

# Reference list of 191 Phase I articles

Paper "Put to the Test" by Lindy Bosch and Raymond Hoffmans Published in European Biopharmaceutical Review, January 2015

- Agelaki, S. et al. A phase I clinical trial of weekly oral topotecan for relapsed small cell lung cancer. Cancer chemotherapy and pharmacology 72, 45–51 (2013).
- Akiyama, Y. et al. α-type-1 polarized dendritic cell-based vaccination in recurrent high-grade glioma: a phase I clinical trial. BMC cancer 12, 623 (2012).
- Ando, M. et al. Phase I and pharmacokinetic study of nab-paclitaxel, nanoparticle albumin-bound paclitaxel, administered weekly to Japanese patients with solid tumors and metastatic breast cancer. Cancer chemotherapy and pharmacology 69, 457–65 (2012).
- Annunziata, C. M. et al. Phase 1, open-label study of MEDI-547 in patients with relapsed or refractory solid tumors. Investigational new drugs 31, 77–84 (2013).
- Anthoney, D. A. et al. Phase I study of TP300 in patients with advanced solid tumors with pharmacokinetic, pharmacogenetic
  and pharmacodynamic analyses. BMC cancer 12, 536 (2012).
- Antonarakis, E. S. et al. Phase I rapid dose-escalation study of AGS-1C4D4, a human anti-PSCA (prostate stem cell antigen) monoclonal antibody, in patients with castration-resistant prostate cancer: a PCCTC trial. Cancer chemotherapy and pharmacology 69, 763–71 (2012).
- Araki, K. et al. First clinical pharmacokinetic dose-escalation study of sagopilone, a novel, fully synthetic epothilone, in Japanese patients with refractory solid tumors. *Investigational new drugs* 30, 2327–33 (2012).
- Arkenau, H.-T. et al. A phase I dose escalation study of AT9283, a small molecule inhibitor of aurora kinases, in patients with advanced solid malignancies. Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 23, 1307–13 (2012).
- Aruga, A. et al. Long-term Vaccination with Multiple Peptides Derived from Cancer-Testis Antigens Can Maintain a Specific T-cell Response and Achieve Disease Stability in Advanced Biliary Tract Cancer. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 2224–31 (2013).
- Asahina, H. et al. Safety and tolerability of AZD8055 in Japanese patients with advanced solid tumors; a dose-finding phase I study. Investigational new drugs 31, 677–84 (2013).
- Asahina, H. et al. An open-label, phase 1 study evaluating safety, tolerability, and pharmacokinetics of linifanib (ABT-869) in Japanese patients with solid tumors. Cancer chemotherapy and pharmacology 69, 1477–86 (2012).
- Bagarazzi, M. L. et al. Immunotherapy Against HPV16 / 18 Generates Potent T H 1 and Cytotoxic Cellular Immune Responses.
   Science translational medicine 4, 1–14 (2012).
- Baker, a F. et al. A phase IB trial of 24-hour intravenous PX-12, a thioredoxin-1 inhibitor, in patients with advanced gastrointestinal cancers. *Investigational new drugs* 31, 631–41 (2013).
- Baselga, J. et al. Using Pharmacokinetic and Pharmacodynamic Data in Early Decision Making Regarding Drug Development:
   A Phase I Clinical Trial Evaluating Tyrosine Kinase Inhibitor, AEE788 Using Pharmacokinetic and Pharmacodynamic Data in Early. Clin Cancer Res 18, 6364–6372 (2012).
- Beeram, M. et al. A phase 1 study of weekly dosing of trastuzumab emtansine (T-DM1) in patients with advanced human epidermal growth factor 2-positive breast cancer. Cancer 118, 5733

  –40 (2012).
- Bendell, J. C. et al. Phase I, Dose-Escalation Study of BKM120, an Oral Pan-Class I PI3K Inhibitor, in Patients With Advanced Solid Tumors. *Journal of Clinical Onoology* 30, (2012).
- Bianchini, D. et al. First-in-human Phase I study of EZN-4176, a locked nucleic acid antisense oligonucleotide to exon 4 of the androgen receptor mRNA in patients with castration-resistant prostate cancer. British journal of cancer 109, 2579–86 (2013).
- Boss, D. S. et al. A phase I study of E7080, a multitargeted tyrosine kinase inhibitor, in patients with advanced solid tumours.
   British journal of cancer 106, 1598–604 (2012).
- Brahmer, J. R., Tykodi, S. S., Chow, L. Q., Hwu, W.-J. & Topolian, S. L. Safety and activity of anti-PD-L1 antibody in patients with advanced cancer. *The Journal of urology* **188**, 2148–2149 (2012).
- Burge, M. et al. Phase I, pharmacokinetic and pharmacodynamic evaluation of CYT997, an orally-bioavailable cytotoxic and vascular-disrupting agent. *Investigational new drugs* 31, 126–35 (2013).
- Burke, J. M. et al. A first in human phase 1 study of CG0070, a GM-CSF expressing oncolytic adenovirus, for the treatment of nonmuscle invasive bladder cancer. The Journal of urology 188, 2391–7 (2012).
- Calvo, E. et al. Phase I dose-escalation study of vinflunine hard capsules administered twice a day for 2 consecutive days
  every week in patients with advanced/metastatic solid tumors. Cancer chemotherapy and pharmacology 69, 1467–75 (2012).
- Capdevila, J. et al. A phase I pharmacokinetic study of PM00104 (Zalypsis) administered as a 24-h intravenous infusion every 3 weeks in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 71, 1247–54 (2013).
