

**UNITED STATES  
SECURITIES AND EXCHANGE COMMISSION  
WASHINGTON, D.C. 20549**

**FORM 8-K**

**CURRENT REPORT  
Pursuant to Section 13 or 15(d)  
of the Securities Exchange Act of 1934**

**Date of Report (Date of earliest event reported): November 16, 2020**

**RAPT Therapeutics, Inc.**  
(Exact name of Registrant as Specified in Its Charter)

**Delaware**  
(State or Other Jurisdiction  
of Incorporation)

**001-38997**  
(Commission  
File Number)

**47-3313701**  
(IRS Employer  
Identification No.)

**561 Eccles Avenue**  
**South San Francisco, CA**  
(Address of Principal Executive Offices)

**94080**  
(Zip Code)

**(650) 489-9000**  
(Registrant's Telephone Number, Including Area Code)

**Not Applicable**  
(Former Name or Former Address, if Changed Since Last Report)

Check the appropriate box below if the Form 8-K filing is intended to simultaneously satisfy the filing obligation of the registrant under any of the following provisions (see General Instructions A.2. below):

- Written communications pursuant to Rule 425 under the Securities Act (17 CFR 230.425)
- Soliciting material pursuant to Rule 14a-12 under the Exchange Act (17 CFR 240.14a-12)
- Pre-commencement communications pursuant to Rule 14d-2(b) under the Exchange Act (17 CFR 240.14d-2(b))
- Pre-commencement communications pursuant to Rule 13e-4(c) under the Exchange Act (17 CFR 240.13e-4(c))

Securities registered pursuant to Section 12(b) of the Act:

Title of each class	Trading Symbol(s)	Name of each exchange on which registered
<b>Common Stock, \$0.0001 par value per share</b>	<b>RAPT</b>	<b>The Nasdaq Stock Market LLC</b>

Indicate by check mark whether the registrant is an emerging growth company as defined in Rule 405 of the Securities Act of 1933 (§230.405 of this chapter) or Rule 12b-2 of the Securities Exchange Act of 1934 (§240.12b-2 of this chapter).

Emerging growth company

If an emerging growth company, indicate by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided pursuant to Section 13(a) of the Exchange Act.

## ITEM 2.02 RESULTS OF OPERATIONS AND FINANCIAL CONDITION

On November 16, 2020, RAPT Therapeutics, Inc. (“RAPT” or the “Company”) issued a press release announcing its financial results for the quarter ended September 30, 2020. A copy of the press release is furnished as Exhibit 99.1 to this report.

The information in this Item 2.02 and in the press release furnished as Exhibit 99.1 to this current report shall not be deemed to be “filed” for purposes of Section 18 of the Securities Exchange Act of 1934, as amended, or otherwise subject to the liabilities of that section. The information contained in this Item 2.02 and in the press release furnished as Exhibit 99.1 to this current report shall not be incorporated by reference into any filing with the U.S. Securities and Exchange Commission made by the Company, whether made before or after the date hereof, regardless of any general incorporation language in such filing.

## ITEM 8.01 OTHER EVENTS

On November 16, 2020, RAPT issued a press release announcing positive initial clinical data from its ongoing Phase 1/2 trial for FLX475 in multiple cancer indications. A copy of the press release is filed as Exhibit 99.2 hereto and is incorporated by reference herein.

During a conference call and webcast scheduled to be held at 5:30 a.m. Pacific Time on November 16, 2020, the Company’s management will discuss the initial observations from the ongoing trial for FLX475 and provide an update regarding timing for the data readout of its ongoing Phase 1b clinical trial of RPT193 in atopic dermatitis. The slide presentation for the conference call and webcast is filed as Exhibit 99.3 hereto and is incorporated by reference herein.

## ITEM 9.01 FINANCIAL STATEMENTS AND EXHIBITS

### (d) Exhibits

<u>Exhibit Number</u>	<u>Exhibit Description</u>
99.1	<a href="#">Press Release titled “RAPT Therapeutics Reports Third Quarter 2020 Financial Results” dated November 16, 2020.</a>
99.2	<a href="#">Press Release titled “RAPT Therapeutics Reports Positive Initial Data from Ongoing Phase 1/2 Clinical Trial of FLX475 in Multiple Cancer Indications” dated November 16, 2020.</a>
99.3	<a href="#">RAPT Therapeutics, Inc. Investor Presentation.</a>

**SIGNATURES**

Pursuant to the requirements of the Securities Exchange Act of 1934, as amended, the Registrant has duly caused this report to be signed on its behalf by the undersigned hereunto duly authorized.

**RAPT Therapeutics, Inc.**

Dated: November 16, 2020

By: /s/ Rodney Young  
Rodney Young  
Chief Financial Officer



## RAPT Therapeutics Reports Third Quarter 2020 Financial Results

**SOUTH SAN FRANCISCO, Calif. – November 16, 2020** – RAPT Therapeutics, Inc. (Nasdaq: RAPT), a clinical-stage, immunology-based biopharmaceutical company focused on discovering, developing and commercializing oral small molecule therapies for patients with significant unmet needs in oncology and inflammatory diseases, today reported financial results for the third quarter ended September 30, 2020 and provided an update on recent operational and business progress.

“Earlier today, we reported positive initial data from our ongoing Phase 1/2 clinical trial evaluating FLX475 in multiple cancer indications,” said Brian Wong, M.D., Ph.D., President and CEO of RAPT Therapeutics. “With the advancement of this program and continued enrollment for our ongoing Phase 1b study of RPT193 in atopic dermatitis, which we now expect to read out in the first half of 2021, we are well positioned for multiple catalysts in 2021.”

### Financial Results for the Third Quarter and Nine Months Ended September 30, 2020

#### *Third Quarter Ended September 30, 2020*

Net loss for the third quarter of 2020 was \$14.6 million, compared to \$10.0 million for the third quarter of 2019.

Research and development expenses for the third quarter of 2020 were \$12.9 million, compared to \$8.6 million for the same period in 2019 due to increased clinical costs for FLX475 and RPT193, increased personnel costs and stock-based compensation expense, an increase in preclinical program costs and laboratory supplies.

General and administrative expenses for the third quarter of 2020 were \$3.2 million, compared to \$1.7 million for the same period of 2019. The increase was primarily due to an increase in stock-based compensation expense, personnel costs, legal and accounting fees and insurance expense offset by a decrease in consulting costs.

#### *Nine Months Ended September 30, 2020*

Net loss for the nine months ended September 30, 2020 was \$40.2 million, compared to \$29.8 million for the same period in 2019.

Research and development expenses for the nine months ended September 30, 2020 were \$34.6 million, compared to \$24.7 million for the same period in 2019. The increase was primarily due to an increase in clinical costs relating to FLX475 and RPT193, increased preclinical program costs as well as increased stock-based compensation and personnel expenses, offset by decreases in lab supplies and travel costs.

General and administrative expenses for the nine months ended September 30, 2020 were \$9.3 million, compared to \$6.1 million for the same period of 2019. The increase in general and administrative expenses was primarily due to increased stock-based compensation expense, increased personnel costs, an increase in legal and accounting fees as well as insurance expense offset by a decrease in travel and consulting costs.

As of September 30, 2020, we had cash and cash equivalents and marketable securities of \$122.8 million.

---

**About RAPT Therapeutics, Inc.**

RAPT Therapeutics is a clinical stage immunology-based biopharmaceutical company focused on discovering, developing and commercializing oral small molecule therapies for patients with significant unmet needs in oncology and inflammatory diseases. Utilizing its proprietary discovery and development engine, the Company is developing highly selective small molecules designed to modulate the critical immune drivers underlying these diseases. RAPT has discovered and advanced two unique drug candidates, FLX475 and RPT193, each targeting C-C motif chemokine receptor 4 (CCR4), for the treatment of cancer and inflammation, respectively. The Company is also pursuing a range of targets, including hematopoietic progenitor kinase 1 (HPK1) and general control nonderepressible 2 (GCN2), that are in the discovery stage of development.

**Forward-Looking Statements**

This press release contains forward-looking statements. These statements relate to future events and involve known and unknown risks, uncertainties and other factors that may cause our actual results, performance or achievements to be materially different from any future performances or achievements expressed or implied by the forward-looking statements. Each of these statements is based only on current information, assumptions and expectations that are inherently subject to change and involve a number of risks and uncertainties. Forward-looking statements include, but are not limited to, statements about clinical development progress and the timing of results from clinical trials of FLX475 and RPT193. Detailed information regarding risk factors that may cause actual results to differ materially from the results expressed or implied by statements in this press release may be found in RAPT's most recent Form 10-Q filed with the Securities and Exchange Commission and subsequent filings made by RAPT with the Securities and Exchange Commission. These forward-looking statements speak only as of the date hereof. RAPT disclaims any obligation to update these forward-looking statements.

