Q2 2021 Financial Presentation

August 3, 2021

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Forward-Looking Statement

This presentation contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1955 ("PSLRA") relating to, among other things, the commercial success of TAVALISSE in the U.S. and TAVLESSE in Europe; Rigel's submission of an EUA application for fostamatinib for the treatment of hospitalized COVID-19 patients the commercial availability of fostamatinib; the three ongoing clinical studies on fostamatinib, including Rigel's Phase 3 clinical trial; any future opportunities to use fostamatinib beyond the treatment of COVID-19; expectations related to the market opportunity for fostamatinib as a COVID-19 therapeutic; Rigel's ability to further develop its clinical stage and early stage product candidates and programs; and Rigel's partnering efforts.

Any statements contained in this presentation that are not statements of historical fact may be deemed to be forward-looking statements and as such are intended to be covered by the safe harbor for "forward-looking statements" provided by the PSLRA. Words such as "potential", "may", "expects", and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based on Rigel's current expectations and information available to Rigel on the date of this presentation. Actual results and the timing of events could differ materially from those anticipated in such forward looking statements due to a number of factors that involve substantial known and unknown risks and uncertainties, which include, without limitation, risks and uncertainties associated with the commercialization and marketing of TAVALISSE; risks that the FDA, EMA or other regulatory authorities may make adverse decisions regarding fostamatinib; risks that TAVALISSE clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; risks that TAVALISSE may have unintended side effects, adverse reactions or incidents of misuses; the availability of resources to develop Rigel's product candidates; market competition; as well as other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its Annual Report on Form 10-K for the year ended December 31, 2020 and Quarterly Report on Form 10-Q for the quarter ended March 31, 2021. In addition, the COVID-19 pandemic may result in further delays in Rigel's studies, trials and sales, or impact Rigel's ability to obtain supply of TAVALISSE. Rigel does not undertake any obligation to update forward-looking statements and expressly disclaims any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein.

Please see www.TAVALISSE.com for Important Safety Information and full prescribing information.

Introduction & Highlights

Raul Rodriguez

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Rigel Participants

Raul Rodriguez
President & Chief Executive Officer

Dolly Vance
Executive Vice President, Corporate Affairs & General Counsel

Dave Santos
Executive Vice President & Chief Commercial Officer

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Executive Vice President & Chief Medical Officer

Dean Schorno
Executive Vice President & Chief Financial Officer

Please see www.TAVALISSE.com for Important Safety Information and full prescribing information.

Tavalisse® (fostamatinib disodium hexahydrate) tablets

Successfully Executing on Key Value Drivers

Grow Global Sales in ITP

- Q2 2021 bottles shipped to patients and clinics increased 12% vs. Q2 2020
- Strong persistency rate of 56% maintained
- Increasing field force to accelerate our reach among prescribers

~$2B[2]

Capitalize on wAIHA[1] Opportunity

- Progressed Phase 3 enrollment to 80 of 90 patients as of August 2, 2021
- Advanced clinical program for potential first to market therapeutic for wAIHA
- Fast Track designation granted by FDA

Potential $1B US[3]

Explore Fostamatinib in COVID-19[1] & Beyond

- Expanded clinical program for the treatment of COVID-19
- Filed EUA with FDA based on positive results from NHLBI/NIH Phase 2 clinical trial
- Enrollment in Rigel-led Phase 3 at half-way point with ~150 pts

>$2B[4]

Advance Pipeline Programs

- Advanced development of our IRAK1/4 inhibitor program with positive feedback from FDA on clinical program
- Progressed both RIP1 inhibitor programs in collaboration with Lilly

Substantial

MARKET OPPORTUNITY

[1]Investigational compound in this indication and has not been submitted for FDA review. [2]Company's internal estimate based on 2018 sales of ITP therapies used for steroid-refractory patients. [3]DelveInsight Research "Warm Autoimmune Hemolytic Anemia [wAIHA] – Market Insight, Epidemiology, and Market Forecast". [4]Johns Hopkins University COVID-19 Tracker, COVID Tracking Project, IntegriChain 852 and 867 and HHS admissions.
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Grow Global Sales of TAVALISSE® in ITP

Tavalisse®

(fostamatinib disodium hexahydrate) tablets

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, 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.