- Chao, J. et al. A phase I and pharmacokinetic study of oral 3-aminopyridine-2-carboxaldehyde thiosemicarbazone (3-AP, NSC #663249) in the treatment of advanced-stage solid cancers: a California Cancer Consortium Study. Cancer chemotherapy and pharmacology 69, 835–43 (2012).
- Cho, E.-A. et al. Safety and tolerability of an intratumorally injected DNAzyme, Dz13, in patients with nodular basal-cell carcinoma: a phase 1 first-in-human trial (DISCOVER). Lancet 381, 1835–43 (2013).
- Chudley, L. et al. DNA fusion-gene vaccination in patients with prostate cancer induces high-frequency CD8(+) T-cell responses and increases PSA doubling time. Cancer immunology, immunotherapy: CII 61, 2161–70 (2012).
- Chung, V. et al. First-time-in-human study of GSK923295, a novel antimitotic inhibitor of centromere-associated protein E (CENP-E), in patients with refractory cancer. Cancer chemotherapy and pharmacology 69, 733–41 (2012).
- Cohen, R. B. et al. A phase I dose-finding, safety and tolerability study of AZD8330 in patients with advanced malignancies. European journal of cancer (Oxford, England: 1990) 49, 1521–9 (2013).
- Cooney, M. M. et al. Phase I trial of pomalidomide given for patients with advanced solid tumors. Cancer chemotherapy and pharmacology 70, 755–61 (2012).



- Crew, K. D. et al. Phase IB randomized, double-blinded, placebo-controlled, dose escalation study of polyphenon E in women with hormone receptor-negative breast cancer. Cancer prevention research (Philadelphia, Pa.) 5, 1144–54 (2012).
- Culine, S. et al. Risk-adapted, dose escalation study of weekly docetaxel in the first-line treatment of elderly patients with advanced cancer. Journal of geriatric oncology 4, 122–7 (2013).
- Dannull, J. et al. Melanoma immunotherapy using mature DCs expressing the constitutive proteasome. Clinical Medicine 123, 3135–3145 (2013).
- Daud, A. I., Krishnamurthi, S. S. & Saleh, M. N. Phase I Study of Bosutinib, a Src / Abl Tyrosine Kinase Inhibitor, Administered to Patients with Advanced Solid Tumors. Clin Cancer Res 18, 1092–1100 (2012).
- Deeken, J. F. et al. A phase I study of liposomal-encapsulated docetaxel (LE-DT) in patients with advanced solid tumor malignancies. Cancer chemotherapy and pharmacology 71, 627–33 (2013).
- Dees, E. C. et al. Phase I study of aurora A kinase inhibitor MLN8237 in advanced solid tumors: safety, pharmacokinetics, pharmacodynamics, and bioavailability of two oral formulations. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 4775–84 (2012).
- Delord, J. P. et al. Phase I and pharmacokinetic study of IV vinflunine in cancer patients with liver dysfunction. Investigational new drugs 31, 724–33 (2013).
- Delord, J. P. et al. Phase I dose-escalation study of oral vinflunine administered once daily for 6 weeks every 8 weeks in patients with advanced/metastatic solid tumours. Cancer chemotherapy and pharmacology 71, 647–56 (2013).
- Deng, Y. et al. Bioavailability, metabolism and disposition of oral pazopanib in patients with advanced cancer. Xenobiotica; the fate of foreign compounds in biological systems 43, 443–53 (2013).
- Denton, C. L. et al. Concomitant oral and intravenous pharmacokinetics of dabrafenib, a BRAF inhibitor, in patients with BRAF V600 mutation-positive solid tumors. *Journal of clinical pharmacology* 53, 955–61 (2013).
- Devriese, L. a et al. Pharmacokinetics of eribulin mesylate in patients with solid tumors and hepatic impairment. Cancer chemotherapy and pharmacology 70, 823–32 (2012).
- Diéras, V. et al. Cabazitaxel in patients with advanced solid tumours: results of a Phase I and pharmacokinetic study.
   European journal of cancer (Oxford, England: 1990) 49, 25–34 (2013).
- Dinney, C. P. N. et al. Phase I trial of intravesical recombinant adenovirus mediated interferon-α2b formulated in Syn3 for Bacillus Calmette-Guérin failures in nonmuscle invasive bladder cancer. The Journal of urology 190, 850–6 (2013).
- Doi, T. et al. Phase I study of TAS-102 treatment in Japanese patients with advanced solid tumours. British journal of cancer 107, 429–34 (2012).
- Doi, T. et al. Phase I first-in-human study of TAK-285, a novel investigational dual HER2 / EGFR inhibitor, in cancer patients
  Patient characteristics. British Journal of Cancer 106, 666–672 (2012).
- Doi, T. et al. Evaluation of safety, pharmacokinetics, and efficacy of vorinostat, a histone deacetylase inhibitor, in the treatment
  of gastrointestinal (GI) cancer in a phase I clinical trial. International journal of clinical oncology 18, 87–95 (2013).
- Doi, T. et al. Phase 1 study of trebananib (AMG 386), an angiogenesis targeting angiopoietin-1/2 antagonist, in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 71, 227–35 (2013).
- Drappatz, J. et al. Phase I study of GRN1005 in recurrent malignant glioma. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 1567–76 (2013).
- Dubbelman, A.-C. et al. Disposition and metabolism of 14C-dovitinib (TKI258), an inhibitor of FGFR and VEGFR, after oral administration in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 70, 653–63 (2012).
