



**Immunotherapies to Improve and Extend the Lives of  
People with Cancer**

April 2021

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Such risks and uncertainties include, among others: uncertainties inherent in clinical studies and the availability and timing of data from ongoing clinical studies; whether interim results from a clinical trial will be predictive of the final results of a trial; that the results from our clinical trials for Inupadenant and EOS-448 may not support further development and marketing approval; the risk that we may be unable to gain approval for our product candidates on a timely basis, if at all; the risk that the current COVID-19 pandemic will impact our clinical trials and operations; and other risks set forth under the caption 'Risk Factors' in our most recent Quarterly Report on Form 10-K for the quarter ended December 31, 2020, as filed with the SEC on March 24, 2021, and in our future filings with the SEC available at the SEC's website at [www.sec.gov](http://www.sec.gov). New risks and uncertainties may emerge from time to time, and it is not possible to predict all risks and uncertainties. You should not place undue reliance on any forward-looking statements, which speak only as of the date they are made.

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# iTeos Made Great Progress in 2020 Building the Foundation to Support the Evolution of our Pipeline



- Integrated pioneering work in **tumor immunology** from Ludwig Cancer Research to build our immuno-oncology drug discovery and development capabilities



- Continued to develop **EOS-448**, a FcγR-engaging anti-TIGIT antibody and **Inupadenant (EOS-850)**, an A<sub>2A</sub> receptor antagonist, with exciting partial responses in difficult-to-treat patients



- Leveraged a global talent pool through our sites in both Cambridge, MA and Belgium, to bring the **next generation of immunotherapies** to patients with cancer



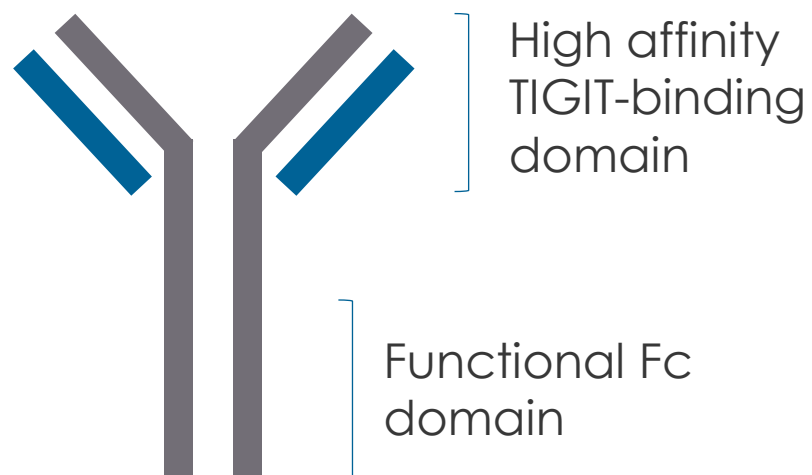
- **Remain well capitalized** with approximately \$336MM of cash on the balance sheet as of December 31, 2020

