University of Toronto, Toronto, ON, Canada; [12]Fundación Respirar, Buenos Aires, Argentina; [13]Graduate School of Medicine, Osaka University, Osaka, Japan; [14]Hospital General Universitario de Elche, Elche, Spain; [15]Pfizer, Inc., Milan, Italy; [16]Pfizer, Inc., San Diego, CA, USA; [17]Arvinas Operations, Inc., New Haven, CT, USA; [18]Institut de Cancérologie de l'Ouest Angers-Nantes, Angers, France

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

Key Takeaways

Vepdegestrant is the first PROTAC to be evaluated in a phase 3 study

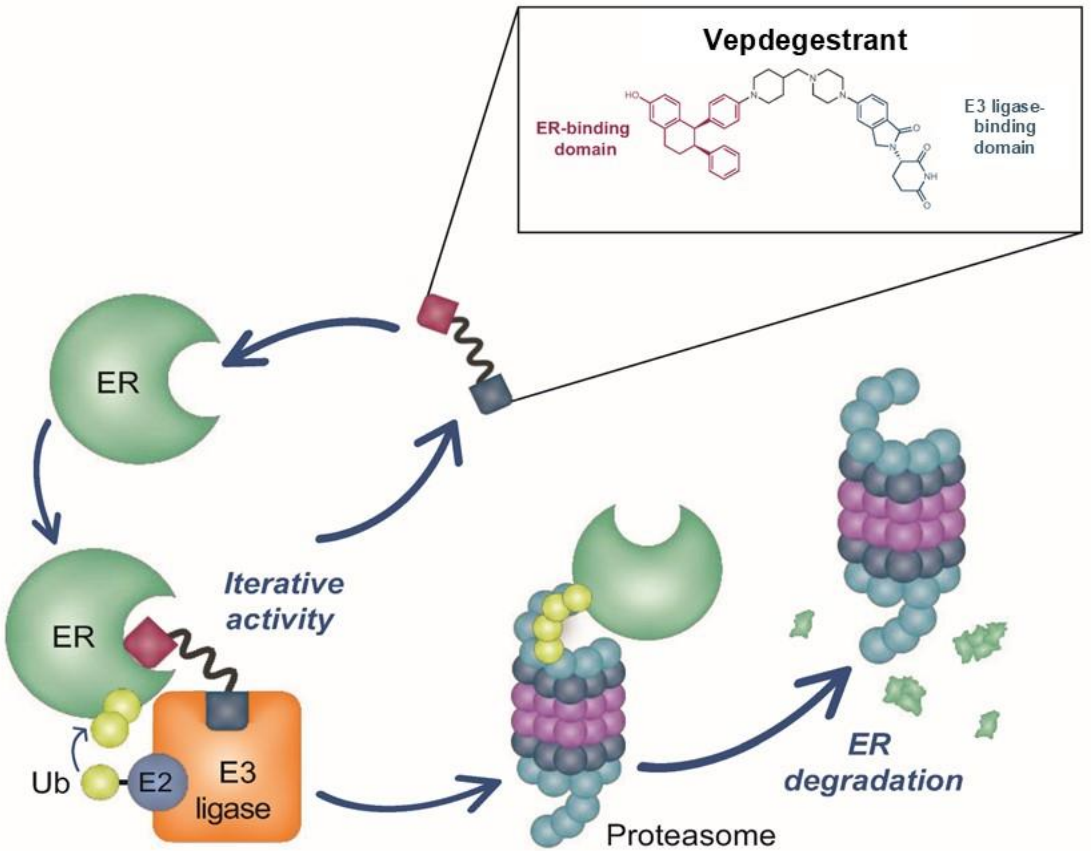
Oral vepdegestrant was well tolerated and demonstrated statistically significant and clinically meaningful improvement in PFS vs fulvestrant in patients with *ESR1*m

Results of the phase 3 VERITAC-2 study support vepdegestrant as a potential treatment option for previously treated *ESR1*m ER+/HER2- advanced breast cancer

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

Background

- There is no established consensus for treatment of ER+/HER2- advanced breast cancer after progression on first-line ET[1]
- Fulvestrant, a SERD that is administered IM due to poor solubility,[2] has limited PFS benefit following disease progression on a CDK4/6i + ET[3,4]
- Vepdegestrant is a selective, oral PROTAC ER degrader that targets WT and mutant ER[5,6]
- In a first-in-human, phase 1/2 study (NCT04072952), vepdegestrant was well tolerated and demonstrated encouraging clinical activity in heavily pretreated patients with ER+/HER2- advanced breast cancer[7]



Vepdegestrant has a unique MOA that directly harnesses the ubiquitin-proteasome system to degrade ER[8]

CDK4/6i=cyclin-dependent kinase 4/6 inhibitor; ER=estrogen receptor; ET=endocrine therapy; HER2=human epidermal growth factor receptor 2; IM=intramuscularly; MOA=mechanism of action; PROTAC=PROteolysis TArgeting Chimera; SERD=selective estrogen receptor degrader; Ub=ubiquitin; WT=wild type.

1. Al Sukhun S, et l. *JCO Glob Oncol*. 2024;10:e2300285. 2. Nathan MR, Schmid P. Oncol Ther. 2017;5(1):17-29. 3. Lindeman GJ, et al. Clin Cancer Res. 2022;28(15):3256-67. 4. Bidard FC, et al. J Clin Oncol. 2022;40(28):3246-3256. 5. Békés M, et al. Nat Rev Drug Discov 2022;21(3):181-200. 6. Gough SM, et al. *Clin Cancer Res*. 2024;30(16):3549-3563. 7. Hurvitz SA, et al. SABCS. 2023; PO3-05-08. 8. Hamilton EP, et al. Futur Oncol. 2024;20(32):2447–55.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Global Phase 3 Trial of Vepdegestrant

Key Eligibility Criteria

- Age ≥18 years old
- ER+/HER2- advanced or metastatic breast cancer
- Prior therapy:
  - 1 line of CDK4/6i + ET
  - ≤1 additional ET
  - Most recent ET for ≥6 months
  - No prior SERD (eg, fulvestrant, elacestrant)
  - No prior chemotherapy for advanced or metastatic disease
- Radiological progression during or after the last line of therapy

Randomization (1:1)

28-day Treatment Cycles

Vepdegestrant (n=313)
200 mg orally (once daily)

Fulvestrant (n=311)
500 mg IM
(days 1 and 15 of cycle 1; day 1 of subsequent cycles)

Stratification Factors:
- *ESR1* mutation[a] (yes vs no)
- Visceral disease (yes vs no)

Primary Endpoint:
- PFS by BICR in
  - *ESR1*m population
  - All patients

Secondary Endpoints:
- OS (key secondary)
- CBR and ORR by BICR
- AEs

Data cutoff date: Jan 31, 2025
Clinicaltrials.gov: NCT05654623

[a]*ESR1*m status was assessed in ctDNA by Foundation Medicine, except in China, where Origmed testing was used.
AE=adverse event; BICR=blinded independent central review; CBR=clinical benefit rate; CDK4/6i=cyclin-dependent kinase 4/6 inhibitor; ER=estrogen receptor; *ESR1*=estrogen receptor 1 gene; *ESR1*m=estrogen receptor 1 gene mutation; ET=endocrine therapy; HER2=human epidermal growth factor receptor 2; IM=intramuscularly; ORR=objective response rate; OS=overall survival; PFS=progression-free survival, SERD=selective estrogen receptor degrader.

