

Chemical Name	Dosage	Reference
10-HYDROXYCAMPTOTHECIN	0.4-1 uM	
17-BETA-ESTRADIOL		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
20(S)-CAMPTOTHECIN	IC50=0.52 uM	Wall, M.E., Wani, M.C., Nicholas, A.W., Manikumar, G., Tele, C., Moore, L., Truesdale, A., Leitner, P., Besterman, J.M. 1993. Plant Antitumor Agents. 30. Synthesis and Structure Activity of Novel Camptothecin Analogs. J Med Chem, 36: 2689-2700.
3',5',7-TRI-O-METHYLTRICETIN	1 ug/mL	Zahir, A., Jossang, A., Bodo, B., Provost, J., Cosson, J. P., Sevenet, T. 1996. DNA Topoisomerase I Inhibitors: Cytotoxic Flavones From Lethedon tannaensis. J Natural Products, 59: 701-703.
4-NEROLIDYL-CATECHOL	IC50=20 ug/ml	Mongelli, E., Aromano, a., Desmarchelier, C., Coussio, J., Ciccia, G. 1999. Cytotoxic 4-nerolidylcatechol From Pothomorphe peltata Inhibits Topoisomerase I Activity. Planta Medica, 65: 376-378.
5,6-DIHYDRO-8-DEMETHYLCORYALYNE	0.26 uM	Pilch, D. S., et al. 1997. Minor Groove-Directed and Intercalative Ligand-DNA Interactions in the Poisoning of Human DNA Topoisomerase I by Protoberberine Analogs. Biochemistry, 36: 12542-12543.
7-HYDROXY-FLAVONE	100 ug/ml	
8-DEMETHYLCORALYNE	26 uM	Pilch, D. S., et al. 1997. Minor Groove-Directed and Intercalative Ligand-DNA Interactions in the Poisoning of Human DNA Topoisomerase I by Protoberberine Analogs. Biochemistry, 36: 12542-12543.
ACACETIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
ALNUMY CIM		Bieber, B., Nueske, J. 1998. Alnumycin, a New Metabolite From Streptomyces sp., Procedure For Its Production And Its Biological Effect. Patent, Ger Offen-19,745,914. 10pp.
ALPHA-BOSWELLIC-ACID-ACETATE	IC>80=5 uM	

Chemical Name	Dosage	Reference
AMAROGENIN	5 uM	Ray, S., Majumder, H.K., Chakravarty, A.K., Mukhopadhyay, S., Gil, R.R., Cordell, G.A.1996.Amarogentin, a Naturally Occurring Secoiridoid Glycoside and a Newly Recognized Inhibitor of Topoisomerase I From <i>Leishmania donovani</i> . <i>J Natural Products</i> , 59: 27-29
AMPHIMIC-ACID-A		Nemoto, T., Ojika, M., Sakagami, Y. 1997. Amphimic Acids, Novel Unsaturated C28 Fatty Acids as DNA Topoisomerase I Inhibitors From an Australian Sponge <i>Amphimedon</i> sp. <i>Tetrahedron Letters</i> , 38: 5667-5670.
APIGENIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. <i>Toxicol Ind Health</i> , 14: 223-237.
APIODIONEN	83 ug/ml	Takahashi, H., Shiraishi, A., Iizuka, Y., Furuya, K., Kagasaki, T. 1992. Manufacture of Anticancer and Anti-Inflammatory Apiodionen With <i>Apiosordaria</i> . Patent, Japan Kokai Tokkyo Koho-04 49,289. 8pp.
BETA-LAPACHONE	250 uM	Li, C. J., Verboukh, L., Pardee, A. B. 1993. Beta-lapachone, a Novel DNA Topoisomerase I Inhibitor With a Mode of Action Different From Camptothecin. <i>J Biol Chem</i> , 268: 22463-22468.
BETA-LAPACHONE	1 ug/mL	Frydman, B., et al. 1997. Induction of DNA Topoisomerase II-Mediated DNA Cleavage by Beta-lapachone and Related Naphthoquinones. <i>Cancer Research</i> , 57: 620-627.
BETA-LAPACHONE	IC50=1 uM	Weller, M., et al. 1997. Topoisomerase I Inhibitors For Human Malignant Glioma: Differential Modulation of P53, P21, BAX and BEL-2 Expression and of CD95-Mediated Apoptosis. <i>Int J Cancer</i> , 73: 707-714.
BIOCHANIN-A		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. <i>Toxicol Ind Health</i> , 14: 223-237.
BIS-DEMETHOXYCURCUMIN	25 ug/ml	

Chemical Name	Dosage	Reference
CAFFEINE	0.1 nM	Tohda, H., Zhao, J. H., Oikawa, A. 1992. A Possible Involvement of DNA Topoisomerase I in 'Caffeine Effect' After Ultraviolet Irradiation. <i>Tohoku J Exp Med</i> , 168: 129-132.
CAFFEINE	75 uM	Shin, C. G., Strayer, J. M., Wani, M., Snapka, R. M. 1990. Rapid Evaluation of Topoisomerase Inhibitors: Caffeine Inhibition of Topoisomerases in vivo. <i>Teratogen Carcinogen Mutagen</i> , 10: 41-52.
CAMPTOTHECIN	1-50 uM	
CAMPTOTHECIN	IC50=670 nM	Luzzio, M. J., et al. 1995. Synthesis and Antitumor Activity of Novel Water Soluble Derivatives of Camptothecin as Specific Inhibitors of Topoisomerase I. <i>J Med Chem</i> , 38: 395-401.
CAMPTOTHECIN	IC50=1 uM	Weller, M., et al. 1997. Topoisomerase I Inhibitors For Human Malignant Glioma: Differential Modulation of P53, P21, BAX and BEL-2 Expression and of CD95-Mediated Apoptosis. <i>Int J Cancer</i> , 73: 707-714.
CAMPTOTHECIN	ED50=0.8 uM	Hertzberg, R. P., et al. 1989. Modification of the Hydroxy Lactone Ring of Camptothecin: Inhibition of Mammalian topoisomerase I and Biological Activity. <i>J Med Chem</i> , 32: 715-720.
CHARTREUSIN	1 ug/mL	Yoshida, T., Habuka, N., Takeuchi, M., Ichishima, E. 1986. Inhibition of DNA Topoisomerase I From <i>Alcaligenes</i> sp. by Chartreusin. <i>Agr Biol Chem</i> , 50: 515-516.
CHEBULAGIC-ACID	IC50=50 nM	
CHEBULANIN	MIC=0.1 ug/ml	Tokura, K., Kagawa, S. 1993. Anticancer Agents Containing Chebulanin From <i>Terminalia chebula</i> . Patent, Japan Kokai Tokkyo Koho-07 138,165. 4pp.
CHELERYTHRINE		Fang, S. D., Wang, L. K., Hect, S. M. 1993. Inhibitors of DNA Topoisomerase I Isolated From the Roots of <i>Zanthoxylum nitidum</i> . <i>J Org Chem</i> , 58: 5025-5027.

Chemical Name	Dosage	Reference
CHRY SIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
CORILAGIN	IC50=40 uM	Hecht, S. M., Berry, D. E., MacKenzie, L. J., Busby, R. W., Nasuti, C. A. 1992. A Strategy For Identifying Novel, Mechanistically Unique Inhibitors of Topoisomerase I. J Natural Products, 55: 401-413.
CRYPTOLEPINE	5 uM	Bonjean, K., et al. 1998. The DNA Intercalating Alkaloid Cryptolepine Interferes with Topoisomerase II and Inhibits Primarily DNA Synthesis in B16 Melanoma Cell. Biochemistry, 37: 5136-5146.
CURCUMIN		
DAIDZEIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
DEMETHOXYCURCUMIN		
DIHYDRODAIDZEIN	250 ppm (weak activity)	Chang, Y. C., Nair, M. G., Nitiss, L. J. L. 1995. METabolites of Daidzein and Genistein and Their Biological Activities. J Natural Products, 58: 1901-1905.
DIHYDROGENISTEIN	250 ppm	Chang, Y. C., Nair, M. G., Nitiss, L. J. L. 1995. METabolites of Daidzein and Genistein and Their Biological Activities. J Natural Products, 58: 1901-1905.
DIHYDROTANSHINONE-I	1 uM	Lee, D. S., Lee, S. H., Kwon, G. S., Lee, H. K., Woo, J. H., Kim, J. G., Hong, S. D. 1999. Inhibition of DNA Topoisomerase I by Dihydrotanshinone I, Components of a Medicinal Herb Salvia miltiorrhiza Bunge. Biosci. Biotech. Biochem., 63: 1370-1373.
DISTAMYCIN		Mortensen, U. H., Stevensner, T., Krogh, S., Olesen, K., Westergard, O., Bonven, B. J. 1990. Distamycin Inhibition of Topoisomerase I-DNA Intercation: A Mechanistic Analysis. Nucleic Acids Res, 18: 1983-1989.

Chemical Name	Dosage	Reference
DOTRIACOLIDE	2.6 ug/ml	Ogawara, H., Horikawa, S., Yanagida, T., Nakno, M. M., Andoh, T., Ishii, K., Hori, M., Goto, T. A., Hamada, M., Umezawa, H. 1982. A Novel Deoxyribonuclease Inhibitor From Micromonospora. J Antibiot, 35: 248-250.
EPIBERBERINE	250 uM	Kobayashi, Y, Yamashita, Y., Fujii, N., Takaboshi, K., Kawakami, T., Kawamura, M., Mizukami, T., Nakano, H. 1995. Inhibitors of DNA Topoisomerase I and II Isolated From the Coptis Rhizomes. Planta Medica, 61: 414-418.
EPIMANOALIDE-25-ACETAL	IC50=25 uM	Kobayashi, M., Okamoto, T., Hayashi, K., Yokayama, N., Sasaki, T., Kitagawa, I. 1994. Marine Natural Products. XXXII. Absolute Configurations of C-4 of the Manoalide Family, Biologically Active Sesterterpenes From the Marine Sponge. Chem Pharm Bull, 42: 265-270
EQUOL	IC50=50 ppm	Chang, Y. C., Nair, M. G., Nitiss, L. J. L. 1995. MEtabolites of Daidzein and Genistein and Their Biological Activities. J Natural Products, 58: 1901-1905.
FAGARONINE	0.15-100 uM	
FISETIN	IC50=20.6 ug/ml	
FORMONETIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
FORMONONETIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
FREDERICAMYCIN-A	4.4 uM	Latham, M. D., King, C. K., Gorycki, P., MacDonald, T. L., Ross, W. E. 1989. Inhibition of Topoisomerases by Fredericamycin A. Cancer Chemother Pharmacol, 24: 167-171.
GALANGIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.

Chemical Name	Dosage	Reference
GALLIC-ACID		Hamada, S. I., Kataoka, T., Woo, J. T., Yamada, A., Yoshida, T., Nishimura, T., Otake, N., Nagai, K. 1997. Immunosuppressive Effects by Gallic Acid and Chebulagic Acid on CTL-Mediated Cytotoxicity. <i>Biol Pharm Bull</i> , 20: 1017-1019.
GENISTEIN	IC50=250 ppm	
GENISTEIN	1-10 ug/ml	Okura, A., Arakawa, H., Oka, H., Yoshinari, T., Monden, Y. 1988. Effect of Genistein on Topoisomerase Activity and on the Growth of [VAL 12]HA-RAS-Transformed NIH 3T3 Cells. <i>Biochem Biophys Res Commun</i> , 157: 183-189.
GROENLANDICINE	250 uM	Kobayashi, Y., Yamashita, Y., Fujii, N., Takaboshi, K., Kawakami, T., Kawamura, M., Mizukami, T., Nakano, H. 1995. Inhibitors of DNA Topoisomerase I and II Isolated From the <i>Coptis</i> Rhizomes. <i>Planta Medica</i> , 61: 414-418.
HALENAQUINONE	0.3 ug/ml	Bae, M. A., Tsuji, T., Kondo, K., Hirase, T., Ishibashi, M., Shigemori, H., Kobayashi, J. I. 1993. Inhibition of Mammalian Topoisomerase I by Xestoquinone and Halenaquinone. <i>Biosci. Biotech. Biochem.</i> , 57: 330-331.
HARMAN	ED50=23.8 ug/ml (weak)	Funayama, Y., Nishio, K., Kabayashi, K., Nagao, M., Shimoi, K., Ohira, T., Hasegawa, S., Saijo, N. 1996. Effects of Beta- and Gamma-carboline Derivatives on DNA Topoisomerase Activities. <i>Mutat Research</i> , 249: 183-191.
ISORABDOSIIN	50 uM	Kashiwada, Y., Bastow, K. F., Lee, K. H. 1995. Novel Lignan Derivatives as Selective Inhibitors of DNA Topoisomerase II. <i>Bioorg Med Chem Lett</i> , 5: 905-908.
KAEMPFERIDE		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. <i>Toxicol Ind Health</i> , 14: 223-237.
KAEMPFEROL		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. <i>Toxicol Ind Health</i> , 14: 223-237.