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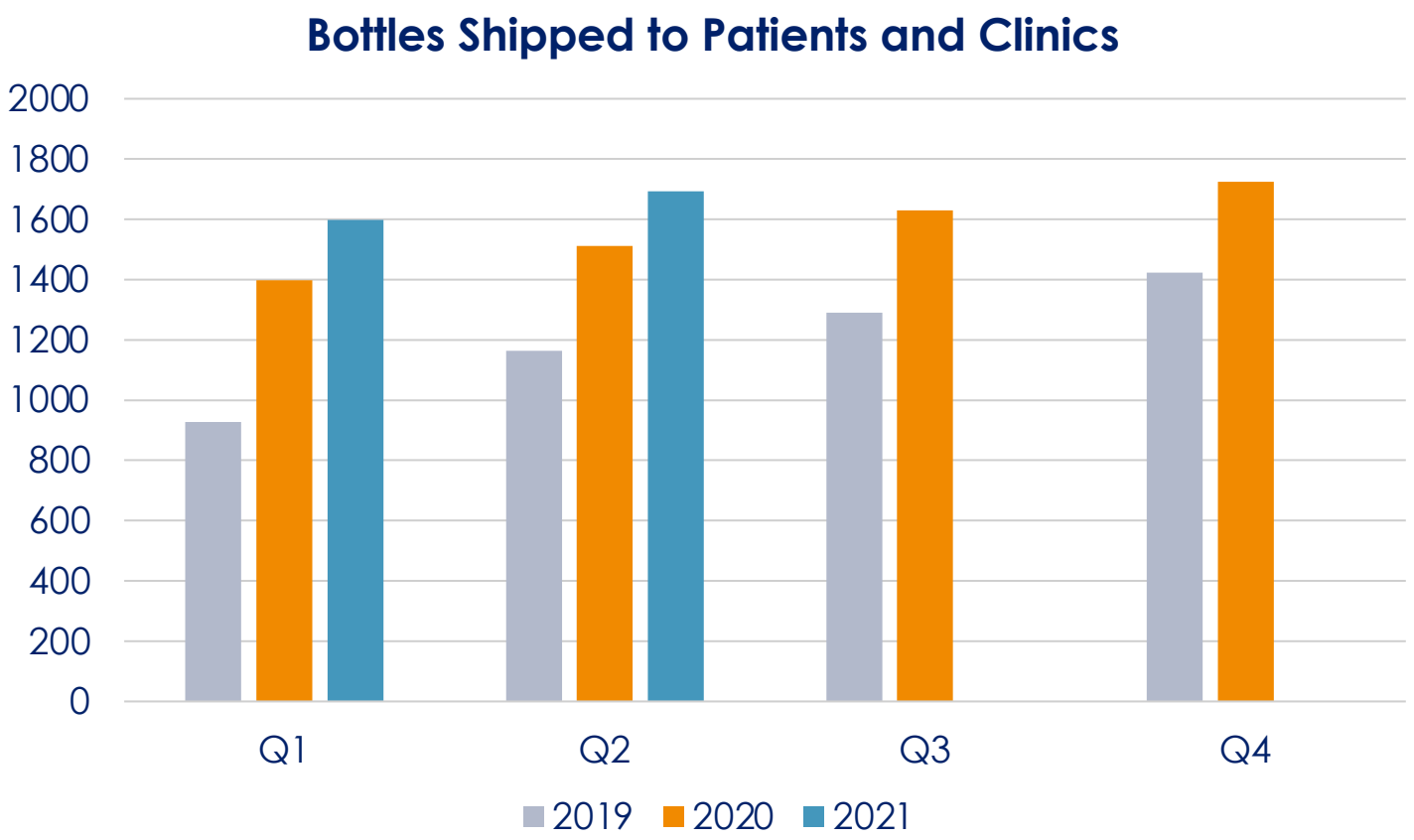
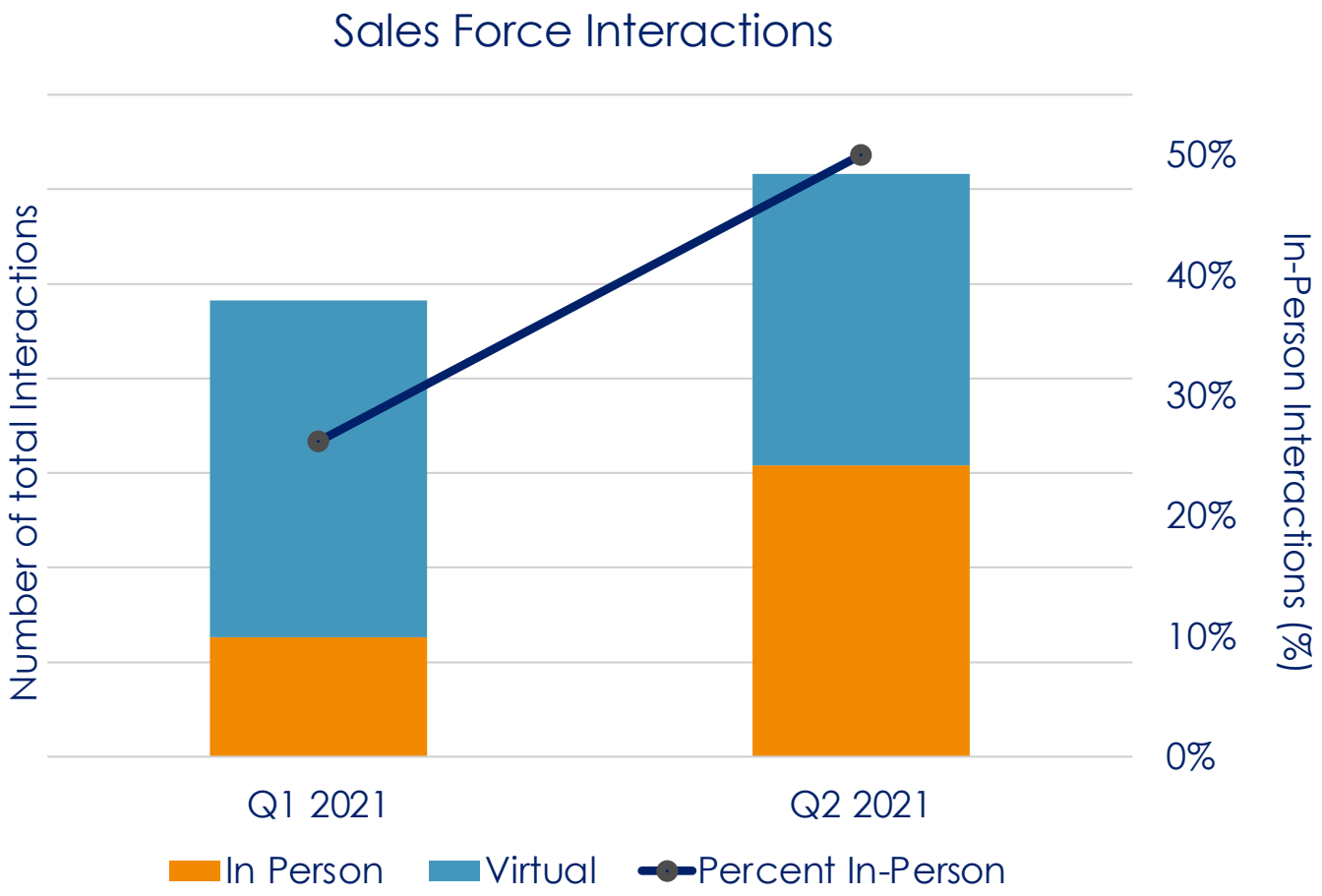
TAVALISSE 2021 Performance



2021 Quarterly Results

- Q2 net product sales of $17.1M
  - Highest total bottles shipped since launch
- Increased Demand
  - 6% Growth over Q1 2021
  - 12% Growth over Q2 2020

Customer Interactions Continue to Improve



2021 Interactions Trend

- Nearly tripled the number of in-person interactions in Q2 vs. Q1
- In-person interactions have accelerated while maintaining constant level of virtual interactions
- Increasing opportunities to see clinicians in their offices, at speaker programs, and during conferences

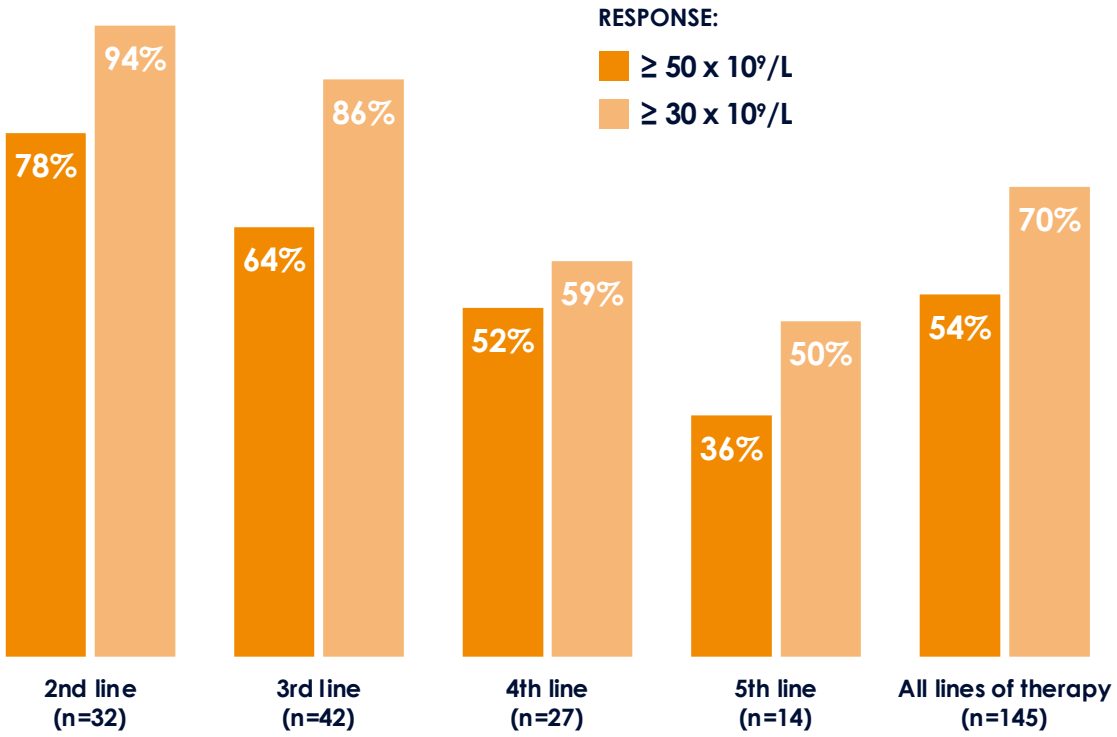
Accelerating Our Reach Among Prescribers

