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# Reference Articles

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## August 2022

### 1. Nonclinical Characterization of Bexmarilimab, a Clever-1–Targeting Antibody for Supporting Immune

Maija Hollmén<sup>1,2</sup>, Mikael Maksimow<sup>1,3</sup>, Jenna H. Rannikko<sup>1,2</sup>, Matti K. Karvonen<sup>3</sup>, Marita Vainio<sup>3</sup>, Sirpa Jalkanen<sup>1,2</sup>, Markku Jalkanen<sup>3</sup>, and Jami Mandelin<sup>3</sup>

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**Mol Cancer Ther** 2022; 1207-18. doi: 10.1158/1535-7163.MCT- 21-0840

### 2. A Phase I Pharmacokinetic Study of Copanlisib in Chinese Patients with Relapsed Indolent Non-Hodgkin Lymphoma

Weiping Liu<sup>1</sup>, Lingyan Ping<sup>1</sup>, Yan Xie<sup>1</sup>, Yingli Sun<sup>1</sup>, Tingting Du<sup>1</sup>, Yi Niu<sup>2</sup>, Galia Cisternas<sup>3</sup>, Funan Huang<sup>4</sup>, Jose Garcia-Vargas<sup>4</sup>, Barrett H Childs<sup>4</sup>, Aruna Mehra<sup>4</sup>, Susanne Reschke<sup>3</sup>, Xiaopei Wang<sup>1</sup>, Yuqin Song<sup>5</sup>, Jun Zhu<sup>6</sup>

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**Cancer Chemother Pharmacol** 2022; Mar 23. doi: 10.1007/s00280-022-04417-3

### 3. A Small Molecule–Drug Conjugate (SMDC) Consisting of a Modified Camptothecin Payload Linked to an $\alpha_v\beta_3$ Binder for the Treatment of Multiple Cancer Types

Hans-Georg Lerchen<sup>1</sup>, Beatrix Stelte-Ludwig<sup>1</sup>, Charlotte Kopitz<sup>2</sup>, Melanie Heroult<sup>3</sup>, Dmitry Zubov<sup>4</sup>, Joerg Willuda<sup>5</sup>, Thomas Schlange<sup>4</sup>, Antje Kahnert<sup>4</sup>, Harvey Wong<sup>6</sup>, Raquel Izumi<sup>6</sup> and Ahmed Hamdy<sup>6</sup>

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**Cancers** 2022; 14(2), 391. doi: 10.3390/cancers14020391

#### 4. T cell-Mediated Elimination of Cancer Cells by Blocking CEACAM6-CEACAM1 Interaction

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**Oncoimmunology** 2022; 11(1):2008110. doi: 10.1080/2162402X.2021.2008110

#### 5. Immunostimulatory Effects of Targeted Thorium-227 Conjugates as Single Agent and in Combination with Anti-PD-L1 Therapy

Pascale Lejeune<sup>1</sup>, Véronique Cruciani<sup>2</sup>, Axel Berg-Larsen<sup>2</sup>, Andreas Schlicker<sup>1</sup>, Anne Mobergslien<sup>2</sup>, Lisa Bartnitzky<sup>1</sup>, Sandra Berndt<sup>1</sup>, Sabine Zitzmann-Kolbe<sup>1</sup>, Claudia Kamfenkel<sup>1</sup>, Stefan Stargard<sup>1</sup>, Stefanie Hammer<sup>1</sup>, Jennifer S Jørgensen<sup>3</sup>, Malene Jackerott<sup>3</sup>, Carsten H Nielsen<sup>3</sup>, Christoph A Schatz<sup>1</sup>, Hartwig Hennekes<sup>1</sup>, Jenny Karlsson<sup>2</sup>, Alan S Cuthbertson<sup>2</sup>, Dominik Mumberg<sup>1</sup>, Urs B Hagemann<sup>4</sup>

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**J Immunother Cancer** 2021; 9(10):e002387. doi: 10.1136/jitc-2021-002387

#### 6. Darolutamide Potentiates the Antitumor Efficacy of a PSMA-targeted Thorium-227 Conjugate by a Dual Mode of Action in Prostate Cancer Models

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<https://clincancerres.aacrjournals.org/content/27/15/4367>

## 7. Additive Benefits of Radium-223 Dichloride and Bortezomib Combination in a Systemic Multiple Myeloma Mouse Model

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**Int J Mol Sci** 2021; 22, 5570. doi: [10.3390/ijms22115570](https://doi.org/10.3390/ijms22115570)

