CURRICULUM VITAE

NAME

Akira Asai, Ph.D.

POSITION

Professor
Center for Drug Discovery
Graduate School of Pharmaceutical Sciences

ADDRESS

52-1 Yada, Suruga-ku, Shizuoka, 422-8526, Japan
Phone: 81-54-264-5231
Fax: 81-54-264-5231
E-mail: aasai@u-shizuoka-ken.ac.jp
URL: http://w3pharm.u-shizuoka-ken.ac.jp/tansaku/

EDUCATION

Ph.D. (1996): Graduate School of Science and Technology, Keio University
M.S. (1989): Graduate School of Science and Technology, Keio University
B.S. (1987): Applied Chemistry, Keio University

PROFESSIONAL EXPERIENCE

2004 (Apr)-: Professor, Center for Drug Discovery, Graduate School of Pharmaceutical Sciences, University of Shizuoka
2002-2004: Senior Scientist, Pharmaceutical Research Institutes, Kyowa Hakko Kogyo Co. Ltd
2001-2002: Visiting Scientist, the Scripps Research Institute (USA)
2000-2001: Stuff Scientist, Pharmaceutical Research Institutes, Kyowa Hakko Kogyo Co. Ltd.
1995 (Jun-Sep): Visiting Scientist, Geron Co. (USA)
1989-2000: Stuff Scientist, Tokyo Research Laboratories, Kyowa Hakko Kogyo Co. Ltd.

RESEARCH INTERESTS

1) Assay development and lead discovery for new anticancer drug candidates
2) Chemical biology and medicinal chemistry for biologically active small molecules

PUBLICATIONS

1) Porta F, Facchetti G, Ferri N, Gelain A, Meneghetti F, Villa S, Barlocco D, Masciocchi D, **Asai A**, Miyoshi N, Marchianò S, Kwon BM, Gandin V, Marzano C, Rimoldi I. An in vivo active