2021 Field Force Expansion

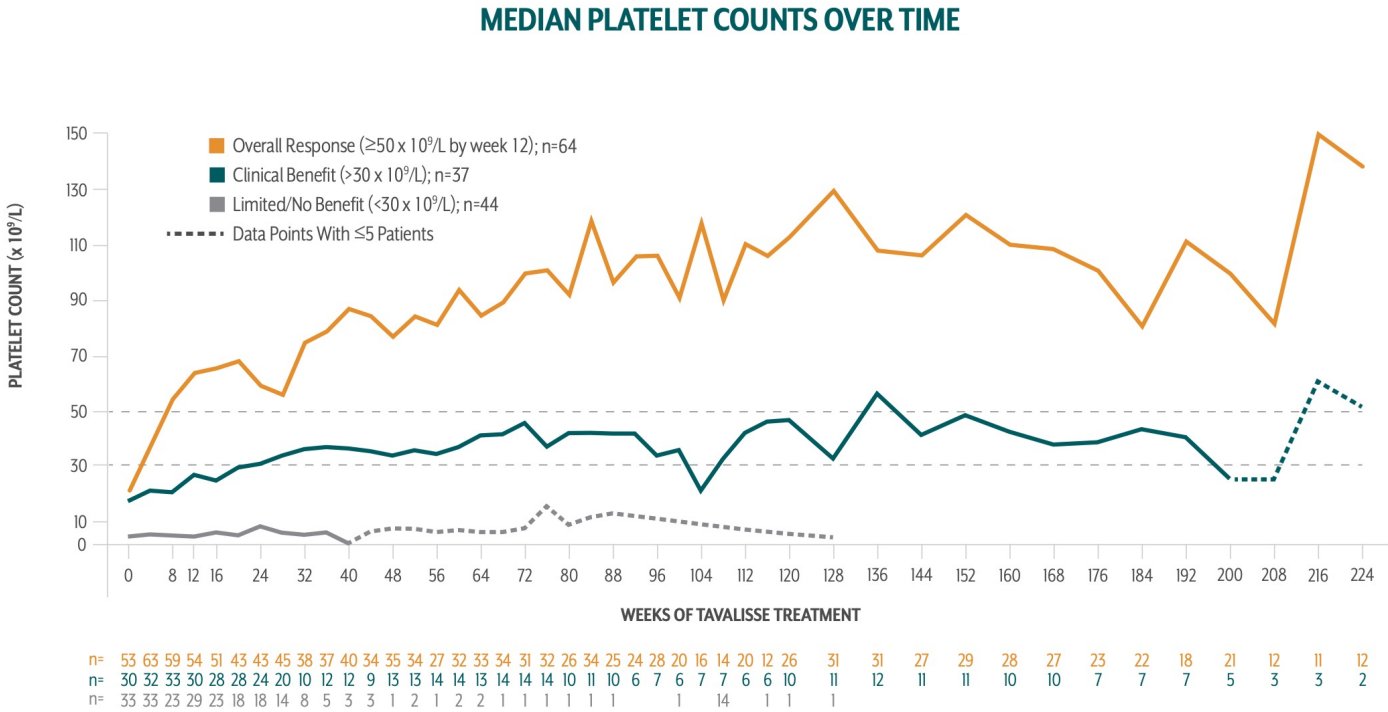
- Increasing from 39 to 55 territories
- Significantly enhances reach to prescribers
- Improves frequency with smaller territories
- Leverages trend towards more live interactions over time

Promotional Tools to Support Earlier Use

Post-hoc data analysis demonstrated use as 2nd-line therapy resulted in higher response rates[1,2]



Durable efficacy was observed in responders to TAVALISSE in the FIT studies (combined results from FIT-1, FIT-2, and FIT-3)[3]



[1]Fostamatinib is an effective 2nd-line therapy in patients with immune thrombocytopenia, *British Journal of Haematology*. [2]Percentage of Patients Achieving Target Platelet Counts at Any Visit.
[3]Assessment of thrombotic risk during long-term treatment of immune thrombocytopenia with fostamatinib, *Therapeutic Advances in Hematology, 4/30/21*.
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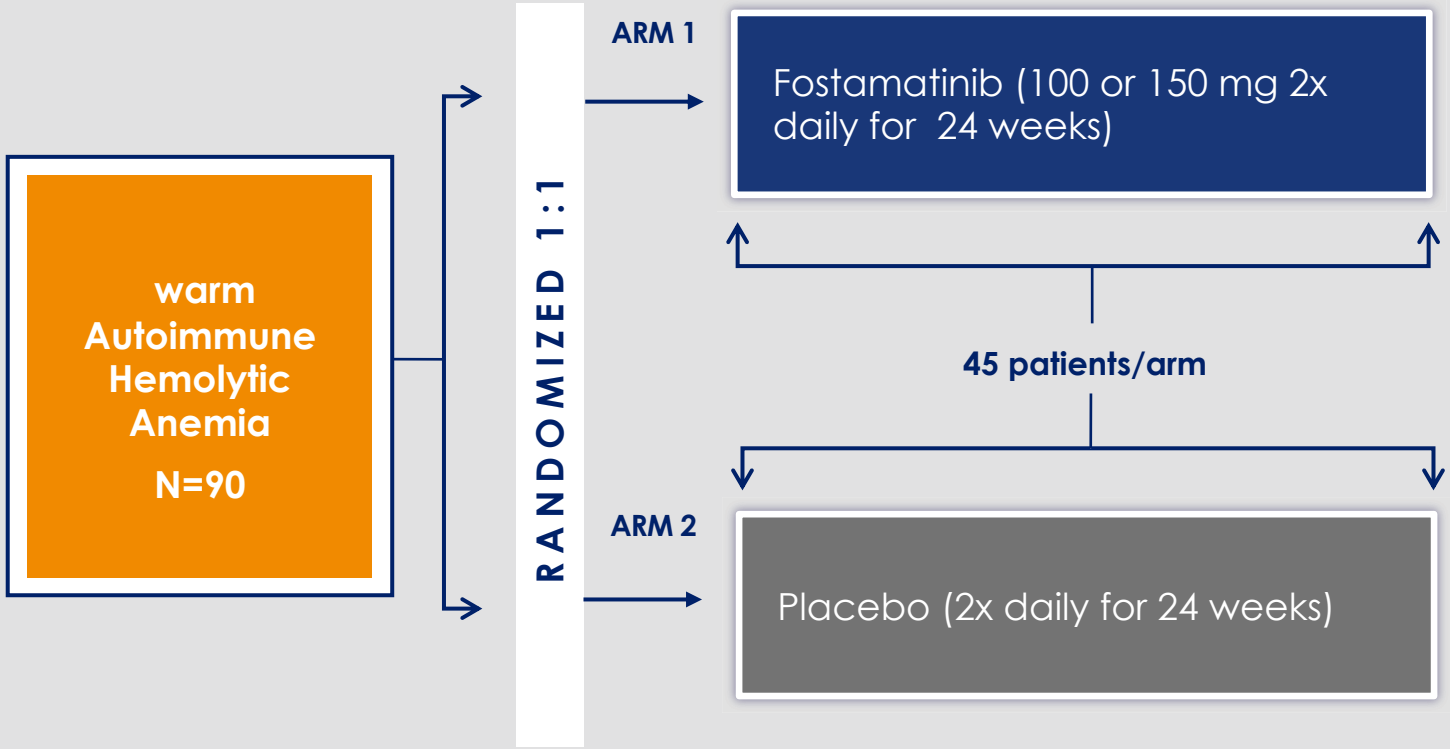
Capitalize on wAIHA Opportunity