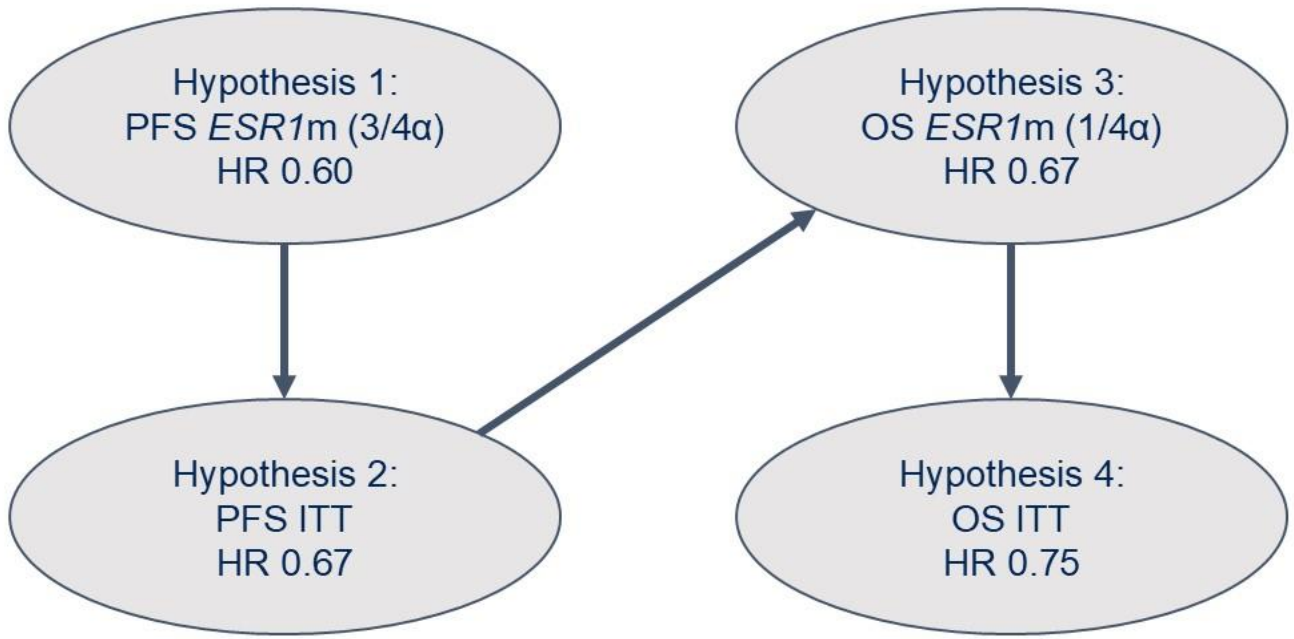
Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Statistical Hypothesis Testing Strategy

- Primary endpoint: PFS by BICR
- Key secondary endpoint: OS

- Test in 2 populations:
  - Patients with *ESR1*m
    - HR<0.60 with 88% power
  - All patients (ITT)
    - HR<0.67 with 92.5% power

Graphical Multiple Testing Strategy With a Gatekeeping Procedure

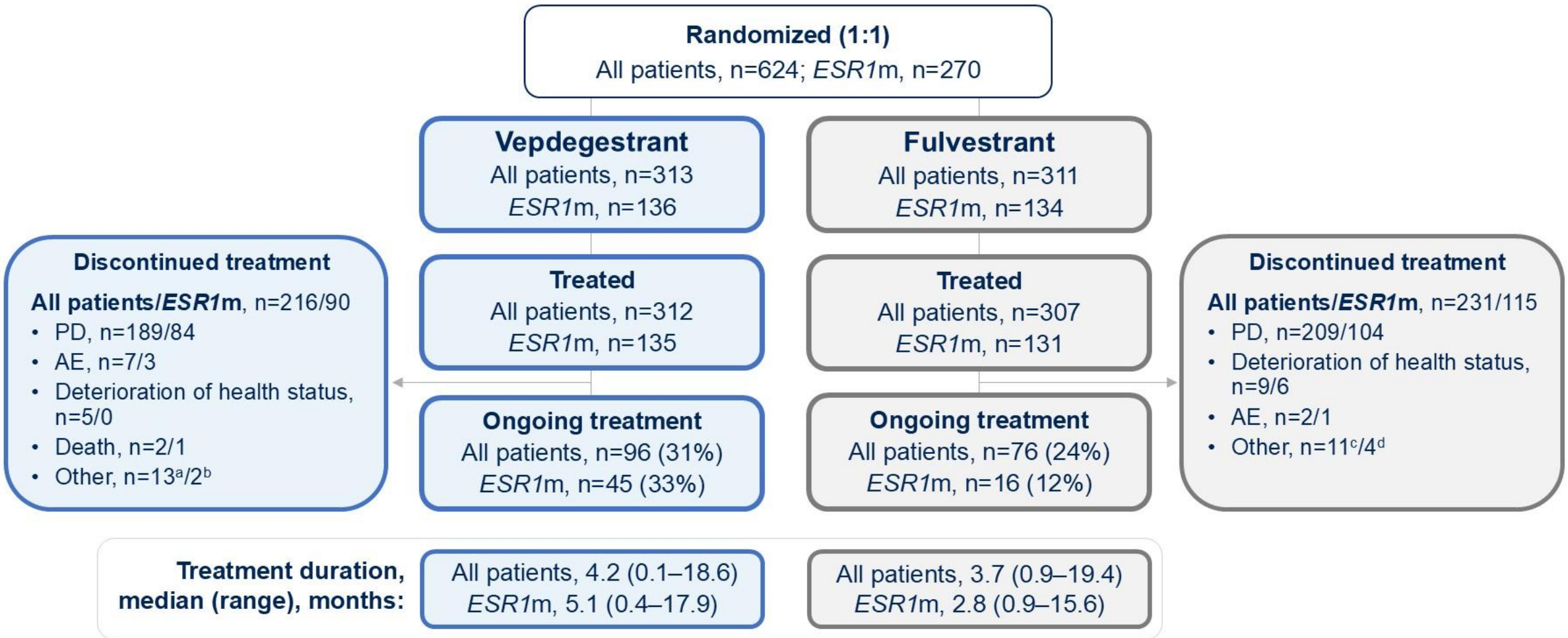


Full amount of α is passed from one hypothesis test to the next when the test is positive

BICR=blinded independent central review; *ESR1m*=estrogen receptor 1 gene mutation; HR=hazard ratio; ITT=intention-to-treat; OS=overall survival; PFS=progression-free survival.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Patient Disposition



Data cutoff date: January 31, 2025

AE=adverse event; *ESR1m*=estrogen receptor 1 gene mutation; PD=progressive disease.

[a]Other reasons included withdrawal by patient (n=9), physician's decision (n=2), and protocol deviation (n=2). [b]Withdrawal by patient (n=2). [c]Withdrawal by patient (n=7), physician's decision (n=3), and other (n=1). [d]Withdrawal by patient (n=3) and physician's decision (n=1).