**RAPT Media Contact:**

Angela Bitting  
media@rapt.com  
(925) 202-6211

**RAPT Investor Contact:**

Sylvia Wheeler  
[swheeler@wheelhousesa.com](mailto:swheeler@wheelhousesa.com)

**RAPT THERAPEUTICS, INC.**  
**CONDENSED CONSOLIDATED STATEMENTS OF OPERATIONS AND COMPREHENSIVE LOSS**  
(In thousands, except share and per share data)  
(Unaudited)

	Three Months Ended September 30,		Nine Months Ended September 30,	
	2020	2019	2020	2019
Revenue	\$ 1,528	\$ —	\$ 3,740	\$ —
Operating expenses:				
Research and development	12,912	8,582	34,581	24,720
General and administrative	3,197	1,733	9,288	6,094
Total operating expenses	<u>16,109</u>	<u>10,315</u>	<u>43,869</u>	<u>30,814</u>
Loss from operations	(14,581)	(10,315)	(40,129)	(30,814)
Other income, net	237	344	763	1,033
Net loss before taxes	(14,344)	(9,971)	(39,366)	(29,781)
Provision for income taxes	287	—	791	—
Net loss	(14,631)	(9,971)	(40,157)	(29,781)
Other comprehensive income (loss):				
Foreign currency translation adjustment	(70)	15	(65)	17
Unrealized gain on marketable securities	(33)	—	119	—
Total comprehensive loss	<u>\$ (14,734)</u>	<u>\$ (9,956)</u>	<u>\$ (40,103)</u>	<u>\$ (29,764)</u>
Net loss per share, basic and diluted	<u>\$ (0.60)</u>	<u>\$ (12.41)</u>	<u>\$ (1.67)</u>	<u>\$ (40.15)</u>
Weighted average number of shares used in computing net loss per share, basic and diluted	<u>24,449,115</u>	<u>803,229</u>	<u>23,989,926</u>	<u>741,711</u>

**RAPT THERAPEUTICS, INC.**  
**CONDENSED CONSOLIDATED BALANCE SHEETS**  
(In thousands)

	September 30, 2020	December 31, 2019
<b>Assets</b>		
Current assets:		
Cash and cash equivalents	\$ 20,229	\$ 77,383
Marketable securities	102,557	—
Prepaid expenses and other current assets	2,722	3,123
Total current assets	125,508	80,506
Property and equipment, net	3,073	3,707
Other assets	389	389
<b>Total assets</b>	<b>\$ 128,970</b>	<b>\$ 84,602</b>
<b>Liabilities and stockholders' equity</b>		
Current liabilities:		
Accounts payable	\$ 4,405	\$ 1,143
Accrued expenses	5,669	3,642
Deferred revenue	5,128	4,000
Other current liabilities	389	471
Total current liabilities	15,591	9,256
Deferred rent, net of current portion	2,200	2,225
Deferred revenue, non-current	1,132	—
<b>Commitments</b>		
Stockholders' equity:		
Common stock	2	2
Additional paid-in capital	312,078	235,049
Accumulated other comprehensive income	74	20
Accumulated deficit	(202,107)	(161,950)
<b>Total stockholders' equity</b>	<b>110,047</b>	<b>73,121</b>
<b>Total liabilities and stockholders' equity</b>	<b>\$ 128,970</b>	<b>\$ 84,602</b>



**RAPT Therapeutics Reports Positive Initial Data from Ongoing  
Phase 1/2 Clinical Trial of FLX475 in Multiple Cancer Indications**

- Evidence of Monotherapy and Combination Activity in Charged Tumor Types-
- Company Advances Several Cohorts into Phase 2 Expansions-
- Conference Call and Webcast to be Held at 8:30 a.m. ET Today-

**SOUTH SAN FRANCISCO, Calif. – November 16, 2020** – RAPT Therapeutics, Inc. (Nasdaq: RAPT), a clinical-stage, immunology-based biopharmaceutical company focused on discovering, developing and commercializing oral small molecule therapies for patients with significant unmet needs in oncology and inflammatory diseases, today announced positive initial clinical data from its ongoing Phase 1/2 trial for FLX475 in multiple cancer indications.

Initial observations as of November 10, 2020 from the ongoing trial for FLX475 include preliminary:

- evidence of monotherapy activity,
- encouraging efficacy in combination with the PD-1 checkpoint inhibitor pembrolizumab (marketed as Keytruda®) and
- biomarker data supporting FLX475's mechanism of action.

In addition, FLX475 demonstrated a favorable safety profile, both as monotherapy and in combination with pembrolizumab.

“We are pleased with the early evidence of clinical activity of FLX475, both as monotherapy and in combination with pembrolizumab in multiple charged tumor types,” said Brian Wong, M.D., Ph.D., President and CEO of RAPT. “Based on these encouraging data, we have determined that three cancer indications, EBV<sup>+</sup> lymphoma, nasopharyngeal cancer and head and neck cancer, have generated sufficient early evidence of efficacy to advance into expanded Phase 2 evaluation. We continue to enroll patients and generate data in this multi-cohort, multi-indication trial and look forward to providing updates on all remaining cohorts and additional go-forward decisions next year.”

Scott Antonia, M.D., PhD., Professor of Medicine and Director of the Duke Cancer Institute Center for Cancer Immunotherapy and a member of RAPT's Scientific Advisory Board, added, “FLX475 is a potent non-depleting CCR4 antagonist that is designed to block regulatory T cells that interfere with an effective anti-tumor immune response. These data are particularly impressive as the immunotherapy field has long recognized T<sub>reg</sub> as important targets in oncology, but until FLX475, others have not been able to selectively target these cells in the tumor microenvironment without affecting beneficial cells. These data demonstrate that RAPT's oral small molecule approach with FLX475 holds promise in treating a variety of charged cancers.”



Charged cancers are tumors that contain high levels of both regulatory T cells (T<sub>reg</sub>) and CD8 T cells and express high levels of the ligands for CCR4.

### **Phase 1/2 Clinical Trial Design**

The ongoing open-label Phase 1/2 study is enrolling patients with multiple types of cancer at leading cancer centers across the United States, Australia and Asia. The Phase 1 portion of the trial is focused on evaluating the safety, pharmacokinetics and pharmacodynamics of FLX475 as a monotherapy and in combination with pembrolizumab. The Phase 2 portion is designed to evaluate the degree of antitumor activity of FLX475 as a monotherapy and in combination with pembrolizumab specifically in patients with several types of charged tumors. Changes in the tumor microenvironment and other biomarkers are being evaluated in both phases of the study. For more information please visit [clinicaltrials.gov](https://clinicaltrials.gov/ct2/show/study/NCT03674567) identifier [NCT03674567](https://clinicaltrials.gov/ct2/show/study/NCT03674567).

### **Phase 1 Dose Escalation Data**

The dose escalation Phase 1 portion of the trial enrolled a total of 37 patients with cancers of different types. Nineteen patients were treated with one of four doses (25 mg, 50 mg, 75 mg or 100 mg once daily) of FLX475 monotherapy and 18 were treated with one of three doses (50 mg, 75 mg or 100 mg once daily) of FLX475 in combination with the standard dose of pembrolizumab. Disease control, defined as a best response of stable disease (SD), an unconfirmed or confirmed partial response (PR) or complete response (CR), was observed in 14 of the 17 evaluable monotherapy patients, including an unconfirmed partial response in a patient with relapsed metastatic cervical cancer. In the combination cohorts, disease control was observed in 13 of the 14 evaluable patients. This includes two confirmed partial responses: a patient with NSCLC who had progressed on prior checkpoint treatment (atezolizumab) and who remains on study after 18 months of treatment, and a patient with checkpoint inhibitor-naïve urothelial cancer who was on study for over nine months of treatment. In addition, preliminary data show an increase in the CD8 to T<sub>reg</sub> ratio after treatment, which is consistent with the hypothesis that a CCR4 antagonist can block the recruitment of tumor T<sub>reg</sub>, increase the CD8 to T<sub>reg</sub> ratio and potentially enhance antitumor immunity.

The Phase 1 results also show FLX475 had a favorable safety profile, with no maximum tolerated dose reached. Two dose-limiting toxicities (DLTs) of asymptomatic QTc prolongation were observed in the monotherapy cohorts, one in the 75 mg cohort and one in the 100 mg cohort. No DLTs were observed in the Phase 1 combination cohorts. Based on the Phase 1 data, 100 mg was selected as the recommended Phase 2 dose for both the monotherapy and combination therapy cohorts.