wAIHA Phase 3 Clinical Trial Update



Primary Endpoints:

- Hgb ≥ 10 g/dL with an increase of ≥ 2 g/dL from baseline on 3 consecutive available visits

Secondary Endpoints:

- Multiple secondary measures of efficacy

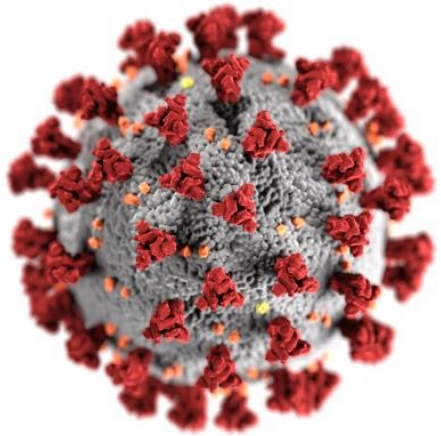
Enrollment:

- 80 patients enrolled as of August 2, 2021

Explore TAVALISSE in COVID-19 & Beyond

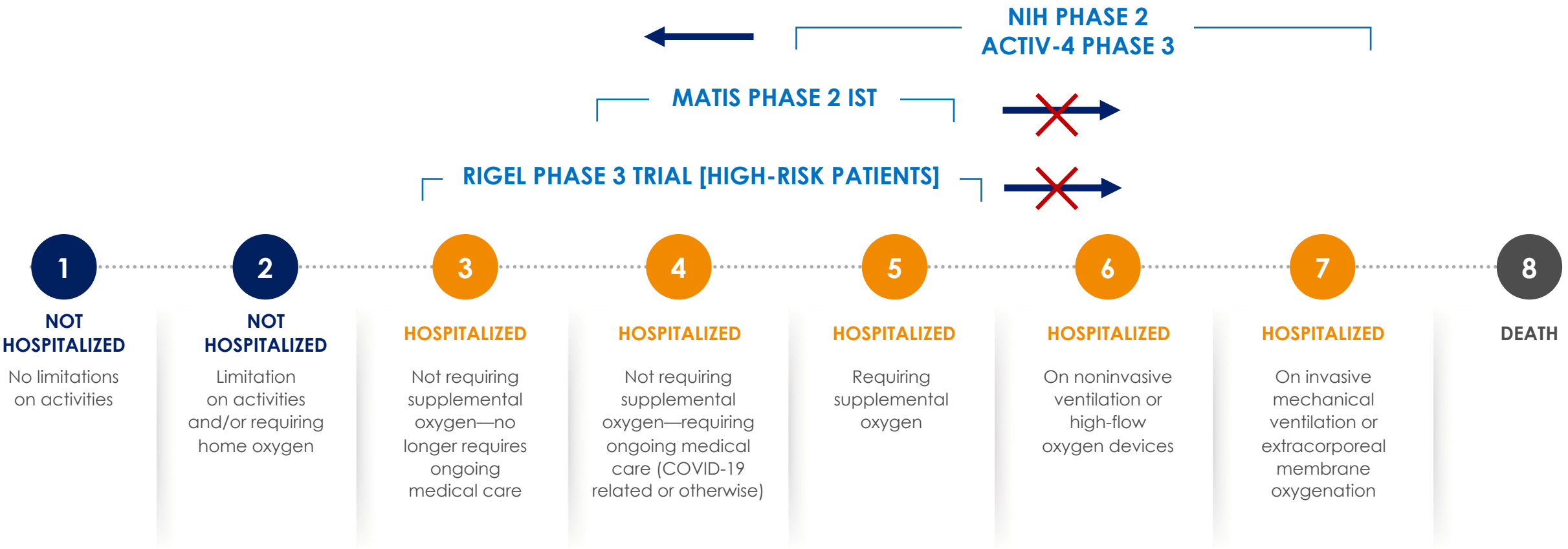
Seeking to Make a Difference in COVID-19

- Sound scientific rationale supported by external research
- Positive readout for NIH/NHLBI-sponsored Phase 2 trial in hospitalized patients with COVID-19
- Rigel has submitted an Emergency Use Authorization (EUA) application to the FDA
- Commercial availability could enable quick access and adoption
- Three ongoing clinical studies:
  - Rigel-led Phase 3 clinical trial
  - NIH/NHLBI-sponsored ACTIV-4 Host Tissue Phase 3 clinical trial
  - Imperial College London sponsored Phase 2 clinical trial
- Need for therapeutics expected to persist even with vaccines