# EOS-448

FcγR-engaging Anti-TIGIT Antibody  
*Program Update*

# EOS-448: Fc $\gamma$ R-Engaging Anti-TIGIT Antibody

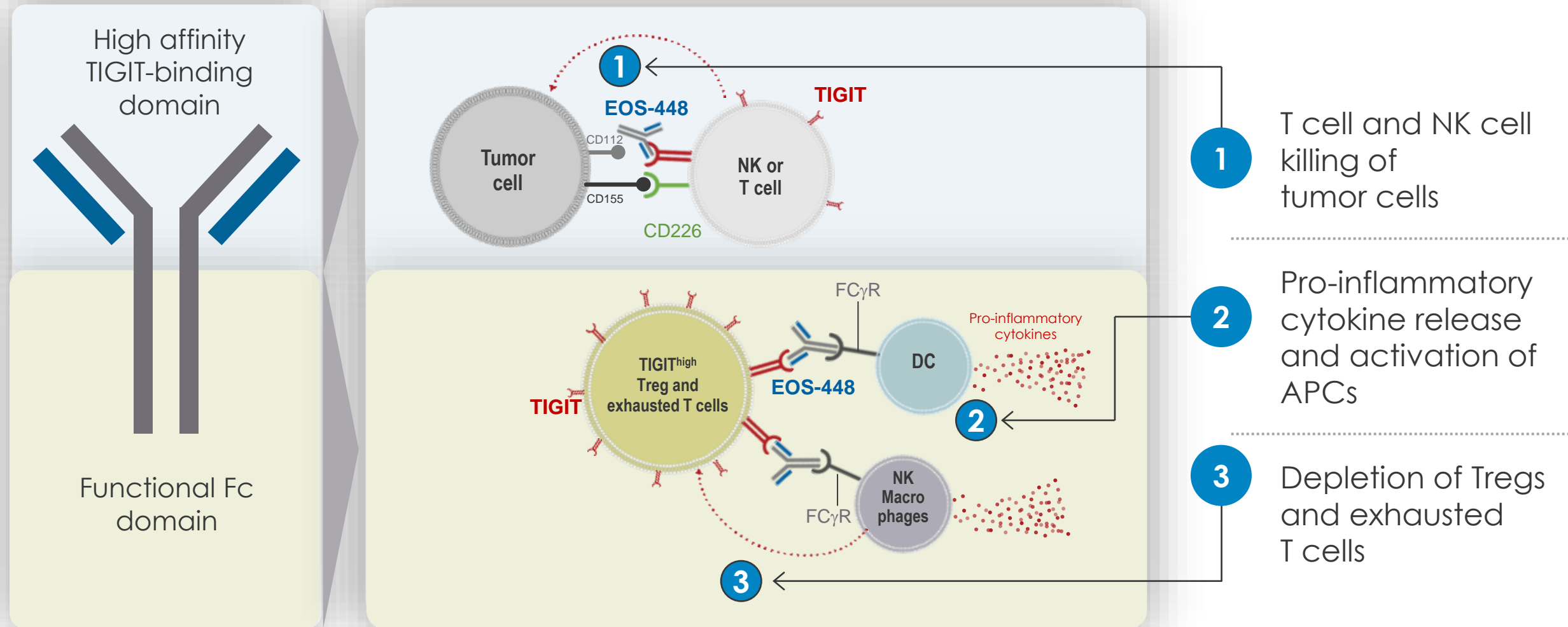
## EOS-448



EOS-448 is a TIGIT-targeted therapy designed to achieve maximal immune stimulatory effects

- High TIGIT binding affinity and selected to maximize potency
- IgG1 isotype antibody, containing an Fc domain with the ability to engage Fc $\gamma$ R-expressing effector cells

# EOS-448 Is Designed to Enhance the Anti-tumor Response Through a Multifaceted Immune Modulatory Mechanism



# EOS-448

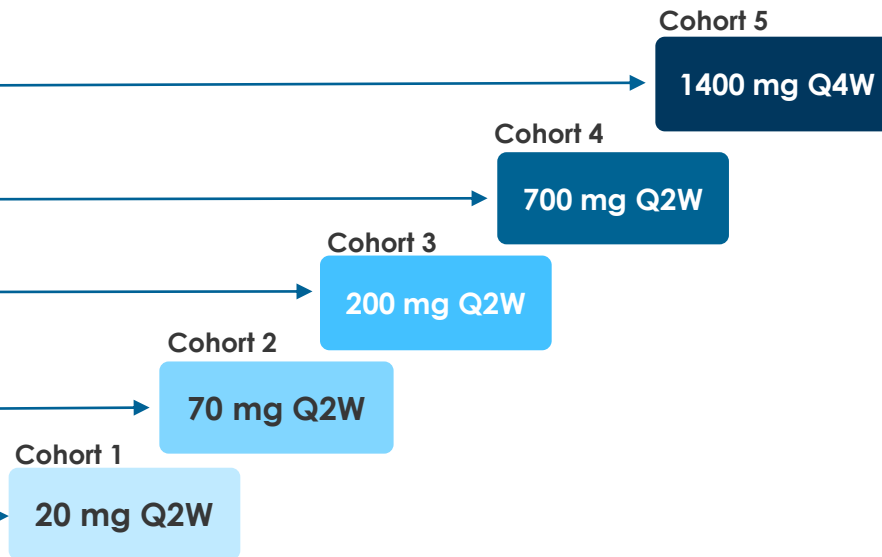
AACR 2021

*Phase 1 first-in-human study in patients with  
advanced cancers*

# First-in-human Phase 1 Trial of EOS-448 in Patients with Advanced Solid Tumors

*First-in-human, open-label dose-escalation, phase 1 trial (NCT04335253) in adults with advanced solid tumors for whom no standard treatment was available.*

- Baseline characteristics  
Advanced or metastatic cancer for whom no standard treatment was available
- ECOG PS 0-1
- No anti-cancer therapy within 4 weeks
- No CNS metastasis