### **Phase 2 Data**

The ongoing Phase 2 portion of the trial is enrolling a minimum of 80 patients with several types of charged tumors, 10 in each of eight cohorts, with four cohorts evaluating FLX475 as a monotherapy and four cohorts evaluating FLX475 in combination with pembrolizumab. The charged cancers include Epstein-Barr Virus (EBV)- or Human Papillomavirus (HPV)-associated cancers such as nasopharyngeal cancer, cervical cancer, and subsets of Hodgkin and non-Hodgkin lymphomas as

well as head and neck cancer. Other charged tumor types include non-small cell lung cancer and triple-negative breast cancer. The protocol calls for expansion of cohorts to generate additional data based on promising clinical activity.

Based on the promising early results from the Phase 1/2 trial with FLX475 observed to date, RAPT has selected three cancer indications for expansion:

- *EBV+ lymphoma* – Early data from the first two patients with EBV+ lymphoma treated with FLX475 monotherapy show significant target tumor reduction, including one patient (1/2) who achieved a durable complete metabolic response and continues on study after more than nine months. RAPT plans to expand the EBV+ lymphoma monotherapy cohort and initiate a separate expansion cohort in EBV+ lymphoma in combination with pembrolizumab.
- *Checkpoint inhibitor-naïve nasopharyngeal cancer (NPC)* – Of the 10 evaluable patients with NPC treated with FLX475 monotherapy, seven of 10 (7/10) patients exhibited stable disease as best response. Seven of the 10 patients crossed over to combination therapy where significant clinical activity has been observed. Of the six evaluable patients who crossed over, five were checkpoint inhibitor naïve. All five (5/5) of the checkpoint inhibitor-naïve patients demonstrated significant tumor shrinkage, with three (3/5) of these patients showing a partial response (two confirmed and one unconfirmed). Based on these results, RAPT plans to open a combination cohort in checkpoint inhibitor-naïve NPC.
- *Checkpoint inhibitor-naïve head and neck cancer* – Of the 10 evaluable patients with head and neck cancers treated with FLX475 monotherapy, five of 10 (5/10) patients exhibited stable disease as best response. Six patients initially treated with monotherapy crossed over to combination therapy, with one achieving a partial response and a second patient with an unconfirmed partial response (2/6). Seventeen patients are enrolled in a separate combination treatment cohort, of which 10 are evaluable so far. Substantial tumor reduction has been observed in four of the 10 (4/10), including one confirmed complete response and three patients with greater than 20 percent tumor reduction. Based on these results, RAPT plans to expand the combination cohort in checkpoint inhibitor-naïve head and neck cancers.

In these Phase 2 cohorts, FLX475 demonstrated a favorable safety profile with once-daily oral dosing both as monotherapy and in combination with pembrolizumab.

<u>Phase 2 Stage 1 Cohorts<sup>a</sup></u>	<u>Evaluable (N)</u>	<u>ORR (%)<sup>b</sup></u>	<u>DCR (%)<sup>c</sup></u>
EBV+ lymphoma monotherapy	2	50%	50%
Nasopharyngeal monotherapy	10	—	70%
Nasopharyngeal (CPI-naïve) crossover	5	60%	100%
Head and Neck (CPI-naïve) monotherapy	10	—	50%
Head and Neck (CPI-naïve) crossover	6	33%	66%
Head and Neck (CPI-naïve) combination	10	10%	60%

- a Interim data as of November 10, 2020 from the ongoing FLX475-02 Phase 1/2 study; data subject to change.
- b ORR = objective response rate defined as unconfirmed and confirmed PR or CR
- c DCR = disease control rate defined as unconfirmed and confirmed PR or CR and SD as best response

### **Conference Call Information**

The Company will host a webcast conference call accompanied by a slide presentation to discuss initial data from the Phase 1/2 study of FLX475 today at 8:30 a.m. Eastern Time. The call can be accessed by dialing (833) 672-0665 (domestic) or (929) 517-0344 (international) and refer to conference ID 6772479. The webcast will be available for replay for two weeks.

### **About FLX475**

FLX475 is a small molecule CCR4 antagonist designed to block the migration of regulatory T cells (T<sub>reg</sub>) specifically into tumors, but not healthy tissues. T<sub>reg</sub> represent a dominant pathway for downregulating the immune response, generally correlate with poor clinical outcomes and may limit the effectiveness of currently available therapies such as checkpoint inhibitors. RAPT is developing FLX475 for the treatment of a broad range of “charged” tumors, which represent cancer types the Company believes are most likely to respond to FLX475, where a large quantity of T<sub>reg</sub> cells are likely to be the cause of immune suppression within the tumor. FLX475 may restore naturally occurring antitumor immunity alone and may synergize with a variety of both conventional and immune-based therapies, such as radiation, chemotherapy, checkpoint inhibitors, immune stimulators, cancer vaccines and adoptive T cell therapy.

### **About RAPT Therapeutics, Inc.**

RAPT Therapeutics is a clinical stage immunology-based biopharmaceutical company focused on discovering, developing and commercializing oral small molecule therapies for patients with significant unmet needs in oncology and inflammatory diseases. Utilizing its proprietary discovery and development engine, the Company is developing highly selective small molecules designed to modulate the critical immune drivers underlying these diseases. RAPT has discovered and advanced two unique drug candidates, FLX475 and RPT193, each targeting C-C motif chemokine receptor 4 (CCR4), for the treatment of cancer and inflammation, respectively. The Company is also pursuing a range of targets, including hematopoietic progenitor kinase 1 (HPK1) and general control nonderepressible 2 (GCN2), that are in the discovery stage of development.

### **Forward-Looking Statements**

This press release contains forward-looking statements. These statements relate to future events and involve known and unknown risks, uncertainties and other factors that may cause our actual results, performance or achievements to be materially different from any future performances or achievements expressed or implied by the forward-looking statements. Each of these statements is based only on current information, assumptions and expectations that are inherently subject to change and involve a number of risks and uncertainties. Forward-looking statements include, but are not limited to, statements about clinical development progress, the significance of early results from Phase 1/2 clinical trials of FLX475 and plans with respect to Phase 2 expansions. Detailed information regarding risk factors that may cause actual results to differ materially from the results

---

expressed or implied by statements in this press release may be found in RAPT's most recent Form 10-Q filed with the Securities and Exchange Commission and subsequent filings made by RAPT with the Securities and Exchange Commission. These forward-looking statements speak only as of the date hereof. RAPT disclaims any obligation to update these forward-looking statements.

**RAPT Media Contact:**

Angela Bitting  
media@rapt.com  
(925) 202-6211

**RAPT Investor Contact:**

Sylvia Wheeler  
[swheeler@wheelhousesa.com](mailto:swheeler@wheelhousesa.com)



