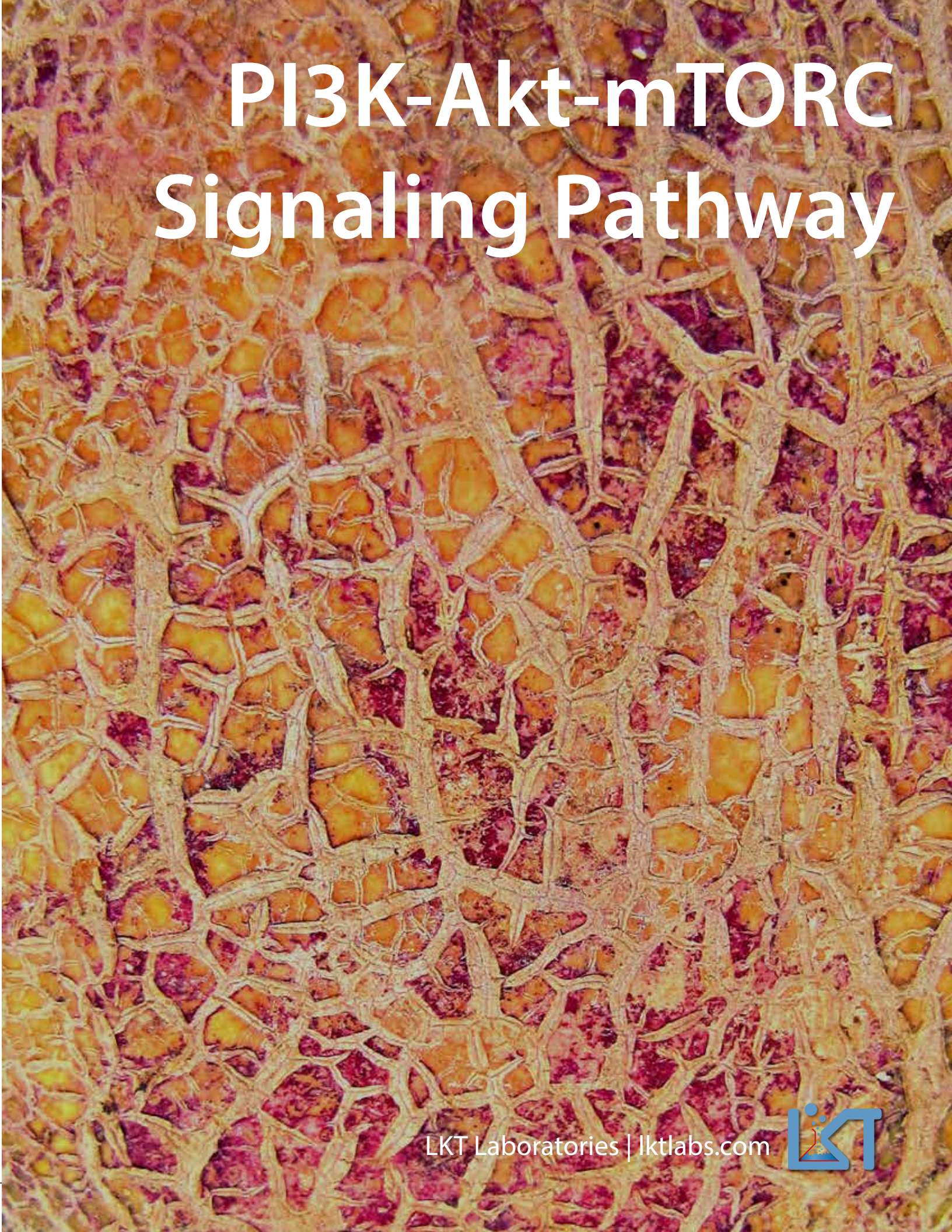
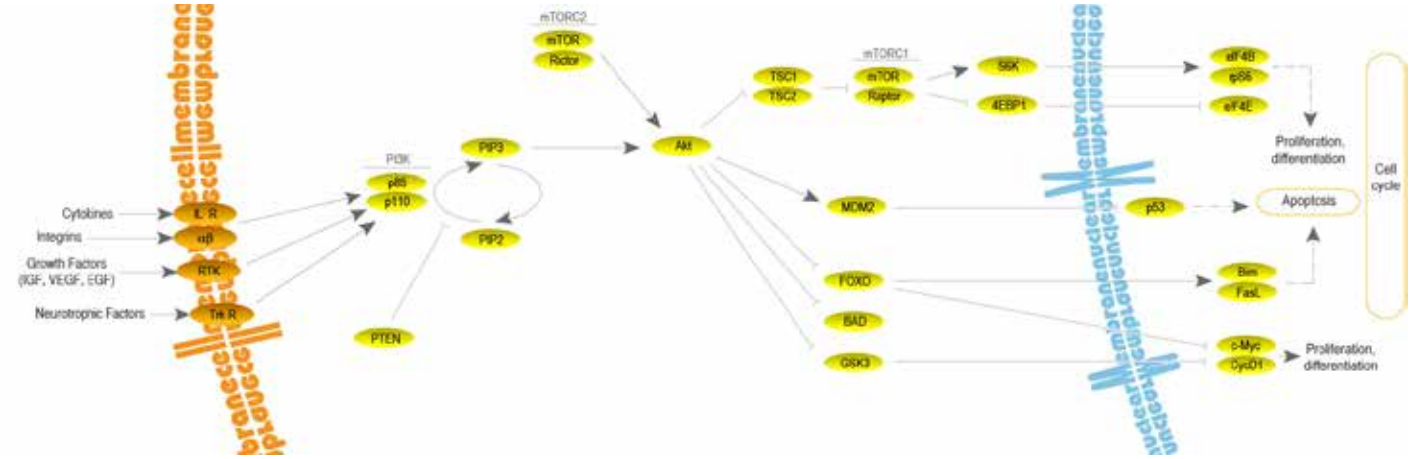
PI3K-Akt-mTORC Signaling Pathway