## 8. Phase I assessment of safety and therapeutic activity of BAY1436032 in patients with IDH1-mutant solid tumors

Antje Wick<sup>1</sup>, Oliver Bähr<sup>2</sup>, Martin Schuler<sup>3</sup>, Kristoffer Staal Rohrberg<sup>4</sup>, Sant P Chawla<sup>5</sup>, Janku Filip<sup>6</sup>, David Schiff<sup>7</sup>, Volker Heinemann<sup>8</sup>, Yoshitaka Narita<sup>9</sup>, Heinz-Josef Lenz<sup>10</sup>, Masafumi Ikeda<sup>11</sup>, Yuichi Ando<sup>12</sup>, Wolfgang Wick<sup>13</sup>, Joachim P Steinbach<sup>14</sup>, Michael C Burger<sup>14</sup>, Katharina J Wenger<sup>15</sup>, Ulrik Lassen<sup>16</sup>, Kamallesh K Sankhala<sup>17</sup>, Cristiana M Roggia<sup>18</sup>, Isabelle Genvresse<sup>19</sup>, Catya Munhoz<sup>20</sup>, Christine Rentzsch<sup>21</sup>, Susanne Reschke<sup>19</sup>, Simon Langer<sup>22</sup>, Markus Wagner<sup>21</sup>, Stefan Kaulfuss<sup>23</sup>, Charles Cai<sup>24</sup>, Eleni Lagkadinou<sup>21</sup>, Michael Jeffers<sup>25</sup>, Carol Pena<sup>26</sup>, Ghazaleh Tabatabai<sup>27</sup>

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**Clin Cancer Res** 2021; 27(10):2723-2733. doi: [10.1158/1078-0432.CCR-20-4256](https://doi.org/10.1158/1078-0432.CCR-20-4256)

## 9. IL3RA-Targeting Antibody–Drug Conjugate BAY-943 with a Kinesin Spindle Protein Inhibitor Payload Shows Efficacy in Preclinical Models of Hematologic Malignancies

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**Cancers** 2020; 12(11), 3464. doi: [10.3390/cancers12113464](https://doi.org/10.3390/cancers12113464)

## 10. Combination of Copanlisib With Cetuximab Improves Tumor Response in Cetuximab-resistant Patient-derived Xenografts of Head and Neck Cancer

Konrad Klinghammer<sup>1</sup>, Oliver Politz<sup>2</sup>, Theresa Eder<sup>3,4</sup>, Raik Otto<sup>5</sup>, Jan-Dirk Raguse<sup>6</sup>, Andreas Albers<sup>7</sup>, Andreas Kaufmann<sup>8</sup>, Jens Hoffmann<sup>9</sup>, Ulrich Keller<sup>1</sup> and Ulrich Keilholz<sup>10</sup>

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**Oncotarget 2020**; 11(41):3688-3697. doi: [10.18632/oncotarget.27763](https://doi.org/10.18632/oncotarget.27763)

## 11. Safety and Efficacy of BAY1436032 in IDH1-mutant AML: Phase I Study Results

Michael Heuser<sup>1</sup>, Neil Palmisiano<sup>2</sup>, Ioannis Mantzaris<sup>3</sup>, Alice Mims<sup>4</sup>, Courtney DiNardo<sup>5</sup>, Lewis R. Silverman<sup>6</sup>, Eunice S. Wang<sup>7</sup>, Walter Fiedler<sup>8</sup>, Claudia Baldus<sup>9</sup>, Sebastian Schwind<sup>10</sup>, Timothy Pardee<sup>11</sup>, Alexander E. Perl<sup>12</sup>, Charles Cai<sup>13</sup>, Stefan Kaulfuss<sup>14</sup>, Eleni Lagkadinou<sup>14</sup>, Christine Rentzsch<sup>14</sup>, Markus Wagner<sup>14</sup>, Gary Wilkinson<sup>14</sup>, Bingyan Wu<sup>13</sup>, Michael Jeffers<sup>13</sup>, Isabelle Genvresse<sup>14</sup>, Alwin Krämer<sup>15</sup>

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**Leukemia 2020**; 34(11):2903-2913. doi: [10.1038/s41375-020-0996-5](https://doi.org/10.1038/s41375-020-0996-5)

