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Data Sheet

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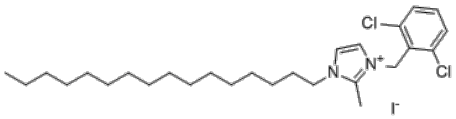
Product Name	:	Aldometanib
Cat. No.	:	PC-49381
CAS No.	:	2904601-67-6
Molecular Formula	:	$C_{27}H_{43}Cl_2IN_2$
Molecular Weight	:	593.459
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

Aldometanib (LXY-05-029) is a selective small molecule inhibitor of **aldolase (ALDOA)** with IC50 of 50 uM in in vitro enzymatic assays, Aldometanib (5 nM) is sufficient to activate AMPK inside cells.
Aldometanib displays a Kd value of 20 uM in surface plasmon resonance (SPR) assays.
Aldometanib selectively activates the lysosomal pool of AMPK in cells.
Aldometanib blocked FBP by directly occupying the active centre of aldolase through conjugation to Lys230, mutation of Arg43 to alanine largely blocked the effects of aldometanib in aldolase inhibition as well as AMPK activation.
Aldometanib did not show any considerable inhibition (inhibitory rate >30%) on kinome screening assay.
Aldometanib activates AMPK through the lysosomal pathway without causing elevation of AMP/ADP, unless high doses are used.
Acute aldometanib administration decreased fasting blood glucose and improved glucose tolerance in normoglycaemic mice.
Aldometanib decreased fat mass, induced browning and elevated EE in HFD-induced obese mice, alleviated liver fibrosis in NASH mice.
Aldometanib extended lifespan in C. elegans via the lysosomal pathway.

References

Chen-Song Zhang, et al. ***Nat Metab.*** 2022 Oct 10. doi: 10.1038/s42255-022-00640-7.

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