COVID-19 Trials Address Broad Patient Population

8-POINT ORDINAL SCALE

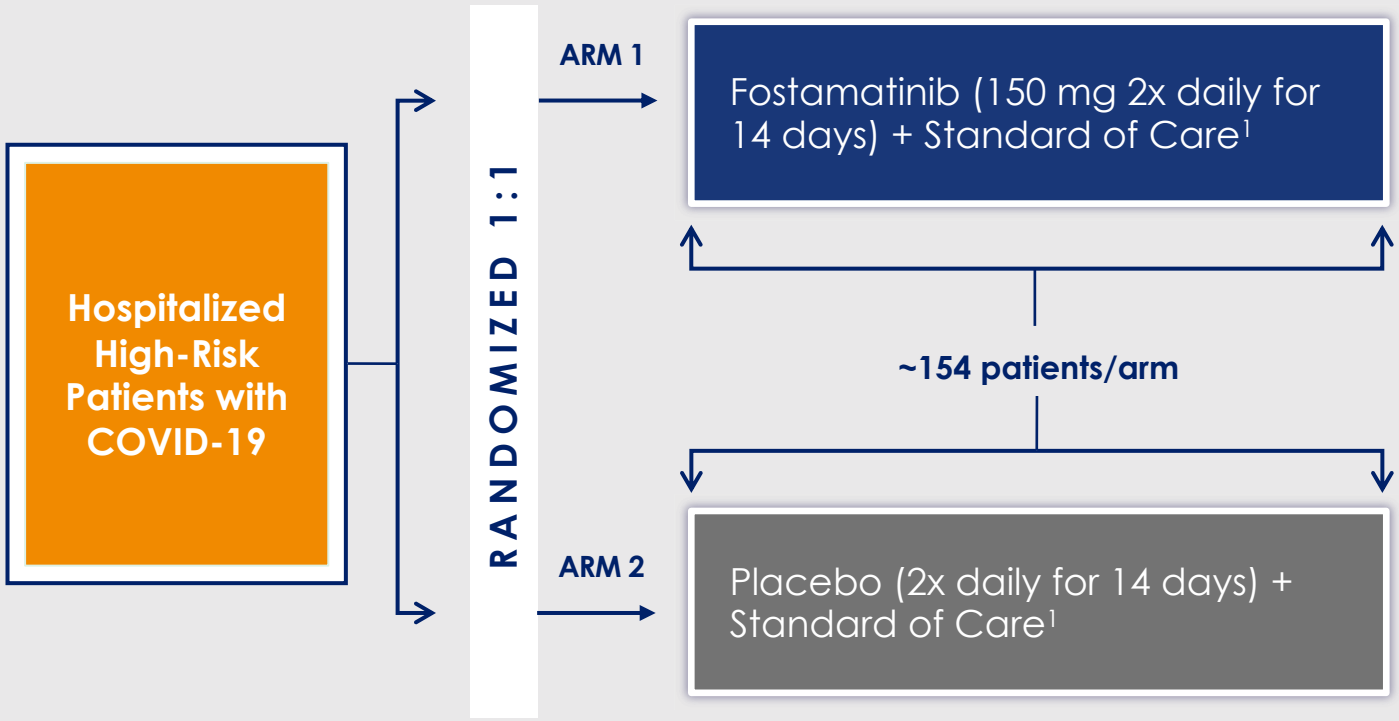


NIH Study = National Heart, Lung, and Blood Institute (NHLBI) Study, part of the National Institutes of Health (NIH), in collaboration with Inova Health System; MATIS = Imperial College of London Study
NOTE: MATIS study uses a WHO scale of 3-4 and ACTIV-4 uses WHO scale 4-7

NIH Phase 2 Trial Results: Summary of Clinical Efficacy Endpoints

- The incidence of SAEs was approximately half in the fostamatinib + standard of care (SOC) group compared to the placebo + SOC group.
- There were no deaths in the fostamatinib group compared to 3 deaths in the placebo group. There was improvement in patients on mechanical ventilation.
- Multiple prespecified secondary endpoints consistently favored the fostamatinib group including ordinal scale improvement, number of days on oxygen and number of days in the ICU. Benefits were achieved on top of remdesivir and dexamethasone for every patient (and convalescent plasma for some).
- The clinical findings provide supportive evidence of improvements in inflammatory biomarkers including NETosis, CRP, Ferritin, D-Dimer and others.

Rigel Phase 3 Clinical Trial Design



Primary Endpoints:

- Progression to severe/critical disease within 29 days of first dose of study treatment

Secondary Endpoints:

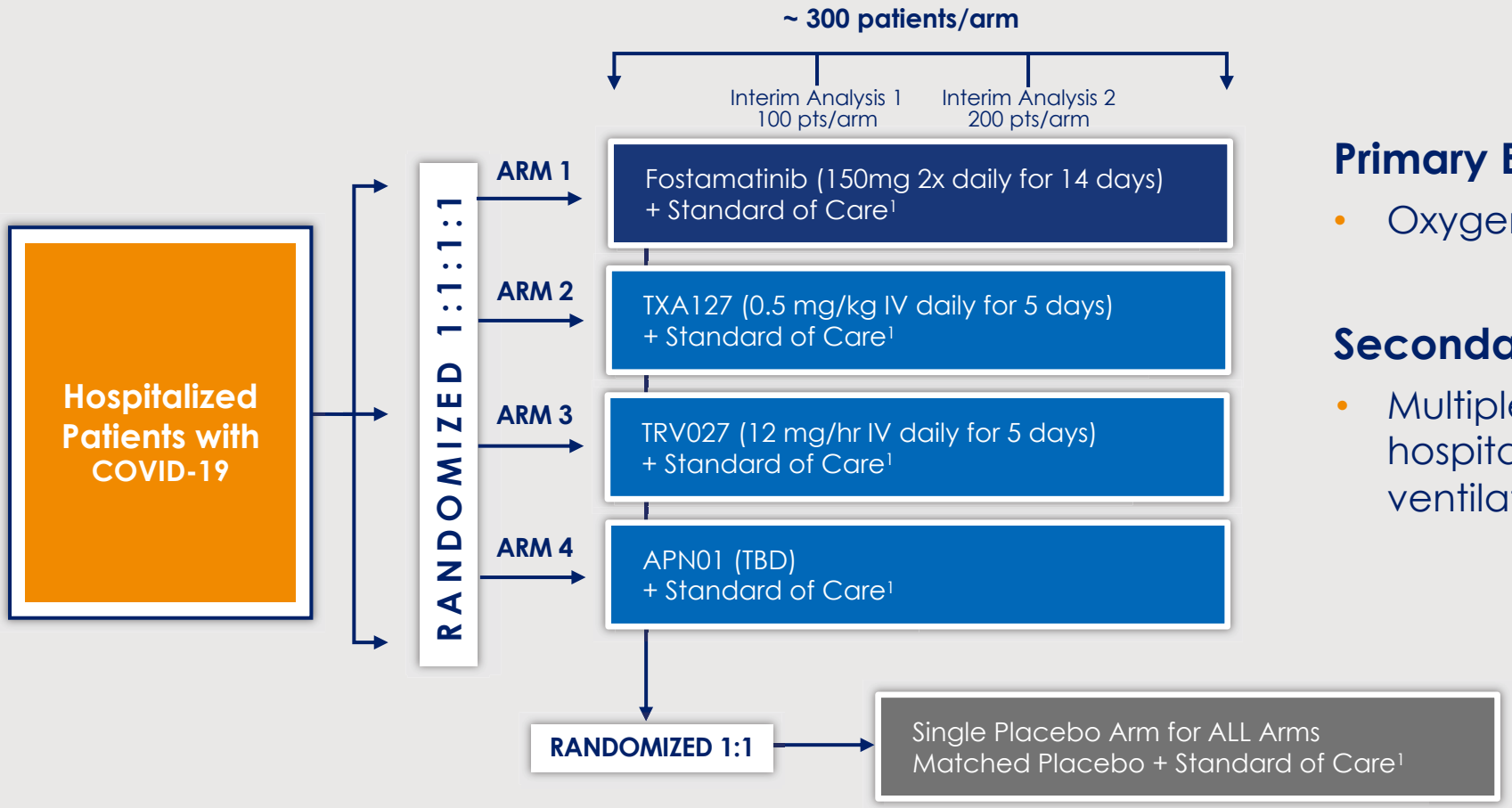
- Multiple secondary measures designed to assess patient improvement from severe disease and duration of hospitalization