1,2,5-oxadiazole Pt(II) complex: a promising anticancer agent endowed with STAT3 inhibitory properties. *Eur J Med Chem.* 131, 196-206 (2017)
2) Ohba M, Oka T, Ando T, Arahata S, Ikegaya A, Takagi H, Ogo N, Zhu C, Owada K, Kawamori F, Wang Q, Saif LJ, **Asai A**. Antiviral effect of theaflavins against caliciviruses. *J Antibiot.* 70, 443-447 (2017)
3) Carbajales C, Sawada JI, Marzaro G, Sotelo E, Escalante L, Sánchez-Díaz Marta A, García-Mera X, **Asai A**, Coelho A. Multicomponent assembly of the kinesin spindle protein inhibitor CPUYJ039 and analogues as antimitotic agents. *ACS Comb Sci.* 19, 153–160 (2017)
4) Iwamoto K, Uehara Y, Inoue Y, Taguchi K, Muraoka D, Ogo N, Matsuno K, **Asai A**. Inhibition of STAT3 by Anticancer Drug Bendamustine. *PLoS One* 12, e0170709 (2017)
5) Gabriele E, Ricci C, Ferri N, **Asai A**, Sparatorea A. Methanethiosulfonate derivatives as ligands of the STAT3-SH2 domain. *J Enzyme Inhib Med Chem.* 32, 337-344 (2017)
6) Miyata H, Ashizawa T, Iizuka A, Kondou R, Nonomura C, Sugino T, Urakami K, **Asai A**, Hayashi N, Mitsuya K, Nakasu Y, Yamaguchi K, Akiyama Y. Combination of a STAT3 Inhibitor and an mTOR Inhibitor Against a Temozolomide-resistant Glioblastoma Cell Line. *Cancer Genomics Proteomics* 14, 83-91 (2017)
7) Gabriele E, Porta F, Facchetti G, Galli C, Gelain A, Meneghetti F, Rimoldi I, Romeo S, Villa S, Ricci C, Ferri N, **Asai A**, Barlocco D, Sparatore A. Synthesis of new dithiolethione and methanethiosulfonate systems endowed with pharmaceutical interest. *Arkivoc. part ii*, 235-250 (2017)
8) **Asai A**, Takakuma K. Expression and purification of soluble STAT5b/STAT3 proteins for SH2 domain binding assay. SH2 Domains: Methods and Protocols, Machida K, Liu BA (Eds) Springer, New York, p351-356 (2017) *book (chapter)*
9) **Asai A**, Takakuma K. Alpha-based Multiplexed Assay for Identifying SH2 Domain Antagonists. SH2 Domains: Methods and Protocols, Machida K, Liu BA (Eds) Springer, New York, p163-172 (2017) *book (chapter)*
10) Takamiya M, Sakurai M, Teranishi F, Ikeda T, Kamiyama T, **Asai A**. Lead discovery for mammalian elongation of long chain fatty acids family 6 using a combination of high-throughput fluorescent-based assay and RapidFire mass spectrometry assay. *Biochem Biophys Res Commun.* 480, 721-726 (2016)
11) Sawada JI, Osawa A, Takeuchi T, Kaneda M, Oishi S, Fujii N, **Asai A**, Tanino K, Namba K. Functional 1,3a,6a-triazapentalene scaffold: Design of fluorescent probes for kinesin spindle protein (KSP). *Bioorg Med Chem Lett.* 26, 5765-5769 (2016)
12) Kashima H, Momose F, Umehara H, Miyoshi N, Ogo N, Muraoka D, Shiku H, Harada N, **Asai A**. Epirubicin, identified using a novel luciferase reporter assay for Foxp3 inhibitors, inhibits regulatory T cell activity. *PLoS One* 11, e0156643 (2016)
13) Okada IR, Takahashi Y, Watanabe Y, Ishida H, Saito J, Nakai R, **Asai A**. The discovery and characterization of K-756, a novel Wnt/β-catenin pathway inhibitor targeting tankyrase. *Mol Cancer Ther.* 15, 1525-1534 (2016)
14) Ohba M, Oka T, Ando T, Arahata S, Ikegaya A, Takagi H, Ogo N, Owada K, Kawamori F, Wang Q, Saif LJ, **Asai A**. Discovery and synthesis of heterocyclic carboxamide derivatives as potent anti-norovirus agents. *Chem Pharm Bull.* 64, 465-475 (2016)
15) Hayashi K, Michiue H, Yamada H, Takata K, Nakayama H, Wei FY, Fujimura A, Tazawa H, **Asai A**, Ogo N, Miyachi H, Nishiki T, Tomizawa K, Takei K, Matsui H. Fluvoxamine, an anti-depressant, inhibits human glioblastoma invasion by disrupting actin polymerization. Sci Rep. 6, 23372 (2016)
16) Poli G, Gelain A, Porta F, **Asai A**, Martinelli A, Tuccinardi T. Identification of a new STAT3 dimerization inhibitor through a pharmacophore-based virtual screening approach. *J Enzyme Inhib Med Chem.* 31, 1011-1017 (2016)
17) Ogo N, Ishikawa Y, Sawada J, Matsuno K, Hashimoto A, **Asai A**. Structure-guided design of novel L-Cysteine derivatives as potent KSP inhibitors. *ACS Med Chem Lett.* 6,1004-1009 (2015)
18) Meneghetti F, Villa S, Masciocchi D, Barlocco D, Toma L, Han DC, Kwon BM, Ogo N, **Asai A**, Legnani L, Gelain A. Ureido-pyridazinone derivatives: Insights into the structural and conformational properties for STAT3 inhibition. *Eur J Org Chem.* 22, 4907–4912 (2015)
19) Yokoyama H, Sawada J, Katoh S, Matsuno K, Ogo N, Ishikawa Y, Hashimoto H, Fujii S, **Asai A**. Structural basis of new allosteric inhibition in Kinesin spindle protein Eg5. *ACS Chem Biol.* 10,

