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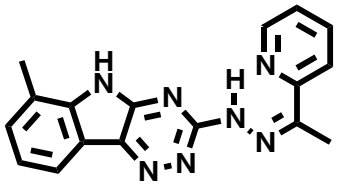
Mitochondrial OXPHOS Inhibitor – VLX600

Chemical Name: 1- (2- pyridinyl)- ethanone, 2- (6- methyl- 5H- 1, 2, 4- triazino[5, 6- b]indol- 3- yl)hydrazone



Molecular Weight:	317.36
Formula:	$C_{17}H_{15}N_7$
Purity:	≥98%
CAS#:	327031-55-0
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

VLX600 is a potent and selective mitochondrial OXPHOS inhibitor. It is preferentially active against quiescent cells in colon cancer 3-D microtissues (low micromole activity). The anticancer activity is associated with reduced mitochondrial respiration, leading to bioenergetic catastrophe and tumour cell death. VLX600 shows enhanced cytotoxic activity under conditions of nutrient starvation. It induces the expression of genes associated with hypoxia, glycolysis, and p53 signaling, stimulates autophagy, and triggers mitochondrial dysfunction in MCs. It displays antitumor activity against colon cancer xenografts with minimal systemic toxicity in mice. Right now it is in phase I clinical trials for patients with refractory advanced solid tumors.

How to Use:

In vitro: VLX600 was used at 6 μM final concentration in various in vitro assays.

In vivo: VLX600 was dosed intravenously to mice at 16 mg/kg every third day for 16 days in xenografts models.

Reference:

1. Zhang X, et al. Induction of mitochondrial dysfunction as a strategy for targeting tumour cells in metabolically compromised microenvironments. (2014) Nat Commun. 5:3295.