Enrollment:

- ~150 patients enrolled as of August 2, 2021

[1]Standard of care includes any treatments currently in use to treat the underlying disease, or comorbidities associated with COVID-19 (eg, remdesivir).

ACTIV-4 Host Tissue Phase 3 Clinical Trial Design



Primary Endpoint:

- Oxygen free days through day 28

Secondary Endpoints:

- Multiple secondary measures include hospital mortality, use of mechanical ventilation, and WHO scale scores

[1]Standard of care includes any treatments currently in use to treat the underlying disease, or comorbidities associated with COVID-19 (eg, remdesivir).

COVID-19 Program Overview and Next Steps

NIH Phase 2 Study

- Rigel submitted an application for Emergency Use Authorization (EUA) to the FDA
- NIH/NHLBI has submitted full data set to a peer-reviewed journal

Rigel Phase 3 Study

- Enrollment is ongoing with over 150 patients enrolled as of August 2, 2021
- Label enabling

NIH ACTIV-4 Host Tissue Phase 3 Study

- Recruiting is underway and the first patient was enrolled July 22, 2021

ICL (MATIS) Phase 2 Study

- Enrollment is ongoing

Opportunities beyond COVID: Pneumonia and ARDS

- COVID-19 clinical results to inform opportunity with potential to expedite clinical strategy

Advance Pipeline Programs

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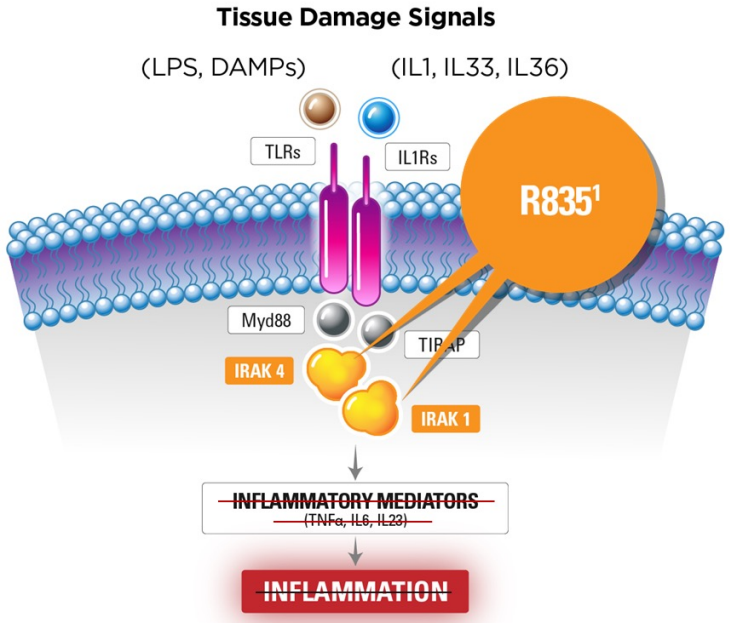
Targeting IRAK1 & IRAK4 Pathways in Inflammatory Disease

R835[1] is a dual inhibitor of both IRAK1 and IRAK4 pathways

- Inhibition of IRAK1/4 kinases has therapeutic potential for multiple inflammatory and autoimmune diseases
- In a preclinical study, dual Inhibition of IRAK1 & IRAK4 with R835 demonstrated more complete suppression of inflammatory cytokines compared to an IRAK4-selective inhibitor[2]

Attractive opportunities in heme/onc and rare immune diseases align with development strategy

- Low-risk MDS: targeting the IRAK1 and 4 pathways, through inhibition, has the potential to alleviate the bone marrow deficiency and cytopenias in myelodysplastic syndromes



[1]R835 is an investigational compound not approved by the FDA. [2]Rigel data on file.

R835 Proof-of-Mechanism and First-in-Human Studies[2]

Proof-of-Mechanism

In LPS[1] Challenge study in healthy volunteers, R835 profoundly inhibited inflammatory cytokine production[2]