1128-1136 (2015)
20) Kuroiwa K, Ishii H, Matsuno K, **Asai A**, Suzuki Y. Synthesis and structure-activity relationship study of 1-phenyl-1-(quinazolin-4-yl)ethanols as anticancer agents. *ACS Med Chem Lett.* 6, 287-291 (2015)
21) Dell'Orto S, Masciocchi D, Villa S, Meneghetti F, Celentano G, Barlocco D, Colombo D, Legnani L, Toma L,. Jeon YJ, Kwon BM, **Asai A**, Gelain A. Modeling, synthesis and NMR characterization of novel chimera compounds targeting STAT3. *Med Chem Commun*. 5, 1651-1657 (2014)
22) Ashizawa T, Akiyama Y, Miyata H, Iizuka A, Komiyama M, Kume A, Omiya M, Sugino T, **Asai A**, Hayashi N, Mitsuya K, Nakasu Y, Yamaguchi K. Effect of the STAT3 inhibitor STX-0119 on the proliferation of a temozolomide-resistant glioblastoma cell line. *Int J Oncol*. 45, 411-418 (2014)
23) Kawamura S, Unno Y, **Asai A**, Arisawa M, Shuto S. Development of a new class of proteasome inhibitors with an epoxyketone warhead: Rational hybridization of non-peptidic belactosin derivatives and peptide epoxyketones. *Bioorg Med Chem.* 22, 3091-3095 (2014)
24) Takeuchi T, Oishi S, Kaneda M, Misu R, Ohno H, Sawada JI, **Asai A**, Nakamura S, Nakanishi I, Fujii N. Optimization of diaryl amine derivatives as kinesin spindle protein inhibitors. *Bioorg Med Chem.* 22, 3171-3179 (2014)
25) Takeuchi T, Oishi S, Kaneda M, Ohno H, Nakamura S, Nakanishi I, Yamane M, Sawada J, **Asai A**, Fujii N. Kinesin spindle protein inhibitors with diaryl amine scaffolds: crystal packing analysis for improved aqueous solubility. *ACS Med Chem Lett*. 5, 566-571 (2014)
26) Kawamura S, Unno Y, **Asai A**, Arisawa M, Shuto S. Structurally Novel highly potent proteasome inhibitors created by the structure-based hybridization of nonpeptidic belactosin derivatives and peptide boronates. *J Med Chem.* 57, 2726-2735 (2014)
27) Kawamura S, Unno Y, Hirokawa T, **Asai A**, Arisawa M, Shuto S. Rational hopping of a peptidic scaffold into non-peptidic scaffolds: structurally novel potent proteasome inhibitors derived from a natural product, belactosin A. *Chem Commun.* 50, 2445-2447 (2014)
28) Yamada H, Abe T, Li S, Tago S, Huang P, Watanabe M, Ikeda S, Ogo N, **Asai A**, Takei K. N'-[4-(dipropylamino)benzylidene]-2-hydroxybenzohydrazide is a dynamin GTPase inhibitor that suppresses cancer cell migration and invasion by inhibiting actin polymerization. *Biochem Biophys Res Commun.* 443, 511-517 (2014)
29) Takakuma K, Ogo N, Uehara Y, Takahashi S, Miyoshi N, **Asai A**. Novel multiplexed assay for identifying SH2 domain antagonists of STAT family proteins *PLoS One* 8, e71646 (2013)
30) Kawamura S, Unno Y, **Asai A**, Arisawa M, Shuto S. Design and synthesis of the stabilized analogs of belactosin A with the unnatural cis-cyclopropane structure. *Org Biomol Chem*. 11, 6615-6622 (2013)
31) Masciocchi D, Gelain A, Porta F, Meneghetti F, Pedretti A, Celentano G, Barlocco D, Legnani L, Toma L, Kwon B, **Asai A**, Villa S. Synthesis, structure–activity relationships and stereochemical investigations of new tricyclic pyridazinone derivatives as potential STAT3 inhibitors *Med Chem Commun*. 4, 1181-1188 (2013)
32) Ashizawa T, Miyata H, Iizuka A, Komiyama M, Oshita C, Kume A, Nogami M, Yagoto M, Ito I, Oishi T, Watanabe R, Mitsuya K, Matsuno K, Furuya T, Okawara T, Otsuka M, Ogo N, **Asai A**, Nakasu Y, Yamaguchi K, Akiyama Y. Effect of the STAT3 inhibitor STX-0119 on the proliferation of cancer stem-like cells derived from recurrent glioblastoma. *Int J Oncol.* 43, 219-217 (2013)
33) Kawamura S, Unno Y, Tanaka M, Sasaki T, Yamano A, Hirokawa T, Kameda T, **Asai A**, Arisawa M, Shuto S. Investigation of the non-covalent binding mode of covalent proteasome inhibitors around the transition state by combined use of cyclopropylic strain-based conformational restriction and computational modeling. *J Med Chem.* 56, 5829-5842 (2013)
34) Sato T, Iwase M, Miyama M, Komai M, Ohshima E, **Asai A**, Yano H, Miki I. Internalization of CCR4 and Inhibition of Chemotaxis by K777, a Potent and selective CCR4 antagonist. *Pharmacology* 91, 305-313 (2013)
35) Kawamura S, Unno Y, List A, Mizuno A, Tanaka M, Sasaki T, Arisawa M, **Asai A**, Groll M, Shuto S. Potent proteasome inhibitors derived from the unnatural cis-cyclopropane isomer of belactosin A: synthesis, biological activity, and mode of action. *J Med Chem*. 56, 3689-3700 (2013)
36) Masciocchi D, Villa S, Meneghetti F, Pedretti A, Barlocco D, Legnani L, Toma L, Kwon B, Nakano S, **Asai A**, Gelain A. Biological and computational evaluation of an oxadiazole derivative (MD77) as a new

lead for direct STAT3 inhibitors. *Med Chem Commun.*3, 592-599 (2012)
37) Umehara H, **Asai A**. Tributylhexadecylphosphonium bromide, a novel nuclear factor of activated T cells signaling inhibitor, blocks interleukin-2 induction associated with inhibition of p70 ribosomal protein S6 kinase phosphrylation. *Biol Pharm Bull*. 35, 805-809 (2012)
38) Nakano S, Takai K, Isaka Y, Takahashi S, Unno Y, Ogo N, Matsuno K, Takikawa O, **Asai A**. Identification of novel kynurenine production-inhibiting benzenesulfonamide derivatives in cancer cells. *Biochem Biophys Res Commun.* 419, 556-561 (2012)
39) Matsuno K, Yamazaki H, Isaka Y, Takai K, Unno Y, Ogo N, Ishikawa Y, Fujii S, Takikawa O **Asai A**. Novel candesartan derivatives as indoleamine 2,3-dioxygenase inhibitors. *Med Chem Commun.* 3, 475-479 (2012)
40) Takeuchi T, Oishi S, Watanabe T, Ohno H, Sawada JI, Matsuno K, **Asai A**, Asada N, Kitaura K, Fujii N. Structure-activity relationships of carboline and carbazole derivatives as a novel class of ATP-competitive kinesin spindle protein inhibitors. *J Med Chem.* 54, 4839-4846 (2011)
41) Ashizawa T, Miyata H, Ishii H, Oshita C, Matsuno K, Masuda Y, Furuya T, Okawara T, Otsuka M, Ogo N, **Asai A**, Akiyama Y. Antitumor activity of a novel small molecule STAT3 inhibitor against a human lymphoma cell line with high STAT3 activation. *Int J Oncol.* 38, 1245-1252 (2011)
42) Matsuno K, Masuda Y, Uehara Y, Sato H, Muroya A, Takahashi O, Yokotagawa T, Furuya T, Okawara T, Otsuka M, Ogo N, Ashizawa T, Oshita C, Tai S, Ishii H, Akiyama Y, **Asai A**. Identification of a new series of STAT3 inhibitors by virtual screening. *ACS Med Chem Lett.* 1, 371-375 (2010)
43) Oishi S, Watanabe K, Ito S, Tanaka M, Nishikawa H, Ohno H, Shimane K, Izumi K, Sakagami Y, Kodama NE, Matsuoka M, **Asai A**, Fujii N. Affinity selection and sequence-activity relationships of HIV-1 membrane fusion inhibitors directed at the drug-resistant variants. *Med Chem Commun.* 1, 276-281 (2010).
44) Matsuno K, Takai K, Isaka Y, Unno Y, Sato M, Takikawa O, **Asai A**. S-benzylisothiourea derivatives as small-molecule inhibitors of indoleamine-2,3-dioxygenase. *Bioorg Med Chem Lett.* 20, 5126-5129 (2010)
45) Shimizu M, Ishii H, Ogo N, Unno Y, Matsuno K, Sawada J, Akiyama Y, **Asai A**. S-trityl-L-cysteine derivative induces caspase-independent cell death in K562 human chronic myeloid leukemia cell line. *Cancer Lett.* 298, 99-106 (2010)
46) Oishi S, Watanabe T, Sawada J, **Asai A**, Ohno H, Fujii N. Kinesin spindle protein (KSP) inhibitors with 2,3-fused indole scaffolds. *J Med Chem*. 53, 5054-5058 (2010)
47) Oikawa T, Unno Y, Matsuno K, Sawada J, Ogo N, Tanaka K, **Asai A**. Identification of a small-molecule inhibitor of the interaction between Survivin and Smac/DIABLO. *Biochem Biophys Res Commun.* 393, 253-258 (2010)
48) Shimizu M, Ishii H, Ogo N, Matsuno K, **Asai A**. Biochemical analysis of cellular target of S-trityl-L-cysteine derivatives using affinity matrix. *Bioorg Med Chem Lett.* 20, 1578-1580 (2010)
49) Yamada H, Abe T, Li S, Masuoka Y, Isoda M, Watanabe M, Nasu Y, Kumon H, **Asai A**, Takei K. Dynasore, a dynamin inhibitor, suppresses lamellipodia formation and cancer cell invasion by destabilizing actin filaments. *Biochem Biophys Res Commun.* 390, 1142-1148 (2009)
50) Matsuno K, Sawada ., Sugimoto M, Ogo N, **Asai A**. Bis(hetero)aryl derivatives as unique kinesin spindle protein inhibitor. *Bioorg Med Chem Lett.* 19, 3405–3409 (2009)
51) Yoshida K, Yamaguchi K, Mizuno A, Unno Y, **Asai A**, Sone T, Yokosawa H, Matsuda A, Arisawa M, Shuto S. Three-dimensional structure-activity relationship study of belactosin A and its stereo- and regioisomers: development of potent proteasome inhibitors by a stereochemical diversity-oriented strategy. *Org Biomol Chem.* 7, 1868-1877 (2009)
52) Nakamura H, Watanabe M, Ban HS, Nabeyama W, **Asai A**. Synthesis and biological evaluation of boron peptide analogues of Belactosin C as proteasome inhibitors. *Bioorg Med Chem Lett.* 19, 3220-3224 (2009)
53) Uehara Y, Mochizuki M, Matsuno K, Haino T, **Asai A**. Novel high-throughput screening system for identifying STAT3-SH2 antagonists. *Biochem Biophys Res Commun.* 380, 627-631 (2009)
54) Yoshida K, Yamguchi K, Sone T, Unno Y, **Asai A**, Yokosawa H, Matsuda A, Arisawa M, Shuto S. Synthesis of 2,3- and 3,4-Methanoamino acid Equivalents with stereochemical diversity and their

conversion into the tripeptide proteasome inhibitor belactosin A and its highly potent cis-cyclopropane stereoisomer. *Organic Lett.* 10, 3571-3574 (2008)

55) Yoshida M, Kabe Y, Wada T, **Asai A**, Handa H. A New Mechanism of 6-((2-(Dimethylamino)ethyl)amino)-3-hydroxy-7H-indeno(2,1-c)quinolin-7-one Dihydrochloride (TAS-103) Action Discovered by Target Screening with Drug-Immobilized Affinity Beads. *Mol Pharmacol.* 73, 987-994 (2008)

56) Matsuno K, Sawada J, **Asai A**. Therapeutic potential of mitotic kinesin inhibitors in cancer. *Expert Opin Ther Patents.* 18, 253-274 (2008) *review article*

57) Ogo N, Oishi S, Matsuno K, Sawada J, Fujii N, **Asai A**. Synthesis and biological evaluation of l-cysteine derivatives as mitotic kinesin Eg5 inhibitors. *Bioorg Med Chem Lett.* 17, 3921-3924 (2007)

58) Nakai R, Ishida H, **Asai A**, Ogawa H, Yamamoto Y, Kawasaki H, Akinaga S, Mizukami T, Yamashita Y. Telomerase inhibitors identified by a forward chemical genetics approach using a yeast strain with shortened telomere length. *Chem Biol.* 13, 1-8 (2006)

59) Oishi S, Miyamoto K, Niida A, Yamamoto M, Ajito K, Tamamura H, Otaka A, Kuroda Y, **Asai A,** Fujii N. Application of tri- and tetrasubstituted alkene dipeptide mimetics to conformational studies of cyclic RGD peptides *Tetrahedron* 62, 1416-1424 (2006)

60) Taguchi F, Kusaba H, **Asai A**, Iwamoto Y, Yano K, Nakano H, Mizukami T, Saijo N, Nishio K. hnRNP L enhances sensitivity of the cells to KW-2189. *International J. Cancer* 108, 679-685 (2004)

61) **Asai A**, Tsujita T, Sharma SV, Yamashita Y, Akinaga S, Funakoshi M, Kobayashi H, Mizukami T. A new structural class of proteasome inhibitors identified microbial screening using yeast-based assay. *Biochem Pharmacol.* 67, 227-234 (2004)