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Baseline Characteristics

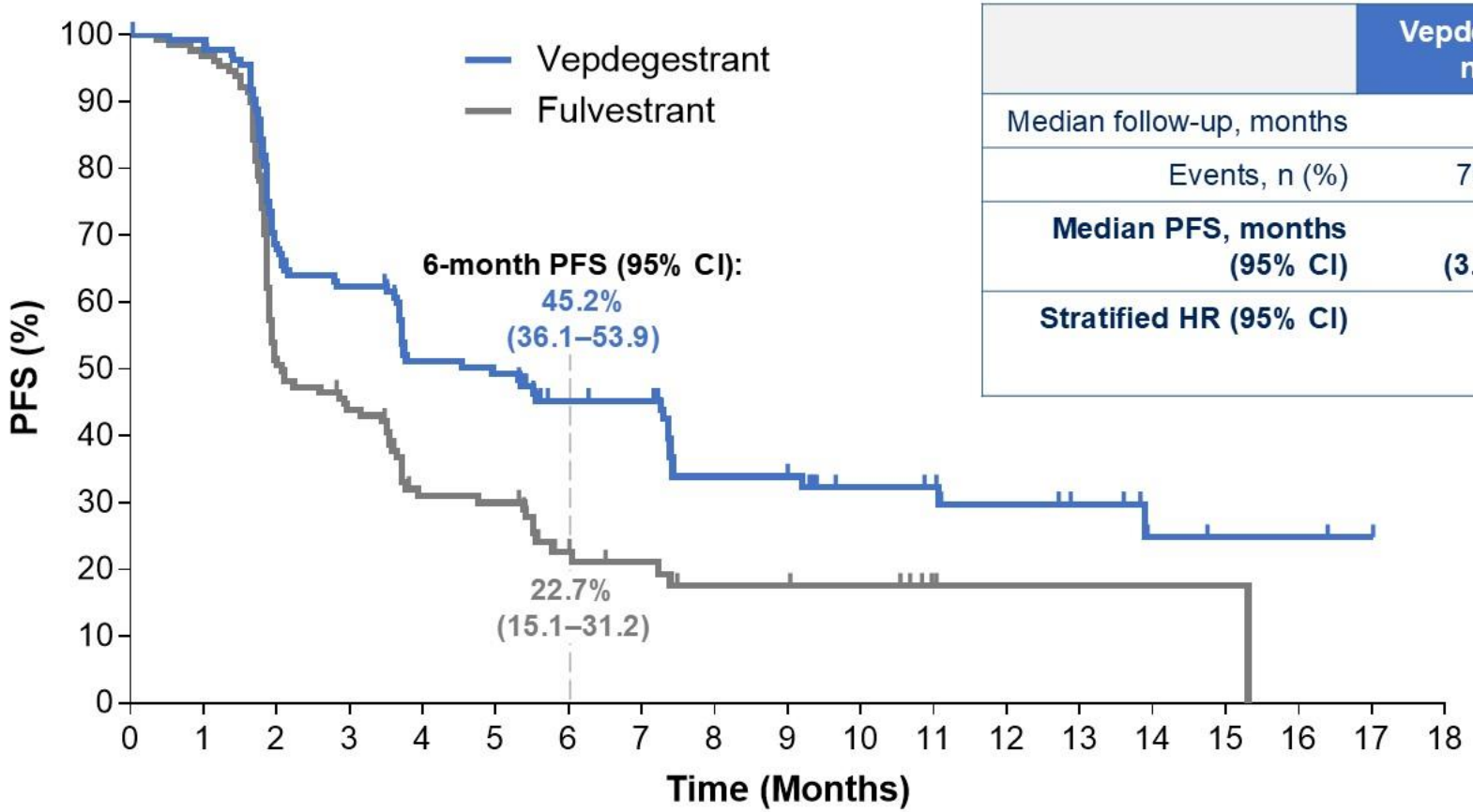
Characteristic	Patients With *ESR1*m		All Patients	
	Vepdegestrant (n=136)	Fulvestrant (n=134)	Vepdegestrant (n=313)	Fulvestrant (n=311)
Median age (range), y	60 (26–87)	60 (34–85)	60 (26–89)	60 (28–85)
Female, %	99	100	99	100
Postmenopausal, %	79	79	78	78
Race, %				
White	43	51	47	46
Black or African American	3	4	2	2
Asian	45	37	39	41
Unknown/NR	9	7	12	9
ECOG PS, %				
0	57	57	61	64
1	43	43	39	36
*ESR1*m, %[a]	100	100	43	43
Sites of disease, %				
Visceral disease	68	68	63	63
Liver metastasis	46	44	40	36
Bone-only disease	18	18	18	20

Characteristic, %	Patients With *ESR1*m		All Patients	
	Vepdegestrant (n=136)	Fulvestrant (n=134)	Vepdegestrant (n=313)	Fulvestrant (n=311)
Measurable disease[b]	71	75	71	71
Prior lines of therapy in advanced/metastatic setting[c]				
1	82	80	82	76
2	18	20	18[d]	23[d]
Prior endocrine therapy	100	100	100	100[e]
Aromatase inhibitor	99	100	99	99
SERM	15	16	16	20
Prior CDK4/6 inhibitor	100	100	100	100
Palbociclib	50	54	46	52
Ribociclib	38	28	36	31
Abemaciclib	16	25	20	21
Other[f]	1	5	4	4

CDK4/6=cyclin-dependent kinase 4/6; ECOG PS=Eastern Cooperative Oncology Group performance status; *ESR1*m=estrogen receptor 1 gene mutation; NR=not reported; SERD= selective estrogen receptor degrader; SERM=selective estrogen receptor modulator.
[a]*ESR1*m status was assessed in pretreatment circulating tumor DNA. [b]Measurable disease assessed by blinded independent central review using Response Evaluation Criteria for Solid Tumors v1.1. [c]Disease progression during or within 12 months from the end of adjuvant therapy was counted as a line of therapy in the advanced/metastatic setting. [d]1 additional patient in the vepdegestrant group and 3 additional patients in the fulvestrant group received 3 prior lines of therapy. [e]1 patient received a prior SERD. [f]Other CDK4/6 inhibitors included birociclib, dalpiciclib, lerociclib.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2 Primary Endpoint: PFS by BICR in Patients With *ESR1*m



	Vepdegestrant n=136	Fulvestrant n=134
Median follow-up, months	7.4	6.0
Events, n (%)	79 (58)	95 (71)
Median PFS, months (95% CI)	**5.0 (3.7–7.4)**	**2.1 (1.9–3.5)**
Stratified HR (95% CI)	**0.57 (0.42–0.77)**	
	2-sided *P*<0.001	