- El-Khoueiry, A. et al. The effects of liver impairment on the pharmacokinetics of brivanib, a dual inhibitor of fibroblast growth factor receptor and vascular endothelial growth factor receptor tyrosine kinases. Cancer chemotherapy and pharmacology 72, 53–64 (2013).
- Ellithy, M. M. A., El Baghdady, N. S. E. D. M., El Wakeel, L. M., Abdeltawab, K. A. & Badary, O. A. An open-label phase I pilot study of continuous intrapleural infusion of escalated doses of methotrexate in malignant pleural mesothelioma. *American* journal of clinical oncology 36, 514–8 (2013).
- Falchook, G. S. et al. Activity of the oral MEK inhibitor trametinib in patients with advanced melanoma: a phase 1 dose-escalation trial. The lancet oncology 13, 782–9 (2012).
- Falchook, G. S. et al. Dabrafenib in patients with melanoma, untreated brain metastases, and other solid tumours: a phase 1 dose-escalation trial. Lancet 379, 1893–901 (2012).
- Falke, J. et al. Results of a phase 1 dose escalation study of intravesical TMX-101 in patients with nonmuscle invasive bladder cancer. The Journal of urology 189, 2077–82 (2013).
- Fasol, U. et al. Vascular and pharmacokinetic effects of EndoTAG-1 in patients with advanced cancer and liver metastasis.
   Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 23, 1030–6 (2012).
- Finger, P. T. & Chin, K. J. Refractory squamous cell carcinoma of the conjunctiva treated with subconjunctival ranibizumab (Lucentis): a two-year study. *Ophthalmic plastic and reconstructive surgery* **28**, 85–9 (2012).
- Flaherty, K. T. et al. Phase I, dose-escalation trial of the oral cyclin-dependent kinase 4/6 inhibitor PD 0332991, administered using a 21-day schedule in patients with advanced cancer. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 568–76 (2012).
- Friday, B. et al. A phase I study to determine the safety and pharmacokinetics of intravenous administration of TAS-106 once
  per week for three consecutive weeks every 28 days in patients with solid tumors. Anticancer research 32, 1689–96 (2012).
- Fujisaka, Y. et al. First report of the safety, tolerability, and pharmacokinetics of the Src kinase inhibitor saracatinib (AZD0530) in Japanese patients with advanced solid tumours. *Investigational new drugs* 31, 108–14 (2013).
- Fujiwara, Y. et al. A phase I study of tasisulam sodium using an albumin-tailored dose in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 71, 991–8 (2013).
- Galsky, M. D. et al. Phase I study of the effects of renal impairment on the pharmacokinetics and safety of satraplatin in patients with refractory solid tumors. Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 23, 1037–44 (2012).
- Goldman, J. W. et al. A first in human, safety, pharmacokinetics, and clinical activity phase I study of once weekly administration of the Hsp90 inhibitor ganetespib (STA-9090) in patients with solid malignancies. BMC cancer 13, 152 (2013).



- Gomez, H. L. et al. Phase I dose-escalation and pharmacokinetic study of ispinesib, a kinesin spindle protein inhibitor, administered on days 1 and 15 of a 28-day schedule in patients with no prior treatment for advanced breast cancer. Anticancer drugs 23, 335–41 (2012).
- Gordon, M. S. et al. A phase I study of tasisulam sodium (LY573636 sodium), a novel anticancer compound, administered as a 24-h continuous infusion in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 71, 21–7 (2013).
- Gordon, M. S. et al. A Phase I, open-label, dose-escalation study of continuous once-daily oral treatment with afatinib in patients with advanced solid tumors. *Investigational new drugs* 31, 409–16 (2013).
- Gross, M. E., Leichman, L., Lowe, E. S., Swaisland, A. & Agus, D. B. Safety and pharmacokinetics of high-dose gefitinib in patients with solid tumors: results of a phase I study. *Cancer chemotherapy and pharmacology* **69**, 273–80 (2012).
- Grossman, S. a et al. Phase I study of terameprocol in patients with recurrent high-grade glioma. Neuro-oncology 14, 511–7 (2012).
- Guo, J. et al. Safety and efficacy of everolimus in Chinese patients with metastatic renal cell carcinoma resistant to vascular endothelial growth factor receptor-tyrosine kinase inhibitor therapy: an open-label phase 1b study. BMC cancer 13, 136 (2013).
- Hammond-Thelin, L. a et al. Phase I and pharmacokinetic study of 3'-C-ethynylcytidine (TAS-106), an inhibitor of RNA polymerase I, II and III, in patients with advanced solid malignancies. *Investigational new drugs* 30, 316–26 (2012).
- Hanna, N. et al. Phase 1/2a, dose-escalation, safety, pharmacokinetic and preliminary efficacy study of intratumoral administration of BC-819 in patients with unresectable pancreatic cancer. Cancer gene therapy 19, 374–81 (2012).
- Hayashi, H. et al. Phase I trial of OTS11101, an anti-angiogenic vaccine targeting vascular endothelial growth factor receptor 1 in solid tumor. Cancer science 104, 98–104 (2013).
- Heath, E. I. et al. A phase I pharmacokinetic and safety evaluation of oral pazopanib dosing administered as crushed tablet or oral suspension in patients with advanced solid tumors. *Investigational new drugs* 30, 1566–74 (2012).
- Hemstreet, G. P. et al. Cellular immunotherapy study of prostate cancer patients and resulting IgG responses to peptide epitopes predicted from prostate tumor-associated autoantigens. *Journal of immunotherapy (Hagerstown, Md.: 1997)* 36, 57–65 (2013).