## Primary endpoints:

- Safety and tolerability

## Secondary and exploratory endpoints included:

- ORR (investigator assessed)
- PK and PD



# Early Clinical Activity as Monotherapy in Patients with Advanced Cancers Who Have Exhausted Treatment Options

## Response Summary Based on Investigator Assessment per RECIST v1.1

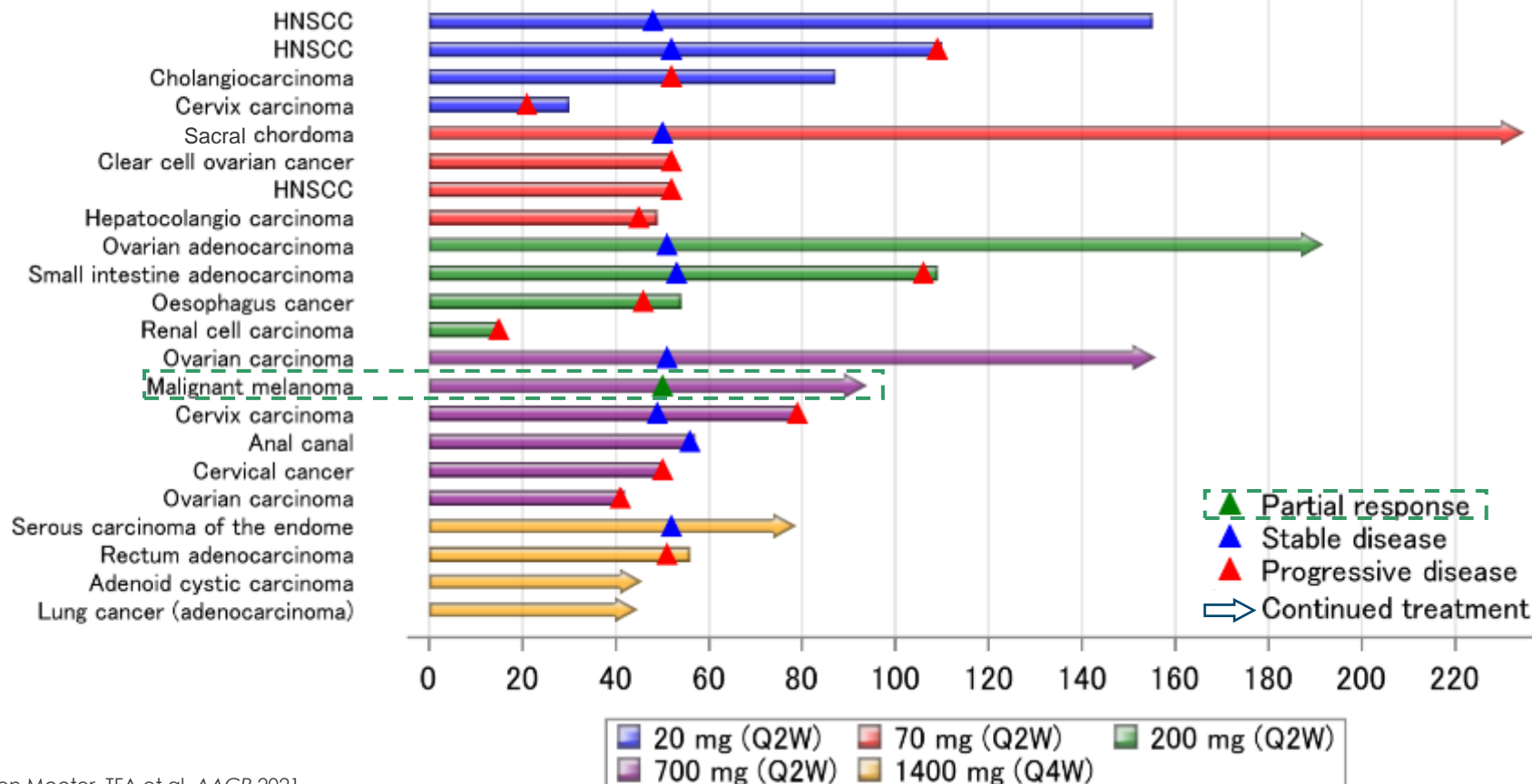
Response Evaluable Patients [n]	(N=20)
Best Overall Response [n (%)]	
Complete Response (CR)	0 (0%)
Partial Response (PR)	1 (5%)
Stable Disease (SD)	9 (45%)
Progressive Disease (PD)	10 (50%)

- 1 partial response was observed in a patient with checkpoint inhibitor-refractory, BRAF-mutated melanoma
- Stable disease was observed in 9 participants, 4 of whom remain on therapy