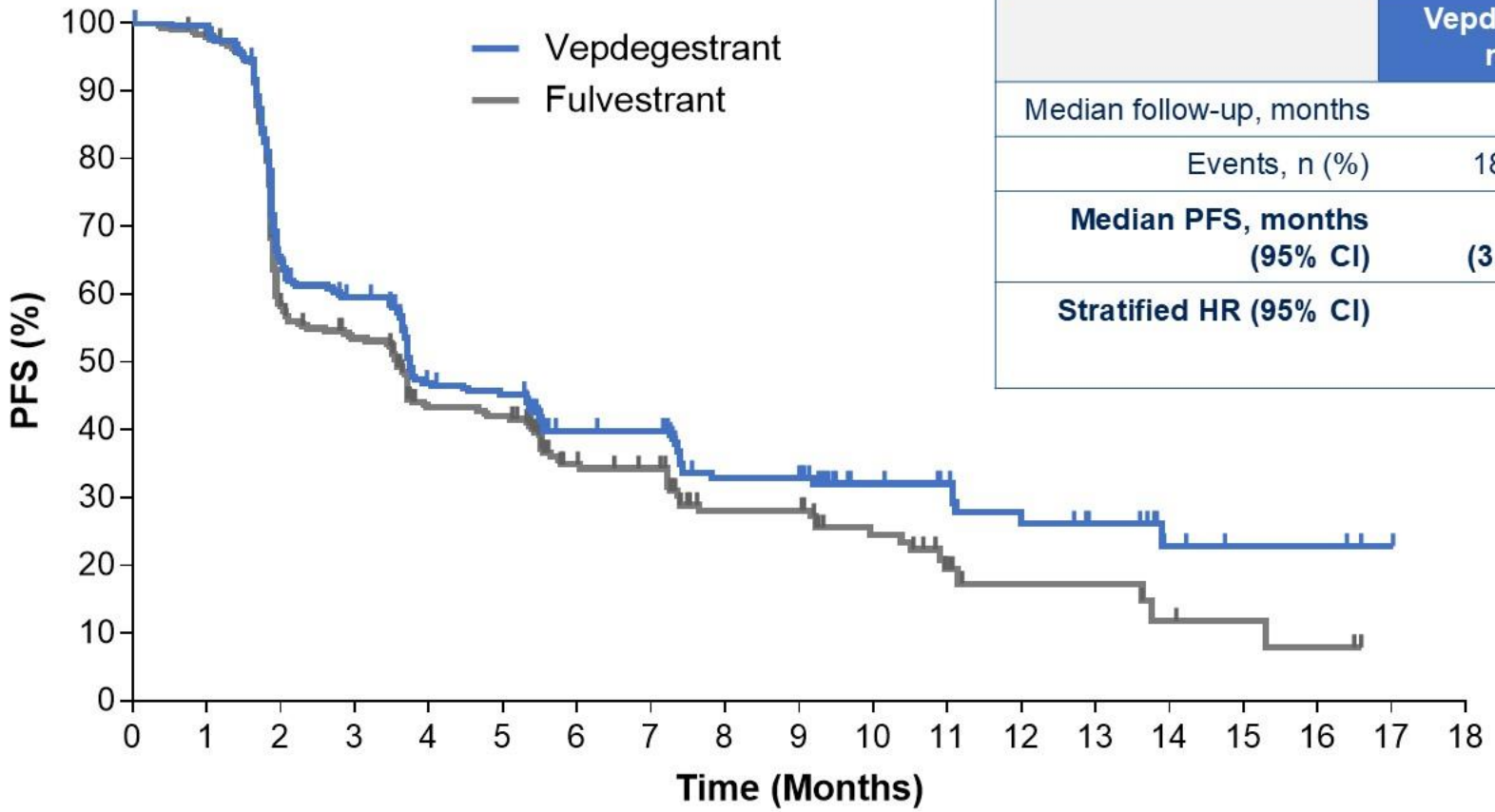
No. at risk

Vepdegestrant	136	134	87	78	55	53	38	37	22	22	15	14	10	8	4	3	3	2	0
Fulvestrant	134	125	62	52	30	29	15	12	8	8	7	2	1	1	1	1	0	0	0

BICR=blinded independent central review; *ESR1m*=estrogen receptor 1 gene mutation; HR=hazard ratio; PFS=progression-free survival.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2 Primary Endpoint: PFS by BICR in All Patients



	Vepdegestrant n=313	Fulvestrant n=311
Median follow-up, months	7.4	7.2
Events, n (%)	186 (59)	198 (64)
Median PFS, months (95% CI)	**3.7 (3.6–5.3)**	**3.6 (2.2–3.8)**
Stratified HR (95% CI)	**0.83 (0.68–1.02)**	
	2-sided *P*=0.07	

Rigel postscript: Vepdegestrant did not meet the primary endpoint in the ITT patient population

No. at risk

Vepdegestrant	313	306	189	168	113	108	74	72	46	46	28	24	15	12	6	4	4	2	0
Fulvestrant	311	292	162	143	101	98	58	54	36	36	23	12	7	7	4	3	2	0	0

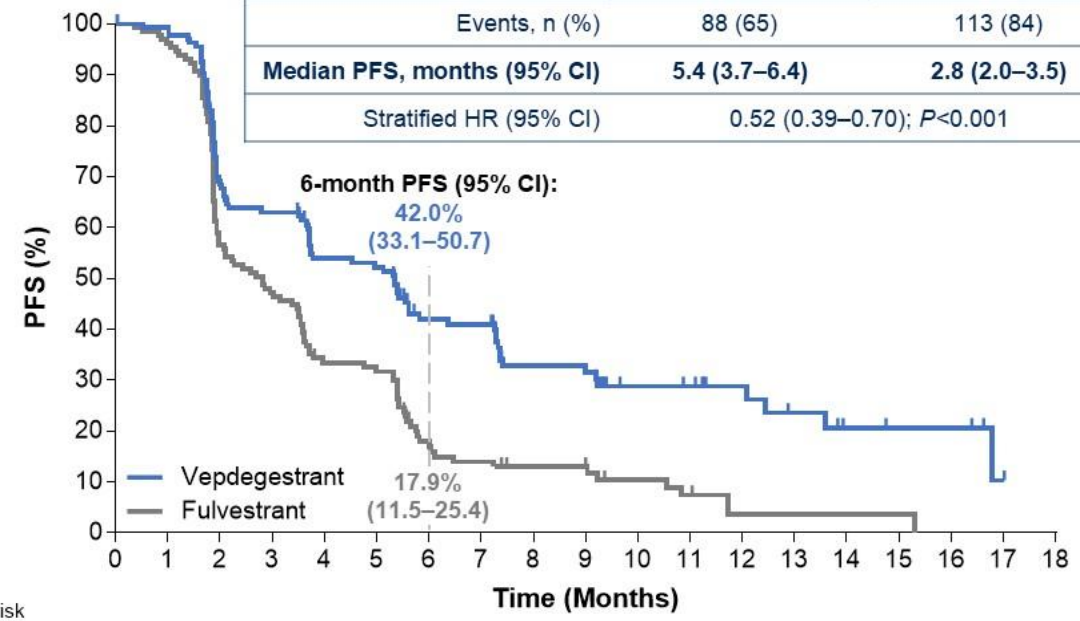
BICR=blinded independent central review; HR=hazard ratio; PFS=progression-free survival.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Investigator-Assessed PFS

Patients With *ESR1*m

	Vepdegestrant n=136	Fulvestrant n=134
Events, n (%)	88 (65)	113 (84)
Median PFS, months (95% CI)	**5.4 (3.7–6.4)**	**2.8 (2.0–3.5)**
Stratified HR (95% CI)	0.52 (0.39–0.70); *P*<0.001	



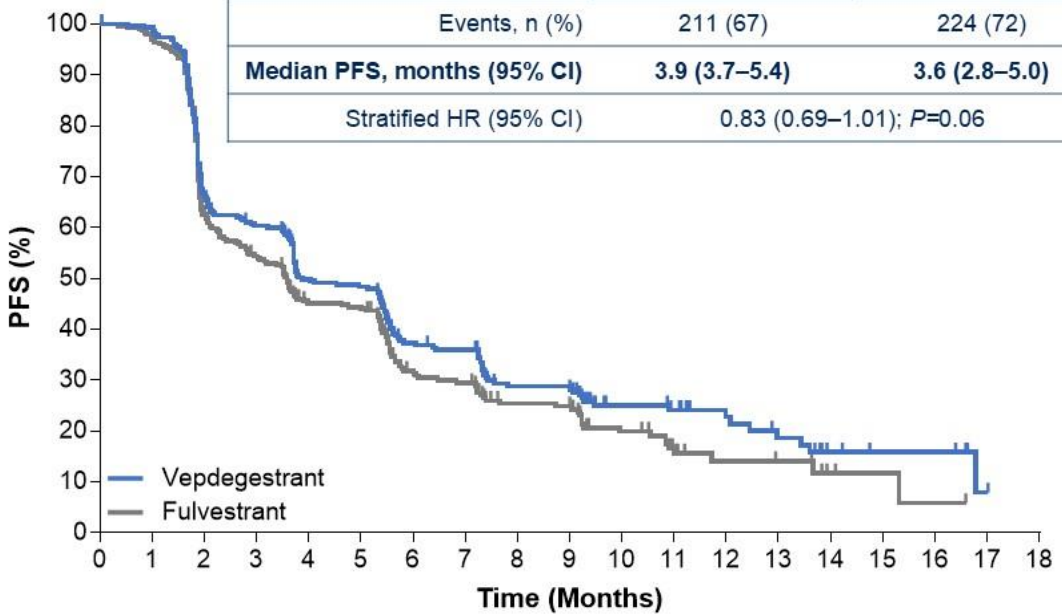
No. at risk

Vepdegestrant	136	134	90	81	64	62	39	38	26	26	16	15	11	8	5	4	4	1	0
Fulvestrant	134	125	72	60	38	36	18	14	11	11	7	4	1	1	1	1	0	0	0

ESR1m=estrogen receptor 1 gene mutation; HR=hazard ratio; PFS=progression-free survival.