## 12. Tailored Linker chemistries for the Efficient and Selective Activation of ADCs with KSPi Payloads

Hans-Georg Lerchen\*, Beatrix Stelte-Ludwig, Anette Sommer, Sandra Berndt, Anne-Sophie Rebstock, Sarah Johannes, Christoph Mahlert, Simone Greven, Lisa Dietz, and Hannah Jörißen

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**Bioconjugate Chem 2020**; 31:1893-1898. doi: [10.1021/acs.bioconjchem.0c00357](https://doi.org/10.1021/acs.bioconjchem.0c00357)

## 13. Characterization of BAY 1905254, an Immune Checkpoint Inhibitor Targeting the Immunoglobulin-Like Domain Containing Receptor 2 (ILDR2)

Julia Huetter<sup>1</sup>, Uwe Gritzan<sup>2</sup>, Ilona Gutcher<sup>1</sup>, Wolf-Dietrich Doecke<sup>3</sup>, Merlin V. Luetke-Eversloh<sup>4</sup>, Sven Golfier<sup>4</sup>, Helge G. Roider<sup>5</sup>, Anna-Lena Frisk<sup>1</sup>, John Hunter<sup>6</sup>, Andrew Pow<sup>7</sup>, Andrew Drake<sup>6</sup>, Zurit Levine<sup>8</sup>, Ofer Levy<sup>8</sup>, Meir Azulay<sup>8</sup>, Inbal Barbiro<sup>8</sup>, Gady Cojocar<sup>8</sup>, Ilan Vaknin<sup>8</sup>, Bertolt Kreft<sup>1</sup>, and Lars Roesse<sup>1</sup>

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**Cancer Immunol Res 2020**; 8:895-911. doi: [10.1158/2326-6066.CIR-19-0321](https://doi.org/10.1158/2326-6066.CIR-19-0321); PMID: [32312711](https://pubmed.ncbi.nlm.nih.gov/32312711/)

#### 14. The Novel ATR Inhibitor BAY 1895344 Is Efficacious as Monotherapy and Combined with DNA Damage-inducing or Repair-compromising Therapies in Preclinical Cancer Models

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**Mol Cancer Ther** 2020; 19(1):26-38. doi: 10.1158/1535-7163.MCT-19-0019

<https://aacrjournals.org/mct/article/19/1/26/92777/The-Novel-ATR-Inhibitor-BAY-1895344-Is-Efficacious>

#### 15. Preclinical Efficacy of a PSMA-targeted Thorium-227 Conjugate (PSMA-TTC), a Targeted Alpha Therapy for Prostate Cancer

Hammer S<sup>1</sup>, Hagemann UB<sup>2</sup>, Zitzmann-Kolbe S<sup>1</sup>, Larsen A<sup>2</sup>, Ellingsen C<sup>2</sup>, Geraudie S<sup>2</sup>, Grant D<sup>2</sup>, Indrevoll B<sup>2</sup>, Smeets R<sup>2</sup>, von Ahsen O<sup>1</sup>, Kristian A<sup>2</sup>, Lejeune P<sup>1</sup>, Hennekes H<sup>1</sup>, Karlsson J<sup>2</sup>, Bjerke RM<sup>2</sup>, Ryan OB<sup>2</sup>, Cuthbertson AS<sup>2</sup>, Mumberg D<sup>1</sup>

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**Clin Cancer Res** 2020; 26(8):1985-1996. doi: 10.1158/1078-0432.CCR-19-2268.

#### 16. Phase 1 Dose Escalation Study of the Allosteric AKT Inhibitor BAY 1125976 in Advanced Solid Cancer-Lack of Association between Activating AKT Mutation and AKT Inhibition-Derived Efficacy

Schneeweiss A<sup>1</sup>, Hess D<sup>2</sup>, Joerger M<sup>2</sup>, Varga A<sup>3</sup>, Moulder S<sup>4</sup>, Tsimberidou AM<sup>4</sup>, Ma C<sup>5</sup>, Hurvitz SA<sup>6</sup>, Rentzsch C<sup>7</sup>, Rudolph M<sup>7</sup>, Thiele S<sup>7</sup>, Boix O<sup>7</sup>, Wilkinson G<sup>7</sup>, Lagkadinou E<sup>7</sup>, Ocker M<sup>7</sup>

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**Cancers (Basel)** 2019; 11(12). pii: E1987. doi: [10.3390/cancers11121987](https://doi.org/10.3390/cancers11121987)

#### 17. The Mode-of-Action of Targeted Alpha Therapy Radium-223 as an Enabler for Novel Combinations to Treat Patients with Bone Metastasis

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**Int J Mol Sci.** 2019; 20(16):3899. pii: E3899. doi: 10.3390/ijms20163899.