- Holen, K. et al. A phase I trial of MK-0731, a kinesin spindle protein (KSP) inhibitor, in patients with solid tumors. Investigational new drugs 30, 1088–95 (2012).
- Honda, K. et al. Phase I and pharmacokinetic/pharmacodynamic study of RO5126766, a first-in-class dual Raf/MEK inhibitor, in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 72, 577–84 (2013).
- Hong, D. S. et al. A multicenter phase I trial of PX-866, an oral irreversible phosphatidylinositol 3-kinase inhibitor, in patients with advanced solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 4173–82 (2012).
- Hui, E. P. et al. Phase I trial of recombinant modified vaccinia ankara encoding Epstein-Barr viral tumor antigens in nasopharyngeal carcinoma patients. Cancer research 73, 1676–88 (2013).
- Infante, J. R. et al. A Phase I study to assess the safety, tolerability, and pharmacokinetics of AZD4877, an intravenous Eg5 inhibitor in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 69, 165–72 (2012).
- Infante, J. R. et al. Safety, pharmacokinetic, and pharmacodynamic phase I dose-escalation trial of PF-00562271, an inhibitor
  of focal adhesion kinase, in advanced solid tumors. Journal of clinical oncology: official journal of the American Society of
  Clinical Oncology 30, 1527–33 (2012).
- Infante, J. R. et al. Safety, pharmacokinetic, pharmacodynamic, and efficacy data for the oral MEK inhibitor trametinib: a phase 1 dose-escalation trial. The lancet oncology 13, 773–81 (2012).
- Isambert, N. et al. Phase I study of OM-174, a lipid A analogue, with assessment of immunological response, in patients with refractory solid tumors. BMC cancer 13, 172 (2013).
- Ito, Y. et al. Safety, efficacy and pharmacokinetics of neratinib (HKI-272) in Japanese patients with advanced solid tumors: a Phase 1 dose-escalation study. Japanese journal of clinical oncology 42, 278–86 (2012).
- Iwami, K. et al. Peptide-pulsed dendritic cell vaccination targeting interleukin-13 receptor α2 chain in recurrent malignant glioma patients with HLA-A\*24/A\*02 allele. Cytotherapy 14, 733–42 (2012).
- Jameson, G. S. et al. A multicenter, phase I, dose-escalation study to assess the safety, tolerability, and pharmacokinetics of etirinotecan pegol in patients with refractory solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 268–78 (2013).
- Jia, N. et al. Phase I adjuvant trial of sorafenib in patients with hepatocellular carcinoma after orthotopic liver transplantation.
   Anticancer research 33, 2797–800 (2013).
- Jimeno, A. et al. Phase I study of the Hedgehog pathway inhibitor IPI-926 in adult patients with solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 2766–74 (2013).
- Kamigaki, T. *et al.* Immunotherapy of autologous tumor lysate-loaded dendritic cell vaccines by a closed-flow electroporation system for solid tumors. *Anticancer research* **33**, 2971–6 (2013).
- Karbach, J. et al. Phase I clinical trial of mixed bacterial vaccine (Coley's toxins) in patients with NY-ESO-1 expressing cancers: immunological effects and clinical activity. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 5449–59 (2012).
- Kelly, K. R. et al. Metabolism of patupilone in patients with advanced solid tumor malignancies. Investigational new drugs 31, 605–15 (2013).
- Kesavabhotla, K., Schlaff, C. D., Mubita, L. & Kaplan, R. Phase I / II study of oral eriotinib for treatment of relapsed / refractory glioblastoma multiforme and anaplastic astrocytoma. *Journal of Experimental Therapeutics and Oncology* 10, 71–81 (2012).
- Kim, K. H. et al. A phase I clinical trial of Ad5/3-Δ24, a novel serotype-chimeric, infectivity-enhanced, conditionally-replicative adenovirus (CRAd), in patients with recurrent ovarian cancer. Gynecologic oncology 130, 518–24 (2013).
- Kimura, T. et al. MUC1 vaccine for individuals with advanced adenoma of the colon: a cancer immunoprevention feasibility study. Cancer prevention research (Philadelphia, Pa.) 6, 18–26 (2013).
- Kitagawa, C. *et al.* Phase I and pharmacologic study of weekly amrubicin in patients with refractory or relapsed lung cancer: Central Japan Lung Study Group (CJLSG) 0601 trial. *Cancer chemotherapy and pharmacology* **69**, 1379–85 (2012).
- Kreisl, T. N. et al. A phase I/II trial of vandetanib for patients with recurrent malignant gliom. Neuro-oncology 14, 1519–1526 (2012).



- Krop, I. et al. Phase I pharmacologic and pharmacodynamic study of the gamma secretase (Notch) inhibitor MK-0752 in adult patients with advanced solid tumors. *Journal of clinical oncology : official journal of the American Society of Clinical Oncology* 30, 2307–13 (2012).
- Kunz, P. L. et al. Phase I trial of ixabepilone administered as three oral doses each separated by 6 hours every 3 weeks in patients with advanced solid tumors. *Investigational new drugs* 30, 2364–70 (2012).
- Kurzrock, R. et al. Safety, pharmacokinetics, and activity of EZN-2208, a novel conjugate of polyethylene glycol and SN38, in patients with advanced malignancies. Cancer 118, 6144–51 (2012).
- Lam, E. T. et al. Phase I dose escalation study of KOS-1584, a novel epothilone, in patients with advanced solid tumors.
   Cancer chemotherapy and pharmacology 69, 523–31 (2012).