All Patients

	Vepdegestrant n=313	Fulvestrant n=311
Events, n (%)	211 (67)	224 (72)
Median PFS, months (95% CI)	**3.9 (3.7–5.4)**	**3.6 (2.8–5.0)**
Stratified HR (95% CI)	0.83 (0.69–1.01); *P*=0.06	



	313	304	200	177	133	129	80	76	51	51	29	25	17	13	7	5	5	1	0
	311	293	184	158	117	114	67	62	46	45	27	16	9	8	3	2	1	0	0

Rigel postscript: Vepdegestrant did not meet the primary endpoint in the ITT patient population

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2 Subgroup Analyses: PFS by BICR in Patients With *ESR1*m

Subgroup		Events/n Vepdegestrant	Events/n Fulvestrant	HR (95% CI)
All patients (stratified)		79/136	95/134	0.57 (0.42–0.77)
Age, years	<65	50/86	66/88	0.51 (0.35–0.74)
	≥65	29/50	29/46	0.75 (0.45–1.26)
Menopausal status	Pre/perimenopausal	14/28	20/28	0.48 (0.24–0.95)
	Postmenopausal	65/108	75/106	0.60 (0.43–0.85)
Geographic region	Asia	32/56	38/50	0.43 (0.26–0.70)
	Europe	25/41	39/56	0.65 (0.40–1.08)
	North America	13/20	10/16	0.73 (0.32–1.69)
	Other	9/19	8/12	0.71 (0.27–1.89)
ECOG PS	0	46/78	49/76	0.69 (0.46–1.04)
	1	33/58	46/58	0.46 (0.29–0.73)
Visceral disease	Yes	59/92	69/91	0.54 (0.38–0.77)
	No	20/44	26/43	0.65 (0.36–1.18)
Liver disease	Yes	45/63	49/59	0.50 (0.33–0.75)
	No	34/73	46/75	0.60 (0.38–0.94)
Bone-only disease	Yes	8/25	15/24	0.47 (0.20–1.23)
	No	71/111	80/110	0.58 (0.42–0.80)
Lines of prior therapy	1	65/112	76/107	0.54 (0.39–0.75)
	2	14/24	19/27	0.86 (0.43–1.72)

BICR=blinded independent central review; ECOG PS=Eastern Cooperative Oncology Group performance status; *ESR1*m=estrogen receptor 1 gene mutation; HR=hazard ratio; PFS=progression-free survival.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

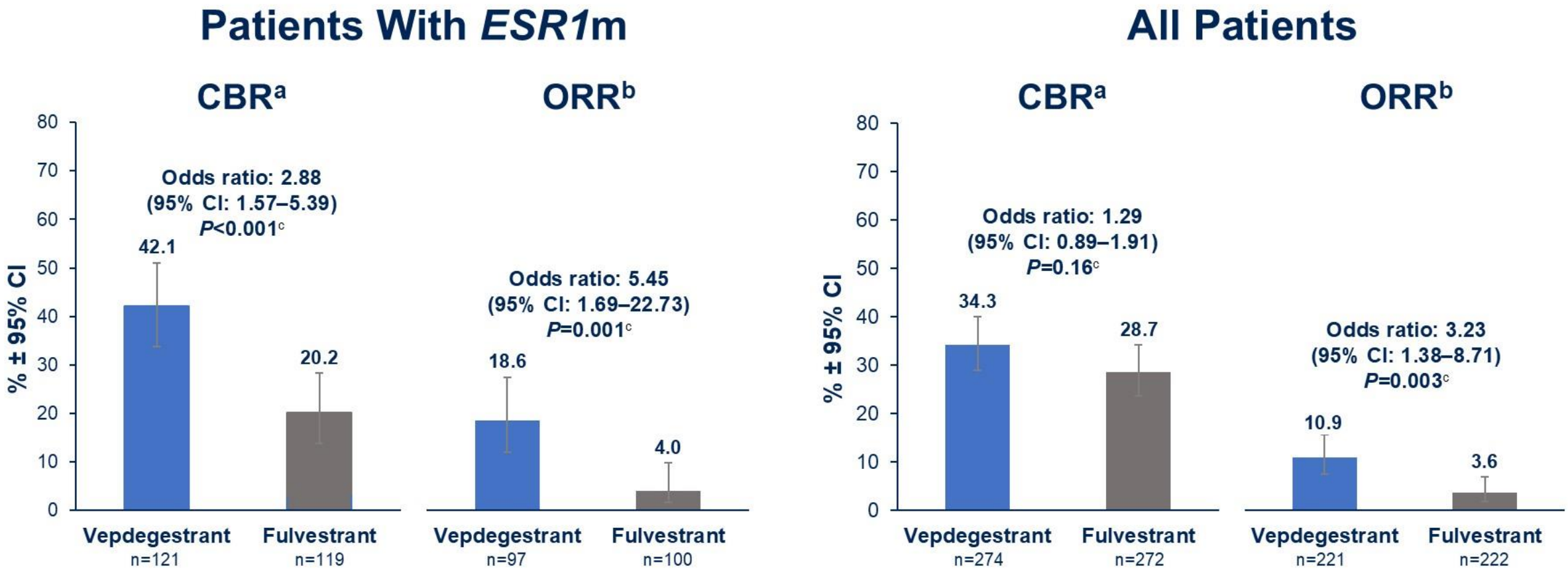
Key Secondary Endpoint: OS (Interim)

- OS was immature at data cutoff
- Deaths occurred in 43 patients with *ESR1*m and 80 patients overall, representing 22% and 20% of targeted events, respectively

ESR1m=estrogen receptor 1 gene mutation; OS=overall survival.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

Secondary Endpoints: CBR and ORR by BICR



BICR=blinded independent central review; CBR=clinical benefit rate; CR=complete response; *ESR1*m=estrogen receptor gene 1 mutation; ORR=objective response rate; PR=partial response; SD=stable disease.
[a]CBR was defined as the rate of confirmed CR or PR at any time, or SD, non-CR, or non-progressive disease for ≥24 weeks and was estimated in CBR-evaluable patients (those enrolled for ≥24 weeks prior to data cutoff or those with confirmed CR or PR).
[b]ORR was defined as the rate of confirmed CR or PR and was estimated in patients with measurable disease at baseline.
[c]Nominal p-value.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

VERITAC-2: Safety and Tolerability (All Treated Patients)

Overview

TEAEs, %	Vepdegestrant (n=312)	Fulvestrant (n=307)
Any grade	87	81
Grade ≥3	23	18
Serious	10	9
Leading to treatment discontinuation	3	1
Leading to dose reduction	2	NA
TRAEs, %		
Any grade	57	40
Grade ≥3	8	3