62) Gryaznov S, **Asai A**, Oshima Y, Yamamoto Y, Pongracz K, Pruzan R, Wunder E, Piatyszek M, Li S, Chin S, Harley S, Akinaga S, Yamashita Y. Oligonucleotide N3'-P5' Thio-Phosphoramidate Telomerase Template Antagonists as Potential Anticancer Agents. *Nucleosides Nucleotides Nucleic Acids* 22, 569-573 (2003)

63) **Asai A**, Oshima Y, Yamamoto Y, Akinaga S, Yamashita Y, Pongracz K, Pruzan R, Wunder E, Piatyszek M, Li S, Tolman R, Chin A, Harley CB, Gryaznov S. A novel telomerase template antagonist (GRN163) as a potential anticancer agent. *Cancer Res.* 63, 3931-3939 (2003)

64) Mikuni O, Trager BJ, Ackerly H, Weinrich LS, **Asai A**, Yamashita Y, Mizukami T, Anazawa H. Reconstitution of telomerase activity utilizing human catalytic subunit expressed in insect cells. *Biochem Biophys Res Commun.* 298, 144-150 (2002)

65) Agatsuma T, Ogawa H, **Asai A**, Yamashita Y, Mizukami T, Akinaga S, Saitoh Y. Halohydrin and oxime derivatives of radicicol: synthesis and antitumor activities. *Bioorg Med Chem.* 10, 3445-3454 (2002)

66) Nakai R, Kakita S, **Asai A**, Chiba S, Akinaga S, Mizukami T, Yamashita Y. Chrolactomycin, a novel antitumor antibiotic produced by Streptomyces sp. *J Antibiot.* 54, 836-9 (2001)

67) Gryaznov S, Pongracz K, Matray T, Schultz R, Pruzan R, Aimi J, Chin A, Harley C, Shea-Herbert B, Shay J, Oshima Y, **Asai A,** Yamashita Y. Telomerase inhibitors--oligonucleotide phosphoramidates as potential therapeutic agents. *Nucleosides Nucleotides Nucleic Acids* 20, 401-10 (2001)

68) Nakai R, Ogawa H, **Asai A**, Ando K, Agatsuma T, Matsumiya S, Akinaga S, Yamashita Y, Mizukami T. UCS1025A, a novel antitumor antibiotic produced by Acremonium sp.: I. Producing organism, fermentation, isolation and biological activities. *J. Antibiot.* 53, 294-296 (2000)

69) Toshima K, Maeda Y, Ouchi H, **Asai A,** Matsumura S. Carbohydrate-modulated DNA photocleavage: design, synthesis, and evaluation of novel glycosyl anthraquinones. *Bioorg Med Chem Lett.* 10, 2163-2165 (2000)

70) **Asai A**, Hasegawa A, Ochiai K, Yamashita Y, Mizukami T. Belactosin A, a novel antitumor antibiotic acting on cyclin/CDK mediated cell cycle regulation, produced by Streptomyces sp. *J. Antibiot.* 53, 81-83 (2000)

71) **Asai A**, Sakai Y, Ogawa H, Yamashita Y, Kakita S, Ochiai K, Ashizawa T, Mihara A, Mizukami T, Nakano H. Pyrronamycin A & B, novel antitumor antibiotics containingpyrrole-amide repeating unit, produced by Streptomyces sp.: I. Production and Biological activity. *J.Antibiot.* 53, 66-69 (2000)

72) **Asai A**, Nagamura S, Saito H, Kobayashi E, Gomi K. Synthesis and antitumor activity of water-soluble duocarmycin B1 Prodrugs. *Bioorg Med Chem Lett.* 9, 2995-2998 (1999)

73) **Asai A**, Yamashita Y, Ando K, Kakita S, Kita K, Suzuki Y, Mihara A, Ashizawa T, Mizukami T,

