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Compound name	Targeted functions	Molecular targets	Phase	ClinicalTrials.gov identifier or reference
2-Deoxy-D-glucose	Mitochondrial anaplerosis	GLUTs and HKs	Phase I	NCT00096707
3-Bromopyruvate	Mitochondrial anaplerosis	HK2 and GAPDH	Phase III	[302, 303]
Etomoxir	Fatty acid oxidation	Carnitine palmitoyltransferase I	Case study	[307, 308]
Mdivi-1/Dynasore	Mitochondrial turnover	DRP1	Preclinical	[314, 315]
CB-839	TCA cycle	Glutaminase	Preclinical	[368-371]
CPI-613	TCA cycle	Pyruvate dehydrogenase and α-ketoglutarate dehydrogenase	Phase I	NCT02071927, NCT02071888
AG-221	TCA cycle	Mutant IDH2-R140 and IDH2-R172	Phase I/II	NCT02168140, NCT02232152, NCT01766219
AG-881	TCA cycle	Mutant IDH1/2	Phase I/II	NCT01915498, NCT02273739
Carboxyamidotriazole	ETC	Complex I	Phase I/II	NCT02492737, NCT02481154
Fenofibrate	ETC	Complex I	Preclinical	[319]
Metformin	ETC	Complex I	Preclinical	[320]
Papaverin	ETC	Complex I	Phase III	NCT01101438
Lonidamine*	ETC	Complex II	Phase I	NCT03824327
Atovaquone	ETC	Complex III	Phase II	NCT00237536
Arsenic trioxide	ETC	Complex IV	Phase III	NCT00435448
mitoTEMPO	ROS signaling	Superoxide	Phase I	NCT02628080
MitoQ	ROS signaling	Superoxide	Preclinical	[348-350]
Photodynamic therapy	Mitochondria-driven apoptosis	Cytochrome c release	Preclinical	[89]
Curcumin	Mitochondria-driven apoptosis	Cytochrome c release	Phase I	NCT03053635
Aloe-emodin	Mitochondria-driven apoptosis	Cytochrome c release	Phase II	NCT03945162
Betulin	Mitochondria-driven apoptosis	Cytochrome c release	Phase II	NCT02064673, NCT02944578, NCT02782949
Resveratrol	Antioxidant modulators	Cytochrome c release	Preclinical	[362]
α-tocopheryl succinate (α-TOS)	Mitochondrial destabilization	GSTP1-1 and GSTO1-1	Preclinical	[363]
Canfosfamide (TLK286)	DNA replication	Pro-drug bio-activated by GSTP1-1 in an alkylating agent	Phase I	NCT00256334, NCT00433576
Brostallicin	DNA replication	Pro-drug activated by GSTP and GSTM	Phase III	[377]
Ketogenic diet	Glycolysis	Mitochondria in cancer cells that would not use ketone bodies as a fuel	Phase II	NCT00060203, NCT01091454
			Pilot	NCT01535911
			Not applicable	NCT03075514, NCT02286167, NCT01754350, NCT03278249
			Phase I	NCT00575146, NCT03451799, NCT01865162
			Phase I/II	NCT02046187, NCT02939378
Phase II	NCT02302235			

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