QT prolongation

- TEAEs: vepdegestrant,10%; fulvestrant, 1%
- A QT interval sub-study (n=88) confirmed a mild increase (11.1 ms) from baseline in mean QTcF, with upper 90% CI (13.7 ms) <20 ms,[f] **indicating no large QT-prolonging effect**

TEAEs in >10% of Patients in Either Group

TEAE, %	Vepdegestrant (n = 312)		Fulvestrant (n = 307)	
	Any Grade	Grade 3/4	Any Grade	Grade 3/4
Fatigue[a]	27	1	16	1
ALT increased[b]	14	1	10	1
AST increased[b]	14	1	10	3
Nausea	13	0	9	1
Anemia[b, c]	12	2	8	3
Neutropenia[d]	12	2[e]	5	1[e]
Back pain	11	1	7	<1
Arthralgia	11	1	11	0
Decreased appetite	11	<1	5	0

ALT=alanine aminotransferase; AST=aspartate aminotransferase; GI=gastrointestinal; QTcF=corrected QT interval using Fridericia's method; TEAE=treatment-emergent adverse event; TRAE=treatment-related adverse event.
[a]Includes fatigue and asthenia. [b]No between-group differences were observed for ALT/AST increases or anemia based on laboratory values. [c]Includes anemia, hemoglobin decreased, and iron deficiency anemia. [d]Includes neutropenia and neutrophil count decreased. No events led to dose reductions or treatment discontinuation in either treatment group. There were no events of febrile neutropenia in the vepdegestrant group and 1 event of grade 2 febrile neutropenia in the fulvestrant group. [e]1 patient with grade 4 event. [f]Based on a concentration-QTc population modeling analysis.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

Conclusions

- Vepdegestrant is the first PROTAC to be evaluated in a phase 3 study
- Oral vepdegestrant demonstrated statistically significant and clinically meaningful improvement in PFS by BICR vs fulvestrant in patients with *ESR1*m ER+/HER2- advanced breast cancer
- OS analyses remain immature, and follow-up is ongoing
- Vepdegestrant demonstrated a favorable safety profile, evidenced by few AEs (<5%) leading to dose reduction or discontinuation

These results support vepdegestrant as a potential treatment option for previously treated *ESR1*m ER+/HER2- advanced breast cancer

AE=adverse event; BICR=blinded independent central review; ER=estrogen receptor; *ESR1*m=estrogen receptor 1 gene mutation; OS=overall survival; PFS=progression-free survival; PROTAC=PROteolysis TArgeting Chimera.

Data presented by Erika P Hamilton, MD at the 2025 ASCO Annual Meeting on 5/31/25.

Vepdegestrant Summary

Vepdegestrant has Potential to Become an Important Treatment Option in 2L+ ER+/HER2-, *ESR1*m Metastatic Breast Cancer (mBC)

Unmet Medical Need

Novel treatment options are needed for ~20,000 patients in the U.S. ER+/HER2-, *ESR1*-mutated advanced or metastatic breast cancer in the 2L+ setting

Novel Mechanism of Action

Vepdegestrant is a PROTAC and is differentiated from other ER-targeting therapies in the 2L and 3L *ESR1*-mutant setting based on its mechanism of action

Demonstrated Efficacy, Safety and Tolerability

In VERITAC-2, vepdegestrant demonstrated a 5-month median PFS, with a 2.9-month improvement over fulvestrant in patients with ER+/HER2− *ESR1*-mutated mBC

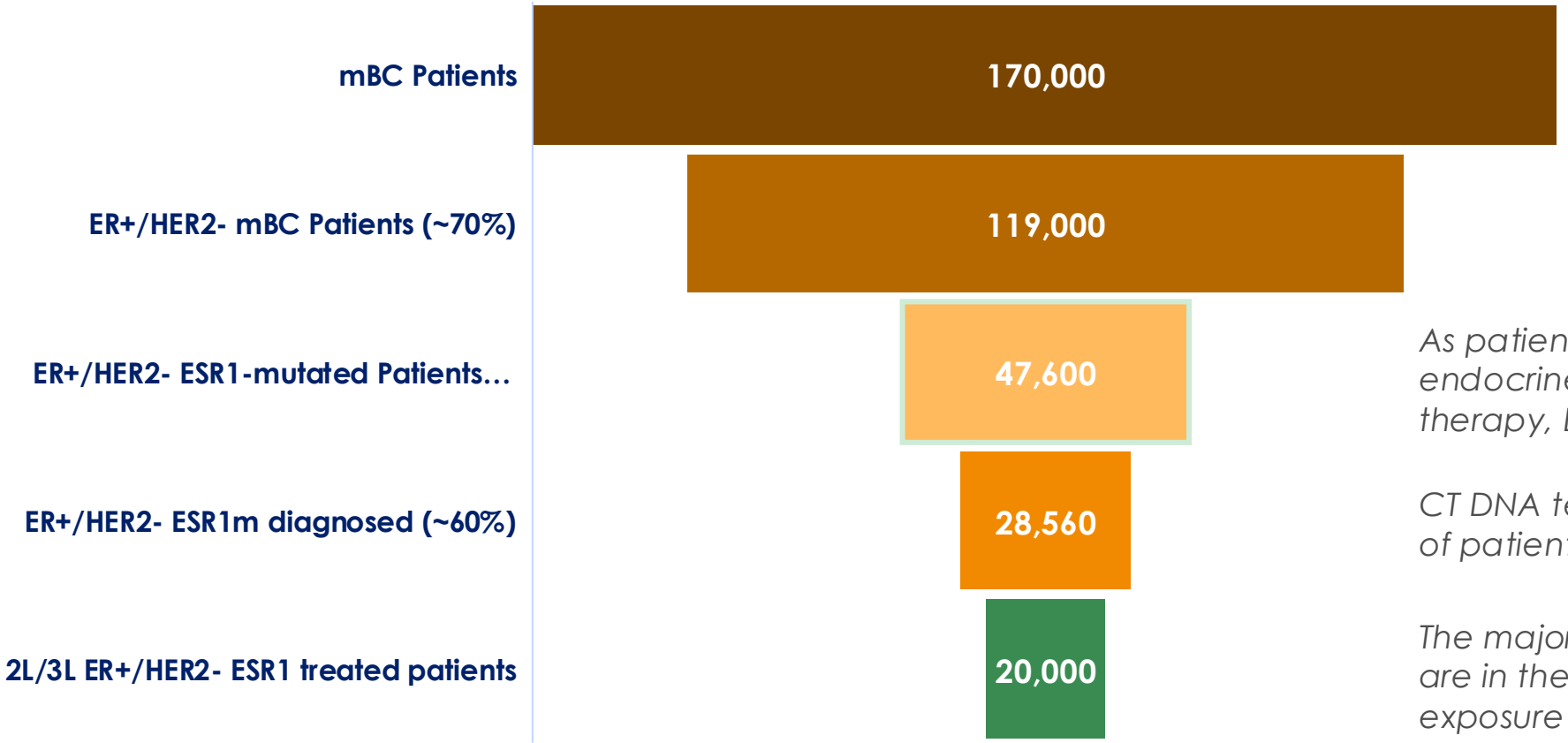
Manageable safety profile leading to low rates of treatment discontinuation (3%) and dose reductions (2%)

2L+, second line-plus; ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; ESR1, estrogen receptor 1; ESR1m, ESR1 mutated; PROTAC, PROteolysis TArgeting Chimera; ER, estrogen receptor; PFS, progression-free survival; mBC, metastatic breast cancer.