LKT Laboratories | lktlabs.com

Introduction to the PI3K-Akt-mTORC signaling pathway



The PI3K-Akt-mTORC signaling pathway plays a significant role in cell survival. Activation of this pathway inhibits apoptosis, allowing for continual cell proliferation. This pathway transduces extracellular signaling from ligands of receptor tyrosine kinases in the cell membrane to nuclear transcription factors that regulate gene product synthesis. Overactive signaling by this pathway occurs in many cancers, resulting in unchecked cell growth. As a result, its proteins and receptors make excellent targets for anticancer chemotherapeutic compounds.

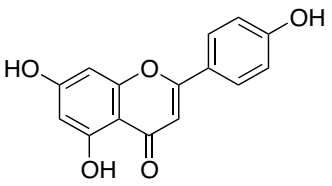
mTOR

Cat #	Product Name	Description	Purity
E4617	Aloe-emodin	Inhibits mTORC2	≥98%
A9710	AZD2014	Inhibits mTORC1/2	≥98%
A9914	AZD-8055	Inhibits mTORC1/2	≥98%
B1996	BEZ235	Inhibits mTORC1/2 and PI3K	≥98%
C8069	Curcumin	Inhibits mTORC2's phosphorylation activity	≥98%
E5057	Emodin	Inhibits mTORC2	≥95%
E8419	Everolimus	Inhibits mTORC1	≥98%
G1209	GDC-0980	Inhibits mTORC1/2 and PI3K	≥98%
G7301	GSK1059615	Inhibits mTORC1/2 and PI3K	≥98%
G7342	GSK2126458	Inhibits mTORC1/2 and PI3K	≥99%
I5440	INK128	Inhibits mTORC1/2	≥99%
M3196	MHY-1485	Activates mTORC1/2	≥98%
N8604	NVP-BGT226	Inhibits mTORC1/2 and PI3K	≥98%
O7332	OSI-027	Inhibits mTORC1/2	≥98%
P0246	Palomid 529	Inhibits mTORC1/2	≥98%
P2002	PF-04691502	Inhibits mTORC1/2 and PI3K	≥98%
P4132	PKI-402	Inhibits mTORC1/2 and p110α PI3K	≥98%
P6004	PP-242	Inhibits mTORC1/2	≥98%
R0161	Rapamycin	Inhibits mTORC1/2	≥98%
S8253	Sunitinib Malate	Inhibits mTORC1	≥98%
T6833	Triacetyl Aloe-emodin	Inhibits mTORC2	≥98%

