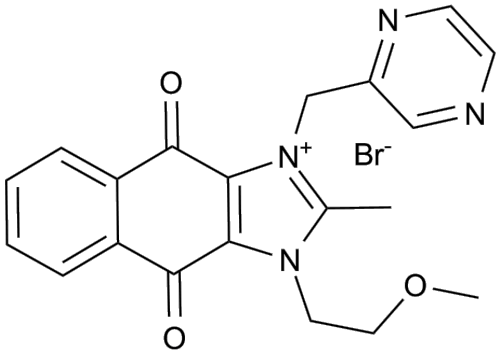
Product Data Sheet

Product Name: YM155
Cat. No.: GC13107

Chemical Properties

Cas. No.	781661-94-7		
Chemical Name	1-(2-methoxyethyl)-2-methyl-3-(pyrazin-2-ylmethyl)benzo[f]benzimidazol-3-ium-4,9-dione;bromide		
SMILES	CC1=[N+](C2=C(N1CCOC)C(=O)C3=CC=CC=C3C2=O)CC4=NC=CN=C4.[Br-]		
Formula	$C_{20}H_{19}BrN_4O_3$	M.Wt	443.3
Solubility	≥ 22.15 mg/mL in DMSO, ≥ 13.49 mg/mL in EtOH with ultrasonic, ≥ 96.2 mg/mL in Water with ultrasonic	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines	PC-3 cells

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Preparation Method	PC-3 cells were cultured in DMEM medium supplemented with 10% heat-inactivated fetal bovine serum and placed in a 37°C humidified incubator at 5% CO_2. After 48h of culture in the presence of YM155 (1, 10, 100, and 1000nM), PC-3 cells were harvested by trypsin digestion and centrifugation and resuspended in DMEM medium. The cell suspension was diluted in an equal volume of trypan blue (0.4% working solution). Live (unstained) and dead (stained) cells were counted using a blood cell counter.
Reaction Conditions	1, 10, 100, and 1000nM; 48h
Applications	YM155 significantly inhibited the viability of PC-3 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models	Female BALB/c-nu mice
Preparation Method	Six-week-old female BALB/c-nu mice were maintained in a standard sterile environment. SH-SY5Y cells (2×10^6) were collected and mixed with an equal volume of Matrigel and injected subcutaneously into the flank of mice. After tumor formation, mice were randomly divided into two groups: vehicle group (saline) or YM155 group. YM155 was injected subcutaneously continuously at a dose of 2mg/kg/day for 21 days using a micro-osmotic pump. Tumor diameter was measured twice a week, and tumor volume was calculated as the product of length × $width^2\times0.5$.
Dosage form	2mg/kg/day for 21 days; s.c.
Applications	YM155 treatment remarkably reduced tumor growth in the SH-SY5Y cell xenograft model of mice.

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References:
[1] Nakahara T, Takeuchi M, Kinoyama I, et al. YM155, a novel small-molecule survivin suppressant, induces regression of established human hormone-refractory prostate tumor xenografts[J]. Cancer research, 2007, 67(17): 8014-8021.
[2] Li X, Yang F, He N, et al. YM155 inhibits neuroblastoma growth through degradation of MYCN: A new role as a USP7 inhibitor[J]. European Journal of Pharmaceutical Sciences, 2023, 181: 106343.

Background

YM155, a small imidazolium-based compound, potently inhibits survivin promoter activity with an IC_{50} value of 0.54nM [1]. YM155 can suppress survivin expression through the disruption of SP1 binding to the survivin core promoter and of ILF3–p54/nrb complex[2]. YM155 has been widely used to induce tumor cell apoptosis and promote tumor regression in diverse cell and animal models[3].

In vitro, YM155 treatment for 120 hours significantly inhibited the proliferation of UKF-NB-3 and UKF-NB-6 cells with IC_{50} values of 0.49 and 0.65nM, respectively[4]. Treatment of H1299 cells with YM155 at 40nM for 24h significantly induced cell death and promoted mitochondrial dysfunction, leading to a reduction in tricarboxylic acid (TCA) cycle intermediates[5]. Treatment with 10nM YM155 for 24 hours significantly inhibited PANC-1 cell viability and induced downregulation of PI3K, p-ERK, and p-STAT3 in PANC-1 cells[6].

In vivo, YM155 treatment via subcutaneous injection at a dose of 2 mg/kg/day for 21 days remarkably reduced tumor growth in the SH-SY5Y cell xenograft model of mice, without affecting body weight[7]. Continuous subcutaneous infusion of YM155 (5mg/kg/day) for 21 days significantly inhibited the development of ascites in Primary effusion lymphoma (PEL) xenograft mice[8].

References:
[1] Nakahara T, Takeuchi M, Kinoyama I, et al. YM155, a novel small-molecule survivin suppressant, induces regression of established human hormone-refractory prostate tumor xenografts[J]. Cancer research, 2007, 67(17): 8014-8021.
[2] Rauch A, Hennig D, Schäfer C, et al. Survivin and YM155: how faithful is the liaison?[J]. Biochimica et Biophysica Acta (BBA)-Reviews on Cancer, 2014, 1845(2): 202-220.

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[3] Tolcher A W, Quinn D I, Ferrari A, et al. A phase II study of YM155, a novel small-molecule suppressor of survivin, in castration-resistant taxane-pretreated prostate cancer[J]. Annals of oncology, 2012, 23(4): 968-973.
[4] Voges Y, Michaelis M, Rothweiler F, et al. Effects of YM155 on survivin levels and viability in neuroblastoma cells with acquired drug resistance[J]. Cell death & disease, 2016, 7(10): e2410-e2410.
[5] Mondal A, Jia D, Bhatt V, et al. Ym155 localizes to the mitochondria leading to mitochondria dysfunction and activation of AMPK that inhibits BMP signaling in lung cancer cells[J]. Scientific reports, 2022, 12(1): 13135.
[6] Na Y S, Yang S J, Kim S M, et al. YM155 induces EGFR suppression in pancreatic cancer cells[J]. PloS one, 2012, 7(6): e38625.
[7] Li X, Yang F, He N, et al. YM155 inhibits neuroblastoma growth through degradation of MYCN: A new role as a USP7 inhibitor[J]. European Journal of Pharmaceutical Sciences, 2023, 181: 106343.
[8] Kojima Y, Hayakawa F, Morishita T, et al. YM155 induces apoptosis through proteasome-dependent degradation of MCL-1 in primary effusion lymphoma[J]. Pharmacological research, 2017, 120: 242-251.

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