# Transforming the Treatment of Cancer and Inflammation

November 16, 2020

FLX475 Clinical Data Update

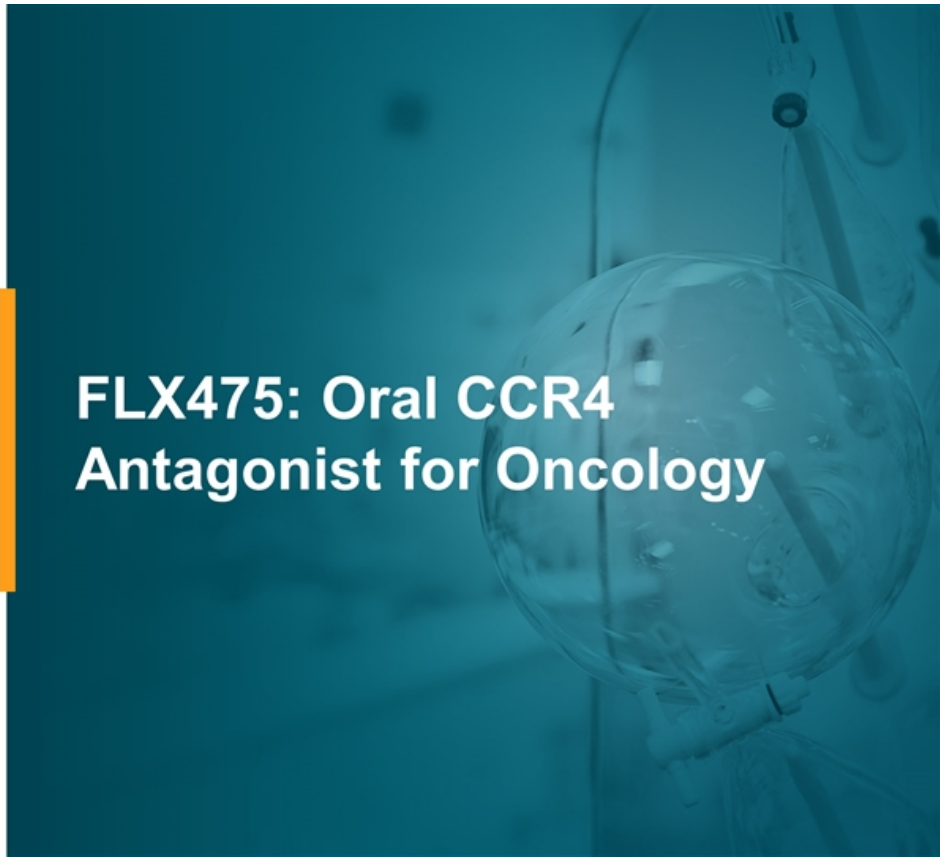
## Legal Disclaimers

Statements in this Presentation that are not statements of historical fact are forward-looking statements. Such forward-looking statements include, without limitation, statements regarding RAPT Therapeutics, Inc.'s (the "Company," "we," or "us") research and clinical development plans; current and future drug candidates; business strategy and plans; regulatory pathways; and our ability to complete certain milestones. Words such as "believe," "anticipate," "plan," "expect," "will," "may," "upcoming," "milestone," "potential," "target" or the negative of these terms or similar expressions are intended to identify forward-looking statements, though not all forward-looking statements necessarily contain these identifying words. These forward-looking statements are based on the current beliefs of the Company's management with respect to future events and trends and are subject to known and unknown risks and uncertainties, including those described in the "Risk Factors" section of our most recent Form 10-Q filed with the Securities and Exchange Commission, that may cause our actual performance or achievements to be materially different from any future performance or achievements expressed or implied by the forward-looking statements in this Presentation. These forward-looking statements should not be taken as forecasts or promises nor should they be taken as implying any indication, assurance or guarantee that any assumptions on which such forward-looking statements have been made are correct or exhaustive or, in the case of such assumptions, fully stated in the Presentation. You are cautioned not to place undue reliance on these forward-looking statements, which speak only as of the date this Presentation is given. Although we believe that the beliefs and assumptions reflected in the forward-looking statements are reasonable, we cannot guarantee future performance or achievements. Except as required by law, we undertake no obligation to update publicly any forward-looking statements for any reason after the date of this Presentation.

This Presentation discusses drug candidates that are under clinical study and which have not yet been approved for marketing by the U.S. Food and Drug Administration. No representation is made as to the safety or effectiveness of any drug candidates for any use for which such drug candidates are being studied.



# FLX475: Oral CCR4 Antagonist for Oncology



## Key Takeaways

- Monotherapy responses demonstrate FLX475 is an active agent
- Combination responses in multiple tumor indications beyond expected from checkpoint inhibition alone
- Favorable safety profile with broad combinability
- Biomarker data supports  $T_{reg}$  mechanism
- Multiple cohorts are being expanded
  - Remaining cohorts ongoing/not yet declared



FLX475 Tablet



# FLX475-02 Phase 1/2 Trial Update: Key Findings

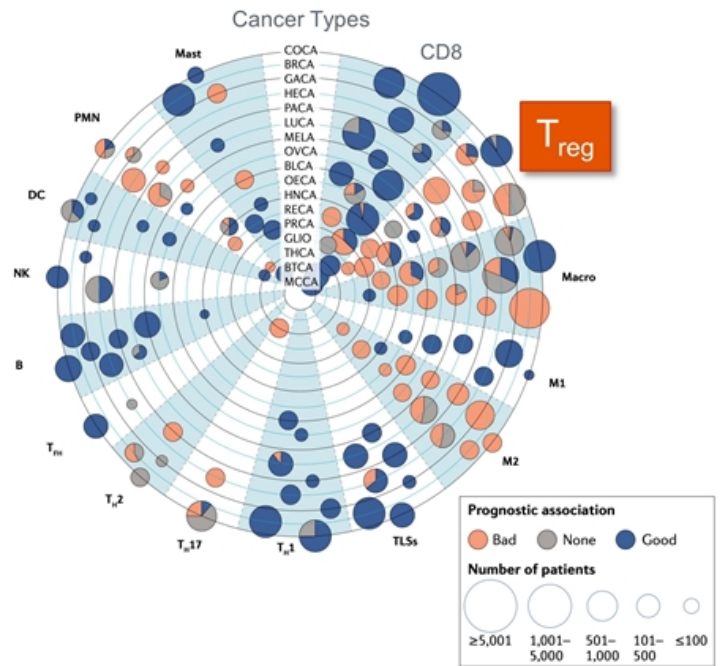
Tumor Type	Observations	Decision
EBV+ Hodgkin/Non-Hodgkin Lymphoma	Deep and durable response to FLX475 monotherapy	<ul style="list-style-type: none"><li>Expand monotherapy cohort</li><li>Expand a combination cohort</li></ul>
Nasopharyngeal Carcinoma (NPC)	Frequent and deep responses in CPI-naïve patients in combination	<ul style="list-style-type: none"><li>Expand a combination cohort</li></ul>
Head & Neck Squamous Cell Carcinoma (HNSCC)	Multiple responses in CPI-naïve patients in combination including a confirmed CR	<ul style="list-style-type: none"><li>Expand combination cohort</li></ul>

- Favorable safety profile with once-daily oral dosing both as monotherapy and in combination with pembrolizumab

This combined Phase 1/2 study is ongoing, with patients still being enrolled to and evaluated in multiple Phase 2 cohorts. Data are as of 11/10/2020 and findings and conclusions subject to change as more data accumulate and the study is completed.

# T<sub>reg</sub> Are Key Targets in the Tumor Microenvironment (TME)

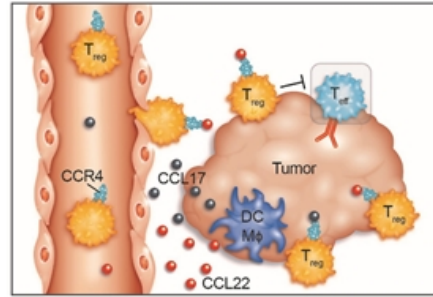
- Correlate with poor prognosis across most cancers
- Mechanism for immune evasion by viruses and tumors
- Barrier to checkpoint inhibitor efficacy
- Challenge: selective inhibition of T<sub>reg</sub> in the TME
  - Depleting antibodies targeting CD25, CCR4, etc. do not appear to have adequate selectivity



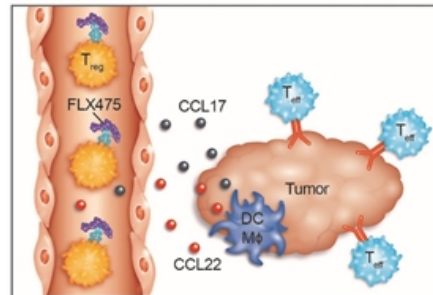
Bruni D et al. *Nat Rev Cancer* 2020

# FLX475: CCR4 Antagonist Selectively Targets Tumor $T_{reg}$

- Highly potent and selective orally-administered CCR4 small molecule antagonist
- Selectively blocks tumor  $T_{reg}$  while sparing normal tissues and beneficial cells
- Potential for superior safety and efficacy compared to depleting antibodies
- Issued US patents with long patent coverage (at least 2037)

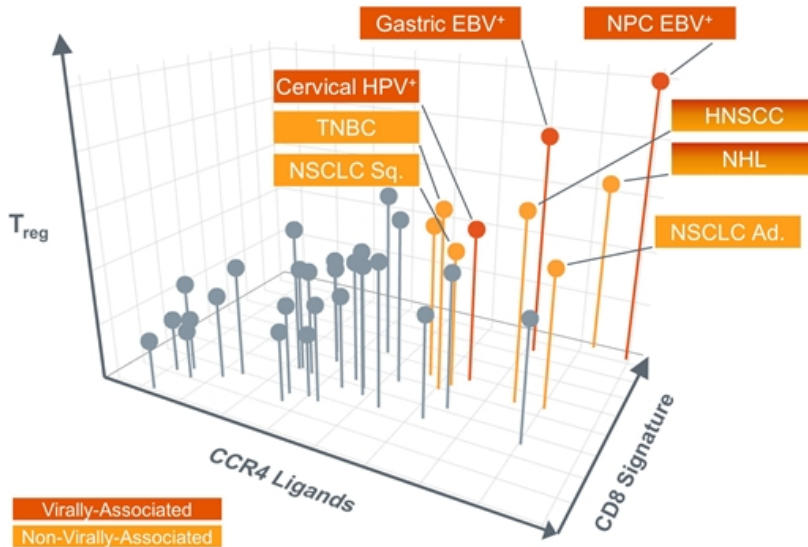


Tumor  $T_{reg}$  enter tumor via CCR4 and suppress tumor immunity



**FLX475** blocks CCR4 thereby preventing  $T_{reg}$  entry specifically into the tumor

# Identification and Characterization of “Charged” Tumors

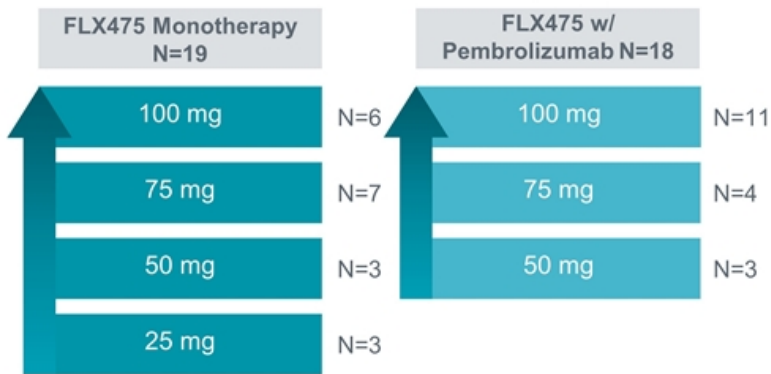


Data from in-house analysis of TCGA database combined with other data sets;  
Confirmed in > 400 tumor microarrays  
The graph above reflects a logarithmic scale on each axis  
NPC Nasopharyngeal; HNSCC Head & Neck Squamous Cell Carcinoma; NHL Non-Hodgkin  
Lymphoma; NSCLC Non-Small Cell Lung Cancer; TNBC Triple Negative Breast Cancer