Mammalian target of rapamycin (mTOR) is a serine/threonine protein kinase that is the catalytic subunit of complexes mTORC1 and mTORC2. mTORC1 includes mTOR, Raptor, MLST8, PRAS40, and DEPTOR and is involved in energy homeostasis signaling. Akt phosphorylates regulatory protein TSC2, inactivating the TSC1/TSC2 heterodimer and limiting its ability to inhibit mTORC1; this results in continual activation of mTORC1. mTORC2 is composed of mTOR, Rictor, MLST8, and mSin1 and plays a role in cell survival. mTORC2 is responsible for phosphorylating Akt, leading to its full activation and downstream anti-apoptotic effects. Inhibitors of mTOR and mTORC1/2 exhibit chemotherapeutic benefit in the treatment of many cancers; compounds that inhibit this kinase and its complex include sunitinib maleate, everolimus, INK128, and curcumin.

PI3K

Phosphoinositide 3-kinases (PI3Ks) compose a category of enzymes that are responsible for the formation of phosphatidylinositol-3-phosphate (PIP) products such as PIP, PIP2, and PIP3. PI3Ks are subdivided into three classes; class I PI3Ks are responsible for cell survival signaling. Class I PI3Ks are primarily composed of a p85 regulatory subunit and a p110 catalytic subunit. Activation of PI3Ks by growth factor and survival factor receptor tyrosine kinases induces production of PIP3, which together with mTOR, activates Akt. Specific isoforms of the p110 subunit of class I PI3Ks are being studied as targets in the development of new anticancer compounds, such as BYL719, GSK2636771, and CAL101.



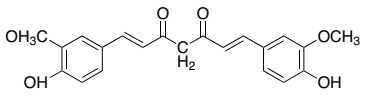
A6234 Apigenin

ID	Name	Description	Purity
A0002	A66	Inhibits p110α	≥98%
A6234	Apigenin	Inhibits PI3K (non-selective) and Akt	≥98%
B0396	BAY80-6946	Inhibits p110α	≥98%
B1996	BEZ235	Inhibits PI3K (non-selective) and mTORC1/2	≥98%
B4248	BKM120	Inhibits PI3K (non-selective)	≥98%
B9700	BYL719	Inhibits p110α PI3K	>99%, >99%ee
C0044	CAL101	Inhibits p110δ PI3K	≥98%
G1309	GDC-0941	Inhibits PI3K (non-selective)	≥98%
G1209	GDC-0980	Inhibits PI3K (non-selective) and mTORC1/2	≥98%
G7342	GSK2126458	Inhibits p110α PI3K and mTORC1/2	>99%
G7342	GSK2126458	Inhibits PI3K (non-selective) and mTORC1/2	>99%
G7346	GSK2636771	Inhibits p110β PI3K	>98.5%
G7346	GSK2636771	Inhibits p110β	>98.5%
L4796	LY294002	Inhibits PI3K (non-selective)	≥99 %
P2002	PF-04691502	Inhibits PI3K (non-selective) and mTORC1/2	≥98%
P3209	Piceatannol	Inhibits PI3K (non-selective)	>98%
P4132	PKI-402	Inhibits p110α and mTORC1/2	≥98%
P6002	PP-121	Inhibits p110α, mTORC1/2, Abl, Hck, Src, VEGFR2, PDGFR	≥98%
P9200	PX-866	Inhibits PI3K (non-selective)	≥98%
W5726	Wogonin	Inhibits PI3K (non-selective) and Akt	≥98%
X4402	XL147	Inhibits PI3K (non-selective)	≥98%
Z7477	ZSTK474	Inhibits PI3K (non-selective)	≥98%