## 18. Mesothelin-targeted thorium-227 conjugate (MSLN-TTC): Preclinical evaluation of a new targeted alpha therapy for mesothelin-positive cancers

Hagemann UB<sup>1</sup>, Ellingsen C<sup>1</sup>, Schuhmacher J<sup>2</sup>, Kristian A<sup>1</sup>, Mobergslien A<sup>1</sup>, Cruciani V<sup>1</sup>, Wickstroem K<sup>1</sup>, Schatz CA<sup>2</sup>, Kneip C<sup>2</sup>, Golfier S<sup>2</sup>, Smeets R<sup>1</sup>, Uran SR<sup>1</sup>, Hennekes H<sup>1</sup>, Karlsson J<sup>2</sup>, Bjerke RM<sup>1</sup>, Ryan OB<sup>1</sup>, Mumberg D<sup>2</sup>, Ziegelbauer K<sup>2</sup>, Cuthbertson AS<sup>1</sup>

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## 19. Rogaratinib: A potent and selective pan-FGFR inhibitor with broad antitumor activity in FGFR-overexpressing preclinical cancer models

Grünwald S<sup>1</sup>, Politz O<sup>1</sup>, Bender S<sup>1</sup>, Héroult M<sup>1</sup>, Lustig K<sup>2</sup>, Thuss U<sup>2</sup>, Kneip C<sup>1</sup>, Kopitz C<sup>1</sup>, Zopf D<sup>1</sup>, Collin MP<sup>2</sup>, Boemer U<sup>1</sup>, Ince S<sup>3</sup>, Ellinghaus P<sup>2</sup>, Mumberg D<sup>1</sup>, Hess-Stumpp H<sup>1</sup>, Ziegelbauer K<sup>2</sup>

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**Int J Cancer** 2019; 145(5):1346-1357. doi: 10.1002/ijc.32224

## 20. Sequential treatment with doxorubicin and zoledronic acid has no additive effects in an aggressive model of established bone metastases

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**J Cancer Metastasis Treat** 2019; 5:14. doi: 10.20517/2394-4722.2018.64

## 21. Anetumab Ravtansine Inhibits Tumor Growth and Shows Additive Effect in Combination with Targeted Agents and Chemotherapy in Mesothelin-expressing Human Ovarian Cancer Models

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**Oncotarget** 2018; 9(75):34103-34121. doi: 10.18632/oncotarget.26135

## 22. ODM-204, a Novel Dual Inhibitor of CYP17A1 and Androgen Receptor: Early Results from Phase I Dose Escalation in Men with Castration-resistant Prostate Cancer

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**European Urology Focus** 2020; 6(1):63-70. doi: 10.1016/j.euf.2018.08.022



### 23. Radium-223 Inhibits Osseous Prostate Cancer Growth by Dual Targeting of Cancer Cells and Bone Microenvironment in Mouse Models

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**Clin Cancer Res** 2017; 23(15):4335-4346. [PMID: 28364014](#)

### 24. Preclinical Anti-Tumor Efficacy of BAY 1129980 - a Novel Auristatin-Based Anti-C4.4A (LYPD3) Antibody-Drug Conjugate for the Treatment of Non-Small Cell Lung Cancer

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**Mol Cancer Ther** 2017; 16(5):893-904. [PMID: 28292941](#)

### 25. Acetyl-CoA Carboxylase Inhibitors Attenuate WNT and Hedgehog Signaling and Suppress Pancreatic Tumor Growth

Elissaveta Petrova<sup>1</sup>, Arne Scholz<sup>1</sup>, Juliane Paul<sup>1</sup>, Andrea Sturz<sup>1</sup>, Katja Haike<sup>1</sup>, Franziska Siegel<sup>1</sup>, Dominik Mumberg<sup>1</sup>, and Ningshu Liu<sup>1</sup>

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**Oncotarget** 2017; 8(30):48660-48670. [PMID: 27750213](#)