- “Charged” tumors: high levels of CCR4 ligands,  $T_{reg}$  and CD8 T cells
- Potential for both monotherapy and combination activity
- Represent cancers with high unmet need and large markets
- Potential for tissue-agnostic accelerated approval in virally-associated tumors

# FLX475-02: Phase 1 Design, Status and Key Findings

## Mono and Combo Dose Escalation

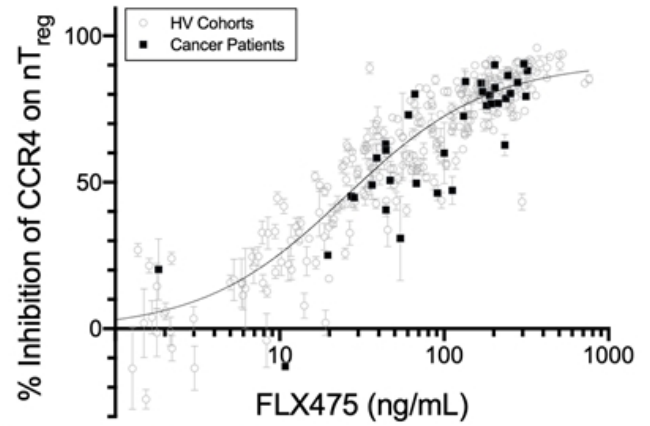
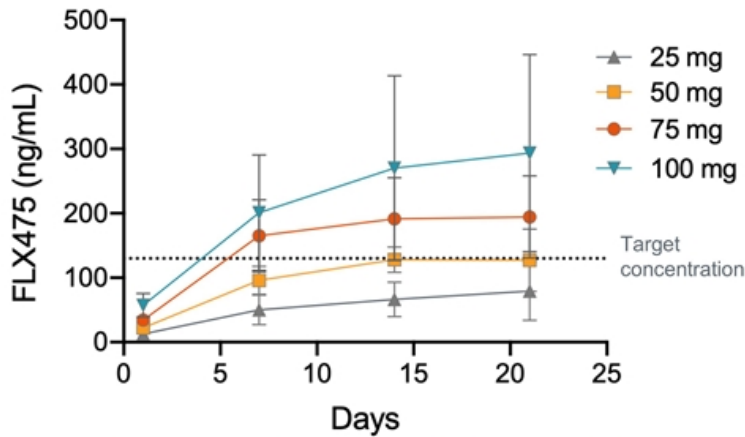


Primary endpoint: safety and tolerability

RP2D: recommended phase 2 dose; MTD: maximally tolerated dose; DLT: dose limiting toxicity; PO: orally administered; QD: once-daily

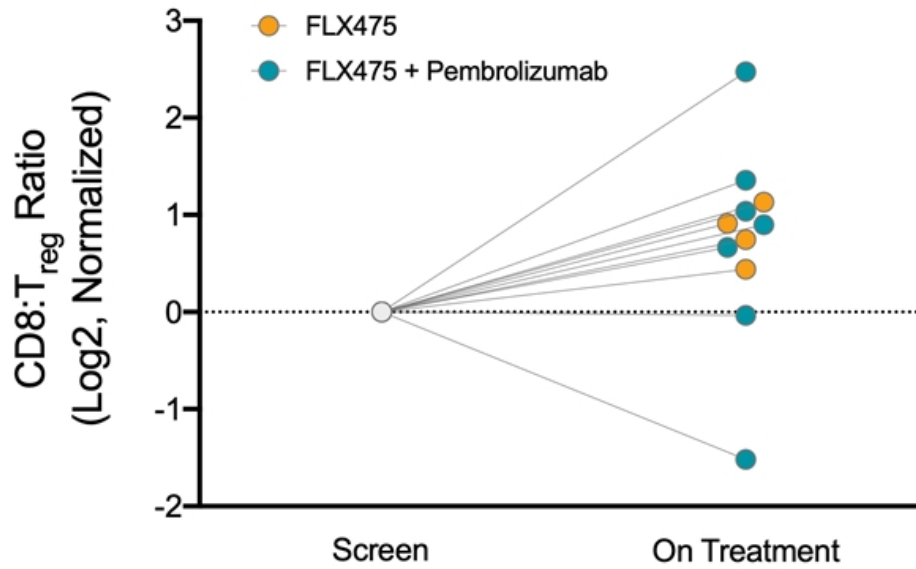
- Standard 3+3 dose escalation in non-charged and charged cancers
- 37 patients enrolled, 4 remain on study (12-18 months)
- Favorable safety profile consistent with prior data in healthy volunteers
  - No MTD determined
  - 2 monotherapy DLTs observed: 1 asymptomatic QTc prolongation in the 75 mg and 1 in the 100 mg cohorts; no DLTs in combination cohorts
  - No immune-related adverse events with FLX475; no apparent overlapping toxicity with pembrolizumab
- RP2D: 100 mg PO QD selected for both monotherapy and combination
- Preliminary evidence of clinical activity in charged tumor types

# PK and PD Phase 1 Data Support RP2D of 100 mg



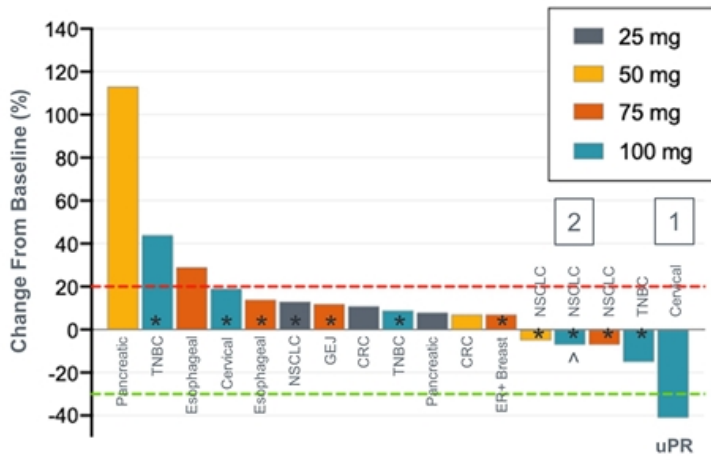
- Exposures were dose proportional, and comparable between monotherapy and combo cohorts
- Doses of 75 mg and above achieved/exceeded the minimum target concentration, with nearly all patients at 100 mg achieving/exceeding minimum target concentration by day 7
- Tight PK/PD relationship; target CCR4 receptor occupancy on T<sub>reg</sub> achieved at  $\geq 75$  mg QD
- 100 mg chosen as the RP2D as it achieved/exceeded target drug concentration in the most patients and was well tolerated

# Increases in the CD8:T<sub>reg</sub> Ratio Observed in Paired Tumor Biopsies from 9 of 11 Patients Treated with FLX475



Density of CD8 and FOXP3 determined by IHC of core tumor biopsies taken prior to treatment and after 2 cycles of treatment  
Only biopsies recovered from same anatomical site are compared  
Ratio of CD8/FOXP3 expressed as Log2 values normalized to screening biopsy

# Ph 1 Monotherapy (Best Response on Study)



\* Failed prior CPI ^ Remains on study

- 17 evaluable patients (of 19 enrolled)
  - 1 uPR, 13 SD, 3 PD
- 1 HPV+ cervical cancer failed prior chemotherapy + bevacizumab
  - Unconfirmed PR after 3 cycles (9 weeks), on study for ~ 6 months
- 2 NSCLC (adeno), previously treated with chemotherapy + pembrolizumab and pembrolizumab maintenance
  - Prolonged SD for 1 year, still on study



