Forward-Looking Statement

These slides contain forward-looking statements, including statements relating to the commercial success of TAVALISSE® (fostamatinib disodium hexahydrate) tablets in the U.S.; Rigel's ability to broaden its pipeline of assets targeting immune-mediated diseases; Rigel's efforts to expand fostamatinib in Europe and to expand its salesforce in key markets; Rigel's regulatory and collaborative efforts in Europe to make fostamatinib available to ITP patients more globally; the utility of fostamatinib in other indications, including warm autoimmune hemolytic anemia; Rigel's ability to achieve development and commercial milestones; Rigel's expected operating results for the quarter ending and as of December 31, 2019, including net sales and cash, cash equivalents and short-term investments; expectations related to the market opportunity for ITP in the European market; and the design, timing, enrollment and results of Rigel's clinical trials.

Any statements contained in these slides that are not statements of historical fact may be deemed to be forward-looking statements. Words such as "anticipates," "plans," "intends," "expects" and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based on Rigel's current expectations and involve risks and uncertainties.

There are a number of important factors that could cause Rigel's results to differ materially from those indicated by these forward-looking statements, including risks associated with the timing and success of clinical trials and other risks detailed in Rigel's SEC reports, including its Quarterly Report on Form 10-Q for the quarter ended September 30, 2019. Rigel expressly disclaims any obligation or undertaking to update the forward-looking statements discussed in this presentation.
Treating Primary ITP

- Reduce bleeding episodes
- Improved quality of life
- Significant financial burden

Executing on Key Value Drivers

- **Grow TAVALISSE® sales in ITP**
  - $13.8M Q4 net product sales; 90% year over year increase
  - Increased persistency rate: ~54% (refill rate at 4 months)

- **Capture value in global ITP market**
  - 3 ex-US partnerships in key markets
  - EU approval of MAA for fostamatinib (Jan ‘20)
  - Launch of Phase 3 trial in Japan
  - NDS filed in Canada

- **Capitalize on wAIHA¹ Opportunity**
  - Launch of Phase 3 trial in warm AIHA
  - Vast majority of 100+ sites in 22 countries opened, 45+ in last 3 months
  - Acceleration of patient enrollment – 15 of 20 patients in last 2 months

- **Expand Pipeline Programs**
  - 2 commercially attractive molecules in clinic
  - IRAK1/4 inhibitor demonstrated PoM³ in Phase 1 human trial
  - RIP1 inhibitor in ongoing Phase 1 human trial

Strong Financial Position

- $98.0² million in cash at end of Q4

¹ Investigational compound in this indication and has not been submitted for FDA review. ² This information is preliminary, has not been audited and is subject to change upon completion of the audit of the company’s financial statements as of and for the year ended December 31, 2019. ³ Proof-of-Mechanism.

Please see slides 24 & 25 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.
Executing on Key Value Drivers

TAVALISSE market opportunity alone close to $3B

Grow TAVALISSE® sales in ITP

Capture value in global ITP market

Capitalize on wAIHA³ Opportunity

Expand Pipeline Programs

$1B+
Market Opportunity¹

$800M
Market Opportunity¹

$1B
Potential Market Opportunity²

Substantial Market Opportunity

¹ Company’s internal estimate based on 2018 sales of ITP therapies used for steroid-refractory patients. ² DelveInsight Research “Warm Autoimmune Hemolytic Anemia [wAIHA] – Market Insight, Epidemiology, and Market Forecast”. ³ Investigational compound in this indication and has not been submitted for FDA review. Please see slides 24 & 25 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.
Kinase inhibitor indicated for the treatment of thrombocytopenia in adult patients with chronic immune thrombocytopenia (cITP) who have had an insufficient response to a previous treatment.

Select Important Safety Information

Adverse Reactions

- Serious adverse drug reactions in the ITP double-blind studies were febrile neutropenia, diarrhea, pneumonia, and hypertensive crisis, which occurred in 1% of TAVALISSE patients. In addition, severe adverse reactions occurred including dyspnea and hypertension (both 2%), neutropenia, arthralgia, chest pain, diarrhea, dizziness, nephroolithiasis, pain in extremity, toothache, syncope, and hypoxia (all 1%).

- Common adverse reactions (≥25% and more common than placebo) from FIT-1 and FIT-2 included: diarrhea, hypertension, nausea, dizziness, ALT and AST increased, respiratory infection, rash, abdominal pain, fatigue, chest pain, and neutropenia.

Please see slides 24 & 25 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.
Significant Need in U.S. ITP Market

Immune Thrombocytopenia (ITP) is characterized by the body’s destruction of its own platelets. 

