Ro-15-2041

Cat. No.: HY-101807

CAS No.: 77448-87-4

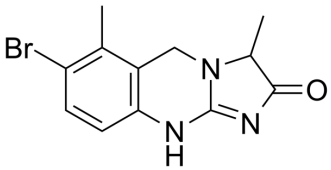
Molecular Formula: $C_{12}H_{12}BrN_3O$

Molecular Weight: 294.15

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ro 15-2041 is a selective platelet phosphodiesterase inhibitor with antithrombotic properties.
IC_{50} & Target	phosphodiesterase[1]
In Vitro	Ro 15-2041 inhibits platelet aggregation induced by all common platelet agonists in platelet-rich plasma obtained from various species including man (IC_{50}=1-3 μM). Ro 15-2041 potentiates platelet inhibition by prostacyclin, the prostacyclin-induced increase of intraplatelet cyclic (c) AMP levels and inhibits the collagen-induced release of serotonin and beta-thromboglobulin. Ro 15-2041 reduces the increase and accelerated the normalization of cytosolic free Ca^{2+} in thrombin-stimulated human platelets. Ro 15-2041 is a potent (IC_{50}=70 nM) and selective inhibitor of platelet cAMP-phosphodiesterase activity. Whereas Ro 15-2041 causes complete inhibition of cAMP-phosphodiesterase activity in human platelet supernatants, breakdown of cAMP in cardiac homogenates is depressed to maximally 50%. In human brain and rabbit uterus Ro 15-2041 is at least 1000 times less potent. By comparison, papaverine fully inhibits phosphodiesterase activity in all four tissues with similar IC_{50} values of about 5 μM. Furthermore, Ro 15-2041 selectively inhibits cAMP-phosphodiesterase activity of a bovine calmodulin-independent but not of a calmodulin-dependent enzyme preparation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Muggli R, et al. 7-Bromo-1,5-dihydro-3,6-dimethylimidazo[2,1-b]quinazolin-2(3H)- one (Ro 15-2041), a potent antithrombotic agent that selectively inhibits platelet cyclic AMP-phosphodiesterase. J Pharmacol Exp Ther. 1985 Oct;235(1):212-9.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA