

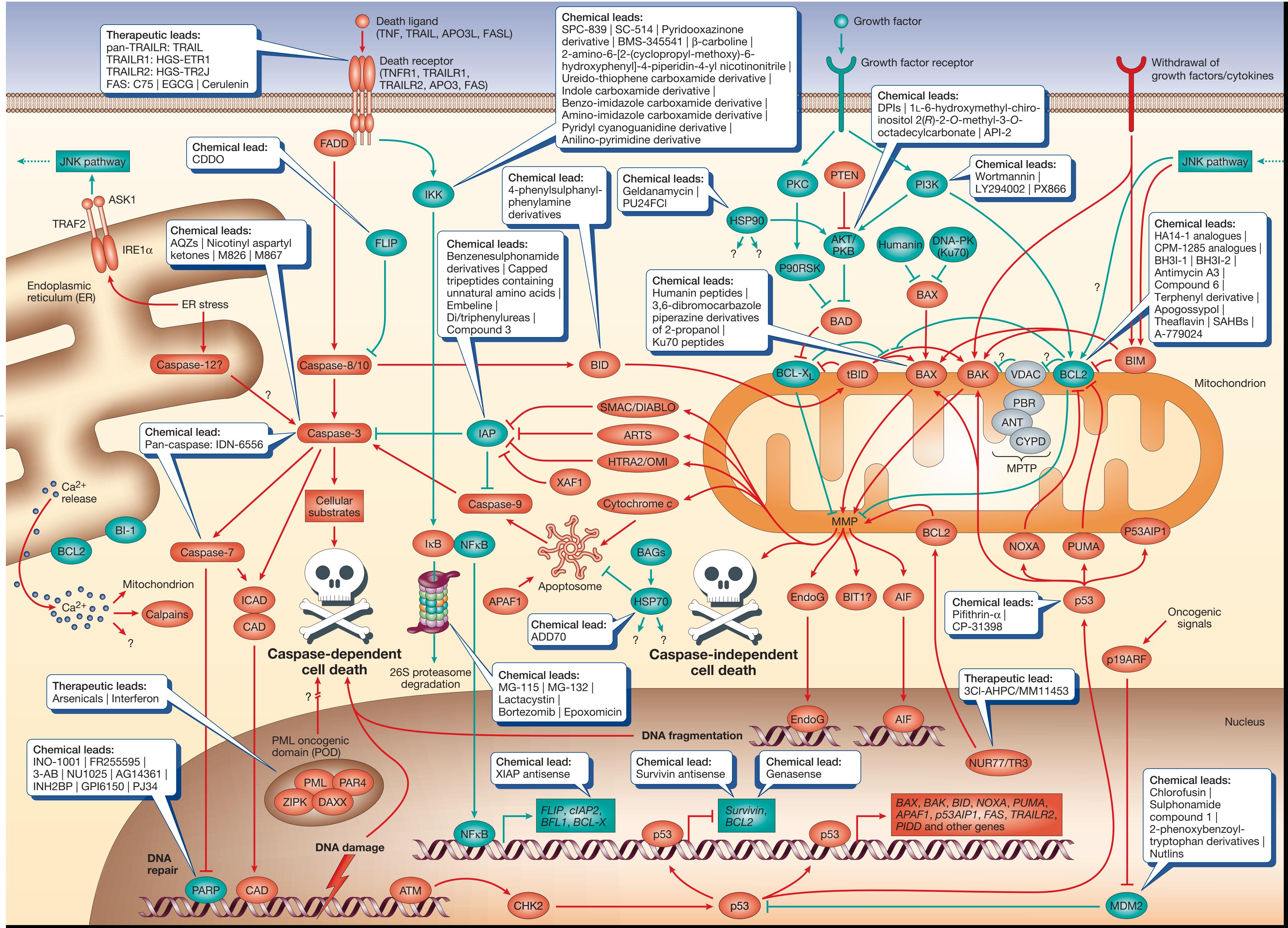
Apoptosis pathways and drug targets

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Research Laboratories

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Chemical lead*	Developing company or organization	Target [‡]	Stage	Refs
GenaSense (antisense) [§]	Genta Inc. (Aventis)	BCL2	Phase III	1,2
HA14-1 analogues CPM-1285 analogues	Raylight Chemokine Pharmaceuticals Inc.	BCL2	Preclinical	3,4 5
BH3I-1 BH3I-2	Harvard University	BCL2	Preclinical	6
Antimycin A3	University of Washington	BCL2	Preclinical	7
Compound 6	University of Michigan	BCL2	Preclinical	8
Terphenyl derivative	Yale University	BCL2	Preclinical	9
Apogossypol Theaflavin	The Burnham Institute	BCL2	Preclinical	10,11 12
SAHBs	Harvard University	BCL2	Preclinical	13
A-779024	Abbott Laboratories	BCL2	Preclinical	–
Humanin peptides	The Burnham Institute	BAX	Preclinical	14
3,6-dibromocarbazole piperazine derivatives of 2-propanol	Serono Inc.	BAX	Preclinical	15
Ku70 peptides	The Blood Center of South Eastern Wisconsin	BAX	Preclinical	16
4-phenylsulphonyl-phenylamine derivatives	The Burnham Institute	BID	Preclinical	17
Benzenesulphonamide derivatives	Novartis Genome Foundation	IAP	Preclinical	18
Capped tripeptides containing unnatural amino acids	Abbott Laboratories	IAP	Preclinical	19
Embeline	University of Michigan	IAP	Preclinical	20
Di/triphenylureas (1396-11,12,34)	The Burnham Institute	IAP	Preclinical	21
Compound 3	University of Texas Southwestern Medical Center	IAP	Preclinical	22
XIAP antisense (AEG35156/GEM640) [§]	Aegera Therapeutics Inc.	XIAP	Phase I	–
Survivin antisense (ISIS 23722) [§]	ISIS Pharmaceuticals Inc. (Lilly)	Survivin	Phase I	23
α-(trichloromethyl)-4-pyridineethanol (PETCM)	University of Texas Southwestern Medical Center/ Abbott Laboratories	APAF1?	Preclinical	24
IDN-6556	IDUN Pharmaceuticals Inc.	Pan-caspase	Phase II	25,26
Anilinoquinazolines (AQZs)	AstraZeneca Pharmaceuticals	Caspase-3	Preclinical	27
Nicotinyl aspartyl ketones	Merck & Co.	Caspase-3	Preclinical	28
M826 M867	Merck & Co.	Caspase-3	Preclinical	29 30
Pifithrin-α	University of Illinois at Chicago	p53	Preclinical	31
CP-31398	Pfizer Inc.	p53	Preclinical	32
Chlorofusin	University Chemical Laboratory, UK	MDM2	Preclinical	33
Sulphonamide compound 1	Virginia Commonwealth University	MDM2	Preclinical	34
2-phenoxybenzoyl-tryptophan derivatives	Schering-Plough Research Institute	MDM2	Preclinical	35
Nutlins	Hoffmann-La Roche Inc.	MDM2	Preclinical	36
INO-1001	Inotek Corp.	PARP	Phase I	37
FR255595	Fujisawa Pharmaceutical Co., Ltd.	PARP	Phase I	38
3-AB	Charing Cross Hospital	PARP	Preclinical	39
NU1025 AG14361	University of Newcastle upon Tyne	PARP	Preclinical	40 41
INH2BP	Harvard Medical School	PARP	Preclinical	42
GPI6150	Guilford Pharmaceuticals Inc.	PARP	Preclinical	43