Chemical Name	Dosage	Reference
LUTEOLIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
MAKALUVAMINE-G	IC50=3 uM	Carney, J. R., Scheuer, P. J., Kelly-Gorges, M. 1993. Makaluvamine G, a Cytotoxic Pigment From an Indonesian Sponge <i>Histodermella</i> sp. Tetrahedron, 49: 8483-8486.
MENADIONE	1 ug/mL	Frydman, B., et al. 1997. Induction of DNA Topoisomerase II-Mediated DNA Cleavage by Beta-lapachone and Related Naphthoquinones. Cancer Research, 57: 620-627.
MITOMYCIN-C		Zhang, W. S., Lin, Z. K., Huang, X. T. 1990. Effect of Some Nonintercalative Antitumor Drugs on the Activity of Calf Thymus DNA Topoisomerase I. Yao Hsueh Hsueh Pao, 25: 641-645.
MONOMARGINE	10 ug/ml	Mahmood, K., Pais, M., Fontaine, C., Ali, H. M., Hamid, A., Hadi, A., Guittet, E. 1993. Monomargine, a Nitrogenous Cytotoxic Pigment From <i>Monocarpia marginalis</i> . Tetrahedron Letters, 34: 1795-1796.
MORIN	IC50=42.1 ug/ml (weak activity)	Constantinou, A., Mehta, R., Runyan, C., Rao, K., Vaughan, A., Moon, R. 1995. Flavonoids as DNA Topoisomerase Antagonists and Poisons: Structure-Activity Relationships. J Natural Products, 58: 217-225.
MYRICETIN	IC50=11.9 ug/ml	
NARINGENIN		Santti, R., Makela, S., Strauss, L., Korman, J., Kostian, M. L. 1998. Phytoestrogens: Potential Endocrine Disruptors in Males. Toxicol Ind Health, 14: 223-237.
NEOAMPHIMEDINE		De Guzman, F. S., et al. 1999. Neoamphimedine: A New Pyridoacridine Topoisomerase II Inhibitor Which Catenates DNA. J Org Chem, 64: 1400-1402.
NITIDINE	0.15 uM	

Chemical Name	Dosage	Reference
NORHARMAN	ED50=34.4 ug/ml (weak activity)	Funayama, Y., Nishio, K., Kabayashi, K., Nagao, M., Shimoi, K., Ohira, T., Hasegawa, S., Saijo, N. 1996. Effects of Beta- and Gamma-carboline Derivatives on DNA Topoisomerase Activities. <i>Mutat Research</i> , 249: 183-191.
NOVOBIOCIN	150 uM	Constantinou, A., Henning-Chubb, C., Huberman, E. 1989. Novobiocin- and Phorbol-12 myristate-13-acetate-Induced Differentiation of Human Leukemia Cells Associated with a Reduction in Topoisomerase II Activity. <i>Cancer Research</i> , 49: 1110-1117.
PEDUNCULAGIN	0.03 ug/ml	Ooishi, K., Kato, J., Hayamizu, K. 1994. Topoisomerase Inhibitors Containing Tannins, Especially Pedunculagin, for Treatment of Cancer. Patent, Japan Kokai Tokkyo Koho-06 72,885. 7pp.
QUERCETIN	IC50=12.8 ug/ml	
QUERCETIN	IC50=42 uM	
RABDOSIN	50 uM	Kashiwada, Y., Bastow, K. F., Lee, K. H. 1995. Novel Lignan Derivatives as Selective Inhibitors of DNA Topoisomerase II. <i>Bioorg Med Chem Lett</i> , 5: 905-908.
RUBRAXANTHONE	IC50=60 ug/ml	Iinuma, T., Nozaki, H. 1998. Antitumor Agents Containing Xanthenes as Topoisomerase Inhibitors. Patent, Japan Kokai Tokkyo Koho-10 203,977. 10pp.
SANGUIIN-H-6	IC50=0.02-5 uM	Bastow, K.F., Bori, I.D., Fukushima, Y., Kashiwada, Y., Tanaka, T., Nonaka, G., Nishioka, I., Lee, K.H. 1993. Inhibition of DNA Topoisomerases by Sanguin H-6, a Cytotoxic Dimeric Ellagitannin From <i>Sanguisorba officinalis</i> . <i>Planta Medica</i> , 59: 240-245.
SANSALVAMIDE-A	IC50=124 um	
VELUTIN	0.1 ug/ml	Zahir, A., Jossang, A., Bodo, B., Provost, J., Cosson, J. P., Sevenet, T. 1996. DNA Topoisomerase I Inhibitors: Cytotoxic Flavones From <i>Lethedon tannaensis</i> . <i>J Natural Products</i> , 59: 701-703.

Chemical Name	Dosage	Reference
WAKAYIN	6.7 nM	Kokoshka, J. M., Capson, T. L., Holden, J. A., Ireland, C. M., Barrows, L. R. 1996. Differences in the Topoisomerase I Cleavage Complexes Formed by Camptothecin and Wakayin, a DNA-Intercalating Marine Natural Product. <i>Anticancer Drugs</i> , 7: 758-765.
XESTOQUINONE	IC50=0.15 ug/ml	Bae, M. A., Tsuji, T., Kondo, K., Hirase, T., Ishibashi, M., Shigemori, H., Kobayashi, J. I. 1993. Inhibition of Mammalian Topoisomerase I by Xestoquinone and Halenaquinone. <i>Biosci. Biotech. Biochem.</i> , 57: 330-331.