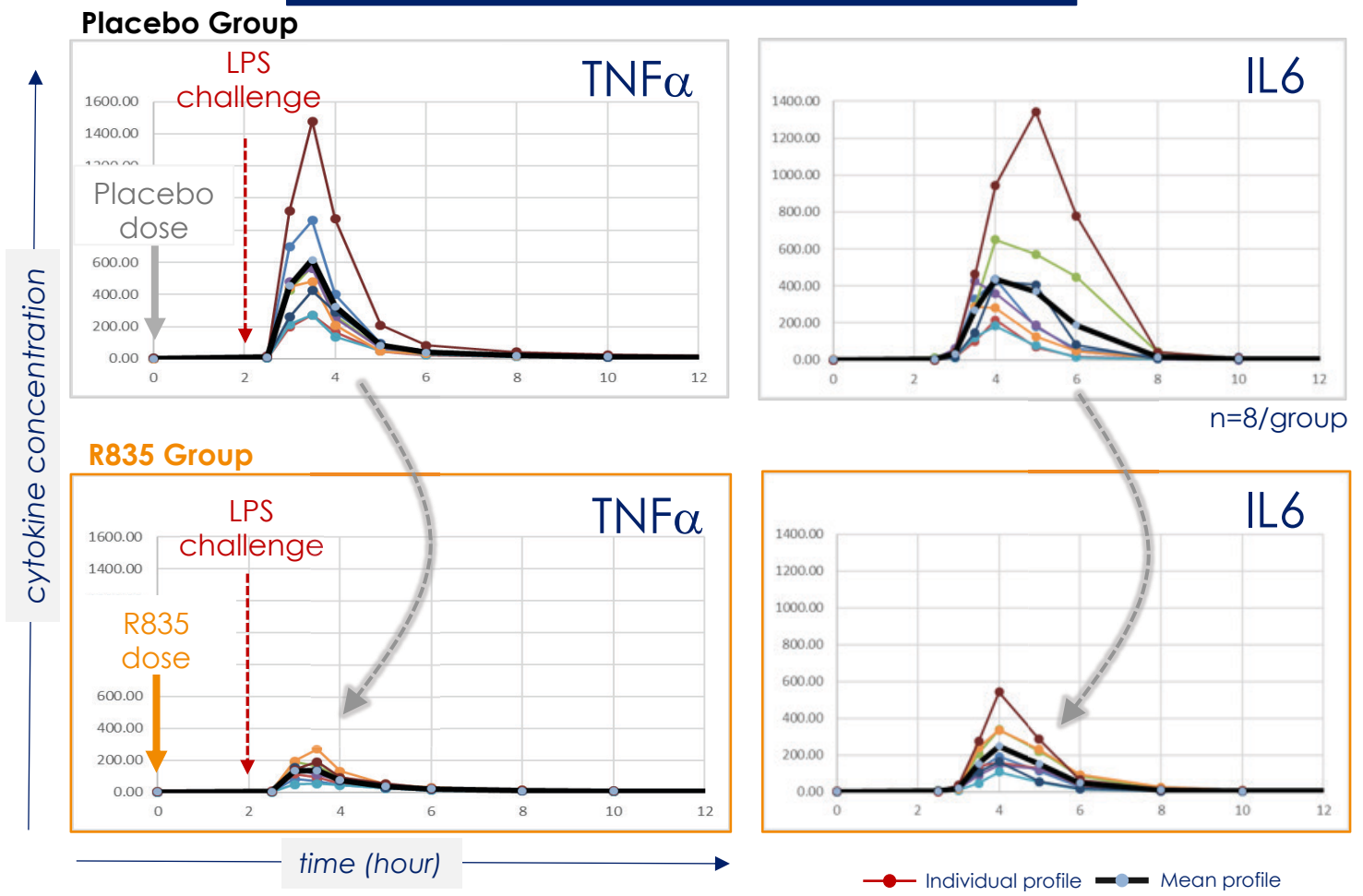
- Inhibited TNFa, IL-6, and IL-8

First-In-Human

First-In-Human study enrolled 82 adults to characterize the safety, PK, PD of R835

- R835 was well tolerated
- Linear PK profile and dose proportional exposure

Cytokine Response after LPS Challenge



[1] Lipopolysaccharide (LPS, a TLR4 agonist) [2] EULAR 2020 Poster Presentation -Abstract THU0219 - First-inhuman Study of Safety, Pharmacokinetics and Pharmacodynamics of IRAK1/4 Inhibitor R835 in Healthy Subjects

Advancing IRAK1/4 Clinical Development with R289

FDA provided positive feedback on pre-IND package proposing a Phase 1/2 study in low-risk MDS with R289[1], a pro-drug formulation of R835[1]

- Adds additional dosing flexibility to address a broader range of potential indications
- Provides improved clinical exposure levels and oral bioavailability
- Prodrug moiety is cleaved into R835 quickly and is not detectable in plasma
- SAD and MAD studies complete
  - As expected, PK, PD and safety results comparable to FIH results with R835

Next Steps:

- Initiate Phase 1/2 study in low-risk MDS
- Exploring indications in rare autoimmune diseases: Palmoplantar pustulosis (PPP), hidradenitis suppurativa (HS), and others

[1]R289 and R835 are investigational compounds not approved by the FDA.

New Research Collaboration with MD Anderson

- MD Anderson is a recognized leader in hematologic cancer research
- Research collaboration to evaluate R289/R835[1] in a series of preclinical studies in myelodysplastic syndromes (MDS) and chronic myelomonocytic leukemia (CMML)
- Translational research from these studies will add to the body of data generated to-date, further elucidating the therapeutic potential of targeting deregulated innate immune signaling in MDS and CMML
- Potential opportunity for future clinical collaboration

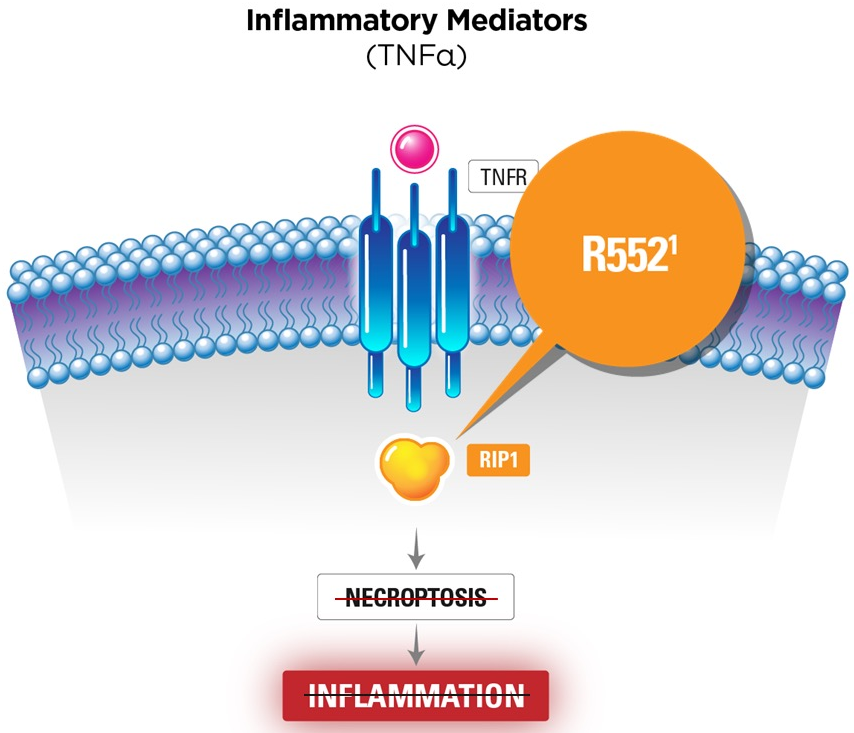
[1]R289 and R835 are investigational compounds not approved by the FDA.

Rigel RIP1 Inhibitor Program

Comprehensive RIP1 Inhibitor Program:

- R552, an oral systemic RIP1 inhibitor, has completed a Phase 1 study
  - Rigel and Lilly are currently planning the first Phase 2 study in an autoimmune disease indication
- Selection of RIP1 inhibitor candidates that cross the blood-brain barrier for CNS diseases is underway
  - Lilly will lead the clinical development of brain-penetrating RIP1 inhibitors in CNS diseases

RIP1 inhibitors have broad potential in numerous large indications and with their expertise and experience Lilly is the ideal partner