# Ph 1 Combination Therapy (Best Response on Study)



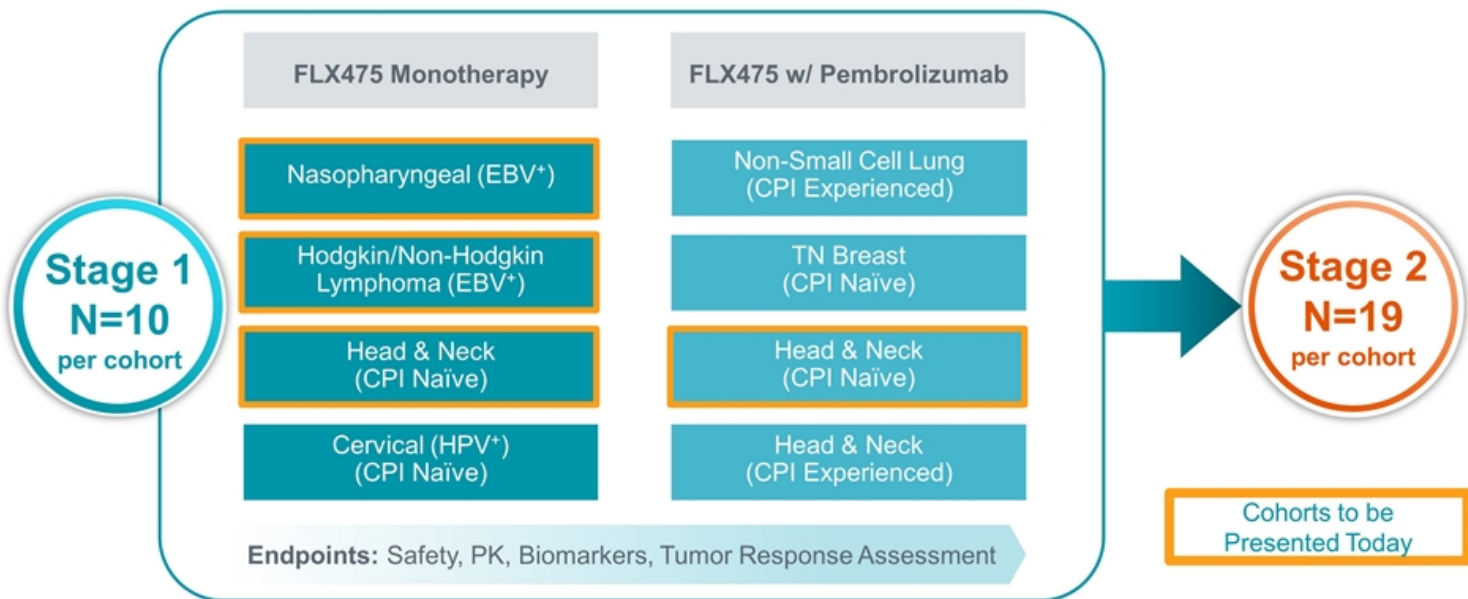
- 14 evaluable patients (of 18 enrolled)

— 2 PR, 11 SD, 1 PD

- 1 Atezolizumab-refractory NSCLC, continues with durable confirmed PR for 18 months
- 2 Bladder cancer with confirmed PR, on study >9 months
- 3 HPV+ HNSCC, prior chemo/XRT and progressed after 3 months of pembrolizumab
  - Durable SD with tumor shrinkage for 1 year, still on study
- 4 NSCLC with prior PD after 8 months of nivolumab and 2 months of atezolizumab
  - Durable SD for >6 months, still on study

# FLX475-02 Phase 2: Gated Simon 2-Stage Design

- To evaluate the antitumor activity of FLX475 as monotherapy and in combination with pembrolizumab in charged cancers that progressed after  $\geq 1$  line of therapy



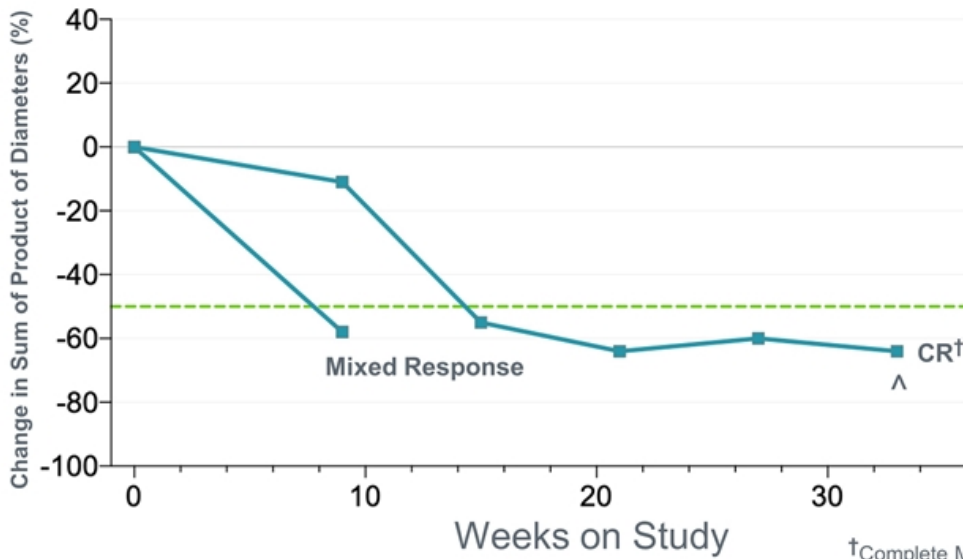
## Phase 2 Stage 1 Goals

Initial evaluation of clinical activity in selected charged tumor types

- ❑ Demonstrate preliminary clinical activity of FLX475 as monotherapy
- ❑ Demonstrate preliminary clinical activity of FLX475 in combination with pembrolizumab in checkpoint-naïve cancers
- ❑ Demonstrate preliminary clinical activity of FLX475 in combination with pembrolizumab in checkpoint-experienced cancers
- ❑ Expand at least one cohort to Stage 2

# EBV+ Lymphoma: Monotherapy Activity Observed

First 2 of 2 EBV+ lymphoma patients enrolled experienced significant reduction in size of target lesions, including one with durable complete metabolic response (PET)

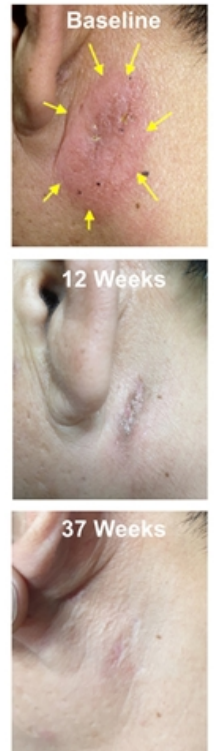
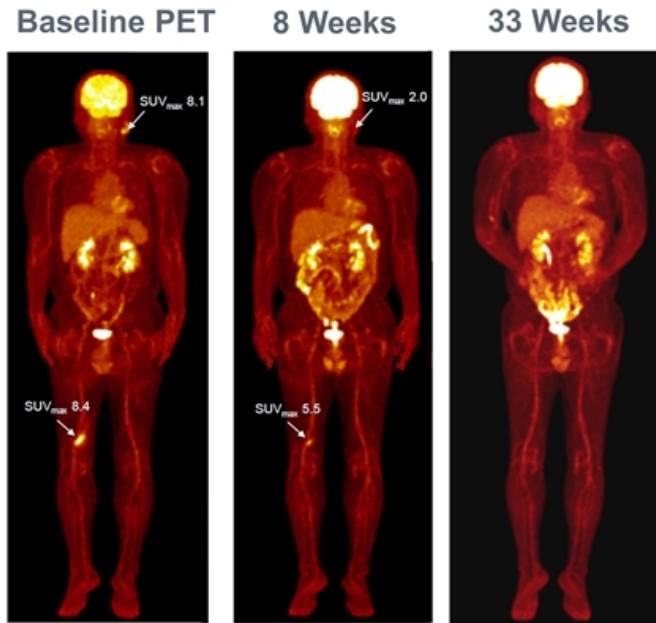


- Both are EBV+ NHL subtypes and are charged
- Compelling monotherapy activity observed supports enrollment of full Stage 2 cohort
- Plan to explore combination activity in parallel