Significant unmet clinical need

- Severe bleeding, fatigue, bruising, petechiae
- In serious cases, cerebral hemorrhage, which can result in death

Patients cycle on and off treatment

68,300 U.S. Adult cITP Patients

“Watchful Waiting”

Addressable Market (Options Post-Steroids)

- Steroids
- 35,500
- 18,700
- 14,100


Please see slides 24 & 25 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.
TAVALISSE directly targets the pathophysiology of ITP through SYK inhibition, preventing platelet destruction†

Other options (post-steroids) include † †:

- Platelet production
- Immunosuppressant
- Splenectomy

Encouraging Post-hoc Analysis of Early Line Use

- 78% overall response in Phase 3 trials (including extension phase) when used as 2nd line treatment
- 2nd and 3rd line therapy comprise 75% of TAVALISSE addressable market
- AEs in subgroup were consistent with those treated with TAVALISSE in placebo-controlled trials
- Early line use supports increased persistency rate: ~54% (refill rate at 4 months)

Overall Response (>50,000/µL at any visit) by line of therapy

<table>
<thead>
<tr>
<th>Line of Therapy</th>
<th>Response Rate</th>
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<tbody>
<tr>
<td>2nd Line (n=32)</td>
<td>78%</td>
</tr>
<tr>
<td>≥3 Line (n=113)</td>
<td>47%</td>
</tr>
</tbody>
</table>

1 ASH 2019 Poster Presentation: Enhanced Responses to Fostamatinib as Second-Line Therapy and in Persistent Immune Thrombocytopenia (ITP) Patients, ASH 2019 Poster Presentation
2 First-line therapy: steroids with or without immunoglobulins
3 Rigel Internal Data
Safety Profile Supports Chronic Use

- Most common adverse events in Phase 3 studies were diarrhea and hypertension
  - AEs manageable with dose titration and supportive measures (e.g., dietary changes, hydration, OTC medications)
- No thromboembolic events (TEE) related to treatment were reported in TAVALISSE Phase 3 trial (including extension phase)
  - Risk of TEEs is inherent in treatments that stimulate platelet production
- Safety database of over 3,500 patients - total patients exposed to TAVALISSE is greater than 6,000
Keys to Market Share Growth

Highly experienced commercial and medical affairs teams

- Expanding salesforce to 41 from 35 to more efficiently and effectively address patient needs
- Leveraging physician experience through peer-to-peer education
- Providing market access and support for patients

Continue to generate data supporting early line use and patient identification

- Post-hoc analysis of Phase 3 (ex. 78% response in 2nd line use\(^1,\)\(^2\))
- Real-world use based on data from patients on TAVALISSE
- Planning observational study

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\(^1\) ASH 2019 Poster Presentation: Enhanced Responses to Fostamatinib as Second-Line Therapy and in Persistent Immune Thrombocytopenia (ITP) Patients, ASH 2019 Poster Presentation

\(^2\) First-line therapy: steroids with or without Immunoglobulins
Positioned for Success in $800M Ex-U.S. ITP Market¹

Europe – Grifols, S.A
- Received EMA approval of fostamatinib
  - $20 million milestone payment from Grifols in Q1 ‘20
  - Royalties expected to begin in H2 ‘20

Japan/Asia – Kissei Pharmaceuticals
- Phase 3 clinical trial ongoing in Japanese patients

Canada/Israel – Medison Pharma
- New Drug Submission (NDS) submitted in Canada

Generating near-term revenue and retaining value
$68 million in upfront cash payments
$500 million in potential milestones
Royalties comparable to profit sharing

¹ Company’s internal estimate based on 2018 sales of ITP therapies used for steroid-refractory patients.
Attractive Opportunity in Warm AIHA

- Warm autoimmune hemolytic anemia (wAIHA) is characterized by the body’s destruction of its own red blood cells
- Significant unmet medical need
  - No FDA-approved therapy
  - Difficulty breathing, fatigue, dizziness, significant impact on QoL
  - Severe cases can lead to heart conditions, ~8% mortality rate
- Synergies with ITP enable a highly accretive opportunity
  - Established commercial infrastructure
  - Same targeted physicians
  - Same product profile

45,000 U.S. Adult AIHA Patients

50%

~20-30%

~20-30%

“Watchful Waiting”

Steroids

Patients cycle on and off treatment (Similar to ITP)

1 Rigel AIHA Market Assessment – Mar 20, 2018
Executing Phase 3 as Planned, Enrollment Accelerating

- Established majority of the 100+ clinical trial sites planned, over 45 in the last 3 months, across 22 countries
- Patient enrollment accelerating -- 15 in last 2 months, 20 patients total
- Completion of wAIHA\(^1\) Phase 3 enrollment anticipated in mid-2020
- Potential first-mover-advantage
  - Only molecule in Phase 3 pivotal trial
  - Existing familiarity & experience within target HCP audience

