

Product Data Sheet

Product Name: Bax channel blocker

Cat. No.: GC12763

Chemical Properties

Cas. No. 335165-68-9

Chemical Name 1-(3,6-dibromocarbazol-9-yl)-3-piperazin-1-ylpropan-2-ol; dihydrochloride

SMILES C1CN(CCN1)CC(CN2C3=C(C=C(C=C3)Br)C4=C2C=CC(=C4)Br)O.Cl.Cl

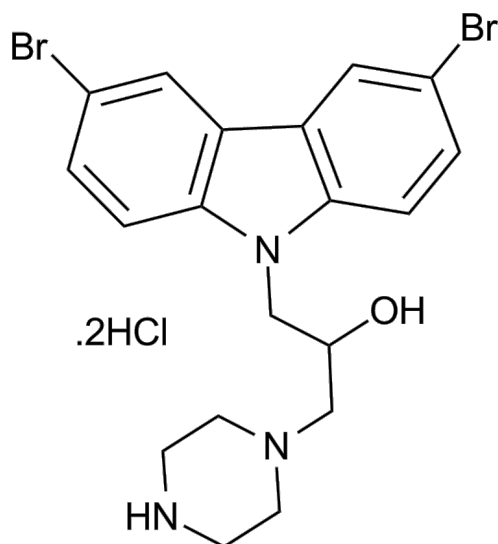
Formula $C_{19}H_{21}Br_2N_3O \cdot 2HCl$ M.Wt 540.12

Solubility <46.72mg/ml in DMSO Storage Desiccate at RT

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

IC50: 0.52 µM in Bax assay

Bax channel blocker is an inhibitor of Bax-mediated mitochondrial cytochrome c release.

Caution: Product has not been fully validated for medical applications. For research use only.

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In the cytosol, cytochrome c is found to form a complex with dATP, Apaf-1, and procaspase-9, which results in caspase 9 activation followed by downstream activation of other caspases, such as caspase 8, ultimately leading to the cell death. After caspase 8 cleavage, the 15.5 kDa C-terminal fragment of Bid interacts with Bak and Bax.

In vitro: Bax channel blocker, a 3,6-dibromocarbazole derivative, was observed to inhibit cytochrome c releasing from mitochondria by Bax channel modulation. The monohydroxy analogue Bax channel blocker remained the unprecedented inhibition of Bax-induced cytochrome c release at 10 μ M. The IC₅₀ value of Bax channel blocker was determined to be 0.52 μ M, indicating that Bax channel blocker was a Bax channel inhibitor as hypothesized. Moreover, in the liposome assay, Bax channel blocker showing significant inhibition (>65%) of cytochrome c release at 10 μ M also demonstrated sub-micromolar IC₅₀ value [1].

In vivo: So far, there is no animal in vivo study conducted for Bax channel blocker.

Clinical trial: N/A

Reference:

[1] Bombrun A, Gerber P, Casi G, Terradillos O, Antonsson B, Halazy S. 3,6-dibromocarbazole piperazine derivatives of 2-propanol as first inhibitors of cytochrome c release via Bax channel modulation. J Med Chem. 2003 Oct 9;46(21):4365-8.

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