# Early Clinical Activity as Monotherapy in Patients with Advanced Cancers Who Have Exhausted Treatment Options

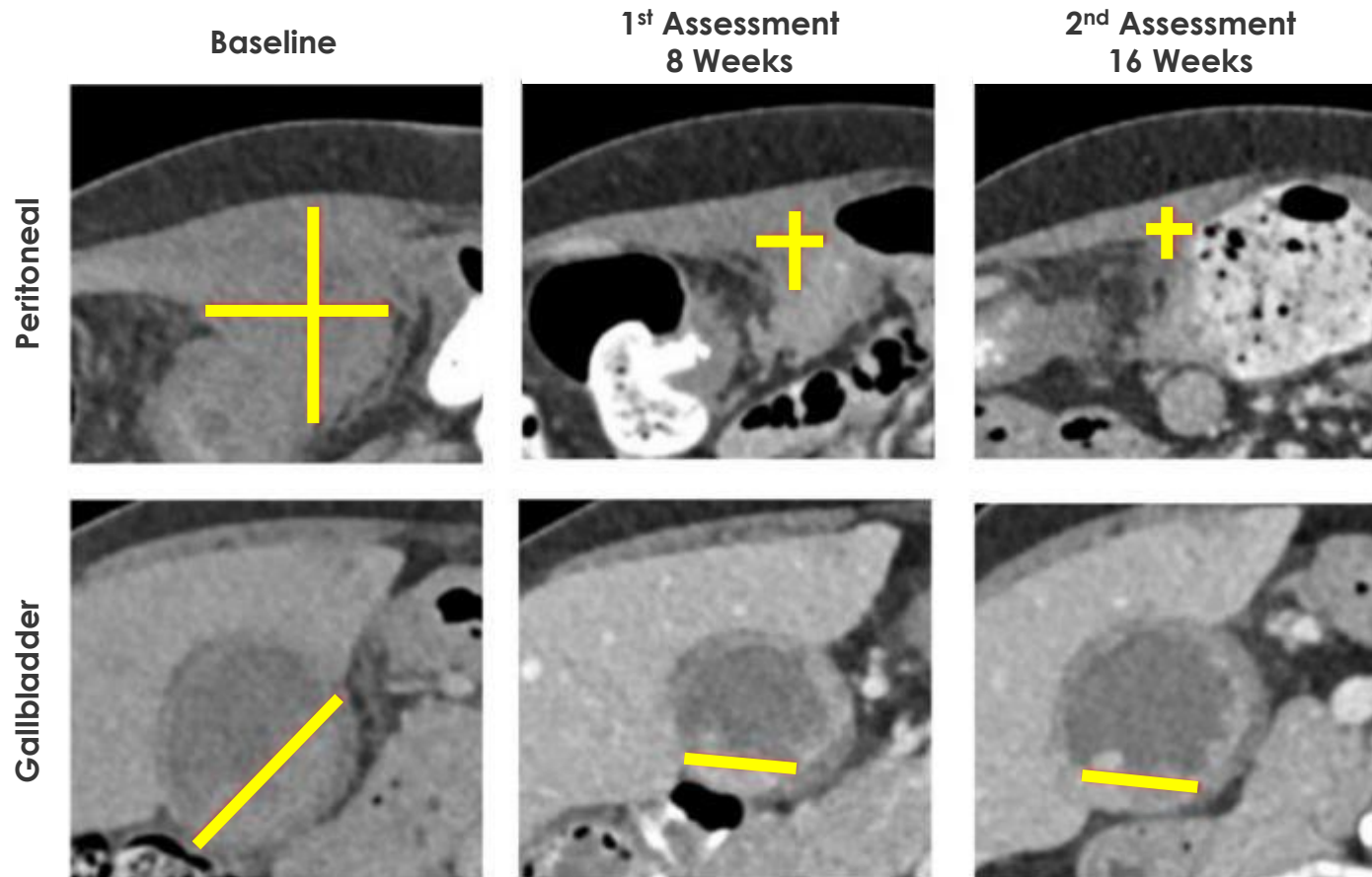
## Best Change from Baseline and Response Duration in Target Lesions on Investigator Assessment per Recist v1.1

Swimmer plot of Study Treatment Period, Best Response and Progression



# Confirmed Partial Response in a Patient with Pembrolizumab-resistant Melanoma

Partial response in a 65-year-old female with BRAF mutant Cutaneous Melanoma



- 2 prior lines of therapy: BRAF-MEK inhibitor combo followed by pembrolizumab with documented PD
- Confirmed PR per RECIST with a 58% reduction in size of target lesions
- Received EOS-448 700 mg Q2W
- Therapy continued for 24 weeks

# Manageable Tolerability Profile, Consistent with Other Checkpoint Inhibitors

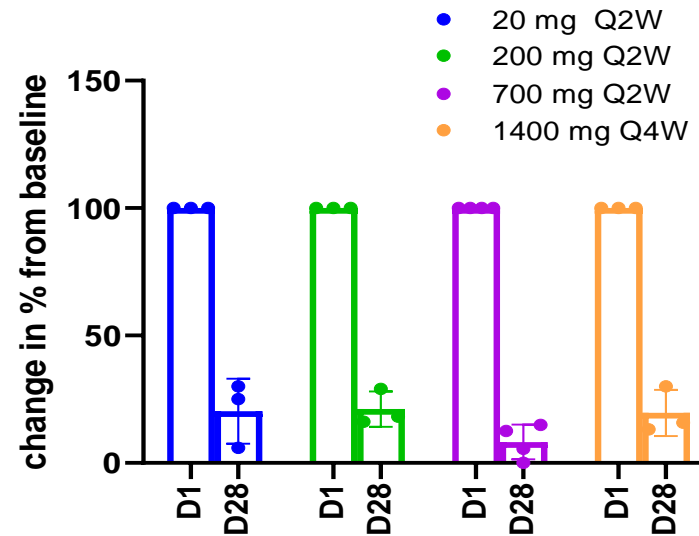
## Adverse Event Summary in Patients Treated with EOS-448

TEAE Related to EOS-448 Occurring in at Least 2 Patients by Preferred Term, Number (%) of Patients	(N=22)
Patients with At Least One [n (%)]	
TEAE Related to EOS-448	18 (82%)
Pruritus	7 (32%)
Infusion related reaction	4 (18%)
Fatigue	4 (18%)
Pyrexia	3 (14%)
Rash maculo-papular	2 (9%)
Eczema	2 (9%)
Hypothyroidism	2 (9%)
Blood creatinine increased	2 (9%)

- Most common treatment related adverse events were rash, itching, infusion-related reactions and fatigue
- One treatment related serious adverse event, a grade 2 systemic inflammatory response, was observed

