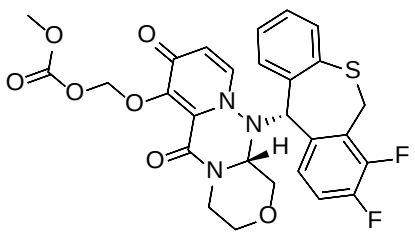
Product data sheet

MedKoo Cat#: 329490 Name: Baloxavir marboxil CAS#: 1985606-14-1 Chemical Formula: $C_{27}H_{23}F_2N_3O_7S$ Exact Mass: 571.1225 Molecular Weight: 571.55	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Baloxavir marboxil, also known as S 033188, is an antiviral agent.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	45.0	78.73

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.75 mL	8.75 mL	17.50 mL
5 mM	0.35 mL	1.75 mL	3.50 mL
10 mM	0.17 mL	0.87 mL	1.75 mL
50 mM	0.03 mL	0.17 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Taniguchi K, Ando Y, Nobori H, Toba S, Noshi T, Kobayashi M, Kawai M, Yoshida R, Sato A, Shishido T, Naito A, Matsuno K, Okamatsu M, Sakoda Y, Kida H. Inhibition of avian-origin influenza A(H7N9) virus by the novel cap-dependent endonuclease inhibitor baloxavir marboxil. Sci Rep. 2019 Mar 5;9(1):3466. doi: 10.1038/s41598-019-39683-4. PMID: 30837531; PMCID: PMC6401108.

In vivo study

1. Taniguchi K, Ando Y, Nobori H, Toba S, Noshi T, Kobayashi M, Kawai M, Yoshida R, Sato A, Shishido T, Naito A, Matsuno K, Okamatsu M, Sakoda Y, Kida H. Inhibition of avian-origin influenza A(H7N9) virus by the novel cap-dependent endonuclease inhibitor baloxavir marboxil. Sci Rep. 2019 Mar 5;9(1):3466. doi: 10.1038/s41598-019-39683-4. PMID: 30837531; PMCID: PMC6401108.

7. Bioactivity
Biological target: Baloxavir marboxil (S-033188) is an inhibitor of influenza cap-dependent endonuclease.

In vitro activity

To examine whether BXA (baloxavir acid) possessed inhibitory activity against human A(H7N9) virus in vitro, strains from subtypes A(H7N9) and A (H7N3), including highly pathogenic avian influenza viruses, were selected. BXA showed inhibitory activity against A/Anhui/1/2013 (H7N9) strain and exhibited comparable potency against A/Anhui/1/2013 (H7N9) harboring the NA-R292K

substitution to the wild-type, indicating no-cross resistance with NAIs was observed. BXA also exhibited comparable potency against H7 low and highly pathogenic avian influenza viruses to A/Anhui/1/2013 (H7N9) strain. Notably, BXA at four nanomolar concentration achieved a 1.5–2.8 log reduction in viral titers (Supplementary Table 1). By contrast, NAIs or the RNA-dependent RNA polymerase inhibitor favipiravir required approximately 20-fold or higher concentrations to achieve the same levels of virus reduction as BXA. These results suggest that BXA has high antiviral activity against A(H7N9) despite the viruses possessing the polymorphic PA-A37S substitution located in the adjacent BXA-binding site.

Reference: Sci Rep. 2019 Mar 5;9(1):3466. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6401108/

In vivo activity

In order to evaluate the effects of BXM (baloxavir marboxil) against A(H7N9) in a lethal infection model, mice were inoculated with 10.4 times of 50% mouse lethal dose (MLD50) of A/Anhui/1/2013 (H7N9). All vehicle-treated mice died within 7 days post-infection (dpi) and mean day to death was 6 days (Fig. 1a). Survival rates of BXM at 0.5, 5, and 50 mg/kg twice a day for 1 day were 90%, 100% and 100%, respectively. When compared to the survival time at 28 dpi, all groups treated with BXM showed significant prolonged survival times compared with the groups administered with vehicle. Dramatic body weight loss after infection was observed in the vehicle-treated control group and reached a 28% decrease at 5 dpi (Fig. 1b and Supplementary Fig. 2). By contrast, BXM significantly prevented body weight loss from day 2 to 5 in a dose-dependent manner. These results indicate that BXM exerts improvements in survival in mice infected with A/Anhui/1/2013 (H7N9).

Reference: Sci Rep. 2019 Mar 5;9(1):3466. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6401108/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.