\(^1\) Investigational compound in this indication and has not been submitted for FDA review
Phase 2 Results Support Phase 3 Optimism

**Phase 2 Encouraging Data**

- 25 patient trial, open-label
- Primary endpoint is response defined as:
  - Hgb > 10 g/dL and > 2 g/dL greater than baseline
- 44% response rate (48% including week 30 responder)
  - Increase in Hgb generally sustained
- AEs manageable and consistent with fostamatinib safety database of >3,500 patients

**Phase 3 Trial Design**

- 80 patient trial, randomized, placebo-controlled
- Primary endpoint is durable response defined as:
  - Hgb > 10 g/dL and > 2 g/dL greater than baseline
  - Durability measure
- Topline results anticipated in mid-2021

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1 ASH 2019 Poster Presentation: Fostamatinib, a Spleen Tyrosine Kinase (SYK) Inhibitor, for the Treatment of Warm Antibody Autoimmune Hemolytic Anemia (wAIHA): Results of the Phase 2, Multicenter, Open-Label Study
Expand Pipeline Development

- Focused on inhibition of signaling pathways that are critical to immune-mediated diseases
- Maximize asset value through optionality in development approach
  - Large or small markets
  - Company-sponsored, co-development with pharma partner, out-license
  - Domestic versus global markets

3 Clinical Programs Ongoing

- SYK
- IRAK 1/4
- RIP1

4 pathway inhibitors out-licensed and in development

- Aclaris
- AstraZeneca
- BerGenBio
- Daiichi-Sankyo
- JAK
- JAK
- AXL
- MDM2
Inhibition of SYK, IRAK1/4, and RIP1 could have an impact in a broad range of immune-mediated diseases:

- Inflammatory Bowel Disease
- Psoriatic Arthritis
- Psoriasis
- AML
- CLL
- Gouty Arthritis
- ITP
- Multiple Sclerosis
- ALHA
- Rheumatoid Arthritis
- Lupus
- AIHA
- Alzheimer’s disease
- GvHD
- Dermatomyositis

1 Investigational compound in this indication and has not been submitted for FDA review.
IRAK1/4 Inhibitor Program

• R835 is the only dual inhibitor of IRAK1 and IRAK4
  - Shown, preclinically, to block inflammatory cytokine production in response to TLR and IL-1R family signaling

• Phase 1 Study Results of R835
  - In LPS Challenge Proof-of-Mechanism (PoM) human study showed inhibition of cytokine production
  - Shown to be tolerated in healthy subjects
  - Encouraging PK characteristics

1 R835 is an investigational compound not approved by the FDA.
IRAK1/4 Inhibitor (R835\textsuperscript{1}) Proof-of-Mechanism Human Study

- LPS administered i.v. to trigger a proinflammatory response (n=8/group)
- Subjects administered R835 showed inhibition of IL-6 and TNFa production\textsuperscript{2}

\textsuperscript{1} R835 is an investigational compound not approved by the FDA.
\textsuperscript{2} Rigel Internal Data
RIP1 Inhibitor Program

- RIP1 is a key driver of necroptosis, a type of regulated cell death
  - Triggers inflammation in response to molecules from the ruptured cells
  - Can progress to tissue damage in inflammatory and neurodegenerative diseases
- R552\(^1\), systemic RIP1 inhibitor, in Phase 1 trial
  - Encouraging preliminary data suggests potential for once a day formulation
- Multiple lead chemical series of CNS-penetrant RIP1 inhibitors identified
  - Select candidate in 2020 for clinical studies

\(^1\) R552 is an investigational compound not approved by the FDA.
Robust Results from RIP1-Mediated Murine Model

- R552\(^1\) is shown to prevent joint/skin inflammation and tissue damage in a dose-dependent manner\(^2\)
- Other tissue inflammation assessments in progress

1. R552 is an investigational compound not approved by the FDA.
2. Rigel Internal Data
Pipeline Supports Long-term Incremental Growth

<table>
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<tr>
<th>Indication</th>
<th>Target</th>
<th>Pre-Clinical</th>
<th>Phase 1</th>
<th>Phase 2</th>
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1. Kissei running Phase 3B trial in Japanese patients, as per requirements of Japan’s regulatory authorities for pharmaceutical products filing for approval.
2. Investigational compounds in these indications and have not been submitted for FDA review. Please see slides 24 & 25 for Important Safety Information. Please visit [www.TAVALISSE.com](http://www.TAVALISSE.com) for full prescribing information.
Q4 2019 Preliminary Financial Highlights

Quarter over quarter growth since launch

- $13.8M net product sales in Q4 ‘19 and $43.8M in FY ‘19
- 1,518 total bottles shipped in Q4 ‘19 and 5,162 total bottles shipped in FY ‘19
- 1,422 bottles shipped to patients & clinics in Q4 ‘19, 96 bottles remained in distribution channels
- $98.0 million in cash, cash equivalents, and short-term investments