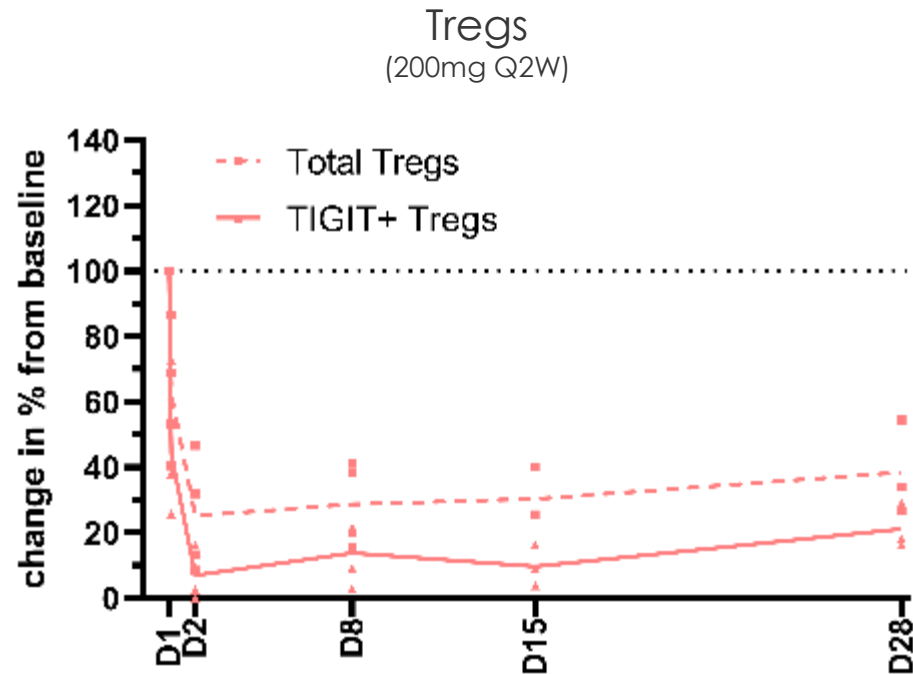
# Evidence for FcγR-Engagement and Depletion of TIGIT<sup>+</sup> Suppressive Tregs

Strong depletion of TIGIT<sup>+</sup> suppressive Tregs observed at all doses

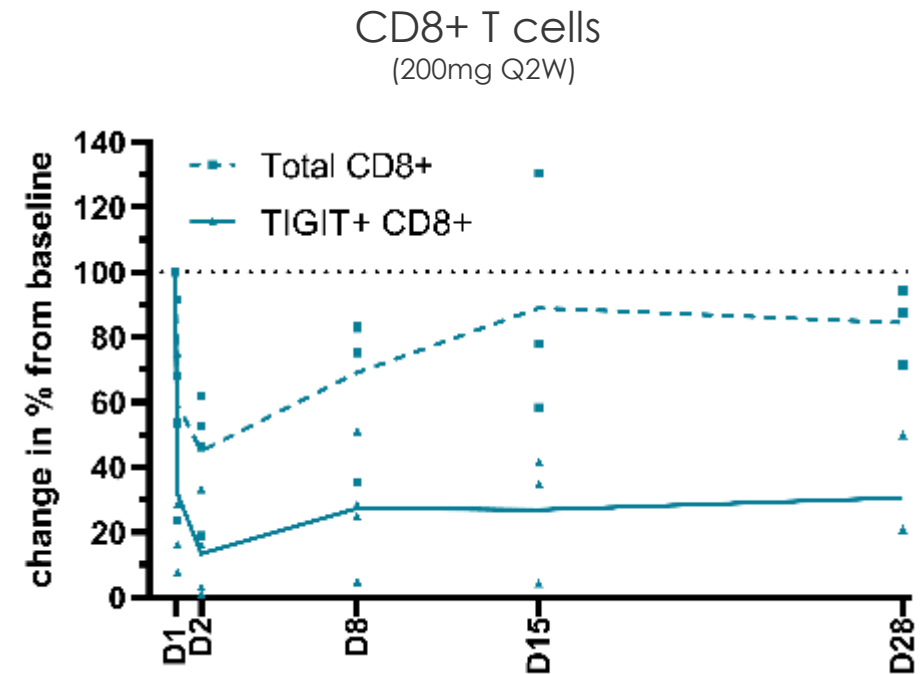


# Evidence for FcγR-Engagement and Depletion of TIGIT<sup>+</sup> Suppressive Tregs and Exhausted T cells

## Depletion TIGIT<sup>+</sup> suppressive Tregs observed throughout dosing interval



## Depletion TIGIT<sup>+</sup> CD8<sup>+</sup> exhausted T cells and restoration of TIGIT<sup>-</sup> CD8<sup>+</sup> T cells



