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BRAF Inhibitor ARQ 736

National Cancer Institute

Source

National Cancer Institute. *BRAF Inhibitor ARQ 736*. NCI Thesaurus. Code C94224.

An orally bioavailable, highly soluble phosphate prodrug of B-raf (BRAF) protein kinase with potential antineoplastic activity. BRAF inhibitor ARQ 736 is converted into its active form ARQ 680 in the presence of phosphatases. In turn, ARQ 680 selectively binds to and inhibits the activity of oncogenic B-raf, which may inhibit the proliferation of tumor cells expressing mutated B-raf gene. B-raf belongs to the raf/mil family of serine/threonine protein kinases and plays a role in regulating the MAP kinase/ERKs signaling pathway, which may be constitutively activated due to BRAF gene mutations. The valine to glutamic acid substitution at residue 600 (V600E) accounts for about 90% of BRAF gene mutations.