- Lassen, U. et al. A phase I, dose-escalation study of TB-403, a monoclonal antibody directed against PIGF, in patients with advanced solid tumours. British journal of cancer 106, 678–84 (2012).
- Leijen, S. et al. Phase I dose-escalation study of the safety, pharmacokinetics, and pharmacodynamics of the MEK inhibitor RO4987655 (CH4987655) in patients with advanced solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 4794–805 (2012).
- Li, J. et al. Single- and multiple-dose pharmacokinetics, safety and tolerability of zibotentan (ZD4054) in Chinese men with advanced solid tumors. Cancer chemotherapy and pharmacology 70, 57–63 (2012).
- Lorusso, P. M. et al. Phase I study of folate conjugate EC145 (Vintafolide) in patients with refractory solid tumors. Journal of clinical oncology: official journal of the American Society of Clinical Oncology 30, 4011–6 (2012).
- LoRusso, P. M. et al. Pharmacokinetics and safety of bortezomib in patients with advanced malignancies and varying degrees of liver dysfunction: phase I NCI Organ Dysfunction Working Group Study NCI-6432. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 2954–63 (2012).
- Lu, C. et al. Phase I clinical trial of systemically administered TUSC2(FUS1)-nanoparticles mediating functional gene transfer in humans. PloS one 7, e34833 (2012).
- Lush, R. M. et al. A single supratherapeutic dose of ridaforolimus does not prolong the QTc interval in patients with advanced cancer. Cancer chemotherapy and pharmacology 70, 567–74 (2012).
- Mamot, C. et al. Tolerability, safety, pharmacokinetics, and efficacy of doxorubicin-loaded anti-EGFR immunoliposomes in advanced solid tumours: a phase 1 dose-escalation study. The lancet oncology 13, 1234–41 (2012).
- Martinez-Garcia, M. et al. First-in-human, phase I dose-escalation study of the safety, pharmacokinetics, and pharmacodynamics of RO5126766, a first-in-class dual MEK/RAF inhibitor in patients with solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 4806–19 (2012).
- Massard, C. et al. Phase I dose-escalating study of ES-285 given as a three-hour intravenous infusion every three weeks in patients with advanced malignant solid tumors. *Investigational new drugs* 30, 2318–26 (2012).
- Massard, C. et al. Phase I study of PM00104 (Zalypsis®) administered as a 1-hour weekly infusion resting every fourth week in patients with advanced solid tumors. *Investigational new drugs* 31, 623–30 (2013).
- Mita, M. M. et al. Phase I/IIa trial of the mammalian target of rapamycin inhibitor ridaforolimus (AP23573; MK-8669) administered orally in patients with refractory or advanced malignancies and sarcoma. Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 24, 1104–11 (2013).
- Morgan, R. A. et al. Cancer Regression and Neurological Toxicity Following Anti-MAGE-A3 TCR Gene Therapy. J Immunother 36, 133–151 (2013).
- Morita, S. et al. Phase I dose-escalating study of panobinostat (LBH589) administered intravenously to Japanese patients with advanced solid tumors. *Investigational new drugs* 30, 1950–7 (2012).
- Morris, D. G. et al. REO-001: A phase I trial of percutaneous intralesional administration of reovirus type 3 dearing (Reolysin®) in patients with advanced solid tumors. *Investigational new drugs* 31, 696–706 (2013).
- Morris, M. J. et al. A phase I/IIA study of AGS-PSCA for castration-resistant prostate cancer. Annals of oncology: official
  journal of the European Society for Medical Oncology / ESMO 23, 2714–9 (2012).
- Mross, K. et al. A phase I dose-escalation study of regorafenib (BAY 73-4506), an inhibitor of oncogenic, angiogenic, and stromal kinases, in patients with advanced solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 2658–67 (2012).
- Mukohara, T., Nagai, S., Mukai, H., Namiki, M. & Minami, H. Eribulin mesylate in patients with refractory cancers: a Phase I study. *Investigational new drugs* 30, 1926–33 (2012).
- Murakami, H. et al. Phase I study of continuous afatinib (BIBW 2992) in patients with advanced non-small cell lung cancer after prior chemotherapy/erlotinib/gefitinib (LUX-Lung 4). Cancer chemotherapy and pharmacology 69, 891–9 (2012).
- Murakami, H. et al. Phase 1 study of ganitumab (AMG 479), a fully human monoclonal antibody against the insulin-like growth factor receptor type I (IGF1R), in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 70, 407–14 (2012).
- Naing, A. et al. A comparison of the pharmacokinetics of the anticancer MET inhibitor foretinib free base tablet formulation to bisphosphate salt capsule formulation in patients with solid tumors. *Investigational new drugs* 30, 327–34 (2012).
- Nokihara, H. et al. A phase I study of BMS-690514 in Japanese patients with advanced or metastatic solid tumors. Cancer chemotherapy and pharmacology 70, 559–65 (2012).
- O'Day, S. J. et al. Clinical and pharmacologic evaluation of two dose levels of intetumumab (CNTO 95) in patients with melanoma or angiosarcoma. *Investigational new drugs* 30, 1074–81 (2012).
- O'Donnell, P. H. et al. A phase I study of continuous infusion cilengitide in patients with solid tumors. Investigational new drugs 30, 604–10 (2012).
- Oehler, C. et al. Patupilone (epothilone B) for recurrent glioblastoma: clinical outcome and translational analysis of a single-institution phase I/II trial. Oncology 83, 1–9 (2012).