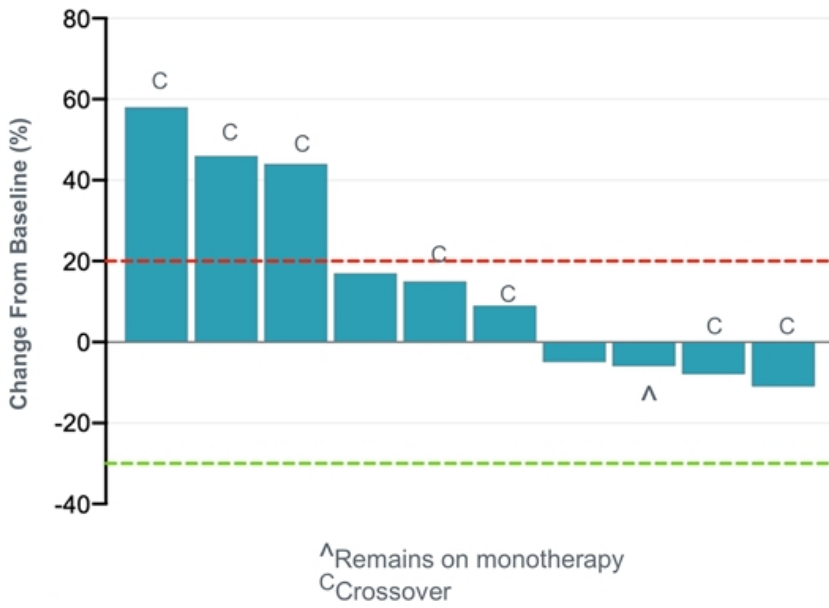
† Complete Metabolic Response  
Λ Remains on study

# EBV<sup>+</sup> NHL Case: Complete Metabolic Response to FLX475 Monotherapy

- EBV<sup>+</sup> NK/T NHL
  - 53 y/o, 2L with prior chemotherapy 1H 2019
  - 2 primary lesions
    - L posterior auricular (target), R distal anterior thigh (non target)
- Deep Durable Response
  - 8-week scan with complete metabolic response (Deauville score of 5 reduced to 2) and target lesion visibly improving by 12 weeks
  - Patient remains in complete metabolic response and on study nearly 9 months

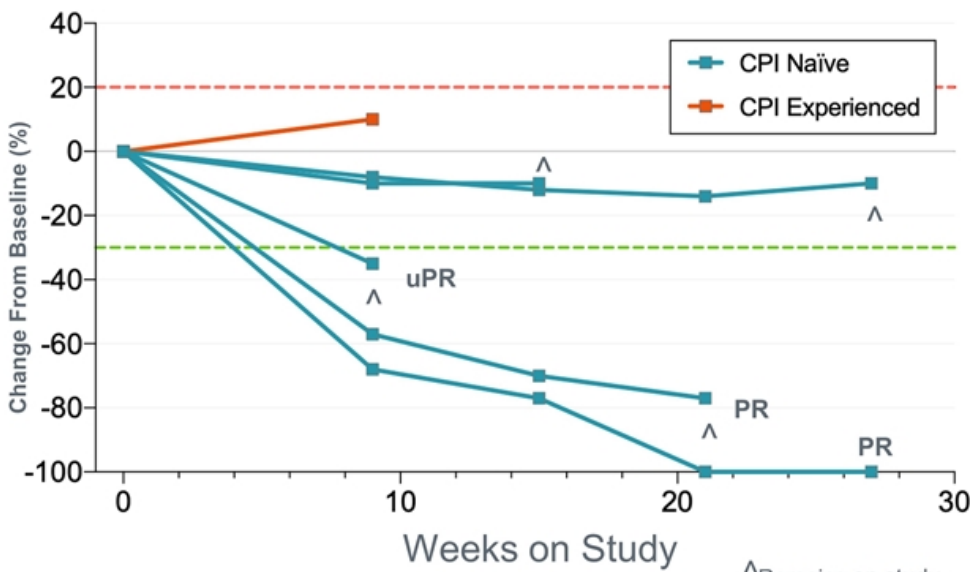


## NPC Monotherapy Cohort (Best Response on Study)



- 12 patients enrolled, 10 evaluable
  - 7 SD, 3 PD
  - 1 continues on monotherapy<sup>^</sup>
- Level of activity observed does not support Stage 2 enrollment for FLX475 monotherapy
- However, 7 have crossed over to combination therapy
  - 6 evaluable

# NPC Crossover: 5/5 CPI-naïve Patients with Tumor Shrinkage, 3/5 with Unconfirmed or Deep PR



- 6 evaluable crossover patients, 5 CPI-naïve
- 5/5 tumor shrinkage, including 1 uPR and 2 deep PRs
- Published ORR of pembrolizumab alone in CPI-naïve NPC is 26% (all PRs)<sup>1</sup>
- Data support further exploration of combination in a cohort of patients with CPI-naïve NPC

^ Remains on study

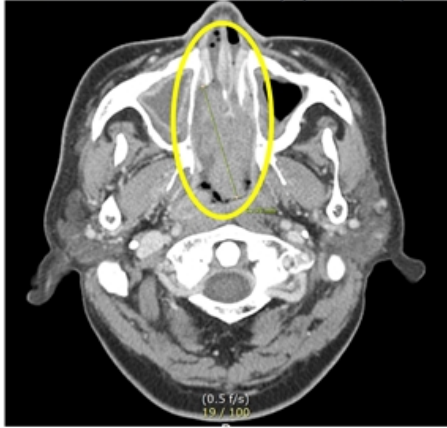
<sup>1</sup> Hsu et al. (2017) J Clin Oncol 35:4050-4056 (KEYNOTE-028)



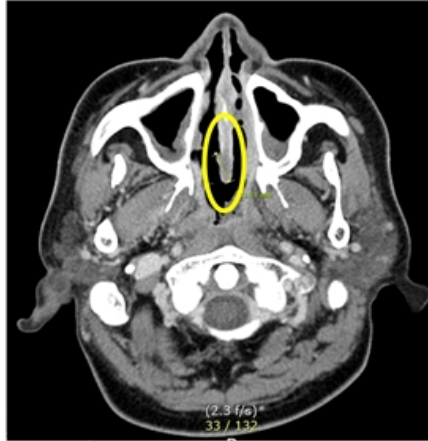
## NPC Patient Response Example

- 58 y/o, prior cisplatin/5FU and palliative XRT; cisplatin/docetaxel in 2019
- Started FLX475 monotherapy in January 2020; crossover in April 2020
- Partial response first observed at 9 weeks confirmed after 15 weeks with complete resolution of target lesion after 21 weeks

Crossover Baseline (April 2020)



9 Weeks

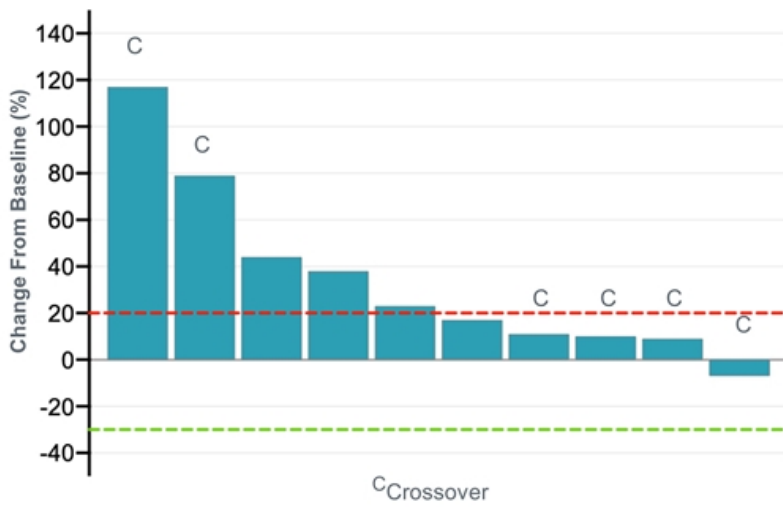


21 Weeks



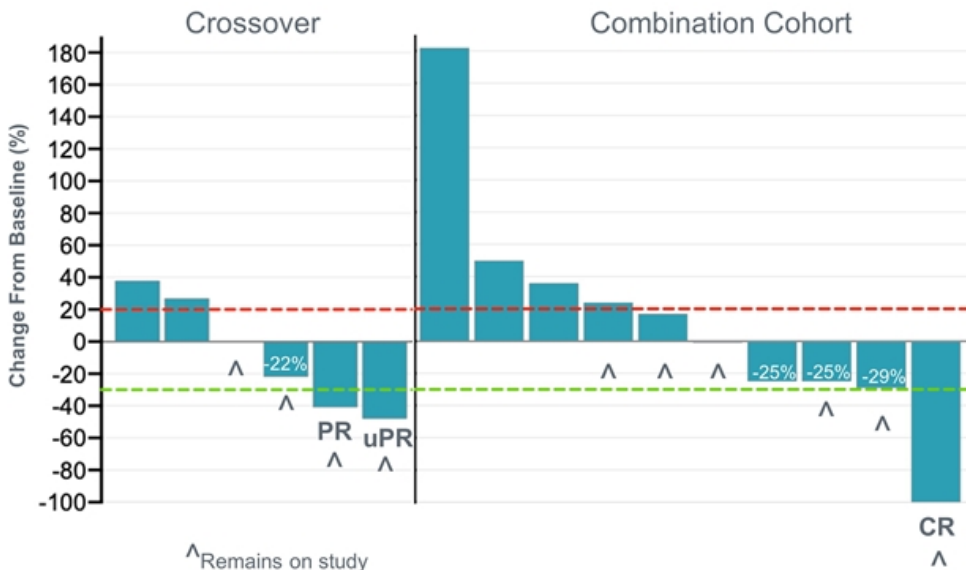


## Ph 2 CPI-naïve HNSCC Monotherapy Cohort (Best Response on Study)



- 13 patients enrolled, 10 evaluable
  - 5 SD, 5 PD
- Level of activity observed does not support Stage 2 enrollment for FLX475 monotherapy
- However, 6 have crossed over to combination therapy
- Combination cohort for CPI-naïve HNSCC is being enrolled in parallel

