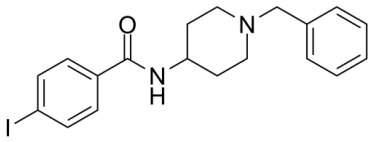
Data Sheet

Product Name:	4-IBP
Cat. No.:	CS-5580
CAS No.:	155798-08-6
Molecular Formula:	$C_{19}H_{21}IN_2O$
Molecular Weight:	420.29
Target:	Sigma Receptor
Pathway:	Neuronal Signaling
Solubility:	DMSO : 100 mg/mL (237.93 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

4-IBP is a selective σ1 agonist with a high level of affinity for the σ1 receptor (Ki = 1.7 nM) and a moderate affinity for the σ2 receptor (Ki = 25.2 nM) . IC50 value: 1.7 nM (Ki) Target: σ1 in vitro: 4-IBP is a σ1 receptor agonist, decreases the migration of human cancer cells, including glioblastoma cells. 4-IBP is used to investigate whether targeting theσ1 receptor could modify in vitro the migration rates of human cancer cells and increase the sensitivity of metastasizing human A549 NSCLC cells and infiltrating human glioblastoma cells to cytotoxic insults of either proapoptotic or proautophagic drugs.[1] in vivo: 4-IBP increases the antitumor effects of temozolomide and irinotecan in immunodeficient mice that were orthotopically grafted with invasive cancer cells.[1]

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] U373-MG glioblastoma cells were grown to confluence in six-well dishes before being pretreated with 10 nM 4-IBP for 1, 3, 7, or 24 hours in a serum-restricted medium (5%). Scratch wounds were made by creating a denuded linear area with a pipette tip. The cells were washed twice with phosphate-buffered saline before their incubation with a cytotoxic agent (lomustin or temozolomide) at 1 and 10 mM in a serum-restricted medium for 16 additional hours of post-4-IBP treatment. Four fields per well were photographed twice daily until wound healing was observed in appropriate controls. A software developed in our laboratory was used to quantify the percentage of the scratch wound area filled by cells over the period of the experiment. Animal administration [1] The human A549 NSCLC model was investigated because A549 NSCLC cells are chemoresistant and produce brain and liver metastases in nude mice. Orthotopic xenografts of human A549 NSCLC were obtained by grafting 2 million A549 NSCLC cells into the right lung of 8-week-old female nu/nu mice (21-23 g). Grafted mice were randomly allocated to treatment groups, as detailed above. Group 1 received 12 intravenous injections of 50 µl of saline and acted as the control group, with the first injection starting on the seventh day posttumor graft. The injections were performed thrice a week over four consecutive weeks. Under an identical regimen, Group 2 received 12 intravenous injections of a 4-IBP solution at a dose of 2 mg/kg. Group 3 received 12 intravenous injections of an IRI solution at a dose of 10 mg/kg. IRI was chosen given its proapoptotic effects. 4-IBP treatment started on day 7 posttumor graft, whereas IRI treatment started on day 14 postgraft. Group 4 received the combined treatment described for mice in Groups 2 and 3.

References:

[1]. Mégalizzi V, et al. 4-IBP, a sigma1 receptor agonist, decreases the migration of human cancer cells, including glioblastoma cells, in vitro and sensitizes them in vitro and in vivo to cytotoxic insults of proapoptotic and proautophagic drugs. Neoplasia. 2007 May;9(5):358-69.

CAIndexNames:

Benzamide, 4-iodo-N-[1-(phenylmethyl)-4-piperidinyl]-

SMILES:

O=C(NC1CCN(CC2=CC=CC=C2)CC1)C3=CC=C(I)C=C3

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