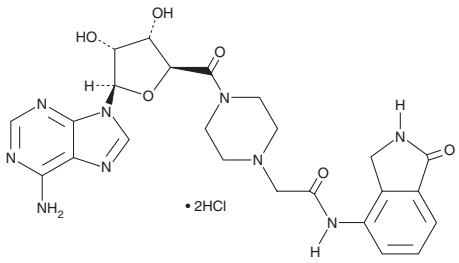
PRODUCT INFORMATION

EB 47 (hydrochloride)

Item No. 34684

CAS Registry No.: 1190332-25-2
Formal Name: 5′-deoxy-5′-[4-[2-[(2,3-dihydro-1-oxo-1H-isoindol-4-yl)amino]-2-oxoethyl]-1-piperazinyl]-5′-oxo-adenosine, dihydrochloride
MF: $C_{24}H_{27}N_9O_6 \bullet 2HCl$
FW: 610.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EB 47 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the EB 47 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. EB 47 (hydrochloride) is soluble in the organic solvent DMSO (sonicated) at a concentration of approximately 50 mg/ml.

Description

EB 47 is an inhibitor of poly(ADP-ribose) polymerase 1 (PARP1; IC_{50} = 45 nM) and a dual site inhibitor of tankyrase 2 (TNKS2; IC_{50} = 45 nM).[1,2] It also inhibits tankyrase 1 (TNKS1) and PARP10 (IC_{50}s = 410 and 1,179 nM, respectively).[2,3] EB 47 (10 mg/kg per hour) reduces infarct volume in a rat model of ischemia-reperfusion injury induced by middle cerebral artery occlusion (MCAO).[4]

References

1. Jagtap, P.G., Southan, G.J., Baloglu, E., *et al*. The discovery and synthesis of novel adenosine substituted 2,3-dihydro-1*H*-isoindol-1-ones: Potent inhibitors of poly(ADP-ribose) polymerase-1 (PARP-1). *Bioorg. Med. Chem. Lett*. **14(1)**, 81-85 (2004).
2. Haikarainen, T., Narwal, M., Joensuu, P., *et al*. Evaluation and structural basis for the inhibition of tankyrases by PARP inhibitors. *ACS Med. Chem. Lett*. **5(1)**, 18-22 (2013).
3. Venkannagari, H., Fallarero, A., Feijs, K.L.H., *et al*. Activity-based assay for human mono-ADP-ribosyltransferases ARTD7/PARP15 and ARTD10/PARP10 aimed at screening and profiling inhibitors. *Eur. J. Pharm. Sci*. **49(2)**, 148-156 (2013).
4. Ferraris, D.V. Evolution of poly(ADP-ribose) polymerase-1 (PARP-1) inhibitors. From concept to clinic. *J. Med. Chem*. **53(12)**, 4561-4584 (2010).

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