# HNSCC CPI-Naïve: Promising Combination Activity (Best Response on Study)



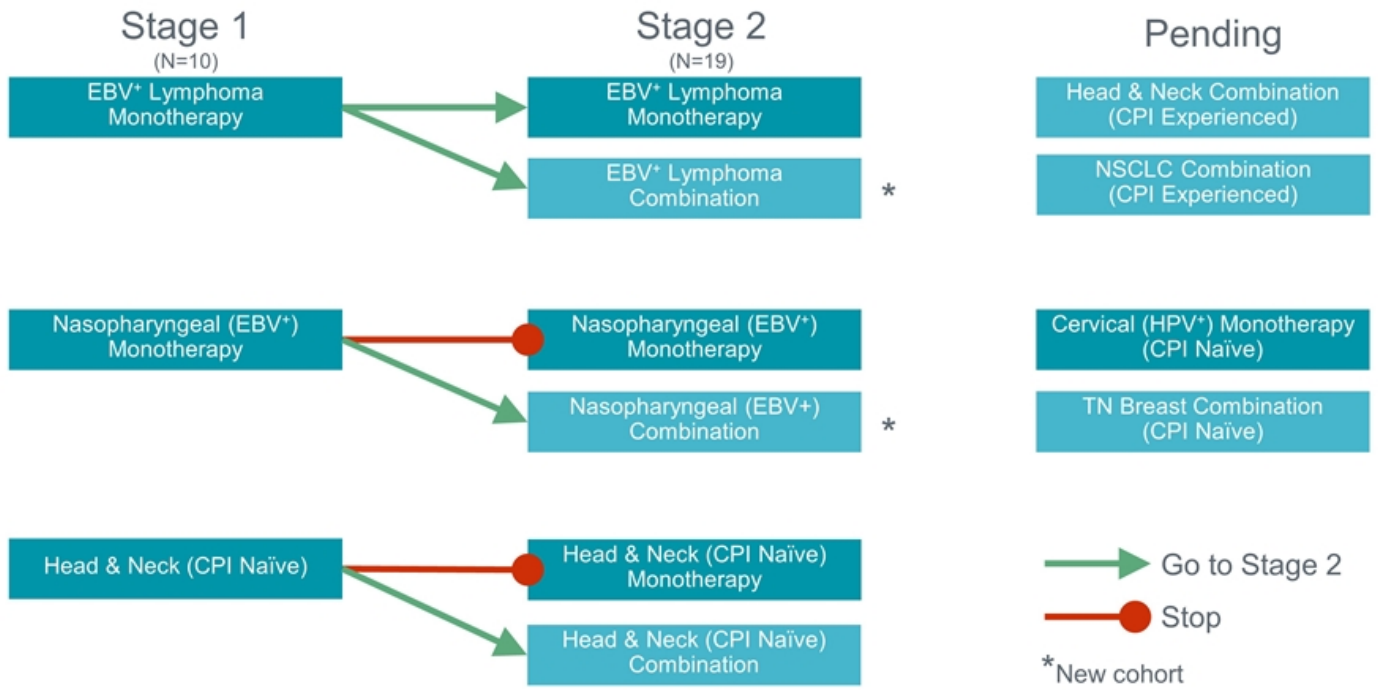
- Crossover
  - 6 enrolled and evaluable
  - 1 PR, 1uPR, 2 SD (1 with target reduction > 20%), 2 PD
- Combination Cohort
  - 17 enrolled; 10 evaluable
  - 1 CR, 5 SD (3 with target reduction > 20%), 4 PD
- ORR of pembrolizumab alone in CPI-naïve HNSCC is 16% (CR rate <1%)<sup>1</sup>
- Level of activity and totality of data support full Stage 2 CPI-naïve combination cohort

<sup>1</sup>Remains on study  
<sup>1</sup>Bauml et al. (2017) J Clin Oncol 35: 1542-49 (KEYNOTE-055)

## Phase 2 Safety

- To date, no new significant safety findings vs Phase 1
  - No evidence of increased severity or frequency of AEs in combination therapy vs either FLX475 or pembrolizumab given alone
  - Asymptomatic and reversible QTc prolongation continues to be the primary FLX475-related finding
- Serious adverse events potentially related to study treatment in the Phase 2 patients being described today (44 patients, 4 cohorts)
  - 1 QTc prolongation (asymptomatic) in a patient on monotherapy
  - 1 episode of colitis and concurrent renal insufficiency in one patient on combination therapy

# Phase 2: Stage 2 Decisions

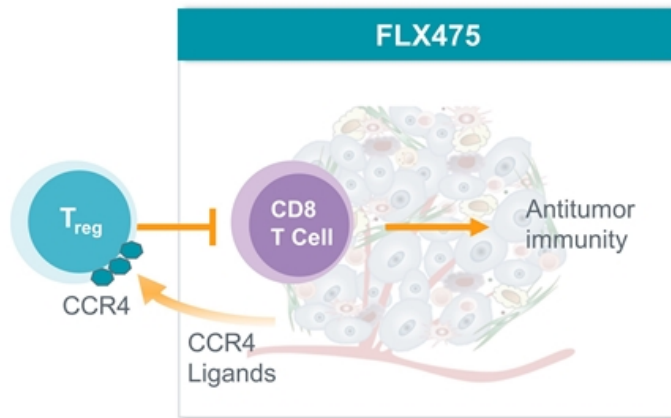


## Phase 2 Goals

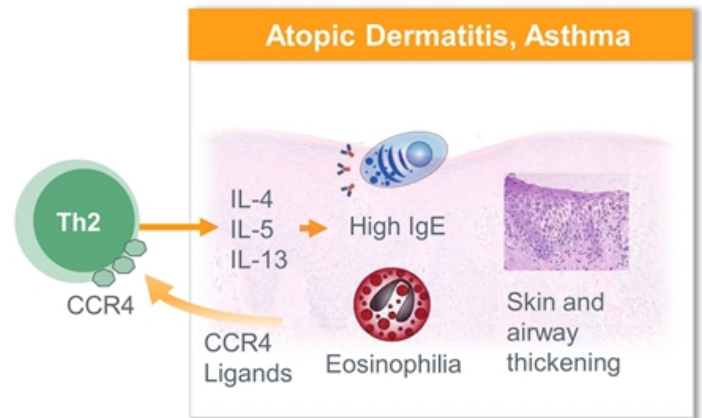
Evaluate initial clinical activity in selected charged tumor types

- ✓ Demonstrate preliminary clinical activity of FLX475 as monotherapy
- ✓ Demonstrate preliminary clinical activity of FLX475 in combination with pembrolizumab in checkpoint-naïve cancers
- ☐ Demonstrate preliminary clinical activity of FLX475 in combination with pembrolizumab in checkpoint experienced cancers – in progress
- ✓ Expand at least one cohort to Stage 2

# CCR4 Drives Tumor Progression and Allergic Inflammation



**FLX475:** Highly selective tumor T<sub>reg</sub> inhibitor with demonstrated antitumor activity and excellent combinability



**RPT193:** Orally administered Th2 inhibitor with potential in a broad array of allergic disorders


## Next Catalysts

- FLX475: next Phase 2 update expected in 2H 2021 including additional data from Stage 1 cohorts as well as Stage 2 expansions
- RPT193: data release now expected in 1H 2021

# Oral Drugs Targeting Critical Immune Drivers of Disease

- Proprietary discovery engine
- Diversified pipeline
- Large market opportunities
- Multiple near-term clinical readouts
- Strategic collaborations

CLINICAL

**FLX475** (Oncology):  **MERCK** 

- Selectively targets immunosuppressive tumor T<sub>reg</sub>
- Proof of concept established in Phase 2 with multiple expansions underway
- **Next comprehensive Phase 2 update 2H 2021**

**RPT193** (Allergic Disease):

- Oral agent targets inflammatory Th2 cells
- Robust PK/PD with excellent safety in Ph1 study
- **Phase 1b PoC in atopic dermatitis ongoing – data readout in 1H 2021**

DISCOVERY

**HPK1** (Oncology):

- Unlocks T cell activation to tumor antigens

**GCN2** (Oncology):

- Turns on an antitumor metabolic switch in TME





**Thank You**