Vepdegestrant Addresses Significant Unmet Medical Need

ER+/HER2-, *ESR1*m mBC Patient Opportunity

ER+/HER2- represents the largest segment of mBC, and approximately 40% of that segment develops an *ESR1* mutation after endocrine therapy



As patients become more exposed to endocrine and CDK4/6 inhibitor therapy, ESR1m resistance develops

CT DNA testing is increasing the proportion of patients diagnosed and treated in 2L

The majority of ER+/HER2- patients with ESR1m are in the 2L and later setting due to exposure to endocrine and CDK4/6 therapy

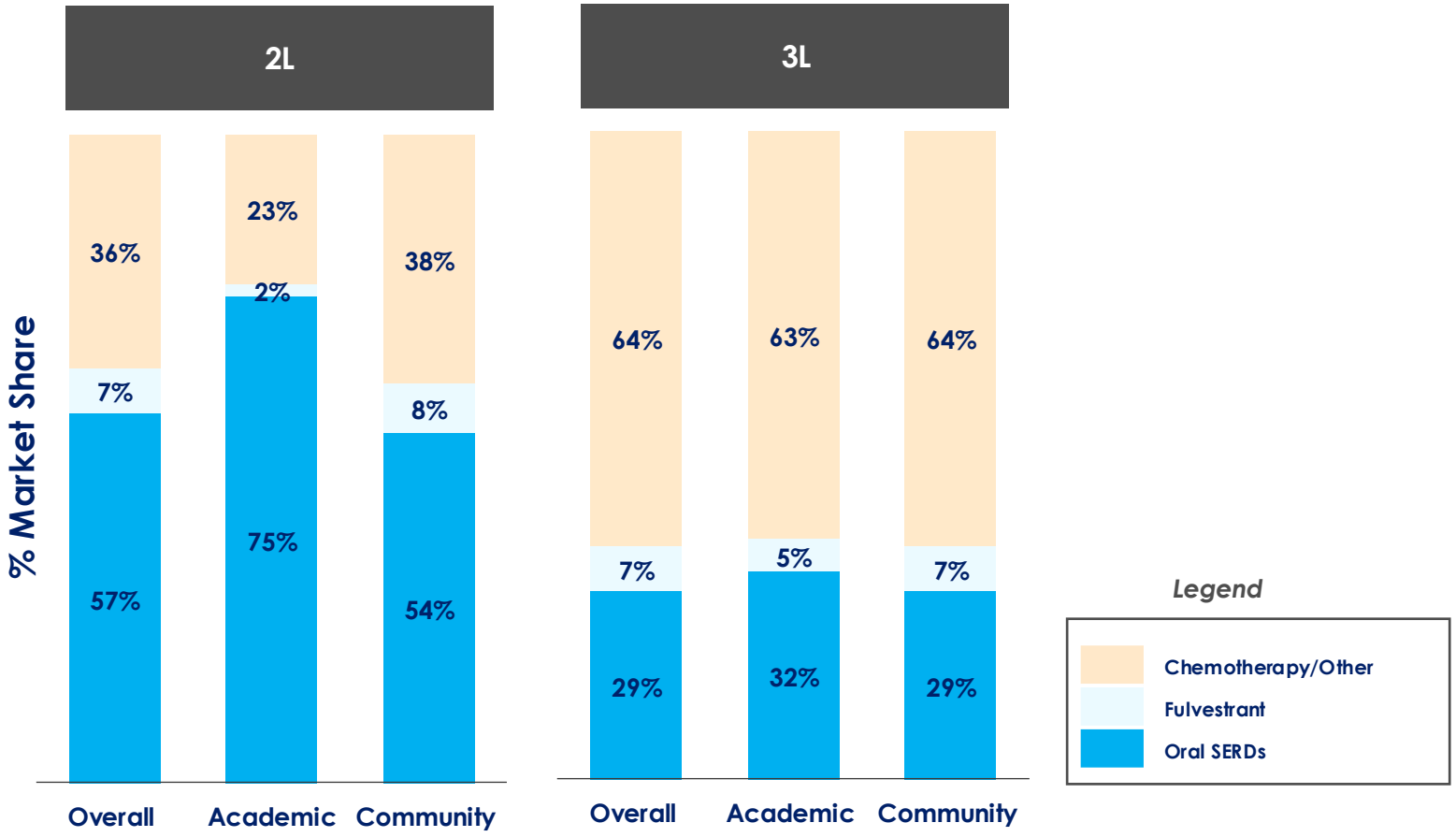
~20,000[1] patients with 2L/3L ER+/HER2-, *ESR1*m mBC represent potential $1B+ market in the U.S.

ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; ESR1, estrogen receptor 1 gene; ESR1m, ESR1 mutation; mBC, metastatic breast cancer; CDK4/6i, cyclin-dependent kinase 4/6 inhibitor; 2L, second line; 3L, third line.

1. Internal market research.

VEPPANU Will Enter a Dynamic Market Where Most Patients are Treated in the Community Setting

Current Therapies in 2L/3L ER+/HER2-, *ESR1*m mBC[1]



Market Opportunity

- Oral SERDs have been rapidly adopted, and are used in the majority of patients in 2L and nearly 40% of patients in 3L
- Chemotherapy and other therapies still represent more than 25% of patients in 2L and 60% patients in 3L
- Approximately 80% of patients are treated at community oncology practices, where nearly half of patients still do not receive oral SERDs in the 2L setting

2L, second line; 3L, third line; ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; ESR1, estrogen receptor 1 gene; ESR1m, ESR1 mutated; MBC, metastatic breast cancer; CDK4/6i, cyclin-dependent kinase 4/6 inhibitor; SERD, Selective Estrogen Receptor Degrader.

1. Internal Market Research Apr 2026

VEPPANU has the Potential to Become a Market-leading Treatment in 2L+ ER+/HER2-, *ESR1*m Metastatic Breast Cancer (mBC)

Proven Efficacy

- ***Significant Improvement in median Progression Free Survival (mPFS)***
  - ***Improved mPFS 2.4-fold, or 2.9 months*** (5.0 months vs. 2.1 months) vs. fulvestrant

Demonstrated Tolerability

- Manageable safety profile with ***low rates and severity of GI-related events***
- ***Low rates of treatment discontinuation (3%) and dose reductions (2%)***

Real-World Applicability

- Patient characteristics of those enrolled in VERITAC-2 were ***representative of real-world 2L+ setting, with 100% receiving prior CDK4/6i + ET***