Nakano H. UCT1072s, new antitumor antibiotics with topoisomerase II mediated DNA cleavage activity, from Aspergillus sp. *J. Antibiot.* 52, 1046-1049 (1999)
74) **Asai A**, Mizukami T, Nakano H. Characterization of the duocarmycin-DNA adduct recognizing protein in cancer cells. *Cancer Res.* 59, 5417-5420 (1999)
75) Toshima K, Takano R, Maeda Y, Suzuki M, **Asai A**, Matsumura S. Phenylquinoline-carbohydratehybrids: Molecular design, chemical synthesis and evaluation of a new family of light activatable DNA cleaving Agents. *Angew Chem Int Ed Engl*. 38, 3733-3735 (1999)
76) Toshima K, Ouchi H, Okazaki Y, Kano T, Moriguchi M, **Asai A**, Matsumura S. Artificial anthraquinone-carbohydrate hybrids: Design, synthesis, DNA binding, and cytotoxicity. *Angew Chem Int Ed Engl.* 36, 2748-2750 (1997)
77) Nagamura S, **Asai A**, Amishiro N, Kobayashi E, Gomi K, Saito H. Synthesis and antitumor activity of duocarmycin derivatives: A-ring pyrrole compounds bearing cinnamoyl groups. *J Med Chem.* 40, 972-979 (1997)
78) Nagamura S, **Asai A**, Kobayashi E, Gomi K, Saito H. Studies on duocarmycin SA and its derivatives. *Bioorg Med Chem.* 5, 623-630 (1997)
79) **Asai A**, Saito H, Saitoh Y. Thiol-independent DNA cleavage by a leinamycin degradation product. *Bioorg Med Chem.* 5, 723-729 (1997)
80) **Asai A**, Hara M, Kakita S, Kanda Y, Yoshida M, Saito H, Saitoh Y. Thiol-mediated DNA alkylation by the novel antitumor antibiotic leinamycin. *J Am Chem Soc.* 118, 6802-6803 (1996)
81) Nagamura S, **Asai A**, Kanda Y, Kobayashi E, Gomi K, Saito H. Synthesis and antitumor activity of duocarmycin derivatives: Modification of Segment A of Duocarmycin B2. *Chem Pharm Bull.* 44, 1723-1730 (1996)
82) Nagamura S, Kanda Y, **Asai A**, Kobayashi E, Gomi K, Saito H. Wagner-Meerwein rearrangement of duocarmycins. *Chem Pharm Bull.* 44, 933-939 (1996)
83) **Asai A**, Nagamura S, Saito H, Kobayashi E, and Gomi K. Synthesis and antitumor activity of novel duocarmycin derivatives. *Bioorg Med Chem Lett.* 6, 1215-1220 (1996)
84) Kobayashi E, Okamoto A, Asada M, Okabe M, Nagamura S, **Asai A**, Saito H, Gomi K, Hirata T. Characteristics of antitumor activity of KW-2189, a novel water-soluble derivative of duocarmycin, against murine and human tumors. *Cancer Res.* 54, 2404-2410 (1994)
85) Okamoto A, **Asai A**, Saito H, Okabe M, Gomi K. Differential effect of duocarmycin A and its novel derivative DU-86 on DNA strand breaks in HeLa S3 cells. *Jpn J Cancer Res.* 85, 1304-1311 (1994)
86) **Asai A**, Nagamura S, Saito H, Takahashi I, Nakano H. The reversible DNA-alkylating activity of duocarmycin and its analogues. *Nucleic Acids Res.* 22, 88-93 (1994)
87) **Asai A**, Nagamura S, Saito H. Novel property of duocarmycin and its analogues for covalent reaction with DNA. *J Am Chem Soc.* 116, 4171-4177 (1994)
88) Sugiyama H, Ohmori K, Chan KL, Hosoda M, **Asai A**, Saito H, Saito I. Novel guanine N3 alkylation by antitumor antibiotic duocarmycin A *Tetrahedron Lett.* 34, 2179-2182 (1993)
89) Satoh S, Moriyama C, **Asai A**, Handa H, Rajewsky MF, Kuroki T, Huh Nam. Monoclonal antibody-mediated solid-phase assay for mammalian O6-alkylguanine DNA alkyltransferase activity. *Anal Biochem.* 196, 403-409 (1991)
90) Sugiyama H, Hosoda M, Saito I, **Asai A**, Saito H. Covalent alkylation of DNA with duocarmycin A. Identification of abasic site structure *Tetrahedron Lett.* 31,7197-7200 (1990)
91) Kawaguchi H, **Asai A**, Ohtsuka Y, Watanabe H, Wada T, Handa H. Purification of DNA-binding transcription factors by their selective adsorption on the affinity latex particles. *Nucleic Acids Res.* 15, 6229-6240 (1989)