- Okamoto, İ. et al. Clinical phase İ study of elpamotide, a peptide vaccine for vascular endothelial growth factor receptor 2, in patients with advanced solid tumors. Cancer science 103, 2135–8 (2012).
- Okamoto, W. et al. A phase I, pharmacokinetic and pharmacodynamic study of nimotuzumab in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 72, 1063–71 (2013).



- Okusaka, T., Ueno, H., Ikeda, M., Takezako, Y. & Morizane, C. Phase I study of TAC-101, an oral synthetic retinoid, in Japanese patients with advanced hepatocellular carcinoma. *Cancer science* 103, 1524–30 (2012).
- Ott, P. a et al. Phase I/II study of pegylated arginine deiminase (ADI-PEG 20) in patients with advanced melanoma.
   Investigational new drugs 31, 425–34 (2013).
- Pan, J. et al. Phase I study of nelfinavir in liposarcoma. Cancer chemotherapy and pharmacology 70, 791–9 (2012).
- Pardo, B. et al. Phase I and pharmacokinetic study of trabectedin 3-hour infusion every three weeks in patients with advanced cancer and alteration of hepatic function. Medical oncology (Northwood, London, England) 29, 2240–50 (2012).
- Patnaik, A. et al. Phase I dose-escalation study of EZN-2208 (PEG-SN38), a novel conjugate of poly(ethylene) glycol and SN38, administered weekly in patients with advanced cancer. Cancer chemotherapy and pharmacology 71, 1499–506 (2013).
- Patterson, D. M. et al. Phase I clinical and pharmacokinetic evaluation of the vascular-disrupting agent OXi4503 in patients with advanced solid tumors. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 1415–25 (2012).
- Phuphanich, S. et al. Phase I trial of a multi-epitope-pulsed dendritic cell vaccine for patients with newly diagnosed glioblastoma. Cancer immunology, immunotherapy: CII 62, 125–35 (2013).
- Pishvaian, M. J. et al. A phase 1 study of efatutazone, an oral peroxisome proliferator-activated receptor gamma agonist, administered to patients with advanced malignancies. Cancer 118, 5403–13 (2012).
- Ramanathan, R. K. et al. A phase I trial of PX-12, a small-molecule inhibitor of thioredoxin-1, administered as a 72-hour infusion every 21 days in patients with advanced cancers refractory to standard therapy. *Investigational new drugs* 30, 1591–6 (2012).
- Rathkopf, D. E. *et al.* Phase I study of ARN-509, a novel antiandrogen, in the treatment of castration-resistant prostate cancer. *Journal of clinical oncology: official journal of the American Society of Clinical Oncology* **31**, 3525–30 (2013).
- Reardon, D. a et al. Ridaforolimus for patients with progressive or recurrent malignant glioma: a perisurgical, sequential, ascending-dose trial. Cancer chemotherapy and pharmacology 69, 849–60 (2012).
- Ribas, A. et al. Safety profile and pharmacokinetic analyses of the anti-CTLA4 antibody tremelimumab administered as a one hour infusion. Journal of translational medicine 10, 236 (2012).
- Rocha-Lima, C. M. et al. A phase 1 trial of E7974 administered on day 1 of a 21-day cycle in patients with advanced solid tumors. Cancer 118, 4262–70 (2012).
- Rong, Y. et al. A phase I pilot trial of MUC1-peptide-pulsed dendritic cells in the treatment of advanced pancreatic cancer. Clinical and experimental medicine 12, 173–80 (2012).
- Rosen, L. S. et al. A phase I first-in-human study of TRC105 (Anti-Endoglin Antibody) in patients with advanced cancer.
   Clinical cancer research: an official journal of the American Association for Cancer Research 18, 4820–9 (2012).
- Rosen, L. S. et al. The effect of different dosing regimens of motesanib on the gallbladder: a randomized phase 1b study in patients with advanced solid tumors. BMC cancer 13, 242 (2013).
- Saif, M. W. et al. Open-label, dose-escalation, safety, pharmacokinetic, and pharmacodynamic study of intravenously administered CNF1010 (17-(allylamino)-17-demethoxygeldanamycin [17-AAG]) in patients with solid tumors. Cancer chemotherapy and pharmacology 71, 1345–55 (2013).
- Salazar, R. et al. Phase I study of weekly kahalalide F as prolonged infusion in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 72, 75–83 (2013).
- Salazar, R. et al. A phase I and pharmacokinetic study of elisidepsin (PM02734) in patients with advanced solid tumors.
   Cancer chemotherapy and pharmacology 70, 673–81 (2012).
- Sandhu, S. K. et al. A first-in-human, first-in-class, phase I study of carlumab (CNTO 888), a human monoclonal antibody against CC-chemokine ligand 2 in patients with solid tumors. Cancer chemotherapy and pharmacology 71, 1041–50 (2013).
- Sandhu, S. K. *et al.* The poly(ADP-ribose) polymerase inhibitor niraparib (MK4827) in BRCA mutation carriers and patients with sporadic cancer: a phase 1 dose-escalation trial. *The lancet oncology* **14**, 882–92 (2013).
- Santoro, a et al. A Phase-1b study of tivantinib (ARQ 197) in adult patients with hepatocellular carcinoma and cirrhosis. British
  journal of cancer 108, 21–4 (2013).
- Sawada, Y. et al. Phase I trial of a glypican-3-derived peptide vaccine for advanced hepatocellular carcinoma: immunologic evidence and potential for improving overall survival. Clinical cancer research: an official journal of the American Association for Cancer Research 18, 3686–96 (2012).