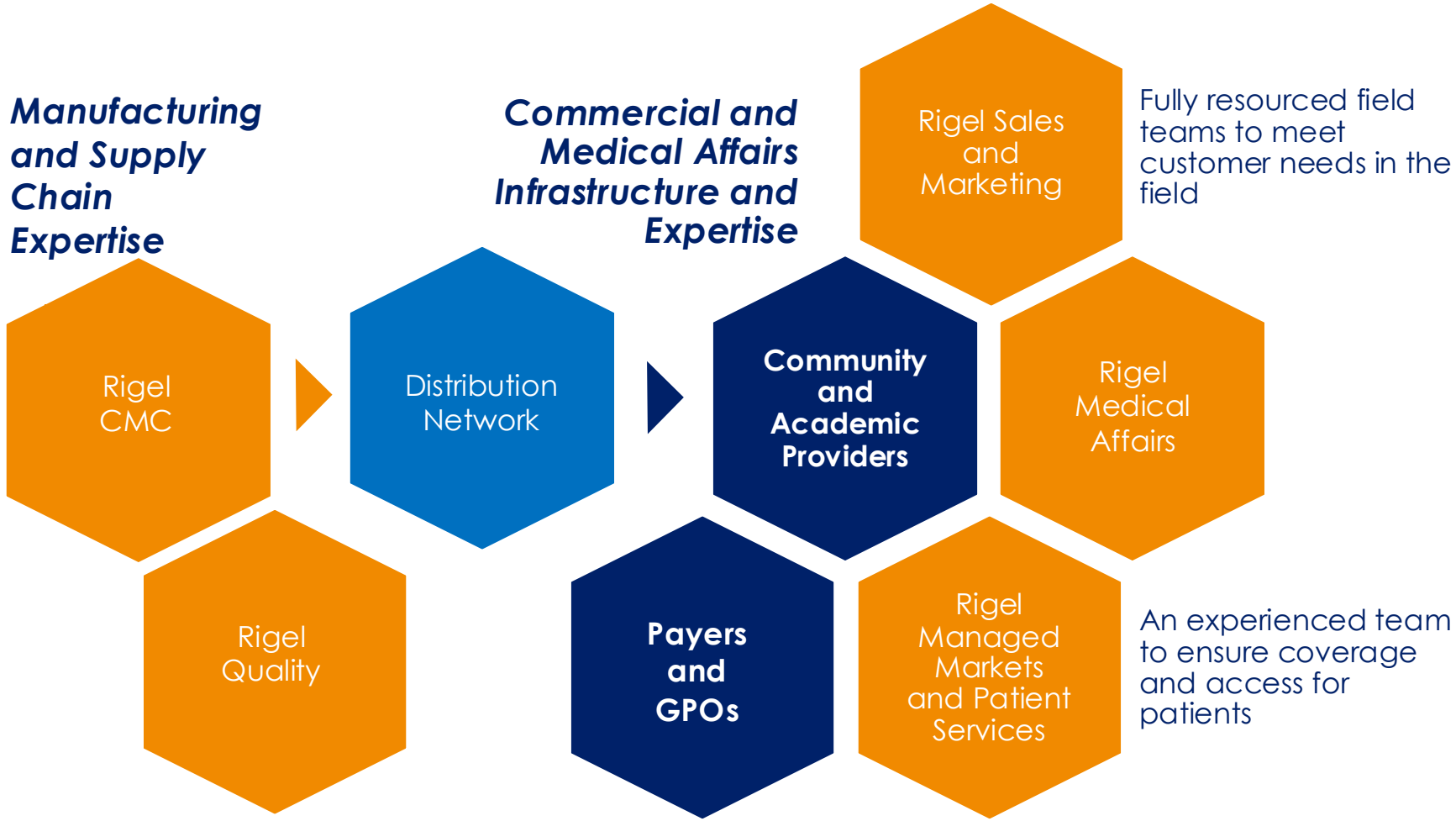
Vepdegestrant demonstrated a median PFS of 5 months in *ESR1*-mutated patients and was well tolerated VERITAC-2 patient population is typical of the 2L/3L metastatic breast cancer patients that clinicians see[1]

2L+, second line-plus; ER+/HER2-, estrogen receptor-positive, human epidermal growth factor receptor 2-negative; *ESR1*, estrogen receptor 1 gene; *ESR1*m, *ESR1* mutated; GI, gastrointestinal; 2L, second line; 3L, third line; CDK4/6i, cyclin-dependent kinase 4/6 inhibitor; ET, endocrine therapy.

1. Source: Hamilton. ASCO 2025. Abstr LBA1000. Campone. NEJM. 2025; 2025;393(6):556-568.

Rigel's Extensive Experience and Capabilities to Support Product Availability in August / September[1]

Potential for VEPPANU to become Rigel's largest commercial product



One Rigel Team Ready for Launch upon closing[1]

- Successful track record of taking newly acquired assets to market quickly and efficiently
- To immediately leverage field and home office teams' capabilities to drive awareness and adoption upon closing
- Strong foundation that can be synergized to focus on rapidly growing VEPPANU
- Plan to begin engagement with healthcare providers

1. Transaction is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act.

Closing

Rigel's Transformational Growth Strategy

Grow Commercial Business

In-Licensing / Business Development

Maintain Financial Discipline

Advance Development Pipeline

VEPPANU™ (vepdegestrant)

What is VEPPANU?
- VEPPANU is a prescription medicine to treat people with estrogen receptor (ER)-positive, human epidermal growth factor receptor 2 (HER2)-negative, ESR1-mutated advanced breast cancer or breast cancer that has spread to other parts of the body (metastatic), and whose disease has progressed after at least one line of endocrine-based therapy.

Your healthcare provider will perform a test to make sure that VEPPANU is right for you.

It is not known if VEPPANU is safe and effective in children.

IMPORTANT SAFETY INFORMATION
What should I tell my healthcare provider before taking VEPPANU?
- All your medical conditions, including if you:
- have heart failure or heart rhythm problems, including QTc prolongation, and long QTc syndrome
- have low blood levels of potassium or magnesium
- are pregnant or plan to become pregnant. VEPPANU can harm your unborn baby.

Females who are able to become pregnant:
  - Your healthcare provider may do a pregnancy test before you start treatment with VEPPANU.
  - Use effective birth control (contraception) during treatment with VEPPANU and for 2 weeks after the last dose.
  - Tell your healthcare provider right away if you become pregnant or think you may be pregnant during treatment with VEPPANU.

Males with female partners who are able to become pregnant:
  - Use effective birth control (contraception) during treatment with VEPPANU and for 2 weeks after the last dose.

- are breastfeeding or plan to breastfeed. It is not known if VEPPANU passes into your breast milk. Do not breastfeed during treatment with VEPPANU and for 2 weeks after the last dose.

Tell your healthcare provider about all the medicines you take, including prescription and over-the counter medicines, vitamins, and herbal supplements. VEPPANU and other medicines may affect the way each other works and may cause serious side effects.

Full Prescribing Information can be found at
https://www.arvinas.com/wp-content/uploads/2026/05/NDA-219835_Approval-Rx-ONLY.pdf

What should I avoid while taking VEPPANU?
Avoid taking St. John's wort, eating grapefruit, or drinking grapefruit juice during with treatment with VEPPANU.

What are the possible side effects of VEPPANU?
VEPPANU can cause serious side effects, including:
- **Heart rhythm problems (QTc interval prolongation).** VEPPANU can cause changes in the electrical activity of your heart and may increase your risk of abnormal heart rhythm problems, and sudden death. Your healthcare provider will check your heart with a test called an electrocardiogram (ECG) and check your blood potassium and magnesium levels before and as needed during treatment with VEPPANU. Get emergency medical help right away if you get any signs and symptoms of abnormal heart rhythm, including:
  - feeling lightheaded or faint
  - dizziness
  - feeling that your heart is pounding or beating fast (heart palpitations)
  - shortness of breath
  - chest pain

The most common side effects of VEPPANU include:
- decreased white blood cell counts
- increased liver function tests
- muscle and bone pain
- tiredness
- decreased red blood cell counts
- nausea
- decreased potassium levels in your blood
- decreased appetite
- abnormal electrocardiogram (QT prolonged)
- decreased platelet counts
- constipation

Your healthcare provider may decrease your dose, temporarily stop, or completely stop treatment with VEPPANU, if you develop certain side effects.

VEPPANU may affect fertility in males and in females who are able to become pregnant. Talk to your healthcare provider if this is a concern for you. These are not all of the possible side effects of VEPPANU.

Call your doctor for medical advice about side effects.

To report side effects of prescription drugs to the FDA, visit https://www.fda.gov/medwatch or call 1-800-FDA-1088 (1-800-332-1088)

Thank You

www.rigel.com