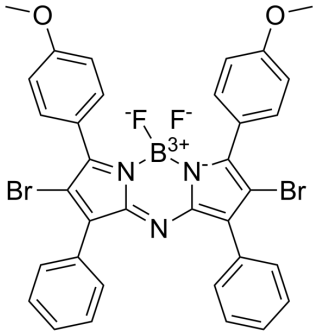
ADPM06

Cat. No.:	HY-13547
CAS No.:	490035-90-0
Molecular Formula:	$C_{34}H_{24}BBr_2F_2N_3O_2$
Molecular Weight:	715.19
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ADPM06, a lead candidate azadipyrromethene, is a novel nonporphyrin photodynamic therapeutic (PDT) agent. ADPM06 exhibits IC_{50} values in the micro-molar range in human tumor cells and induces apoptosis[1].

In Vitro

The efficacy of ADPM01 is completely ablated at a 1% oxygen level in Hela and MRC5 cell lines. ADPM06 displays only a partial reduction in light-induced activity in hypoxic as compared to normoxic conditions[1].
ADPM06-PDT induces ER stress and unfolded protein response[2].
ADPM06-PDT induces apoptosis and involves caspase enzymatic activity[2].
Following ADPM06-PDT, a rapid processing of XBP1 mRNA occurs resulting in the removal of an intron from the mRNA in a spliceosome-independent manner, a post-transcriptional modification catalyzed by the action of activated inositol-requiring protein 1 (IRE1)[2].
ADPM06-PDT-induced apoptosis involves the generation of ROS[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Hela and MRC5 cell lines.
Concentration:	1 nM - 100μM.
Incubation Time:	24 h.
Result:	Retained considerable efficacy, with EC_{50} values of 1.5 and 1.6×10^{-6} M for HeLa and MRC5 cells, respectively.

In Vivo

ADPM06-PDT has revealed an initiation of apoptosis in vivo, as well as induction of an ER stress response[2].
ADPM06-PDT is well tolerated in vivo and elicits impressive complete response rates in various models of cancer when a short drug-light interval is applied[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Balb C nu/nu mice[2].
Dosage:	2 mg/kg in 0.3 mL solution via the lateral tail vein.
Administration:	IV.

Result:	Revealed a rapid reduction in tumor-specific luciferase activity as early as 1-hr post-PDT, with levels decreasing further 4-hr post-PDT.

REFERENCES

[1]. W M Gallagher, et al. A potent nonporphyrin class of photodynamic therapeutic agent: cellular localisation, cytotoxic potential and influence of hypoxia. Br J Cancer. 2005 May 9; 92(9): 1702-1710.

[2]. Aisling E O'Connor, et al. Mechanism of cell death mediated by a BF2-chelated tetraaryl-azadipyrromethene photodynamic therapeutic: dissection of the apoptotic pathway in vitro and in vivo. Int J Cancer. 2012 Feb 1;130(3):705-15.

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