# EOS-448

FcγR-engaging Anti-TIGIT Antibody

*Advancement in next stage of clinical development*

# Currently Anticipated EOS-448 Phase 1/2a Clinical Plan: Novel Combinations in Settings with Significant Clinical Need

Phase 1b  
Safety and PK/PD

Phase 2a  
Disease-specific trials

Combination with  
PD-1 inhibition

**EOS-448 +  
pembrolizumab**  
Solid Tumors

NSCLC:  
PD-L1 high and low

HNSCC:  
PD-L1 high and low

Novel combination  
post-PD-1 inhibition

**EOS-448 +  
Inupadenant**  
Solid Tumors

Melanoma:  
PD-1 pre-treated

Novel combination  
where PD-1/PD-L1  
inhibition has been  
unsuccessful

**EOS-448 + IMiD**  
Multiple Myeloma

Multiple Myeloma:  
Relapsed/refractory

Planning for  
future trials of  
EOS-448

Anticipate start  
in mid-2021



# EOS-448: Encouraging Results and Rapid Advancement into Next Stage of Clinical Development

**EOS-448 showed a favorable tolerability profile and early signs of clinical activity in advanced cancer patients who have exhausted treatment options**

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- Promising early clinical activity as monotherapy, including a PR in a pembrolizumab-resistant melanoma patient and disease stabilization in multiple patients
  - Manageable tolerability profile, consistent with MOA of TIGIT class
- 

**Reduction of TIGIT<sup>+</sup> suppressive and exhausted T cells populations, supporting FcγR-engaging MOA**

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**Development plan for EOS-448 combinations will target 3 different checkpoint-naïve and resistant settings**

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**Additional analyses of patients in the Phase 1/2a trial to be presented in near future**

# Inupadenant

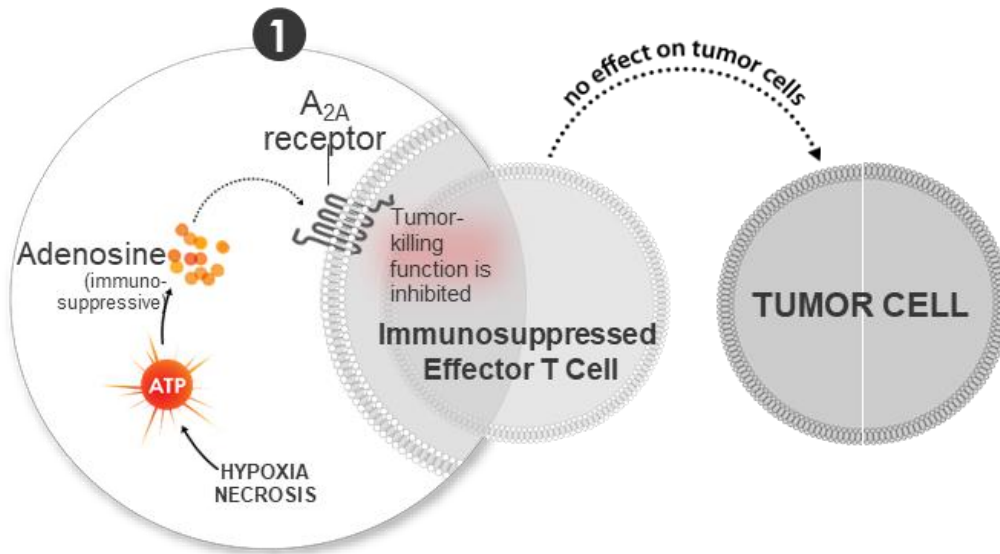
First A<sub>2A</sub> receptor antagonist designed for application in  
tumor microenvironment

*Program Update*

# Inupadenant Designed to Overcome Immunosuppression in the Tumor Microenvironment

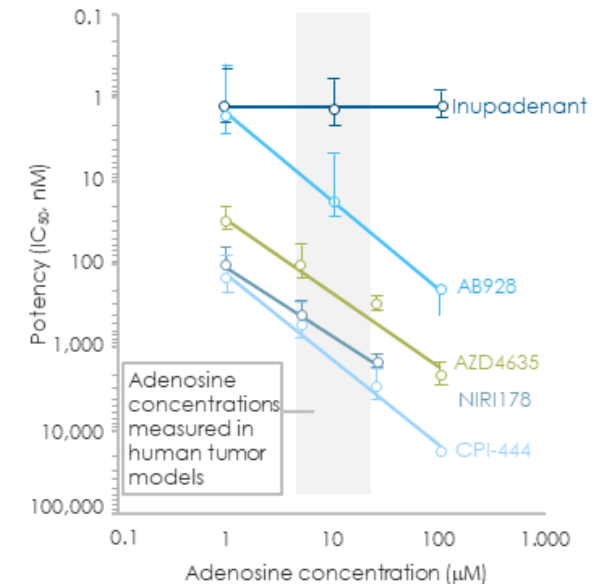
*iTeos Scientists implemented rational drug design to overcome the shortcomings of other adenosine pathway drugs*

## Immunosuppression



Adenosine is produced at high concentration by multiple mechanisms in TME<sup>1</sup> and mediates immunosuppression through A<sub>2A</sub>R, the high affinity adenosine receptor with the most abundant expression in immune cells