### 26. BAY 1143269, a Novel MNK1 Inhibitor, Targets Oncogenic Protein Expression and Shows Potent Anti-Tumor Activity

Susann Santag, Franziska Siegel, Antje M. Wengner, Claudia Lange, Ulf Bömer, Knut Eis, Florian Pühler, Philip Lienau, Linda Bergemann, Martin Michels, Franz von Nussbaum 3, Dominik Mumberg, Kirstin Petersen

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**Cancer Lett** 2017; 390:21-29. [PMID: 28043914](#)

## 27. Simultaneous Inhibition of PI3K $\delta$ and PI3K $\alpha$ Induces ABC-DLBCL Regression by Blocking BCR-Dependent and -Independent Activation of NF- $\kappa$ B and AKT

Juliane Paul<sup>1</sup>, Maurice Soujon<sup>1</sup>, Antje M. Wengner<sup>1</sup>, Sabine Zitzmann-Kolbe<sup>1</sup>, Andrea Sturz<sup>1</sup>, Katja Haike<sup>1</sup>, Koh Hui Keng Magdalene<sup>2</sup>, Sze Huey Tan<sup>3</sup>, Martin Lange<sup>1</sup>, Soo Yong Tan<sup>2</sup>, Dominik Mumberg<sup>1</sup>, Soon Thye Lim<sup>4</sup>, Karl Ziegelbauer<sup>1</sup>, and Ningshu Liu<sup>1</sup>

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**Cancer Cell** 2017; 31(1):64-78. [PMID: 28073005](#)

## 28. BAY 1125976, a Selective Allosteric AKT1/2 Inhibitor, Exhibits High Efficacy in AKT Signaling-Dependent Tumor Growth in Mouse Models

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**Int J Cancer** 2017; 140(2):449-459. [PMID: 27699769](#)

## 29. Preclinical Efficacy of the Auristatin-Based Antibody-Drug Conjugate BAY 1187982 for the Treatment of FGFR2-Positive Solid Tumors

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**Cancer Res** 2016; 76(21):6331-6339. [PMID: 27543601](#)

## 30. RG7386, a Novel Tetravalent FAP-DR5 Antibody, Effectively Triggers FAP-Dependent, Avidity-Driven DR5 Hyperclustering and Tumor Cell Apoptosis

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**Mol Cancer Ther** 2016; 15(5):1-12. [PMID: 27037412](#)



### 31. Anetumab Ravnansine: A Novel Mesothelin-Targeting Antibody-Drug Conjugate Cures Tumors with Heterogeneous Target Expression Favored by Bystander Effect

Sven Golfier, Charlotte Kopitz, Antje Kahnert, Iring Heisler, Christoph A. Schatz, Beatrix Stelte-Ludwig, Anke Mayer-Bartschmid, Kerstin Unterschemmann, Sandra Bruder, Lars Linden, Axel Harrenga, Peter Hauff, Frank-Detlef Scholle, Beate Müller-Tiemann, Bertolt Kreft, and Karl Ziegelbauer

Bayer HealthCare Pharmaceuticals, Berlin/Wuppertal, Germany

**Mol Cancer Ther** 2014; 13(6):1537-1548. [PMID: 24714131](#)

### 32. *In Vivo* Imaging of Prostate Cancer Using [<sup>68</sup>Ga]-Labeled Bombesin Analog BAY86-7548

Esa Kähkönen<sup>1</sup>, Ivan Jambor<sup>5,6</sup>, Jukka Kemppainen<sup>2,5</sup>, Kaisa Lehtiö<sup>3</sup>, Tove J. Grönroos<sup>5</sup>, Anna Kuisma<sup>3</sup>, Pauliina Luoto<sup>5</sup>, Henri J. Sipilä<sup>5</sup>, Tuula Tolvanen<sup>5</sup>, Kalle Alanen<sup>4</sup>, Jonna Silén<sup>5</sup>, Markku Kallajoki<sup>4</sup>, Anne Roivainen<sup>5</sup>, Niklaus Schäfer<sup>7,8</sup>, Roger Schibli<sup>9</sup>, Martina Dragić<sup>9</sup>, Anass Johayem<sup>8</sup>, Ray Valencia<sup>10</sup>, Sandra Borkowski<sup>10</sup>, and Heikki Minn<sup>3,5</sup>

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**Clin Cancer Res** 2013; 19(19):5434-5443. [PMID: 23935037](#)

### 33. Survival Benefit with Radium-223 Dichloride in a Mouse Model of Breast Cancer Bone Metastasis

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**J Natl Cancer Inst** 2013; 105:908–916. [PMID: 23682134](#)