1 This information is preliminary, has not been audited and is subject to change upon completion of the audit of the company’s financial statements as of and for the year ended December 31, 2019
2 596 total bottles remained in distribution channels at December 31, 2019
Upcoming Milestones for Key Value Drivers

**Grow TAVALISSE® sales in ITP**
- Increase use in earlier lines of therapy
- Grow commercial team and drive awareness

**Capture value in global ITP market**
- $20 million milestone from Grifols in Q1 ‘20 for EMA approval
- Fostamatinib potential launch in EU mid ‘20

**Capitalize on wAIHA¹ Opportunity**
- Complete enrollment of Phase 3 mid ‘20
- Topline results from Phase 3 mid ‘21

**Expand Pipeline Programs**
- Seek co-development / co-promotion partnership(s) and advance candidates
- Select CNS molecule for RIP1 program in ‘20

¹ Investigational compound in this indication and has not been submitted for FDA review. Please see slides 24 & 25 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.
 TAVALISSE® (fostamatinib disodium hexahydrate) Tablets

Indication and Important Safety Information

Indication
TAVALISSE® (fostamatinib disodium hexahydrate) tablets is indicated for the treatment of thrombocytopenia in adult patients with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment.

Important Safety Information
Warnings and Precautions

- Hypertension can occur with TAVALISSE treatment. Patients with pre-existing hypertension may be more susceptible to the hypertensive effects. Monitor blood pressure every 2 weeks until stable, then monthly, and adjust or initiate antihypertensive therapy for blood pressure control maintenance during therapy. If increased blood pressure persists, TAVALISSE interruption, reduction, or discontinuation may be required.

- Elevated liver function tests (LFTs), mainly ALT and AST, can occur with TAVALISSE. Monitor LFTs monthly during treatment. If ALT or AST increase to >3 x upper limit of normal, manage hepatotoxicity using TAVALISSE interruption, reduction, or discontinuation.

- Diarrhea occurred in 31% of patients and severe diarrhea occurred in 1% of patients treated with TAVALISSE. Monitor patients for the development of diarrhea and manage using supportive care measures early after the onset of symptoms. If diarrhea becomes severe (≥Grade 3), interrupt, reduce dose or discontinue TAVALISSE.

- Neutropenia occurred in 6% of patients treated with TAVALISSE; febrile neutropenia occurred in 1% of patients. Monitor the ANC monthly and for infection during treatment. Manage toxicity with TAVALISSE interruption, reduction, or discontinuation.

- TAVALISSE can cause fetal harm when administered to pregnant women. Advise pregnant women the potential risk to a fetus. Advise females of reproductive potential to use effective contraception during treatment and for at least 1 month after the last dose. Verify pregnancy status prior to initiating TAVALISSE. It is unknown if TAVALISSE or its metabolite is present in human milk. Because of the potential for serious adverse reactions in a breastfed child, advise a lactating woman not to breastfeed during TAVALISSE treatment and for at least 1 month after the last dose.
Indication and Important Safety Information (cont.)

Drug Interactions

- Concomitant use of TAVALISSE with strong CYP3A4 inhibitors increases exposure to the major active metabolite of TAVALISSE (R406), which may increase the risk of adverse reactions. Monitor for toxicities that may require a reduction in TAVALISSE dose.
- It is not recommended to use TAVALISSE with strong CYP3A4 inducers, as concomitant use reduces exposure to R406.
- Concomitant use of TAVALISSE may increase concentrations of some CYP3A4 substrate drugs and may require a dose reduction of the CYP3A4 substrate drug.
- Concomitant use of TAVALISSE may increase concentrations of BCRP substrate drugs (eg, rosuvastatin) and P-Glycoprotein (P-gp) substrate drugs (eg, digoxin), which may require a dose reduction of the BCRP and P-gp substrate drug.

Adverse Reactions

- Serious adverse drug reactions in the ITP double-blind studies were febrile neutropenia, diarrhea, pneumonia, and hypertensive crisis, which occurred in 1% of TAVALISSE patients. In addition, severe adverse reactions occurred including dyspnea and hypertension (both 2%), neutropenia, arthralgia, chest pain, diarrhea, dizziness, nephrolithiasis, pain in extremity, toothache, syncope, and hypoxia (all 1%).
- Common adverse reactions (≥5% and more common than placebo) from FIT-1 and FIT-2 included: diarrhea, hypertension, nausea, dizziness, ALT and AST increased, respiratory infection, rash, abdominal pain, fatigue, chest pain, and neutropenia.

Please see http://www.tavalisse.com/ for full Prescribing Information

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

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