- Schöffski, P. et al. A phase I, dose-escalation study of the novel Polo-like kinase inhibitor volasertib (BI 6727) in patients with advanced solid tumours. European journal of cancer (Oxford, England: 1990) 48, 179–86 (2012).
- Schwartz, G. K. et al. Phase I study of barasertib (AZD1152), a selective inhibitor of Aurora B kinase, in patients with advanced solid tumors. *Investigational new drugs* 31, 370–80 (2013).
- Seki, Y. et al. Phase I study for ridaforolimus, an oral mTOR inhibitor, in Japanese patients with advanced solid tumors. Cancer chemotherapy and pharmacology 69, 1099–105 (2012).
- Senzer, N. et al. Phase I Trial of "bi-shRNAi furin / GMCSF DNA / Autologous Tumor Cell "Vaccine (FANG) in Advanced Cancer. Molecular Therapy 20, 679–686 (2009).
- Shapiro, G. I. *et al.* A Phase 1 dose-escalation study of the safety and pharmacokinetics of once-daily oral foretinib, a multi-kinase inhibitor, in patients with solid tumors. *Investigational new drugs* **31**, 742–50 (2013).
- Slovin, S. F. et al. A phase I dose escalation trial of vaccine replicon particles (VRP) expressing prostate-specific membrane antigen (PSMA) in subjects with prostate cancer. Vaccine 31, 943–9 (2013).
- Smith, C. et al. Effective Treatment of Metastatic Forms of Epstein-Barr Virus Associated Nasopharyngeal Carcinoma with a Novel Adenovirus-Based Adoptive Immunotherapy Effective Treatment of Metastatic Forms of Epstein-Barr Virus – Associated Nasopharyngeal Carcinoma wi. (2012). doi:10.1158/0008-5472.CAN-11-3399
- Soria, J.-C. et al. Phase I safety, pharmacokinetic and pharmacodynamic trial of BMS-599626 (AC480), an oral pan-HER receptor tyrosine kinase inhibitor, in patients with advanced solid tumors. *Annals of oncology: official journal of the European Society for Medical Oncology / ESMO* 23, 463–71 (2012).
- Speranza, G. et al. Phase I study of the synthetic triterpenoid, 2-cyano-3, 12-dioxoolean-1, 9-dien-28-oic acid (CDDO), in advanced solid tumors. Cancer chemotherapy and pharmacology 69, 431–8 (2012).



- Spitaleri, G. et al. Phase I/II study of the tumour-targeting human monoclonal antibody-cytokine fusion protein L19-TNF in patients with advanced solid tumours. Journal of cancer research and clinical oncology 139, 447–55 (2013).
- Stebbing, J. et al. An intra-patient placebo-controlled phase I trial to evaluate the safety and tolerability of intradermal IMM-101 in melanoma. Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 23, 1314–9 (2012).
- Stemmer, S. M. et al. CF102 for the treatment of hepatocellular carcinoma: a phase I/II, open-label, dose-escalation study. The oncologist 18, 25–6 (2013).
- Stephenson, C. M., Levin, R. D., Spector, T. & Lis, C. G. Phase I clinical trial to evaluate the safety, tolerability, and pharmacokinetics of high-dose intravenous ascorbic acid in patients with advanced cancer. *Cancer chemotherapy and* pharmacology 72, 139–46 (2013).
- Strieth, S. et al. Phase I clinical study of vascular targeting fluorescent cationic liposomes in head and neck cancer. European
  archives of oto-rhino-laryngology: official journal of the European Federation of Oto-Rhino-Laryngological Societies (EUFOS):
  affiliated with the German Society for Oto-Rhino-Laryngology Head and Neck Surgery 270, 1481–7 (2013).
- Strumberg, D. et al. Regorafenib (BAY 73-4506) in advanced colorectal cancer: a phase I study. British journal of cancer 106, 1722-7 (2012).
- Tada, F. et al. Phase I/II study of immunotherapy using tumor antigen-pulsed dendritic cells in patients with hepatocellular carcinoma. *International journal of oncology* **41**, 1601–9 (2012).
- Takahashi, T. & Boku, N. Phase I and pharmacokinetic study of dacomitinib (PF-00299804), an oral irreversible, small molecule inhibitor of human epidermal growth factor receptor-1, -2, and -4 tyrosine kinases, in Japanese patients with advanced solid tumors. *Investigational new drugs* 30, 2352–2363 (2012).
- Tevaarwerk, A. et al. Phase I study of continuous MKC-1 in patients with advanced or metastatic solid malignancies using the modified Time-to-Event Continual Reassessment Method (TITE-CRM) dose escalation design. *Investigational new drugs* 30, 1039–45 (2012).
- Tibes, R. *et al.* A phase I, first-in-human dose-escalation study of amuvatinib, a multi-targeted tyrosine kinase inhibitor, in patients with advanced solid tumors. *Cancer chemotherapy and pharmacology* **71**, 463–71 (2013).
- Tolcher, A. W. et al. Phase I study of RO4929097, a gamma secretase inhibitor of Notch signaling, in patients with refractory metastatic or locally advanced solid tumors. *Journal of clinical oncology: official journal of the American Society of Clinical Oncology* 30, 2348–53 (2012).
- Topalian, S. L. et al. Safety, activity, and Immune Correlates of Anti-PD-1 Antibody in Cancer. The New England journal of medicine 366, 2443–2454 (2012).
- Trocóniz, I. F. et al. Population pharmacokinetic/pharmacodynamic modeling of drug-induced adverse effects of a novel homocamptothecin analog, elomotecan (BN80927), in a Phase I dose finding study in patients with advanced solid tumors. Cancer chemotherapy and pharmacology 70, 239–50 (2012).