PJ34	Inotek Corp.	PARP	Preclinical	44
Flavonoids	National Cancer Institute	CDKs	Phase I	45
TRAIL [§]	Genentech Inc./Amgen Inc.	pan-TRAILR	Phase I	46
HGS-ETR1 [§] HGS-TR2J [§]	Human Genome Sciences Inc./Kirin	TRAILR1 R2	Phase I	47 48
C75	Johns Hopkins Medical Institutions	FAS	Phase II	49
Epigallocatechin gallate (EGCG)	University of Shizuoka	FAS	Phase I	50–52
Cerulenin	Johns Hopkins Medical Institutions	FAS	Preclinical	53
SPC-839	Signal Pharmaceuticals Inc.	IKK	Preclinical	54
SC-514	Pfizer Inc.	IKK	Preclinical	55
Pyridooxazinone derivative	Bayer AG	IKK	Preclinical	56
BMS-345541	Bristol-Myers Squibb Pharmaceutical Research Institute	IKK	Preclinical	57
β-carboline	Millennium Pharmaceuticals Inc.	IKK	Preclinical	58
2-amino-6-[2-(cyclopropylmethoxy)-6-hydroxy-phenyl]-4-piperidin-4-yl nicotinonitrile	Bayer Yakuhin Ltd.	IKK	Preclinical	59
Ureido-thiophene carboxamide derivative	SmithKline Beecham Corp./AstraZeneca	IKK	Preclinical	60
Indole carboxamide derivative	Aventis Pharma	IKK	Preclinical	61
Benzo-imidazole carboxamide derivative	Aventis Pharma	IKK	Preclinical	62
Amino-imidazole carboxamide derivative	SmithKline Beecham Corp.	IKK	Preclinical	63
Pyridyl cyanoguanidine derivative	LEO Pharma A/S	IKK	Preclinical	64
Anilino-pyrimidine derivative	Signal Pharmaceuticals Inc.	IKK	Preclinical	65
2-cyano-3,12-dioxoolean-1,9-bien-28-oic acid (CDDO)	Dartmouth College/Reata Discovery Inc.	FLIP	Preclinical	66–68
DPIs	University of Arizona	AKT/PKB	Preclinical	69
1L-6-hydroxymethyl-chiro-inositol 2(R)-2-O-methyl-3-O-octadecylcarbonate	University of Bologna	AKT/PKB	Preclinical	70
API-2	University of South Florida	AKT/PKB	Preclinical	71
Wortmannin	University of Fribourg, Switzerland	PI3K	Preclinical	72
LY294002	Lilly Research Laboratories	PI3K	Preclinical	73
PX866	University of Arizona	PI3K	Preclinical	74
MG-115, MG-132	Tokyo Metropolitan Institute of Medical Science	26S proteasome	Preclinical	75,76
Lactacystin	University of Tokyo	26S proteasome	Preclinical	77
Bortezomib (Velcade)	Millennium Pharmaceuticals Inc.	26S proteasome	Approved	78
Epoxomicin	Yale University	26S proteasome	Preclinical	79–81
3CI-AHPC/MM11453 [§]	Molecular Medicine Research Institute/ The Burnham Institute	NUR77/TR3	Preclinical	82
Gossypol/R-Gossypol	National Institutes of Health/University of Texas M.D. Anderson Cancer Center	Topoisomerase II/ DNA polymerase	Phase II	83,84
Arsenicals	Shanghai Second Medical University	PODs	Phase I	85
Interferon [§]	Université Paris VII	PODs	Phase I/II	86
Geldanamycin	University of Arizona	HSP90	Phase II	87
PU24FCI	Memorial Sloan-Kettering Cancer Center	HSP90	Preclinical	88
ADD70	Institut National de la Santé et de la Recherche Médicale U-517, France	HSP70	Preclinical	89

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