<sup>1</sup> Tumor microenvironment

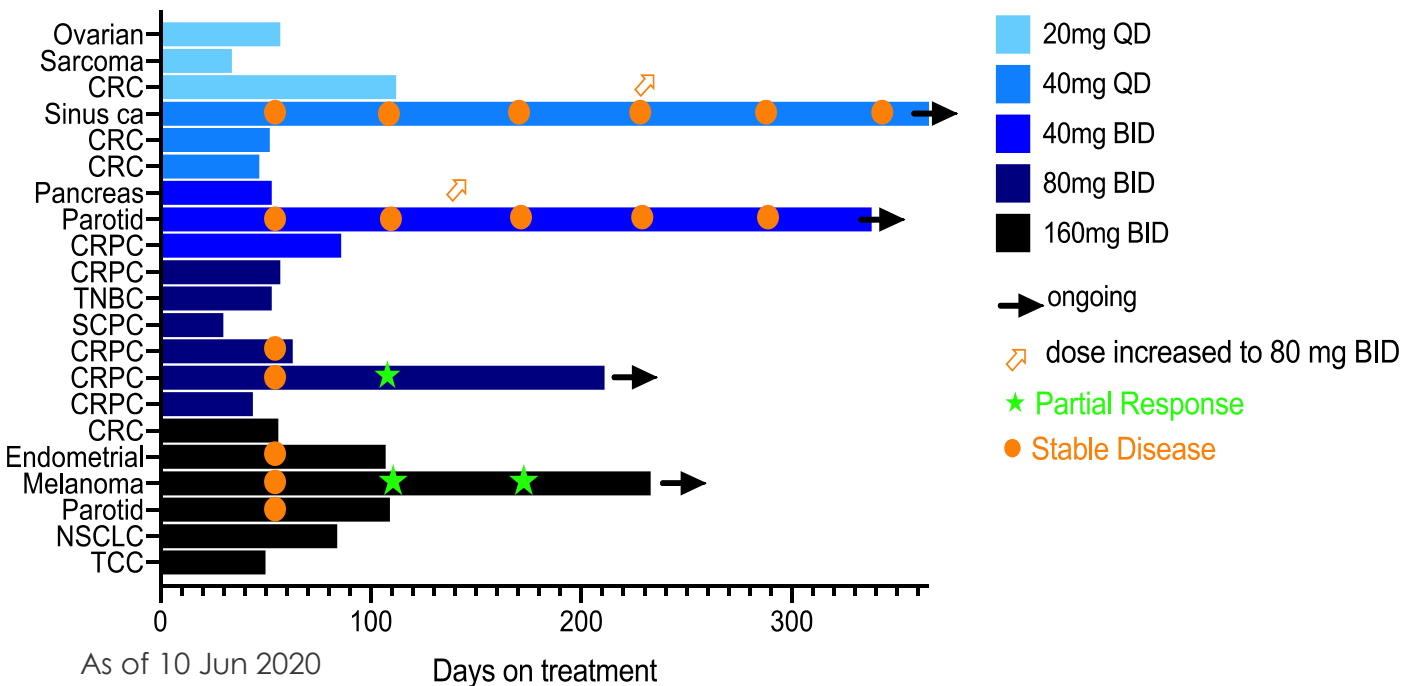


Inupadenant is the first insurmountable A<sub>2A</sub>R antagonist in clinical development. In addition, inupadenant is highly selective for A<sub>2A</sub>R and non brain penetrant

# Inupadenant Monotherapy Demonstrated Preliminary Evidence of Clinical Benefit in Heavily Pretreated Patients

## Durable responses and target engagement observed in monotherapy dose escalation

IO-001 Dose escalation monotherapy



**Full pharmacodynamic effects were observed at 40mg BID and above**

Notes: 1 Once daily doses 2 Twice daily doses  
CRC: colorectal cancer; NSCLC: non-small-cell lung carcinoma; TCC: transitional cell carcinoma; CRPC: castrate resistant prostate cancer; SCPC: small cell prostate cancer; TNBC: triple-negative breast cancer  
BID: Twice daily dosing

### CONFIRMED PARTIAL RESPONSE IN PROSTATE CANCER:

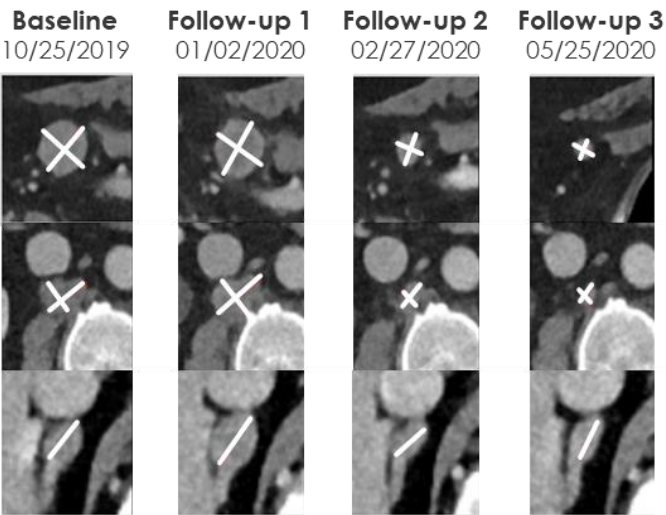
- ➔ Confirmed PR with 49% tumor reduction in heavily treated patient
- ➔ Patient reported decreased bone pain
- ➔ Single-agent activity observed