- Van Herpen, C. M. L. et al. Pharmacokinetics and tolerability of cediranib, a potent VEGF signalling inhibitor, in cancer patients with hepatic impairment. Anti-cancer drugs 24, 204–11 (2013).
- Vilar, E. et al. A phase I dose-escalating study of ES-285, a marine sphingolipid-derived compound, with repeat dose administration in patients with advanced solid tumors. *Investigational new drugs* 30, 299–305 (2012).
- Von Gruenigen, V. E. et al. Toxicity of weekly oral topotecan in relation to dosage for gynecologic malignancies: a phase I study. Anti-cancer drugs 23, 724–30 (2012).
- Wang-Gillam, A. et al. A phase I dose escalation study of TTI-237 in patients with advanced malignant solid tumors. Investigational new drugs 30, 266–72 (2012).
- Wang-Gillam, A. et al. A phase I study of subcutaneously administered aflibercept (VEGF trap) in a new formulation in patients with advanced solid tumors. Investigational new drugs 30, 1958–61 (2012).
- Warso, M. a et al. A first-in-class, first-in-human, phase I trial of p28, a non-HDM2-mediated peptide inhibitor of p53 ubiquitination in patients with advanced solid tumours. British journal of cancer 108, 1061–70 (2013).
- Weekes, C. D. et al. Multicenter phase I trial of the mitogen-activated protein kinase 1/2 inhibitor BAY 86-9766 in patients with advanced cancer. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 1232–43 (2013)
- Weiss, G. J. et al. Phase I study of the safety, tolerability and pharmacokinetics of PHA-848125AC, a dual tropomyosin receptor kinase A and cyclin-dependent kinase inhibitor, in patients with advanced solid malignancies. *Investigational new* drugs 30, 2334–43 (2012).
- Whalen, G. F., Sullivan, M., Piperdi, B., Wasseff, W. & Galili, U. R. I. Cancer Immunotherapy by Intratumoral Injection of α-gal Glycolipids. *Anticancer research* 32, 3861–3868 (2012).
- Wólin, E. M. et al. Safety, tolerability, pharmacokinetics, and pharmacodynamics of a long-acting release (LAR) formulation of pasireotide (SOM230) in patients with gastroenteropancreatic neuroendocrine tumors: results from a randomized, multicenter, open-label, phase I stud. Cancer chemotherapy and pharmacology 72, 387–95 (2013).
- Xiao, Z.-Y., Jia, J.-B., Chen, L., Zou, W. & Chen, X.-P. Phase I clinical trial of continuous infusion of tyroserleutide in patients with advanced hepatocellular carcinoma. *Medical oncology (Northwood, London, England)* 29, 1850–8 (2012).
- Yamamoto, N. et al. The effect of CYP2C19 polymorphism on the safety, tolerability, and pharmacokinetics of tivantinib (ARQ 197): results from a phase I trial in advanced solid tumors. Annals of oncology: official journal of the European Society for Medical Oncology / ESMO 24, 1653–9 (2013).
- Yamamoto, N. et al. A Phase I, dose-finding and pharmacokinetic study of olaparib (AZD2281) in Japanese patients with advanced solid tumors. Cancer science 103, 504–9 (2012).
- Yamamoto, N. *et al.* Phase I study of oral gemcitabine prodrug (LY2334737) in Japanese patients with advanced solid tumors. *Cancer chemotherapy and pharmacology* **71**, 1645–55 (2013).
- Yang, S.-H., Lin, C.-C., Lin, Z.-Z., Tseng, Y.-L. & Hong, R.-L. A phase I and pharmacokinetic study of liposomal vinorelbine in patients with advanced solid tumor. *Investigational new drugs* 30, 282–9 (2012).
- Yap, T. a et al. First-in-man phase I trial of two schedules of the novel synthetic tetrahydroisoquinoline alkaloid PM00104 (Zalypsis) in patients with advanced solid tumours. British journal of cancer 106, 1379–85 (2012).



- Yap, T. a et al. First-in-human phase I trial of two schedules of OSI-930, a novel multikinase inhibitor, incorporating translational proof-of-mechanism studies. Clinical cancer research: an official journal of the American Association for Cancer Research 19, 909–19 (2013).
- Yarom, N. et al. Phase I clinical trial of Exherin (ADH-1) in patients with advanced solid tumors. Current clinical pharmacology 8, 81–8 (2013).
- Yau, T. et al. A phase 1 dose-escalating study of pegylated recombinant human arginase 1 (Peg-rhArg1) in patients with advanced hepatocellular carcinoma. *Investigational new drugs* **31**, 99–107 (2013).
- Yeo, W. et al. Epigenetic therapy using belinostat for patients with unresectable hepatocellular carcinoma: a multicenter phase I/II study with biomarker and pharmacokinetic analysis of tumors from patients in the Mayo Phase II Consortium and the Cancer Therapeutics Res. Journal of clinical oncology: official journal of the American Society of Clinical Oncology 30, 3361–7 (2012).
- Yoshimura, K., Minami, T., Nozawa, M. & Uemura, H. Phase I clinical trial of human vascular endothelial growth factor receptor 1 peptide vaccines for patients with metastatic renal cell carcinoma. *British journal of cancer* **108**, 1260–6 (2013).
- Zhou, A. et al. Phase I study of the safety, pharmacokinetics and antitumor activity of familinib. Cancer chemotherapy and pharmacology 72, 1043–53 (2013).