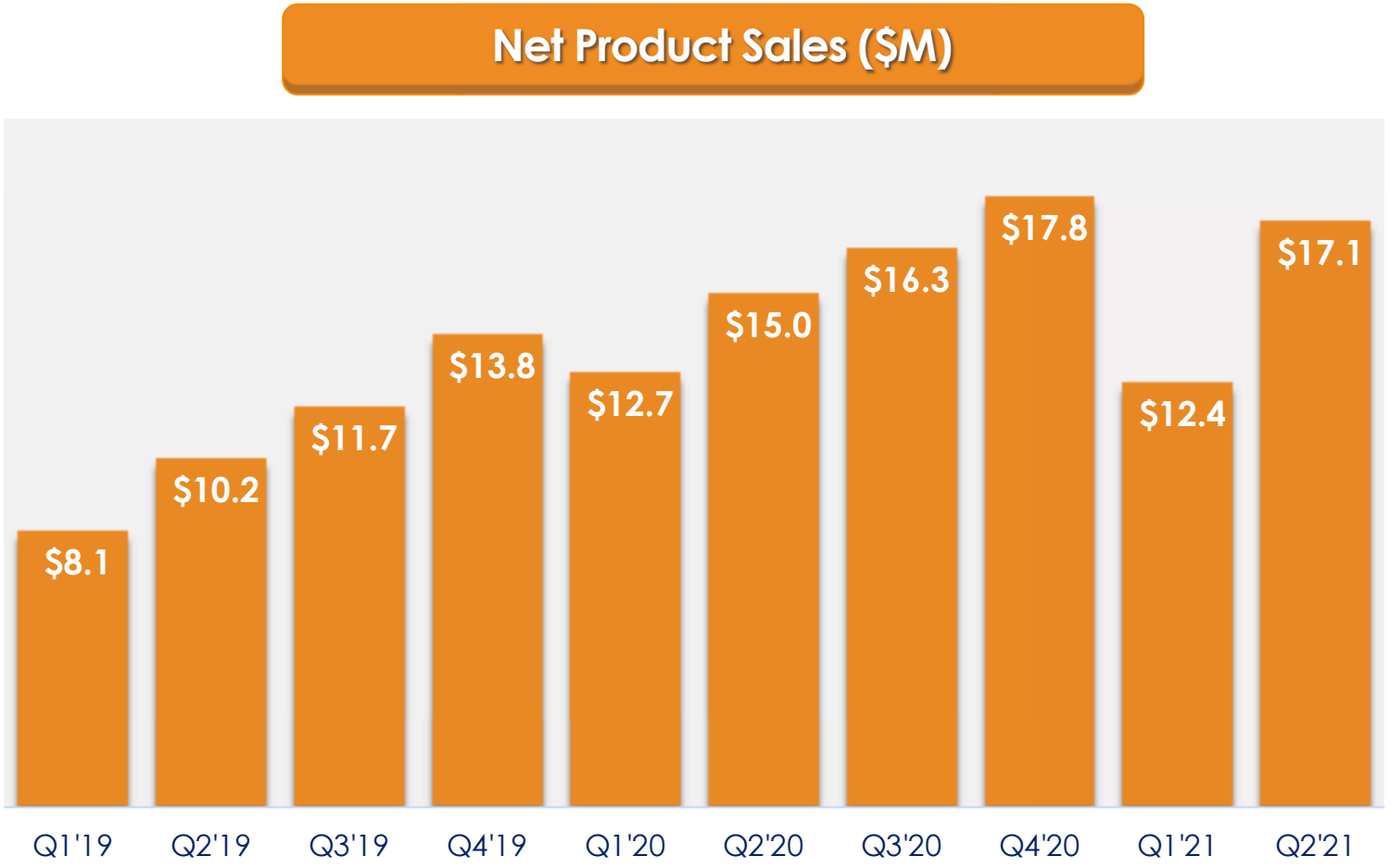


[1]R552 is an investigational compound not approved by the FDA.

Financials

Q2 2021 Financial Highlights

- $17.1M in net product sales
- 1,905 total bottles shipped
  - 1,693 bottles shipped to patients & clinics
  - 212 bottle increase in distribution channels[1]



[1] 961 total bottles remained in distribution channels as of June 30, 2021

Q2 2021 Financial Results

(In thousands, except for per share amounts)

	Three Months Ended June 30, 2021	2020	Six Months Ended June 30, 2021	2020
Revenues				
Net Product Sales	$ 17,053	$ 14,974	$ 29,429	$ 27,654
Contract revenues from collaborations	3,713	1,047	69,355	44,128
Government contract	5,500	-	8,500	-
Total revenues	26,266	16,021	107,284	71,782
Costs and expenses:				
Cost of product sales	129	279	445	434
Research and development	16,807	14,214	33,633	30,363
Selling, general and administrative	22,378	18,920	44,499	37,350
Total costs and expenses	39,314	33,413	78,577	68,147
Income (loss) from operations	(13,048)	(17,392)	28,707	3,635
Interest income	16	169	17	527
Interest expense	(1,759)	(353)	(2,244)	(495)
Benefit from (provision for) income taxes	970	-	(801)	-
Net income (loss)	$ (13,821)	$ (17,576)	$ 25,679	$ 3,667
Net income (loss) per share, basic	$ (0.08)	$ (0.10)	$ 0.15	$ 0.02
Net income (loss) per share, diluted	$ (0.08)	$ (0.10)	$ 0.15	$ 0.02

- Contract revenues from collaborations of **$3.7M**, consisted of **$3.3M** deferred revenues related to Rigel's license agreement with Lilly, and **$0.4M** related to the performance of certain research and development services pursuant to Rigel's collaboration with Grifols
- Government contract revenue of **$5.5M** was related to U.S. DOD support for Rigel's ongoing Phase 3 clinical trial of fostamatinib in hospitalized COVID-19 patients
- Cash, cash equivalents & short-term investment balance totaled **$153.4M** as of June 30, 2021

Please see slides 31 & 32 for Important Safety Information. Please visit www.TAVALISSE.com for full prescribing information.

Tavalisse® (fostamatinib disodium hexahydrate) tablets

Catalysts Anticipated Throughout 2021

Grow Global Sales in ITP

- Accelerate TAVALISSE sales with expanded sales force and increased interactions as pandemic conditions normalize
- Continue to educate on the benefits of early-line use and 5-year durability
- Support existing collaborations to expand global access

~$2B[2]

Capitalize on wAIHA[1] Opportunity

- Complete Phase 3 enrollment by year-end
- Advance clinical program for potential first to market therapeutic for wAIHA

Potential $1B US[3]

Explore Fostamatinib in COVID-19[1] & Beyond

- Emergency Use Authorization decision from FDA
- Complete enrollment of the Rigel Phase 3 clinical trial
- Publication of NHLBI/NIH Phase 2 data results

>$2B[4]

Advance Pipeline Programs

- Pursue IRAK1/4 opportunities in heme/onc and rare immune diseases
- Support RIP1 collaboration in immune and neurodegenerative diseases

Substantial

MARKET OPPORTUNITY

[1]Investigational compound in this indication and has not been submitted for FDA review. [2]Company's internal estimate based on 2018 sales of ITP therapies used for steroid-refractory patients. [3]DelveInsight Research "Warm Autoimmune Hemolytic Anemia [wAIHA] – Market Insight, Epidemiology, and Market Forecast". [4]Johns Hopkins University COVID-19 Tracker, COVID Tracking Project, IntegriChain 852 and 867 and HHS admissions.
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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.

TAVALISSE® (fostamatinib disodium hexahydrate) Tablets

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)

TAVA_ITP-21153

Thank You.

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