AKT

ID	Name	Description	Purity
A9601	AZD5363	Inhibits Akt	>99%, >99%ee
C0252	Canertinib 2HCl	Indirectly inhibits Akt (via VEGFR)	≥98%
C8069	Curcumin	Inhibits phosphorylation of Akt and indirectly inhibits Akt (via Notch-1)	≥97 %
D0006	Dacomitinib	Indirectly inhibits Akt (via EGFR)	>99%
G0104	Gabexate Mesylate	Indirectly inhibits Akt (via PTEN)	≥98%
G0248	Gambogic Acid	Indirectly inhibits Akt (via EGFR)	≥98%
G1200	GDC-0068	Inhibits Akt	≥99%
G5772	Goserelin	Indirectly inhibits Akt	≥98%
G7242	GSK-690693	Inhibits Akt	≥98%
M4000	MK2206	Inhibits Akt	≥99%
N3577	Nitidine Chloride	Indirectly inhibits Akt	≥98%
P1845	Pelitinib	Indirectly inhibits Akt (via EGFR)	≥98%
P1969	Perifosine	Inhibits Akt	≥98%
P3076	PHT-427	Inhibits Akt and PDK1	≥98%
P3209	Piceatannol	Indirectly inhibits Akt (via PI3K, insulin receptor)	>98%
S8098	SU-1498	Indirectly inhibits Akt (via VEGFR2)	>98%
T0152	Tandutinib	Indirectly inhibits Akt (via c-Kit)	≥98%
T2936	Thioridazine HCl	Indirectly inhibits Akt (via FAK, αvβ3 integrin)	≥98%
W3576	Withaferin A	Indirectly inhibits Akt (via Notch-1, HSP90)	≥98%

Akt, also known as protein kinase B, is a serine/threonine protein kinase involved in anti-apoptotic signaling. Akt phosphorylates and inactivates pro-apoptotic protein Bad and alters IkB kinase activity to allow NF-kB activation and subsequent expression of anti-apoptotic gene products. Akt activation can be prevented by tumor suppressor PTEN's dephosphorylation of PIP3. Activity of Akt is associated with cancer progression and tumor development. Inhibitors of Akt include MK2206, GDC-0068, and AZD5363.



C8069 Curcumin

LKT Laboratories, Inc.
545 Phalen Blvd.
St. Paul, MN 55130

Web: lktlabs.com
Ph: 651-644-8424
Fax: 651-644-8357

Cancer Product Categories

- Chemopreventive agents
- Antimetabolites
- Alkylating and cross-linking agents
- Mitotic inhibitors and spindle poisons
- Topoisomerase inhibitors
- Epigenetic modifiers
- Tyrosine kinase inhibitors
- Serine/threonine kinase inhibitors
- Proteasome inhibitors

Visit us at lktlabs.com

About LKT Laboratories

LKT Laboratories Inc. is focused on the production and distribution of high purity biochemicals for all types of life science research, including cancer biology, immunology, cardiovascular studies, microbiology, and neuroscience. Our product library includes a wide variety of compounds that can be used for a broad spectrum of in vitro and in vivo research applications.

Our Products Include

- Immunomodulators
- Cardiovascular agents
- Chemopreventive agents
- Chemotherapeutics
- Antimicrobials
- Neurotransmitter modulators
- Anti-inflammatory agents
- Natural products
- Drug discovery kits