#### Target Lesions

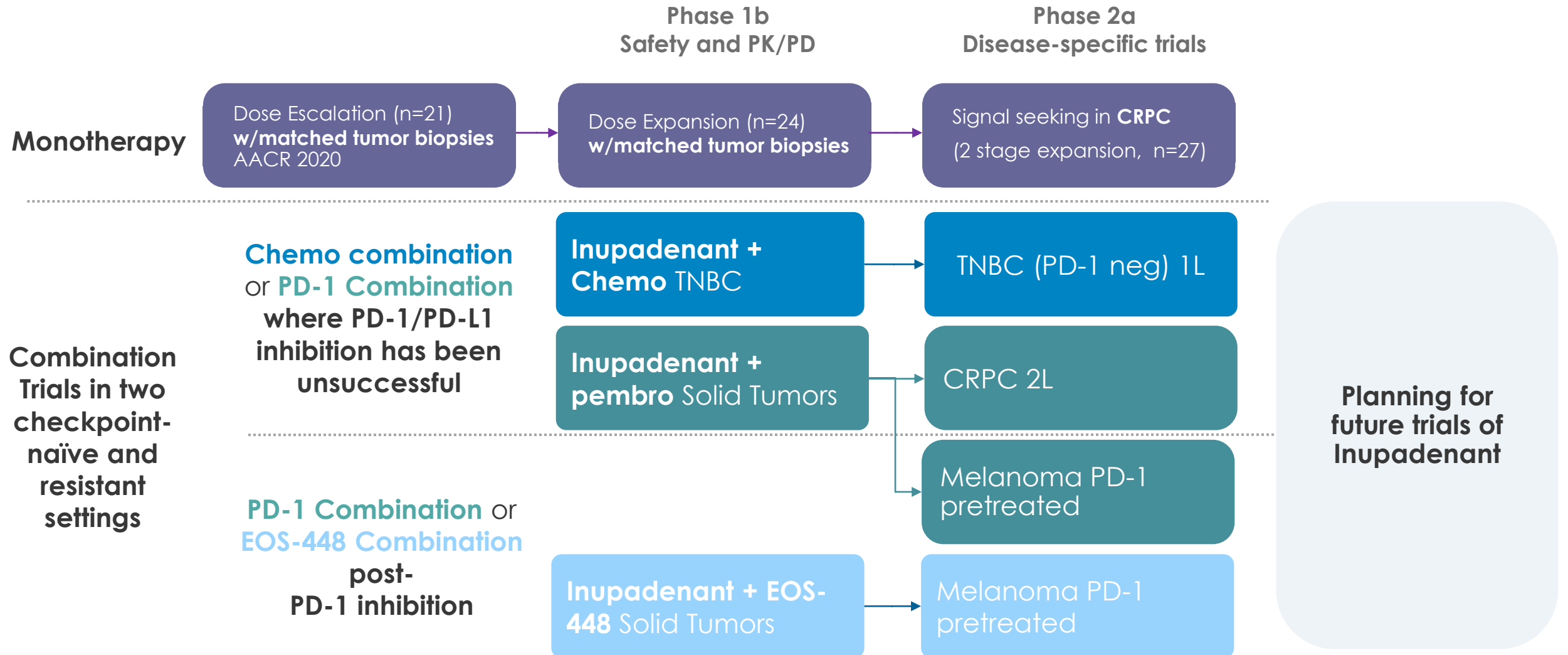
TO1 Lymph node axillary right  
Lymph node axillary right

TO2 Lymph node para-aortic right  
Lymph node para-aortic right

TO3 Adrenal gland right  
Adrenal gland right



# Inupadenant Phase 1/2a Clinical Plan: Novel Combinations in Settings with Significant Clinical Need



# Inupadenant: Encouraging activity as monotherapy, combination evaluations ongoing

## **Inupadenant showed a favorable tolerability profile and early signs of clinical activity in immune-resistant advanced cancers**

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- Manageable safety profile at all tested doses in patients with advanced cancer
  - Promising early efficacy as monotherapy, including durable PRs in a pembrolizumab-refractory melanoma patient and a heavily pretreated prostate cancer patient and disease stabilization in multiple patients
  - New formulation will start a phase 1
- 

## **Paired biopsies analysis and update on monotherapy for ASCO2021**

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## **Differentiated development plan for inupadenant containing novel combination approaches in both checkpoint-naïve and -refractory patients**

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## **Additional indications under evaluation**

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# Moving forward

# iTeos has Built the Foundation to Support Transformative Acceleration in 2021



*Driven by a culture of scientific innovation, collaboration and excellence, together we passionately discover, develop and deliver breakthrough immunotherapies to improve and extend the lives of people with cancer*

Exciting partial responses in difficult-to-treat patients

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Progress **EOS-448** and **Inupadenant** in multiple tumor types with different combinations

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**Anticipate additional updates** on programs in the near future

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Company **well capitalized** to fund ambitious growth of our preclinical and clinical pipeline





**Pioneering Novel IO Therapies Focused on Key Mechanisms  
of Immunosuppression**

April 2021